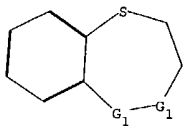
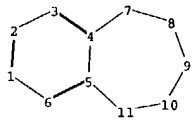
C:\Program Files\Stnexp\Queries\09912233.str





ring nodes :
1 2 3 4 5 6 7 8 9 10 11
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-11 7-8 8-9 9-10 10-11
exact/norm bonds :
4-7 5-11 7-8 8-9 9-10 10-11
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom

```
=> d his

     (FILE 'HOME' ENTERED AT 18:35:38 ON 08 AUG 2004)

     FILE 'REGISTRY' ENTERED AT 18:35:43 ON 08 AUG 2004
L1             STRUCTURE UPLOADED
L2             QUE L1
L3           50 S L2
L4        10493 S C6-C5NS/EA
L5           50 S L2  SUB=L4 SAM
L6         7785 S L2 FUL SUB=L4
L7             STRUCTURE UPLOADED
L8             QUE L7
L9           50 S L8  SUB=L6 SAM
L10        5616 S L8  SUB=L6 FUL Lactams
L11        2169 S L6 NOT L10

     FILE 'CAPLUS' ENTERED AT 18:46:19 ON 08 AUG 2004
L12         304 S L11
L13         ANALYZE L12 1- RN HIT :    2068 TERMS

     FILE 'REGISTRY' ENTERED AT 18:53:54 ON 08 AUG 2004
L14           1 S 145903-06-6/RN
L15           1 S 105394-80-7/RN
L16           1 S 29476-14-0/RN
L17           1 S 40358-33-6/RN
L18           1 S 178961-24-5/RN  add back in
L19           1 S 53299-20-0/RN
L20        2163 S L11 NOT (L14 OR L15 OR L16 OR L17 OR L18 OR L19)

     FILE 'CAPLUS' ENTERED AT 18:57:13 ON 08 AUG 2004
L21         238 S L20
L22         ANALYZE L21 1- RN HIT :    2062 TERMS

     FILE 'REGISTRY' ENTERED AT 18:59:57 ON 08 AUG 2004
L23        1100 S 58980?/RN
L24         100 S 152802?/RN
L25        1067 S 14953?/RN
L26         100 S 439087?/RN
L27         100 S 110766?/RN
L28         100 S 119541?/RN
L29        1064 S 13338?/RN
L30          99 S 229307?/RN
L31         100 S 439087?/RN
L32           3 S L20 AND L23
L33          59 S L20 AND L24
L34           2 S L20 AND L25
L35          96 S L20 AND L26
L36          11 S L20 AND L27
L37           5 S L20 AND L28
L38           5 S L20 AND L29
L39           4 S L20 AND L30
L40          96 S L20 AND L31
L41          65 S L20 AND THIONE
L42        2089 S L20 NOT (L32 OR L34 OR L36 OR L37 OR L41)

     FILE 'CAPLUS' ENTERED AT 19:05:52 ON 08 AUG 2004
L43         215 S L42
```

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     FILE 'REGISTRY' ENTERED AT 19:06:17 ON 08 AUG 2004

     FILE 'REGISTRY' ENTERED AT 19:11:34 ON 08 AUG 2004

     FILE 'STNGUIDE' ENTERED AT 19:11:55 ON 08 AUG 2004

     FILE 'REGISTRY' ENTERED AT 19:12:24 ON 08 AUG 2004

     FILE 'CAPLUS' ENTERED AT 19:12:59 ON 08 AUG 2004

     FILE 'REGISTRY' ENTERED AT 19:13:05 ON 08 AUG 2004
L44              STRUCTURE UPLOADED
L45              QUE L44
L46           17 S L45  SUB=L42 SAM
L47          371 S L45  SUB=L42 FUL
L48         2090 S L42 OR L18
L49         1719 S L48 NOT L47

     FILE 'CAPLUS' ENTERED AT 19:24:53 ON 08 AUG 2004
L50          200 S L49

     FILE 'REGISTRY' ENTERED AT 19:27:20 ON 08 AUG 2004
L51            1 S L49 AND THIA
L52         1718 S L49 NOT L51

     FILE 'CAPLUS' ENTERED AT 19:30:19 ON 08 AUG 2004
L53          199 S L52
L54          ANALYZE L53 1- RN HIT :     1671 TERMS

     FILE 'REGISTRY' ENTERED AT 19:31:10 ON 08 AUG 2004

     FILE 'CAPLUS' ENTERED AT 19:32:46 ON 08 AUG 2004
L55           98 S L53 AND PATENT/DT
L56          101 S L53 NOT L55
L57            2 S L56 AND 2003/SO
L58            9 S L56 AND 2002/SO
L59            2 S L56 AND 2001/SO
L60          186 S L53 NOT (L57 OR L58 OR L59)

=> d l2
L2 HAS NO ANSWERS
L1                STR
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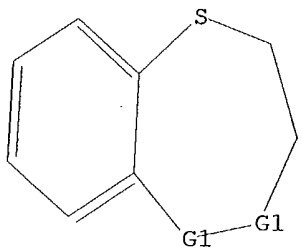


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G1 C,N

Structure attributes must be viewed using STN Express query preparation.
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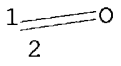
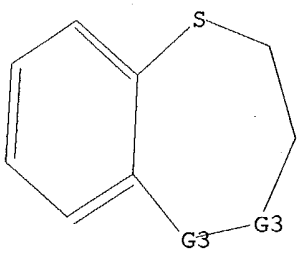
L2 QUE ABB=ON PLU=ON L1

=> d l8
L8 HAS NO ANSWERS
L7 STR





G1

G2 H,Ph

G3 N,[@1-@2]

Structure attributes must be viewed using STN Express query preparation.
L8 QUE ABB=ON PLU=ON L7

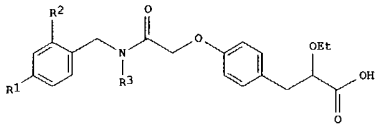
=> d ibib abs hitstr l60 1-186

L60 ANSWER 1 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:546469 CAPLUS
DOCUMENT NUMBER: 141:106266
TITLE: Preparation of phenylpropanoic acids derivatives as selective PPARα modulators
INVENTOR(S): Lindstedt Alstermark, Eva-Lotte; Olsson, Anna Christina; Li, Lanna; Aurell, Carl-Johan; Minidis, Anna; Yousefi-Salakdeh, Esmail; Dahlstrom, Mikael Ulf Johan
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056748	A1	20040708	WO 2003-GB5602	20031219

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2002-29931 A 20021221
GI



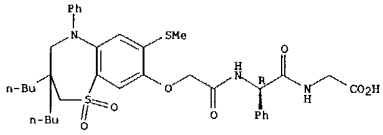
I

AB Title compds. I [R1 = Cl, CF3, CF3O; R2 = H, F; R3 = alkyl] and their pharmaceutically acceptable salts, prodrugs were prepared For example, amidation of N-butyl-N-[2-fluoro-4-(trifluoromethyl)benzyl]amine, e.g., prepared from Et (2S)-2-ethoxy-3-(4-hydroxyphenyl)propanoate in 3 steps, and (4-[(2S)-2,3-diethoxy-3-oxopropyl]phenoxy)acetic acid, followed by hydrolysis afforded compound (S)-I [R1 = CF3; R2 = F; R3 = butyl] in 72% yield. Compds. I have EC50 values <0.1 μmol/L for PPARα, e.g., the EC50 value of compound (S)-I [R1 = CF3; R2 = F; R3 = butyl] was 0.001 μmol/L. Of notes, compds. I exhibit improved metabolic stability (in vitro), promising toxicol. profile (no data provided) and particular compds. have the ratio of the EC50(PPARγ):EC50(PPARγ) <150:1. Compds. I are claimed useful for the treatment of hypertension, diabetes, etc.

IT **439087-18-0 439087-21-5 439087-31-7 439087-34-0 439087-36-2 439087-37-3 439087-38-4 439087-48-6 439087-61-3 439087-63-5 439087-77-1 439087-88-4 439087-89-5 439087-96-4 439088-00-3 439088-01-4 439088-02-5 439088-03-6 549501-76-0 549501-77-1 549501-79-3 549501-80-6**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (medicaments with; preparation of phenylpropanoic acids derivs. as selective PPARα modulators for treatment of dyslipidemia, etc.)

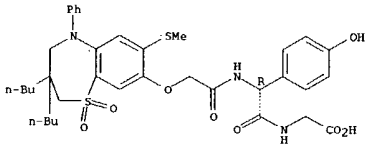
RN 439087-18-0 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-21-5 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

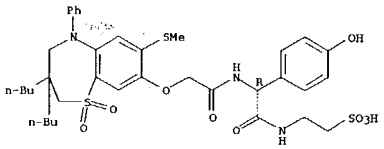
Absolute stereochemistry.



RN 439087-31-7 CAPLUS

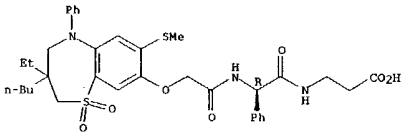
L60 ANSWER 1 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



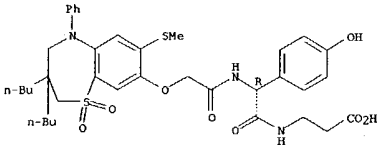
RN 439087-34-0 CAPLUS
CN β-Alanine, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-36-2 CAPLUS
CN β-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

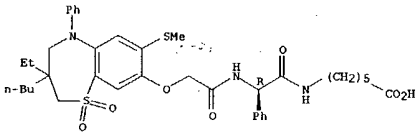
Absolute stereochemistry.



RN 439087-37-3 CAPLUS
CN Hexanoic acid, 6-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-

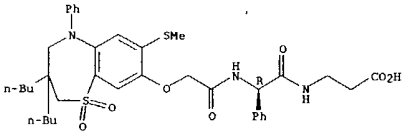
L60 ANSWER 1 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
yl]oxy]acetyl]amino]phenylacetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

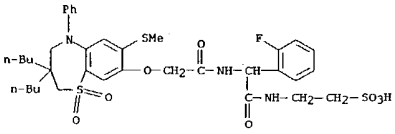


RN 439087-38-4 CAPLUS
CN β-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



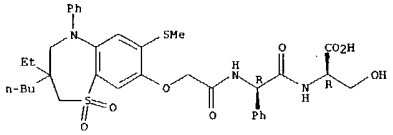
RN 439087-48-6 CAPLUS
CN Ethanesulfonic acid, 2-[[[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](2-fluorophenyl)acetyl]amino]- (9CI) (CA INDEX NAME)



RN 439087-61-3 CAPLUS
CN D-Serine, (2R)-N-[[(3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

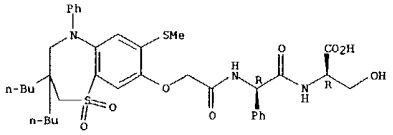
Absolute stereochemistry.

L60 ANSWER 1 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



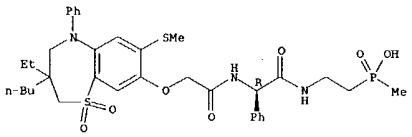
RN 439087-63-5 CAPLUS
CN D-Serine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-77-1 CAPLUS
CN Phosphinic acid, [2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]ethyl]methyl- (9CI) (CA INDEX NAME)

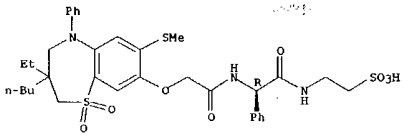
Absolute stereochemistry.



RN 439087-88-4 CAPLUS
CN Benzeneacetamide, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-[2-(ethylmethylphosphinyl)ethyl]-4-hydroxy-,

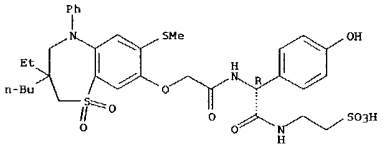
L60 ANSWER 1 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 439088-00-3 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



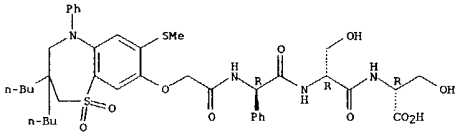
RN 439088-01-4 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439088-02-5 CAPLUS
CN D-Serine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-D-seryl- (9CI) (CA INDEX NAME)

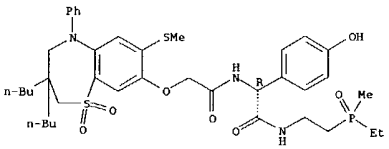
Absolute stereochemistry.



RN 439088-03-6 CAPLUS
CN Phosphinic acid, [[[[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-

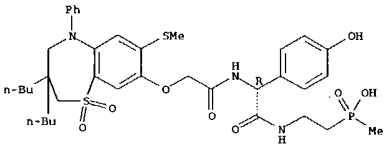
L60 ANSWER 1 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



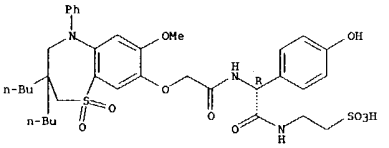
RN 439087-89-5 CAPLUS
CN Phosphinic acid, [2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]ethyl]methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

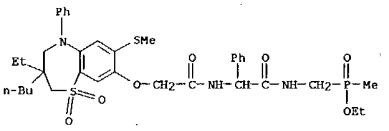


RN 439087-96-4 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[{[(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

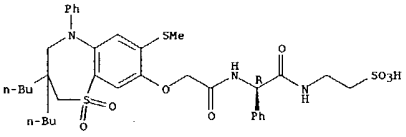


L60 ANSWER 1 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]methyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)

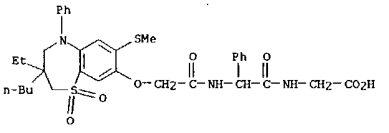


RN 549501-76-0 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



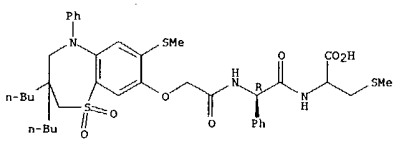
RN 549501-77-1 CAPLUS
CN Glycine, N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)



RN 549501-79-3 CAPLUS
CN Cysteine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-S-methyl- (9CI) (CA INDEX NAME)

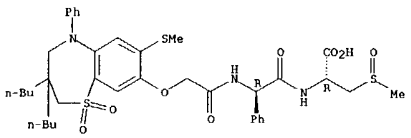
Absolute stereochemistry.

L60 ANSWER 1 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 549501-80-6 CAPLUS
CN L-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-3-(methylsulfinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



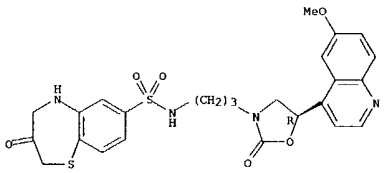
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 2 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
steps which included conversion of 6-methoxyquinoline-4-carboxylic acid to 6-methoxy-4-(2R)-oxiranylquinoline (III), reaction of III with H2N(CH2)3NHCO2CMe3 and subsequent cyclocondensation of the resulting aminoalc. with triphosgene to form the oxazolidinone ring, removal of the amine BOC protecting group and, finally sulfonylation of the resulting amine with 3-oxo-3,4-dihydro-2H-benzo[1,4]thiazine-6-sulfonyl chloride to form the desired oxazolidinone II. The prepd. oxazolidinones were assayed for antibacterial activity against organisms, such as Staphylococcus epidermidis, Streptococcus pneumoniae, S. pyogenes, Enterococcus faecalis, E. faecium, Haemophilus influenzae, Moraxella catarrhalis and Escherichia coli.

IT **706809-29-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolinyl and naphthyridinyl substituted oxazolidinones for therapeutic use as antibacterial agents)

RN 706809-29-2 CAPLUS
CN 1,5-Benzothiazepine-7-sulfonamide, 2,3,4,5-tetrahydro-N-[3-[(5R)-5-(6-methoxy-4-quinolinyl)-2-oxo-3-oxazolidinyl]propyl]-3-oxo- (9CI) (CA INDEX NAME)

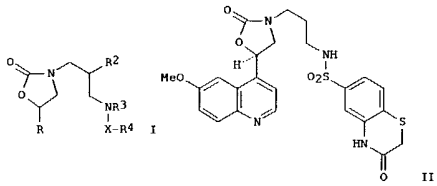
Absolute stereochemistry.



L60 ANSWER 2 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:486385 CAPLUS
DOCUMENT NUMBER: 141:54319
TITLE: Preparation of quinolines and naphthyridine derivatives for use in pharmaceutical compositions as antibacterial agents
INVENTOR(S): Axten, Jeffrey Michael; Dartois, Catherine Genevieve Yvette; Nadler, Guy Marguerite Marie Gerard; Pearson, Neil David
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050036	A2	20040617	WO 2003-US38444	20031203
W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, EG, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2002-430908P	P 20021204
			US 2003-469602P	P 20030507

GI

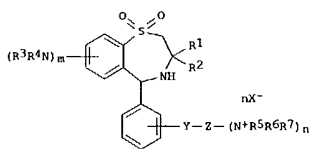


AB Quinolinyl and naphthyridinyl substituted oxazolidinones, such as I [R = substituted or unsubstituted quinolinyl or naphthyridinyl; R2 = H, OH, NH2, alkyl, alkoxy; R3 = H, alkyl; R4 = aryl, heteroaryl; X = -CH2-, -CO-, -SO2-], were prepared for therapeutic use in the treatment of bacterial infections. Thus, oxazolidinone II was prepared via a series of synthetic

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:203819 CAPLUS
DOCUMENT NUMBER: 140:253584
TITLE: Preparation of novel 2,3,4,5-tetrahydro-5-(aminophenyl)-1,4-benzothiazepine-1,1-dioxide quaternary ammonium compounds as inhibitors of ileal bile acid transporter
INVENTOR(S): Sasahara, Takehiko; Mohri, Mitsunobu
PATENT ASSIGNEE(S): Asahi Kasei Pharma Corporation, Japan
SOURCE: PCT Int. Appl., 365 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004020421	A1	20040311	WO 2003-JP10980	20030828
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			JP 2002-248586	A 20020828
			JP 2002-364725	A 20021217

OTHER SOURCE(S): MARPAT 140:253584
GI



AB The benzothiazepine compound having a thioamide bond and a quaternary ammonium substituent as represented by the following general formula [I; R1, R2 = C1-10 alkyl; m = 1, 2; R3, R4 = C1-5 alkyl; Y = NHC(S), NHC(S)NH, NHC(S)O; Z = C2-10 alkylene or alkenylene wherein ≥1 methylene groups in Z are optionally substituted by phenylene or O; n = 1, 2; R5, R6, R7 = each (un)substituted C1-10 alkyl, C2-10 alkenyl, or C2-10 alkynyl, etc.; or (N+R5R6R7)n = (un)substituted C4-9 mono- or bicyclo ammonium, pyridinium, quinolinium, or isoquinolinium ring, etc.] are prepared These compds. provide drugs useful as hypocholesteremics or as

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

preventives and remedies for hyperlipemia, arteriosclerosis, syndrome X, hepatopathy accompanying cholestasis (in particular, primary biliary cirrhosis, primary sclerosing cholangitis, etc.), obesity, fat liver, or fatty hepatitis, each contg. as the active ingredient the benzothiazepine compd. I inhibiting an ileal bile acid transporter.

IT **670276-97-8P 670276-98-9P 670276-99-0P**
670277-00-6P 670277-01-7P 670277-02-8P
670277-03-9P 670277-04-0P 670277-05-1P
670277-06-2P 670277-07-3P 670277-08-4P
670277-09-5P 670277-10-8P 670277-11-9P
670277-12-0P 670277-13-1P 670277-14-2P
670277-15-3P 670277-16-4P 670277-17-5P
670277-18-6P 670277-19-7P 670277-20-0P
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L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

670278-48-5P 670278-49-6P 670278-50-9P
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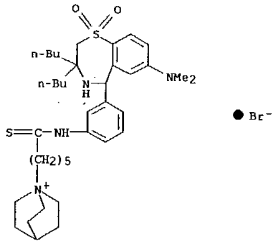
670278-54-3P 670278-55-4P 670278-56-5P
670278-57-6P 670278-77-0P 671186-85-9P
671186-87-1P 671186-97-3P 671187-05-6P
671187-10-3P 671187-14-7P 671187-17-0P
671189-34-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of novel tetrahydro(aminophenyl)benzothiazepine dioxide quaternary ammonium compds. as inhibitors of ileal bile acid transporter and preventives or remedies for diseases)

RN 670276-97-8 CAPLUS

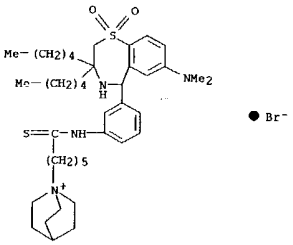
CN 1-Azoniabicyclo[2.2.2]octane, 1-[6-[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]-6-thioxohexyl]-, bromide (9CI) (CA INDEX NAME)



RN 670276-98-9 CAPLUS

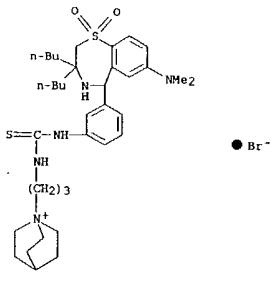
CN 1-Azoniabicyclo[2.2.2]octane, 1-[6-[[3-[7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-3,3-dipentyl-1,4-benzothiazepin-5-yl]phenyl]amino]-6-thioxohexyl]-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 670276-99-0 CAPLUS

CN 1-Azoniabicyclo[2.2.2]octane, 1-[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]propyl]-, bromide (9CI) (CA INDEX NAME)

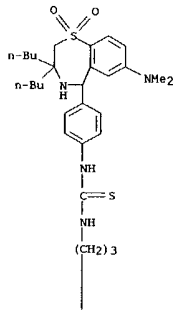


RN 670277-00-6 CAPLUS

CN 1-Azoniabicyclo[2.2.2]octane, 1-[3-[[[[4-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]propyl]-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A



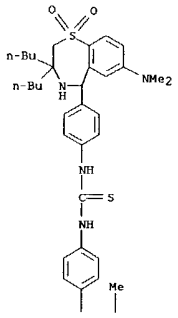
PAGE 2-A

RN 670277-01-7 CAPLUS

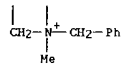
CN Benzenemethanaminium, 4-[[[[4-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-dimethyl-N-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A



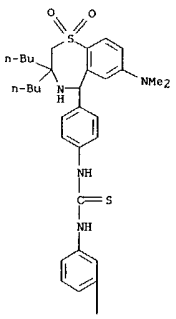
PAGE 2-A



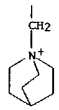
RN 670277-02-8 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[[3-[[[[4-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A



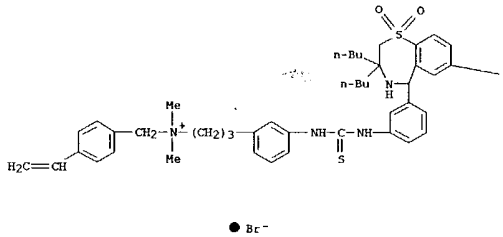
PAGE 2-A



RN 670277-03-9 CAPLUS
CN Benzenepropanaminium, 3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N-[(4-ethenylphenyl)methyl]-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)

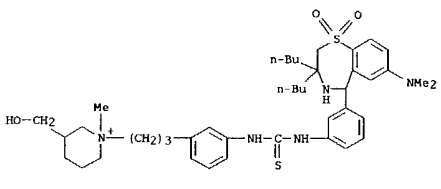
L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B

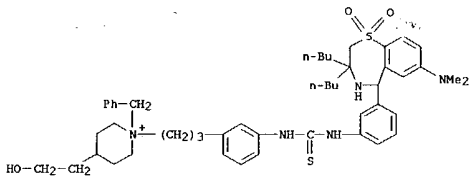
RN 670277-04-0 CAPLUS
CN Piperidinium, 1-[3-[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]propyl]-3-(hydroxymethyl)-1-methyl-, bromide (9CI) (CA INDEX NAME)



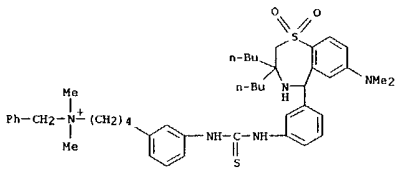
RN 670277-05-1 CAPLUS

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CN Piperidinium, 1-[3-[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]propyl]-4-(2-hydroxyethyl)-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)

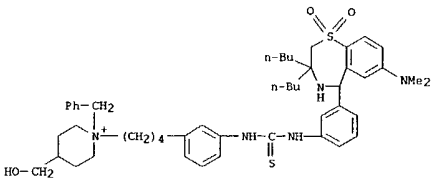


RN 670277-06-2 CAPLUS
CN Benzenebutanaminium, 3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-dimethyl-N-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



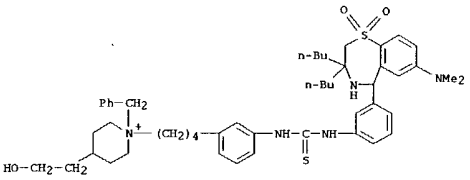
RN 670277-07-3 CAPLUS
CN Piperidinium, 1-[4-[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]butyl]-4-(hydroxymethyl)-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

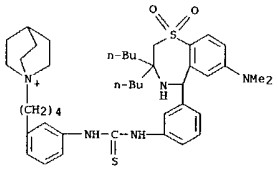
RN 670277-08-4 CAPLUS
CN Piperidinium, 1-[4-[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]butyl]-4-(2-hydroxyethyl)-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



● Br⁻

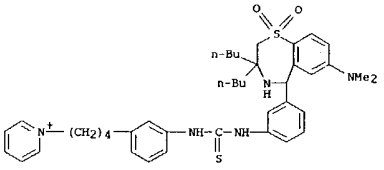
RN 670277-09-5 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[4-[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]butyl]-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

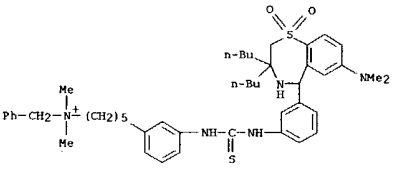
RN 670277-10-8 CAPLUS
CN Pyridinium, 1-[4-[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]butyl]-, bromide (9CI) (CA INDEX NAME)



● Br⁻

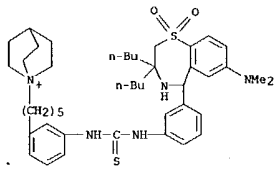
RN 670277-11-9 CAPLUS
CN Benzenepentanaminium, 3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-dimethyl-N-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

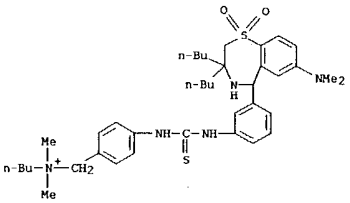
RN 670277-12-0 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[5-[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]pentyl]-, bromide (9CI) (CA INDEX NAME)



● Br⁻

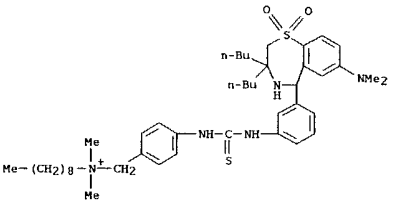
RN 670277-13-1 CAPLUS
CN Benzenemethanaminium, N-butyl-4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

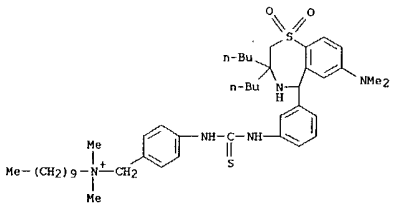
RN 670277-14-2 CAPLUS
CN Benzenemethanaminium, 4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-dimethyl-N-nonyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

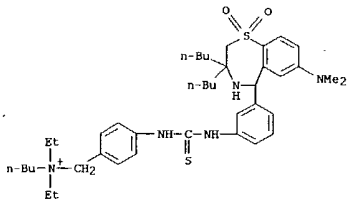
RN 670277-15-3 CAPLUS
CN Benzenemethanaminium, N-decyl-4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

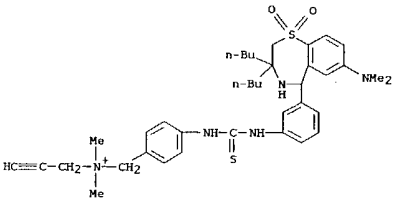
RN 670277-16-4 CAPLUS
CN Benzenemethanaminium, N-butyl-4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-diethyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

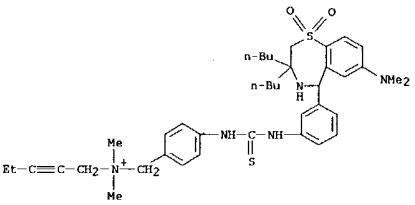
RN 670277-17-5 CAPLUS
CN Benzenemethanaminium, 4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N-methyl-N,N-dipropyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

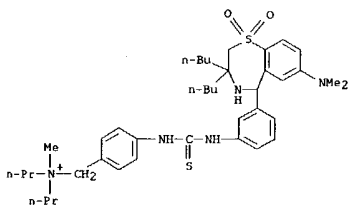
RN 670277-20-0 CAPLUS
CN Benzenemethanaminium, 4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-dimethyl-N-2-pentynyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

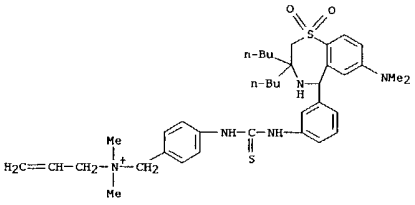
RN 670277-21-1 CAPLUS
CN Benzenemethanaminium, N-[6-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]hexyl]-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

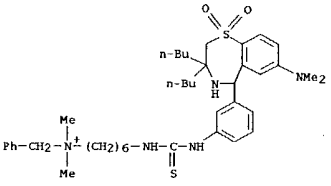
RN 670277-18-6 CAPLUS
CN Benzenemethanaminium, 4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-dimethyl-N-2-propenyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

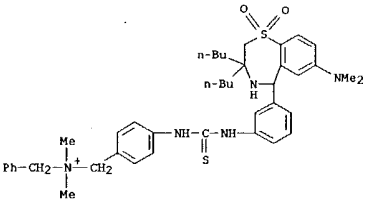
RN 670277-19-7 CAPLUS
CN Benzenemethanaminium, 4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-dimethyl-N-2-propynyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

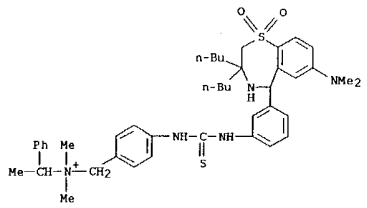
RN 670277-22-2 CAPLUS
CN Benzenemethanaminium, 4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-dimethyl-N-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



● Br⁻

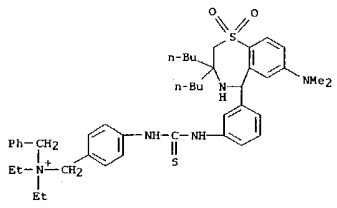
RN 670277-23-3 CAPLUS
CN Benzenemethanaminium, N-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-N,N,α-trimethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

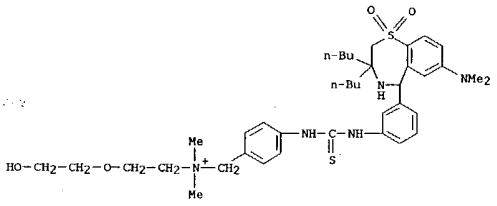
RN 670277-24-4 CAPLUS
CN Benzenemethanaminium, 4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-diethyl-N-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



● Br⁻

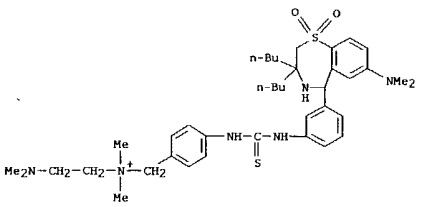
RN 670277-25-5 CAPLUS
CN 2-Thiophenemethanaminium, N-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

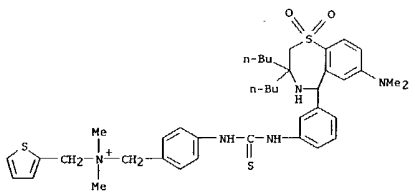
RN 670277-29-9 CAPLUS
CN Benzenemethanaminium, 4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N-[2-(dimethylamino)ethyl]-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

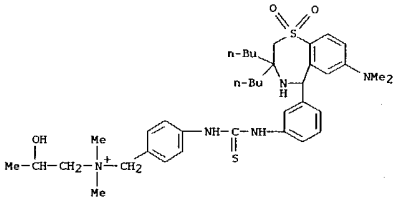
RN 670277-30-2 CAPLUS
CN Benzenemethanaminium, 4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N-(2-hydroxyethyl)-N-methyl-N-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

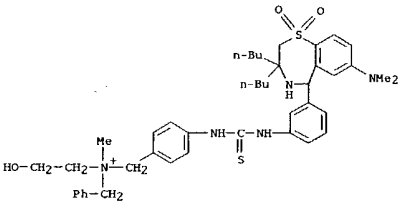
RN 670277-26-6 CAPLUS
CN Benzenemethanaminium, 4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N-(2-hydroxypropyl)-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

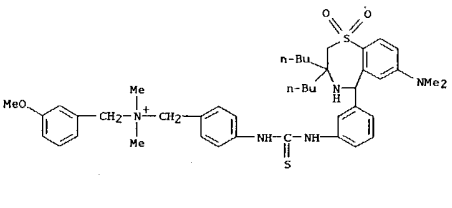
RN 670277-27-7 CAPLUS
CN Benzenemethanaminium, 4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N-[2-(2-hydroxyethoxy)ethyl]-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

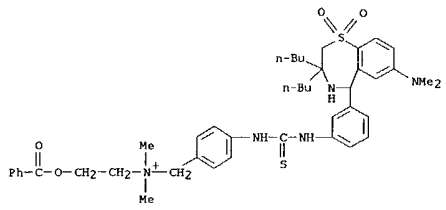
RN 670277-31-3 CAPLUS
CN Benzenemethanaminium, N-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-3-methoxy-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

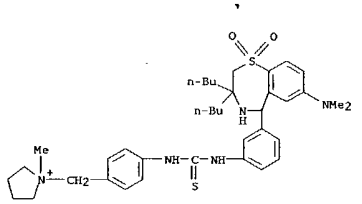
RN 670277-32-4 CAPLUS
CN Benzenemethanaminium, N-[2-(benzoyloxy)ethyl]-4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

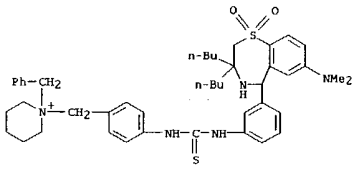
RN 670277-33-5 CAPLUS
CN Pyrrolidinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-1-methyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

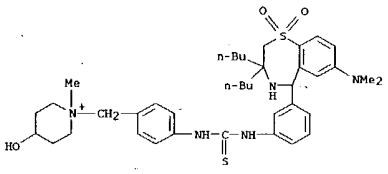
RN 670277-34-6 CAPLUS
CN Pyrrolidinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-1-ethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

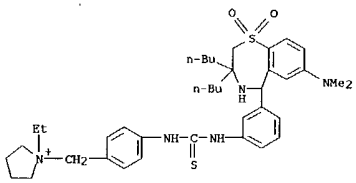
RN 670277-37-9 CAPLUS
CN Piperidinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-hydroxy-1-methyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

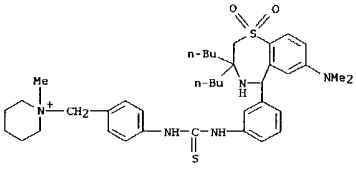
RN 670277-38-0 CAPLUS
CN Piperidinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-1-(2-hydroxypropyl)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

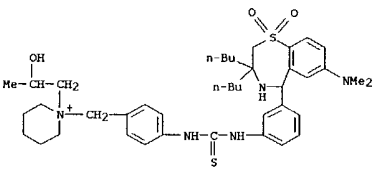
RN 670277-35-7 CAPLUS
CN Piperidinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-1-methyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

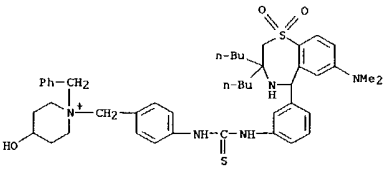
RN 670277-36-8 CAPLUS
CN Piperidinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

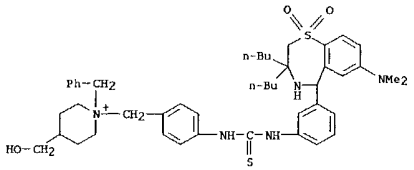
RN 670277-39-1 CAPLUS
CN Piperidinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-hydroxy-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



● Br⁻

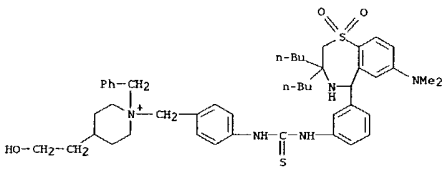
RN 670277-40-4 CAPLUS
CN Piperidinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-(hydroxymethyl)-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

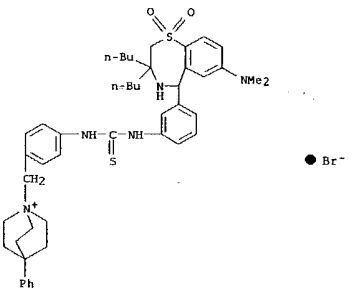
RN 670277-41-5 CAPLUS
CN Piperidinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-(2-hydroxyethyl)-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



● Br⁻

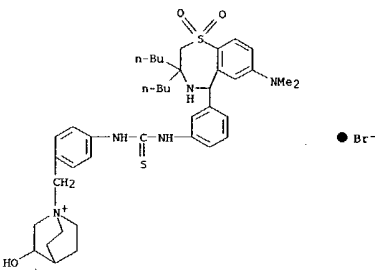
RN 670277-42-6 CAPLUS
CN Piperazinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-1,4-dimethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

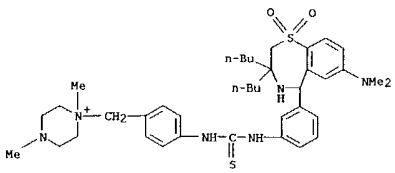
RN 670277-45-9 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-3-hydroxy-, bromide (9CI) (CA INDEX NAME)



● Br⁻

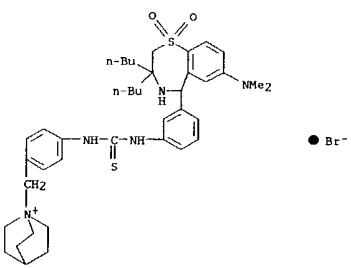
RN 670277-46-0 CAPLUS
CN 4-Aza-1-azoniabicyclo[2.2.2]octane, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

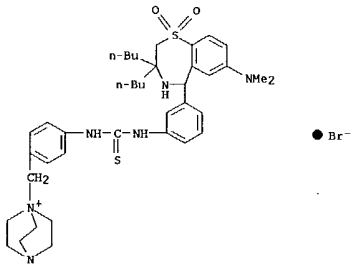
RN 670277-43-7 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-, bromide (9CI) (CA INDEX NAME)



● Br⁻

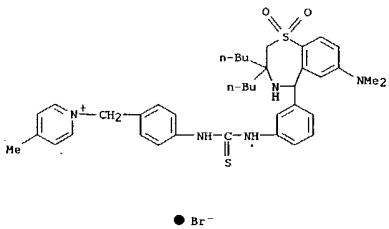
RN 670277-44-8 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-phenyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

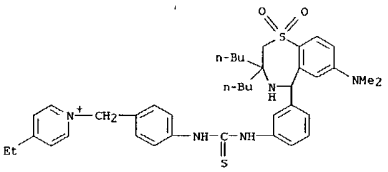
RN 670277-47-1 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-methyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

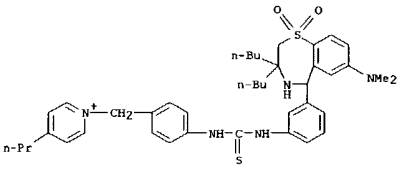
RN 670277-48-2 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-ethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

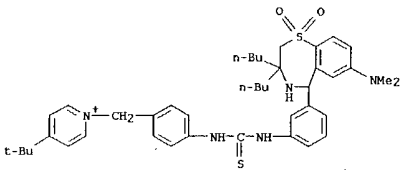
RN 670277-49-3 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-propyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

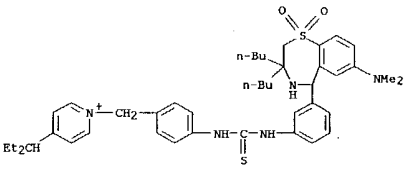
RN 670277-50-6 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-(1,1-dimethylethyl)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

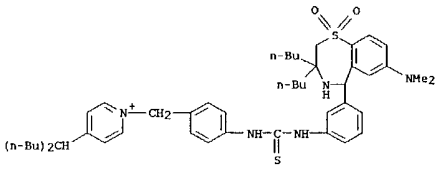
RN 670277-51-7 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-(1-ethylpropyl)-, bromide (9CI) (CA INDEX NAME)



● Br⁻

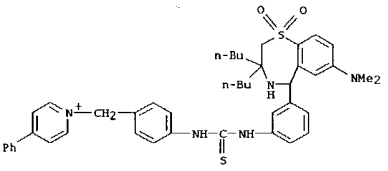
RN 670277-52-8 CAPLUS
CN Pyridinium, 4-(1-butylpentyl)-1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

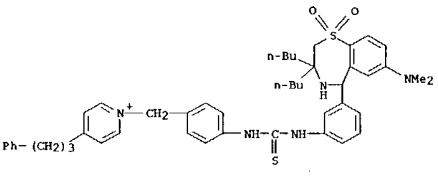
RN 670277-53-9 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-phenyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

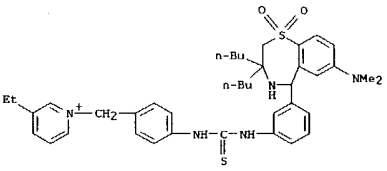
RN 670277-54-0 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-(3-phenylpropyl)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

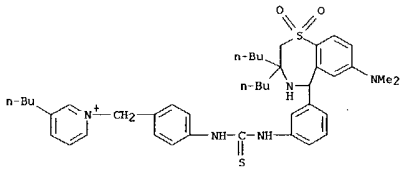
RN 670277-55-1 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-3-ethyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

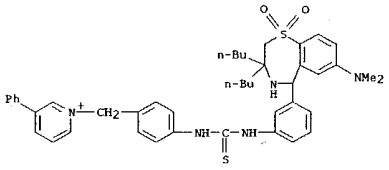
RN 670277-56-2 CAPLUS
CN Pyridinium, 3-butyl-1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

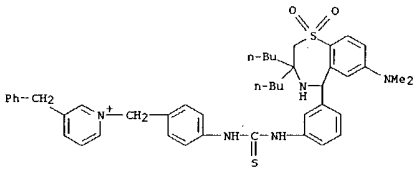
RN 670277-57-3 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-3-phenyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

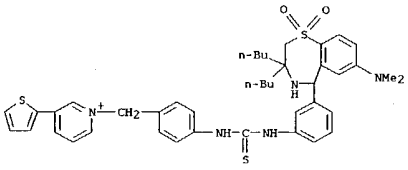
RN 670277-58-4 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-3-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

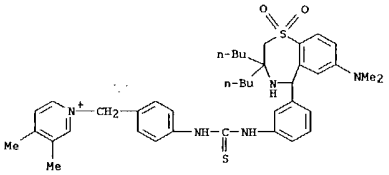
RN 670277-59-5 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-3-(2-thienyl)-, bromide (9CI) (CA INDEX NAME)



● Br⁻

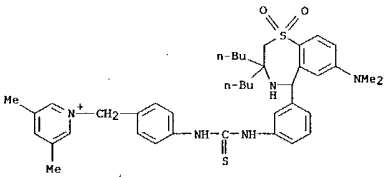
RN 670277-60-8 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-3,4-dimethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

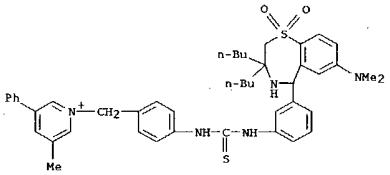
RN 670277-61-9 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-3,5-dimethyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

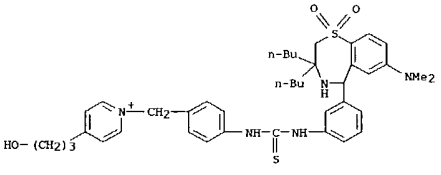
RN 670277-62-0 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-3-methyl-5-phenyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

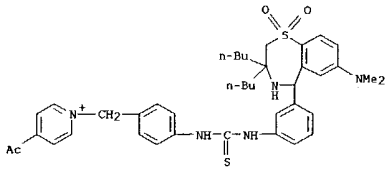
RN 670277-63-1 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-(3-hydroxypropyl)-, bromide (9CI) (CA INDEX NAME)



● Br⁻

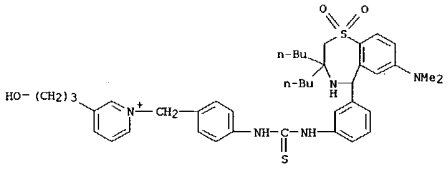
RN 670277-64-2 CAPLUS
CN Pyridinium, 4-acetyl-1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br^-

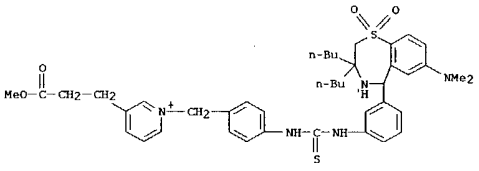
RN 670277-65-3 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-3-(3-hydroxypropyl)-, bromide (9CI) (CA INDEX NAME)



● Br^-

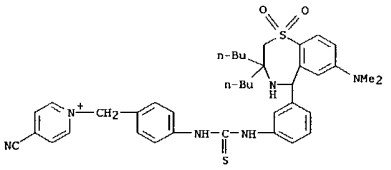
RN 670277-66-4 CAPLUS
CN Pyridinium, 3-benzoyl-1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br^-

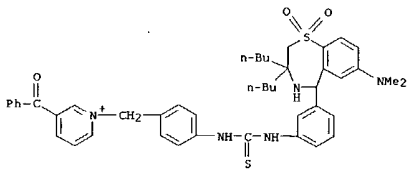
RN 670277-69-7 CAPLUS
CN Pyridinium, 4-cyano-1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-, bromide (9CI) (CA INDEX NAME)



● Br^-

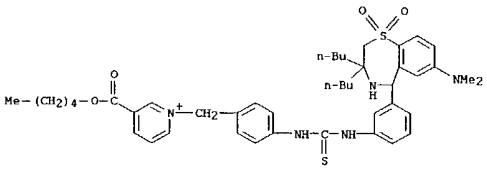
RN 670277-70-0 CAPLUS
CN Pyridinium, 4-(aminocarbonyl)-1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br^-

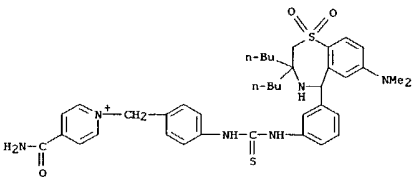
RN 670277-67-5 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-3-[(pentyloxy)carbonyl]-, bromide (9CI) (CA INDEX NAME)



● Br^-

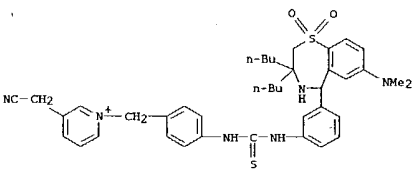
RN 670277-68-6 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-3-(3-methoxy-3-oxopropyl)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br^-

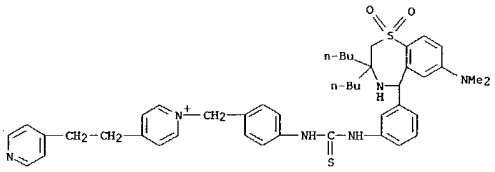
RN 670277-71-1 CAPLUS
CN Pyridinium, 3-(cyanomethyl)-1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-, bromide (9CI) (CA INDEX NAME)



● Br^-

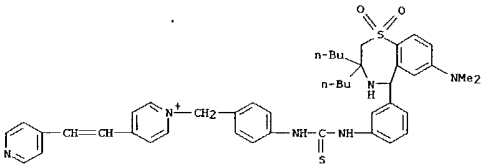
RN 670277-72-2 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-[2-(4-pyridinyl)ethyl]-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

RN 670277-73-3 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-[2-(4-pyridinyl)ethenyl]-, bromide (9CI) (CA INDEX NAME)



● Br⁻

RN 670277-74-4 CAPLUS
CN Quinolinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 670277-76-6 CAPLUS
CN Quinolinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-6-(1-methylethyl)-, bromide (9CI) (CA INDEX NAME)

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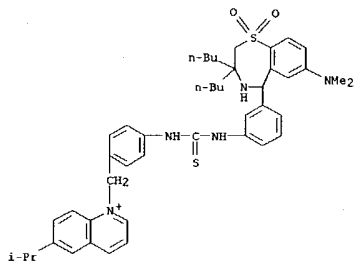
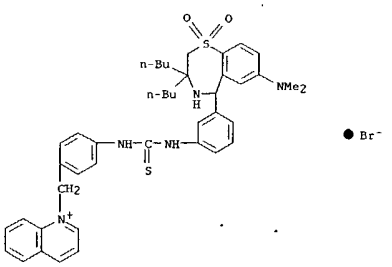


PAGE 2-A

● Br⁻

RN 670277-77-7 CAPLUS
CN Quinolinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-6-methoxy-, bromide (9CI) (CA INDEX NAME)

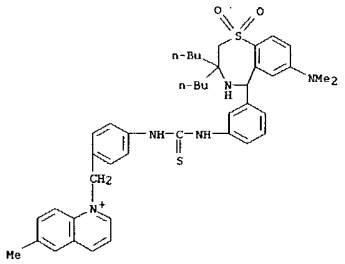
L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

RN 670277-75-5 CAPLUS
CN Quinolinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-6-methyl-, bromide (9CI) (CA INDEX NAME)

PAGE 1-A

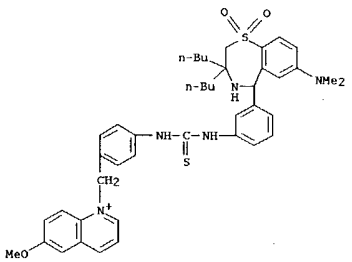


PAGE 2-A

● Br⁻

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

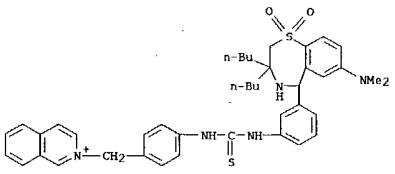
PAGE 1-A



PAGE 2-A

● Br⁻

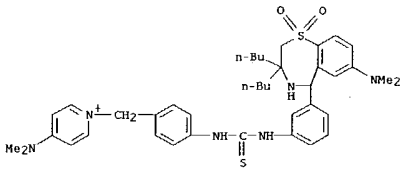
RN 670277-78-8 CAPLUS
CN Isoquinolinium, 2-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-, bromide (9CI) (CA INDEX NAME)



● Br⁻

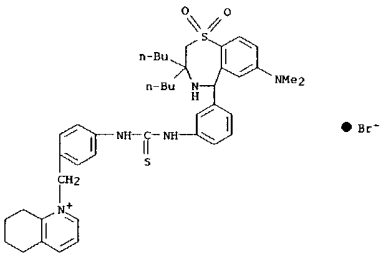
RN 670277-79-9 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-(dimethylamino)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

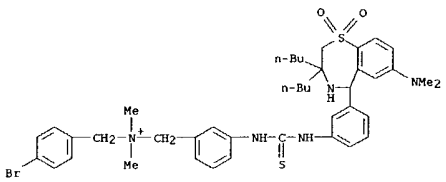
RN 670277-80-2 CAPLUS
CN Quinolinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-5,6,7,8-tetrahydro-, bromide (9CI) (CA INDEX NAME)



● Br⁻

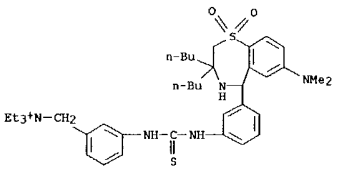
RN 670277-81-3 CAPLUS
CN Benzenemethanaminium, N-[(4-bromophenyl)methyl]-3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

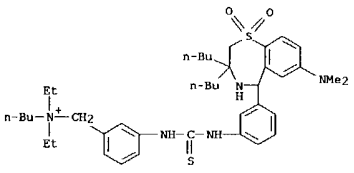
RN 670277-82-4 CAPLUS
CN Benzenemethanaminium, 3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N,N-triethyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

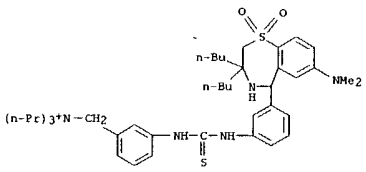
RN 670277-83-5 CAPLUS
CN Benzenemethanaminium, N-butyl-3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-diethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

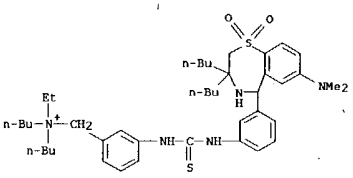
RN 670277-84-6 CAPLUS
CN Benzenemethanaminium, 3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N,N-tripropyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

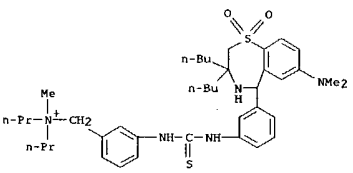
RN 670277-85-7 CAPLUS
CN Benzenemethanaminium, N,N-dibutyl-3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N-ethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

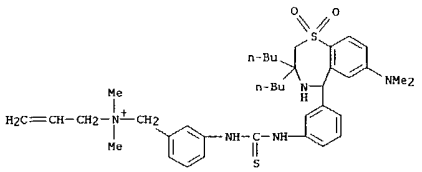
RN 670277-86-8 CAPLUS
CN Benzenemethanaminium, 3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N-methyl-N,N-dipropyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

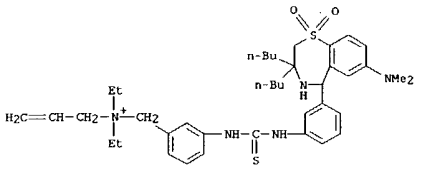
RN 670277-87-9 CAPLUS
CN Benzenemethanaminium, 3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-dimethyl-N-2-propenyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

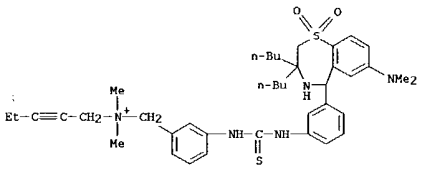
RN 670277-88-0 CAPLUS
CN Benzenemethanaminium, 3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-diethyl-N-2-propenyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

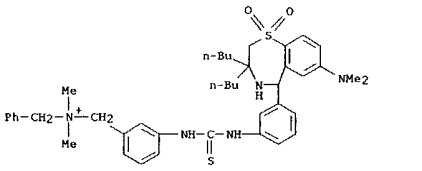
RN 670277-89-1 CAPLUS
CN Benzenemethanaminium, 3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N,N-tri-2-propenyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

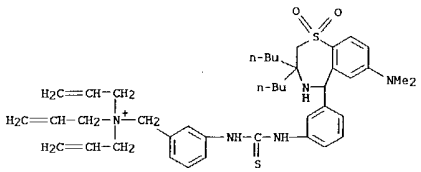
RN 670277-92-6 CAPLUS
CN Benzenemethanaminium, 3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-dimethyl-N-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



● Br⁻

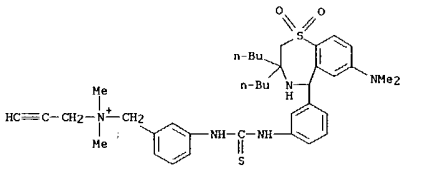
RN 670277-93-7 CAPLUS
CN Benzenemethanaminium, N-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-N,N,α-trimethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

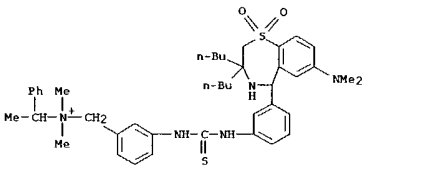
RN 670277-90-4 CAPLUS
CN Benzenemethanaminium, 3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-dimethyl-N-2-propynyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

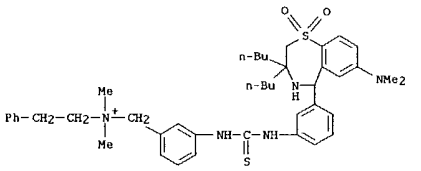
RN 670277-91-5 CAPLUS
CN Benzenemethanaminium, 3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-dimethyl-N-2-pentynyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

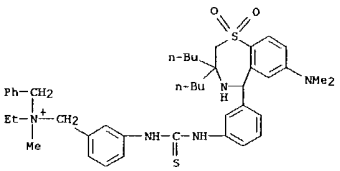
RN 670277-94-8 CAPLUS
CN Benzeneethanaminium, N-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

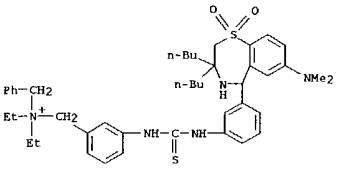
RN 670277-95-9 CAPLUS
CN Benzenemethanaminium, 3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N-ethyl-N-methyl-N-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

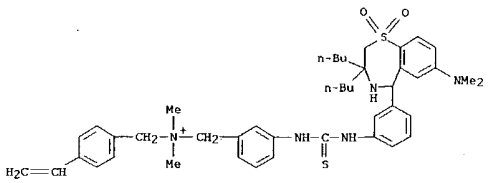
RN 670277-96-0 CAPLUS
CN Benzenemethanaminium, 3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-diethyl-N-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



● Br⁻

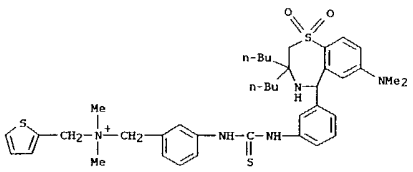
RN 670277-97-1 CAPLUS
CN Benzenemethanaminium, 3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N-[(4-ethenylphenyl)methyl]-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

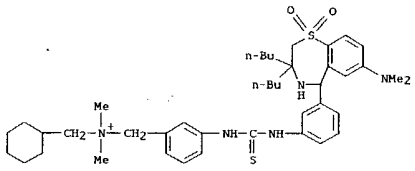
RN 670277-98-2 CAPLUS
CN 2-Thiophenemethanaminium, N-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

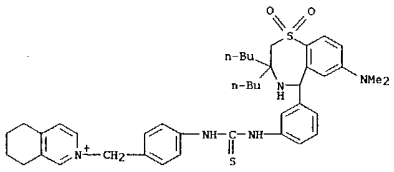
RN 670277-99-3 CAPLUS
CN Benzenemethanaminium, N-(cyclohexylmethyl)-3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

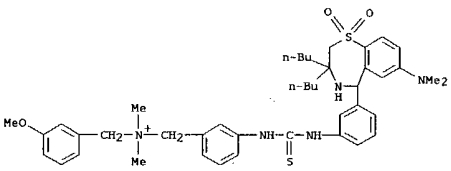
RN 670278-00-9 CAPLUS
CN Isoquinolinium, 2-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-5,6,7,8-tetrahydro-, bromide (9CI) (CA INDEX NAME)



● Br⁻

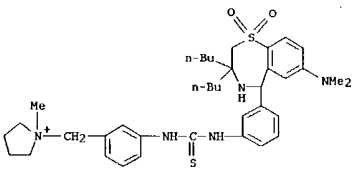
RN 670278-01-0 CAPLUS
CN Benzenemethanaminium, 3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N-[(3-methoxyphenyl)methyl]-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

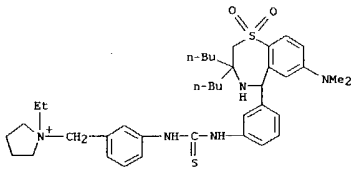
RN 670278-02-1 CAPLUS
CN Pyrrolidinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-1-methyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

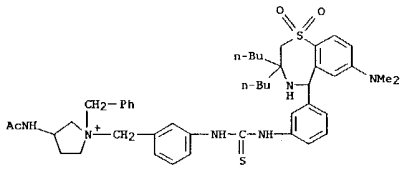
RN 670278-03-2 CAPLUS
CN Pyrrolidinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-1-ethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

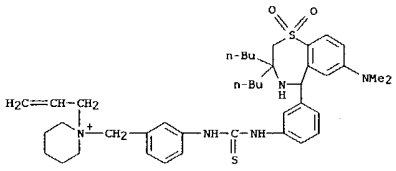
RN 670278-04-3 CAPLUS
CN Pyrrolidinium, 3-(acetylamino)-1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



● Br⁻

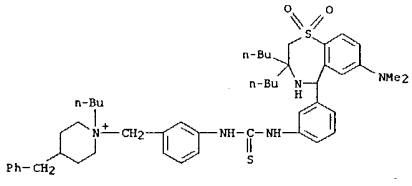
RN 670278-05-4 CAPLUS
CN Pyrrolidinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-3-[[(1,1-dimethylethoxy)carbonyl]amino]-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

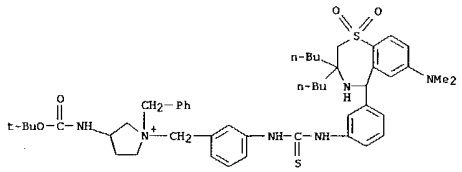
RN 670278-08-7 CAPLUS
CN Piperidinium, 1-butyl-1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



● Br⁻

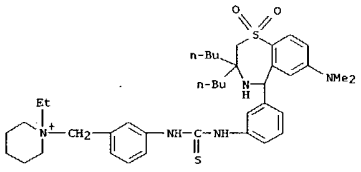
RN 670278-09-8 CAPLUS
CN Piperidinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-(hydroxymethyl)-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

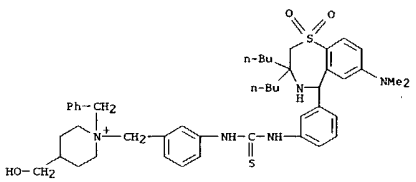
RN 670278-06-5 CAPLUS
CN Piperidinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-1-ethyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

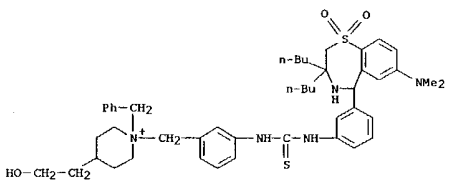
RN 670278-07-6 CAPLUS
CN Piperidinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-1-(2-propenyl)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

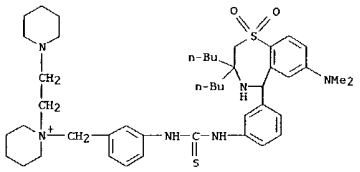
RN 670278-10-1 CAPLUS
CN Piperidinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-(2-hydroxyethyl)-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



● Br⁻

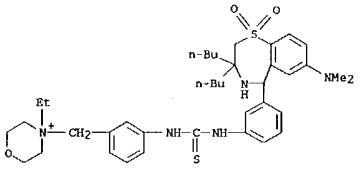
RN 670278-11-2 CAPLUS
CN Piperidinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-1-[2-(1-piperidinyl)ethyl]-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

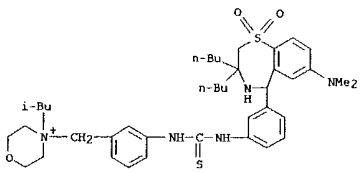
RN 670278-12-3 CAPLUS
CN Morpholinium, 4-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-ethyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

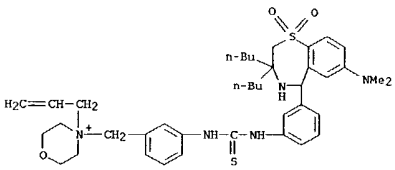
RN 670278-13-4 CAPLUS
CN Morpholinium, 4-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-(2-methylpropyl)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

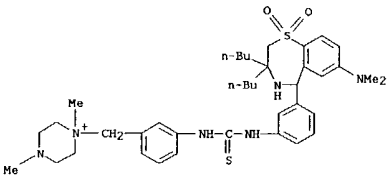
RN 670278-14-5 CAPLUS
CN Morpholinium, 4-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-(2-propenyl)-, bromide (9CI) (CA INDEX NAME)



● Br⁻

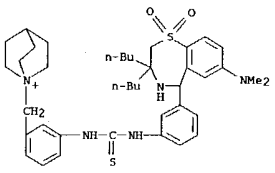
RN 670278-15-6 CAPLUS
CN Piperazinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-1,4-dimethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

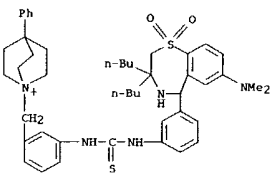
RN 670278-16-7 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-, bromide (9CI) (CA INDEX NAME)



● Br⁻

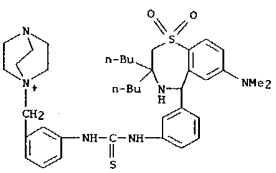
RN 670278-17-8 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-phenyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

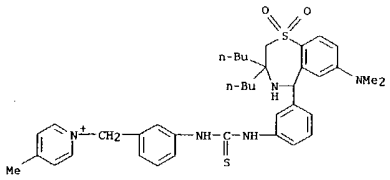
RN 670278-18-9 CAPLUS
CN 4-Aza-1-azoniabicyclo[2.2.2]octane, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-, bromide (9CI) (CA INDEX NAME)



● Br⁻

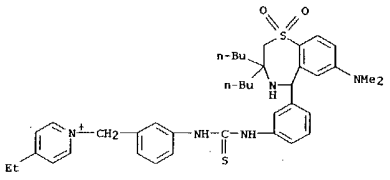
RN 670278-19-0 CAPLUS
CN Pyridinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-methyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

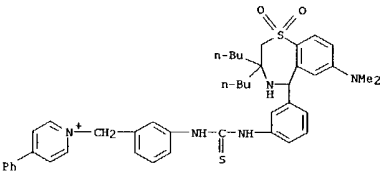
RN 670278-20-3 CAPLUS
CN Pyridinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-ethyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

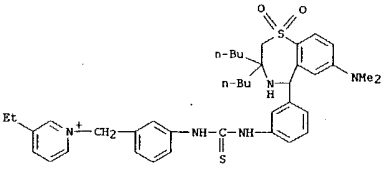
RN 670278-21-4 CAPLUS
CN Pyridinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-propyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

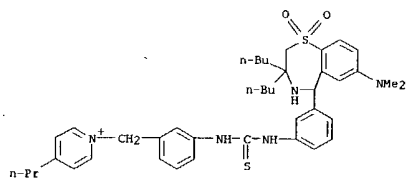
RN 670278-24-7 CAPLUS
CN Pyridinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-3-ethyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

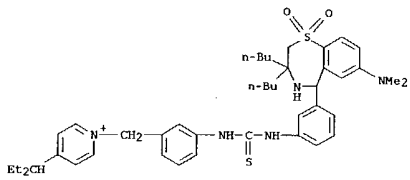
RN 670278-25-8 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[[2-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

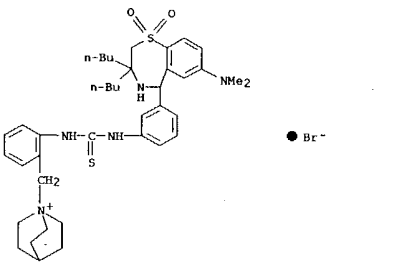
RN 670278-22-5 CAPLUS
CN Pyridinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-(1-ethylpropyl)-, bromide (9CI) (CA INDEX NAME)



● Br⁻

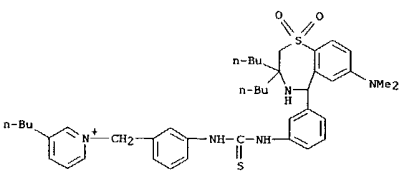
RN 670278-23-6 CAPLUS
CN Pyridinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-phenyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

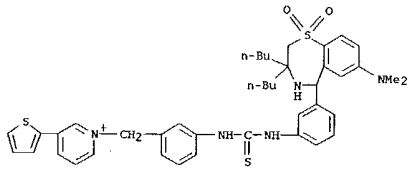
RN 670278-26-9 CAPLUS
CN Pyridinium, 3-butyl-1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-, bromide (9CI) (CA INDEX NAME)



● Br⁻

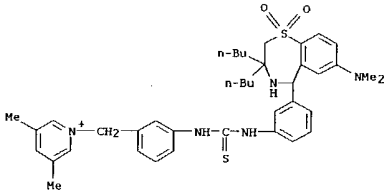
RN 670278-27-0 CAPLUS
CN Pyridinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-3-(2-thienyl)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br^-

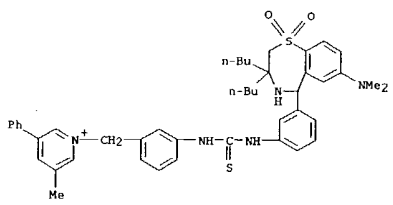
RN 670278-28-1 CAPLUS
CN Pyridinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-3,5-dimethyl-, bromide (9CI) (CA INDEX NAME)



● Br^-

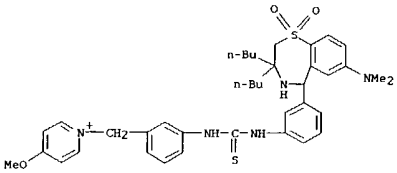
RN 670278-29-2 CAPLUS
CN Pyridinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-3-methyl-5-phenyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br^-

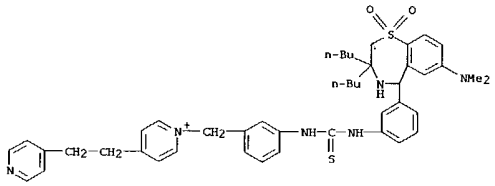
RN 670278-30-5 CAPLUS
CN Pyridinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-methoxy-, bromide (9CI) (CA INDEX NAME)



● Br^-

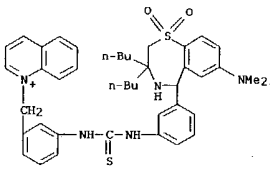
RN 670278-31-6 CAPLUS
CN Pyridinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-[2-(4-pyridinyl)ethyl]-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br^-

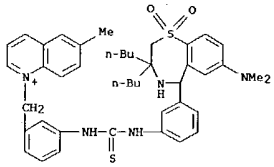
RN 670278-32-7 CAPLUS
CN Quinolinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-, bromide (9CI) (CA INDEX NAME)



● Br^-

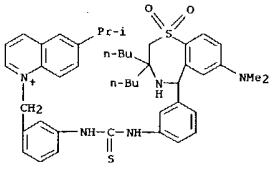
RN 670278-33-8 CAPLUS
CN Quinolinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-6-methyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br^-

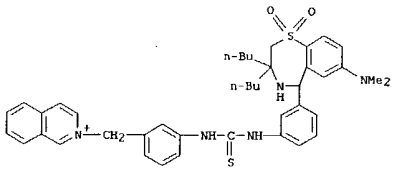
RN 670278-34-9 CAPLUS
CN Quinolinium, 1-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-6-(1-methylethyl)-, bromide (9CI) (CA INDEX NAME)



● Br^-

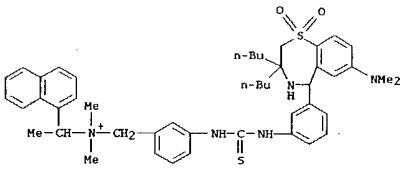
RN 670278-35-0 CAPLUS
CN Isoquinolinium, 2-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

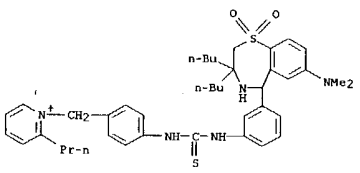
RN 670278-36-1 CAPLUS
CN 1-Naphthalenemethanaminium, N-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-N,N,α-trimethyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

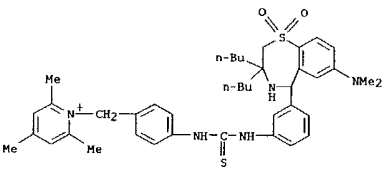
RN 670278-37-2 CAPLUS
CN 1,4,7-Trioxa-10-azoniacyclododecane, 10-[[3-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-10-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

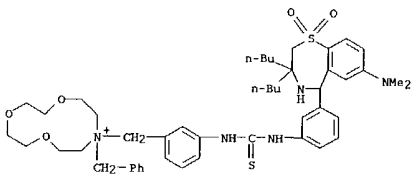
RN 670278-40-7 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-2,4,6-trimethyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

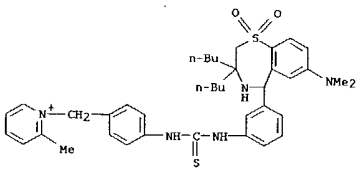
RN 670278-41-8 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-(diphenylmethyl)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

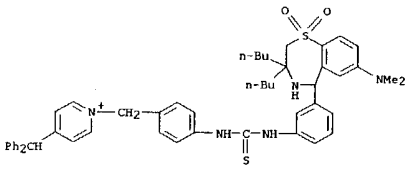
RN 670278-38-3 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-2-methyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

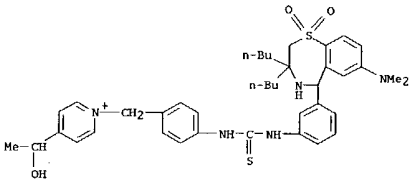
RN 670278-39-4 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-2-propyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

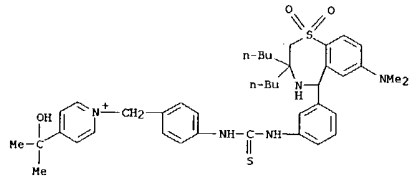
RN 670278-42-9 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-(1-hydroxyethyl)-, bromide (9CI) (CA INDEX NAME)



● Br⁻

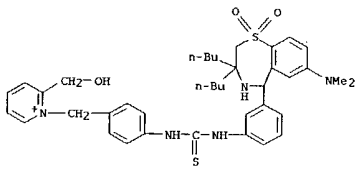
RN 670278-43-0 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-(1-hydroxy-1-methylethyl)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

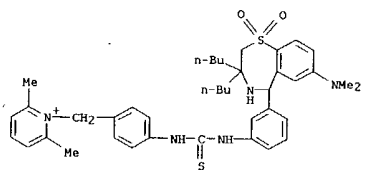
RN 670278-44-1 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-2-(hydroxymethyl)-, bromide (9CI) (CA INDEX NAME)



● Br⁻

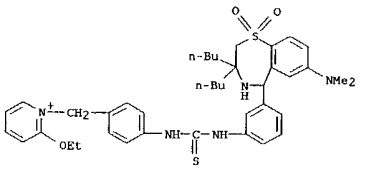
RN 670278-45-2 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-2,6-dimethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

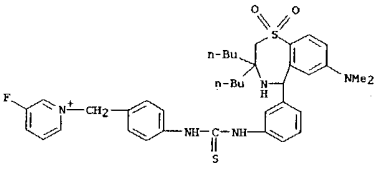
RN 670278-46-3 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-2-ethoxy-, bromide (9CI) (CA INDEX NAME)



● Br⁻

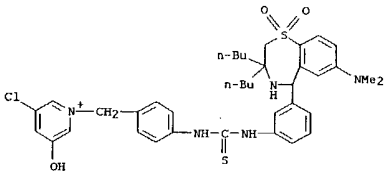
RN 670278-47-4 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-3-fluoro-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

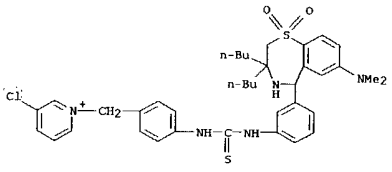
RN 670278-48-5 CAPLUS
CN Pyridinium, 3-chloro-1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-5-hydroxy-, bromide (9CI) (CA INDEX NAME)



● Br⁻

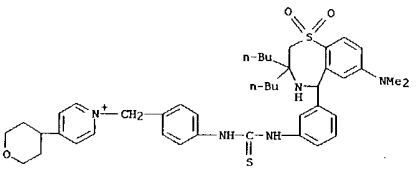
RN 670278-49-6 CAPLUS
CN Pyridinium, 3-chloro-1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

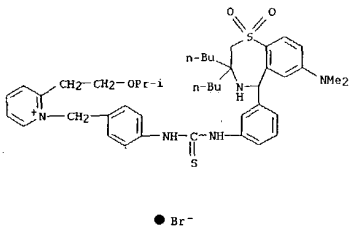
RN 670278-50-9 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-4-(tetrahydro-2H-pyran-4-yl)-, bromide (9CI) (CA INDEX NAME)



● Br⁻

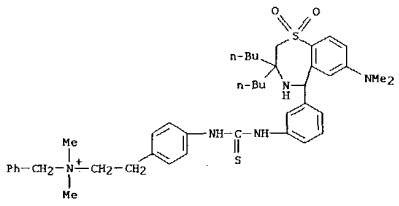
RN 670278-51-0 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-2-[2-(1-methylethoxy)ethyl]-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

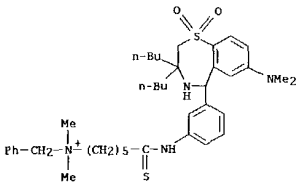
RN 670278-52-1 CAPLUS
CN Benzeneethanaminium, 4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-dimethyl-N-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



● Br⁻

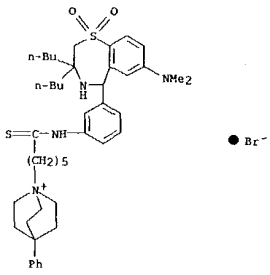
RN 670278-53-2 CAPLUS
CN Benzenemethanaminium, N-[6-[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]-6-thioxohexyl]-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

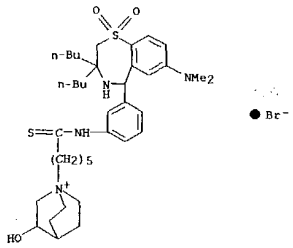
RN 670278-54-3 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[6-[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]-6-thioxohexyl]-4-phenyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

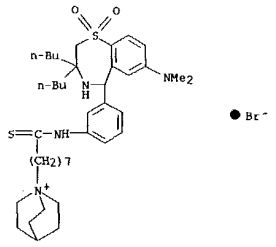
RN 670278-55-4 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[6-[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]-6-thioxohexyl]-3-hydroxy-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

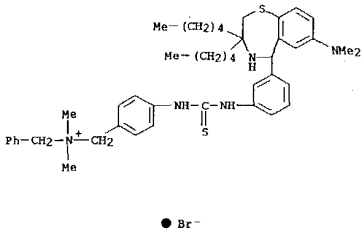
RN 670278-56-5 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[8-[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]-8-thioxooctyl]-, bromide (9CI) (CA INDEX NAME)



● Br⁻

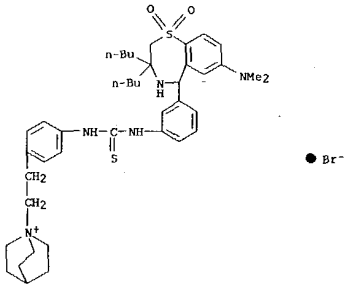
RN 670278-57-6 CAPLUS
CN Benzenemethanaminium, 4-[[[[3-[7-(dimethylamino)-2,3,4,5-tetrahydro-3,3-dipentyl-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-dimethyl-N-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Br⁻

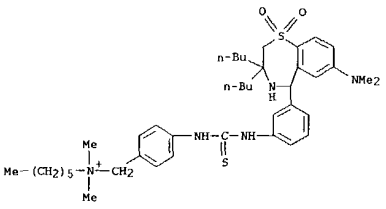
RN 670278-77-0 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[2-[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]ethyl]-, bromide (9CI) (CA INDEX NAME)



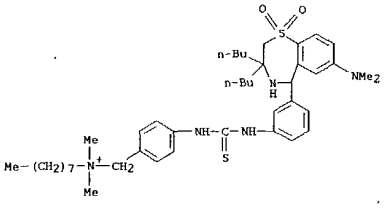
● Br⁻

RN 671186-85-9 CAPLUS
CN Benzenemethanaminium, 4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N-hexyl-N,N-dimethyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

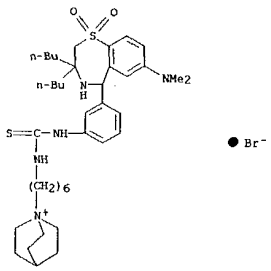


RN 671186-87-1 CAPLUS
CN Benzenemethanaminium, 4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N,N-dimethyl-N-octyl-, bromide (9CI) (CA INDEX NAME)

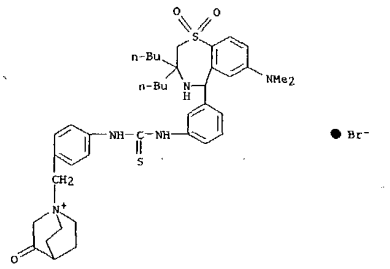


RN 671186-97-3 CAPLUS
CN Benzenemethanaminium, 4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]-N-ethyl-N-(phenylmethyl)-N-2-propynyl-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

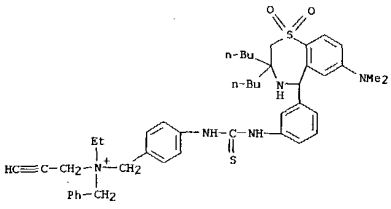


RN 671187-14-7 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-3-oxo-, bromide (9CI) (CA INDEX NAME)

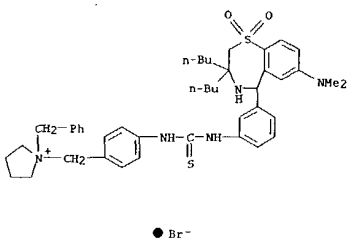


RN 671187-17-0 CAPLUS
CN Pyridinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

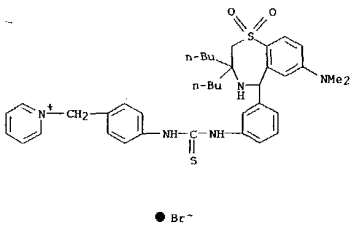


RN 671187-05-6 CAPLUS
CN Pyrrolidinium, 1-[[4-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]phenyl]methyl]-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)

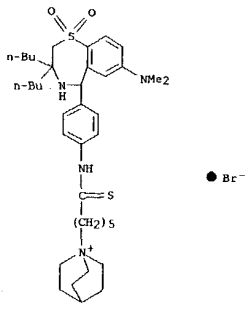


RN 671187-10-3 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[6-[[[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]thioxomethyl]amino]hexyl]-, bromide (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



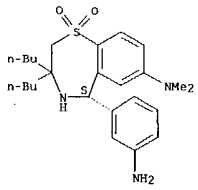
RN 671189-34-7 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[6-[[4-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]-6-thioxohexyl]-, bromide (9CI) (CA INDEX NAME)



IT **670278-65-6P 670278-66-7P**
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel tetrahydro(aminophenyl)benzothiazepine dioxide quaternary ammonium compds. as inhibitors of ileal bile acid transporter and preventives or remedies for diseases)

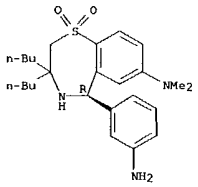
RN 670278-65-6 CAPLUS
CN 1,4-Benzothiazepin-7-amine, 5-(3-aminophenyl)-3,3-dibutyl-2,3,4,5-tetrahydro-N,N-dimethyl-, 1,1-dioxide, (5S)- (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



RN 670278-66-7 CAPLUS
CN 1,4-Benzothiazepin-7-amine, 5-(3-aminophenyl)-3,3-dibutyl-2,3,4,5-tetrahydro-N,N-dimethyl-, 1,1-dioxide, (5R)- (9CI) (CA INDEX NAME)

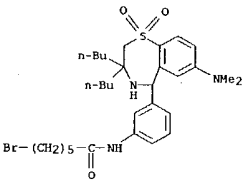
Absolute stereochemistry.



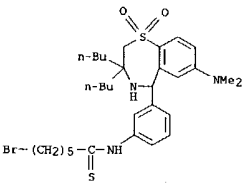
IT **300350-10-1P**, 3,3-Dibutyl-2,3,4,5-tetrahydro-7-dimethylamino-5-(3-aminophenyl)-1,4-benothiazepine-1,1-dioxide **393855-98-6P** **393856-01-4P** **670278-62-3P** **670278-63-4P**, 3,3-Dibutyl-2,3,4,5-tetrahydro-7-dimethylamino-5-[3-[(6-bromothiohexanoyl)amino]phenyl]-1,4-benothiazepine-1,1-dioxide **670278-64-5P**, 3,3-Dipentyl-2,3,4,5-tetrahydro-7-dimethylamino-5-(3-aminophenyl)-1,4-benothiazepine-1,1-dioxide **670278-73-6P** **670278-74-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel tetrahydro(aminophenyl)benzothiazepine dioxide quaternary ammonium compds. as inhibitors of ileal bile acid transporter and preventives or remedies for diseases)

RN 300350-10-1 CAPLUS
CN 1,4-Benzothiazepin-7-amine, 5-(3-aminophenyl)-3,3-dibutyl-2,3,4,5-tetrahydro-N,N-dimethyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

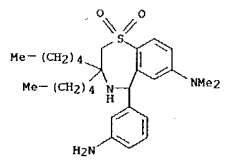
L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 670278-63-4 CAPLUS
CN Hexanethioamide, 6-bromo-N-[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

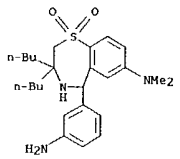


RN 670278-64-5 CAPLUS
CN 1,4-Benzothiazepin-7-amine, 5-(3-aminophenyl)-2,3,4,5-tetrahydro-N,N-dimethyl-3,3-dipentyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

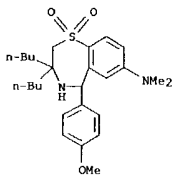


RN 670278-73-6 CAPLUS
CN Methanesulfonic acid, trifluoro-, 4-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl ester (9CI) (CA

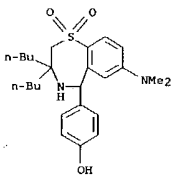
L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 393855-98-6 CAPLUS
CN 1,4-Benzothiazepin-7-amine, 3,3-dibutyl-2,3,4,5-tetrahydro-5-(4-methoxyphenyl)-N,N-dimethyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

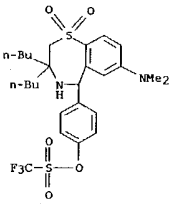


RN 393856-01-4 CAPLUS
CN Phenol, 4-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]- (9CI) (CA INDEX NAME)

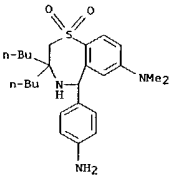


RN 670278-62-3 CAPLUS
CN Hexanamide, 6-bromo-N-[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

L60 ANSWER 3 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 670278-74-7 CAPLUS
CN 1,4-Benzothiazepin-7-amine, 5-(4-aminophenyl)-3,3-dibutyl-2,3,4,5-tetrahydro-N,N-dimethyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 4 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:60291 CAPLUS
DOCUMENT NUMBER: 140:117399
TITLE: Combination of an ileal bile acid transport inhibitor and a metal salt for the treatment of diarrhea
INVENTOR(S): Anderberg, Eva-Karin; Soederlind, Erik
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004006899	A1	20040122	WO 2003-GB2978	20030709

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2002-16321 A 20020713

AB A combination comprising an IBAT inhibitor, or a pharmaceutically acceptable salt, solvate of such a salt or a prodrug thereof, and a metal salt, wherein the metal salt is formulated to release in the terminal ileum, cecum and/or the colon, is described. Compns. containing this combination and uses of the combination are also described.

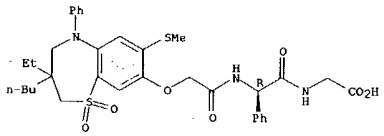
IT **439086-77-8 439087-18-0 439087-21-5**
439087-27-1 439087-31-7 439087-34-0
439087-36-2 439087-37-3 439087-38-4
439087-60-2 439087-63-5 439087-74-8
439087-77-1 439087-83-9 439087-87-3
439087-89-5 439087-96-4 439088-00-3
439088-01-4 439088-02-5 549501-76-0
549501-80-6 549532-37-8 636565-35-0
636565-36-1 636565-39-4 636565-41-8
636565-44-1 636565-47-4 636565-48-5
636565-49-6 636565-53-2 647012-45-1
647012-47-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of an ileal bile acid transport inhibitor and a metal salt for the treatment of diarrhea)

RN 439086-77-8 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-4-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

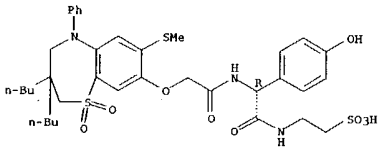
L60 ANSWER 4 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



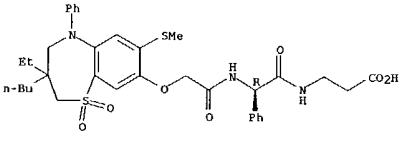
RN 439087-31-7 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-34-0 CAPLUS
CN β-Alanine, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

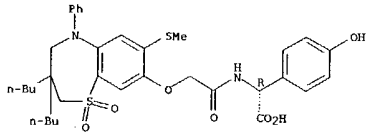
Absolute stereochemistry.



RN 439087-36-2 CAPLUS
CN β-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

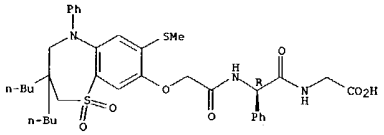
Absolute stereochemistry.

L60 ANSWER 4 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



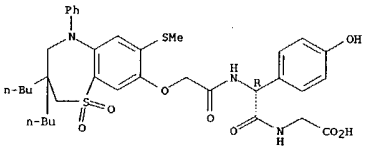
RN 439087-18-0 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



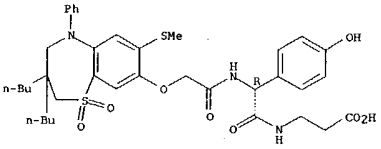
RN 439087-21-5 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



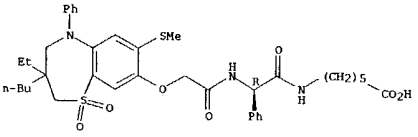
RN 439087-27-1 CAPLUS
CN Glycine, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

L60 ANSWER 4 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



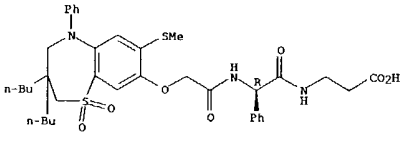
RN 439087-37-3 CAPLUS
CN Hexanoic acid, 6-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-38-4 CAPLUS
CN β-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

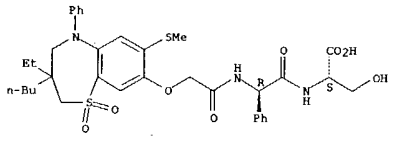
Absolute stereochemistry.



RN 439087-60-2 CAPLUS
CN L-Serine, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

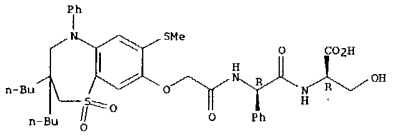
Absolute stereochemistry.

L60 ANSWER 4 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



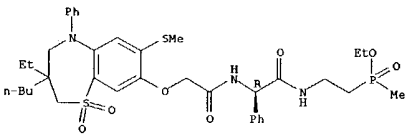
RN 439087-63-5 CAPLUS
CN D-Serine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-74-8 CAPLUS
CN Phosphinic acid, [2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]ethyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

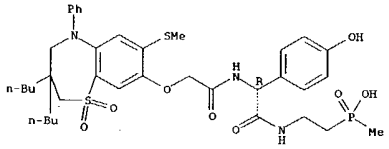


RN 439087-77-1 CAPLUS
CN Phosphinic acid, [2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-

L60 ANSWER 4 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

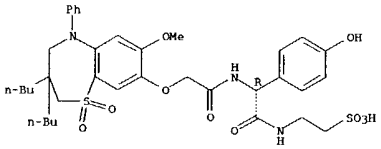
RN 439087-89-5 CAPLUS
CN Phosphinic acid, [2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]ethyl]methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



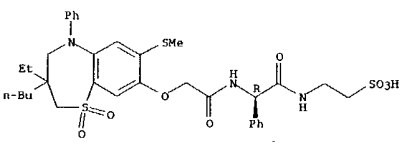
RN 439087-96-4 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



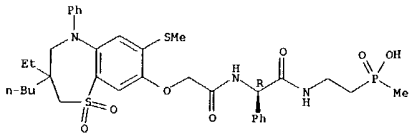
RN 439088-00-3 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



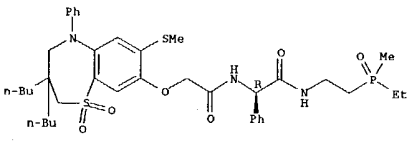
L60 ANSWER 4 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
yl]oxy]acetyl]amino]phenylacetyl]amino]ethyl]methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



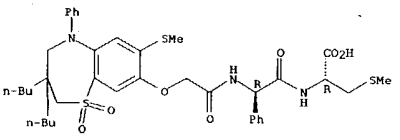
RN 439087-83-9 CAPLUS
CN Benzeneacetamide, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-[2-(ethylmethylphosphinyl)ethyl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-87-3 CAPLUS
CN L-Cysteine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-S-methyl- (9CI) (CA INDEX NAME)

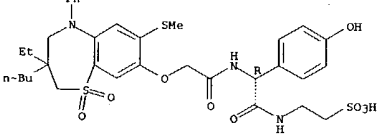
Absolute stereochemistry.



L60 ANSWER 4 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

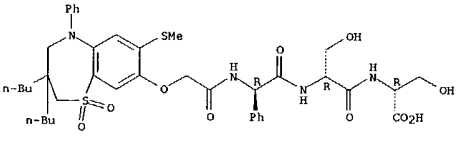
RN 439088-01-4 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



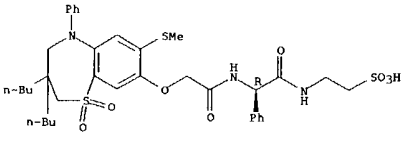
RN 439088-02-5 CAPLUS
CN D-Serine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-D-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 549501-76-0 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]- (9CI) (CA INDEX NAME)

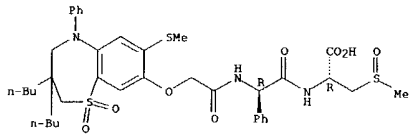
Absolute stereochemistry.



RN 549501-80-6 CAPLUS
CN L-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-

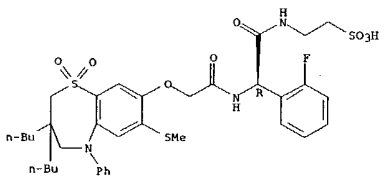
L60 ANSWER 4 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-3-(methylsulfinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 549532-37-8 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](2-fluorophenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

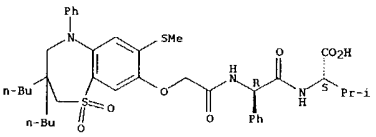


RN 636565-35-0 CAPLUS
CN Butanoic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

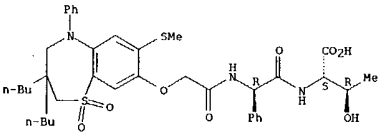
L60 ANSWER 4 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN L-Valine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



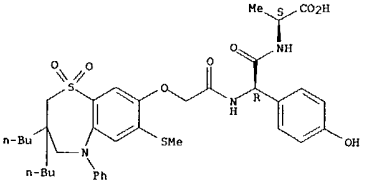
RN 636565-44-1 CAPLUS
CN L-Threonine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

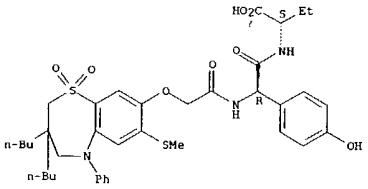


RN 636565-47-4 CAPLUS
CN L-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

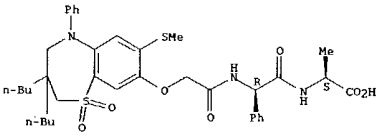


L60 ANSWER 4 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



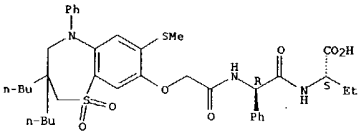
RN 636565-36-1 CAPLUS
CN L-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 636565-39-4 CAPLUS
CN Butanoic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

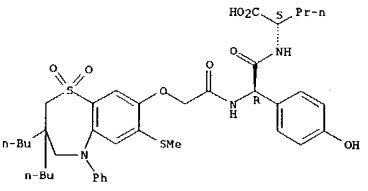
Absolute stereochemistry.



RN 636565-41-8 CAPLUS

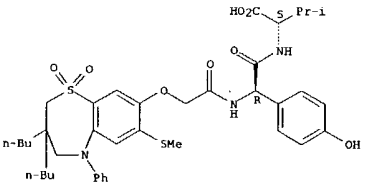
L60 ANSWER 4 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 636565-48-5 CAPLUS
CN L-Norvaline, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 636565-49-6 CAPLUS
CN L-Valine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

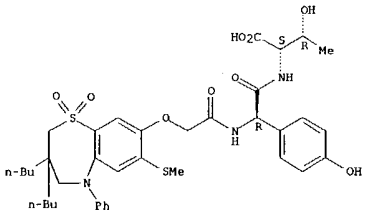
Absolute stereochemistry.



RN 636565-53-2 CAPLUS
CN L-Threonine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

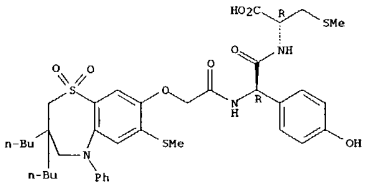
Absolute stereochemistry.

L60 ANSWER 4 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 647012-45-1 CAPLUS
CN L-Cysteine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl-S-methyl- (9CI) (CA INDEX NAME)

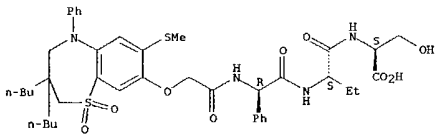
Absolute stereochemistry.



RN 647012-47-3 CAPLUS
CN L-Serine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-(2S)-2-aminobutanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L60 ANSWER 4 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

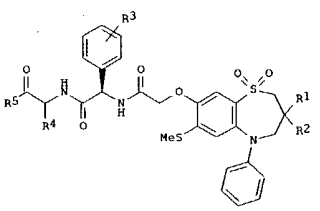
L60 ANSWER 5 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	2003:1007004 CAPLUS
DOCUMENT NUMBER:	140:35962
TITLE:	Peptides derivatives comprising thiazepine group for the treatment of hyperlipidemic conditions
INVENTOR(S):	Starke, Ingemar; Dahlstrom, Mikael Ulf Johan; Alenfalk, Suzanne; Skjaret, Tore; Lemurell, Malin
PATENT ASSIGNEE(S):	Astrazeneca A.B., Swed.; Astrazeneca UK Limited
SOURCE:	PCT Int. Appl., 52 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106482	A1	20031224	WO 2003-GB2499	20030610
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.:		GB 2002-13669	A 20020614
OTHER SOURCE(S):	MARPAT 140:35962		

GI



I

AB The present invention relates to compds. of formula I (R1 and R2 are independently selected from C1-4alkyl; R3 is hydrogen, hydroxy or halo; R4 is C1-4alkyl optionally substituted by hydroxy, methoxy and methylS(O)a wherein a is 0-2; R5 is hydroxy or HOC(O)CH(R6)NH-; and R6 is selected from hydrogen and C1-3alkyl optionally substituted by hydroxy, methoxy and methylS(O)a wherein a is 0-2), pharmaceutically acceptable salts, solvates, solvates of such salts and prodrugs thereof and their use as

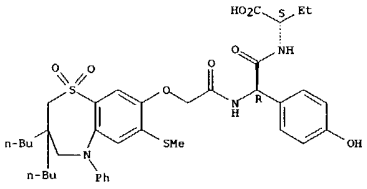
L60 ANSWER 5 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

ileal bile acid transport (IBAT) inhibitors for the treatment of hyperlipidemia. Processes for their manuf. and pharmaceutical compns. contg. them are also described. The compds. of the invention may be administered together with HMGCoA reductase inhibitors of PPARα or PPARγ agonists.

IT **636565-35-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptides derivs. comprising thiazepine group for treatment of hyperlipidemic conditions by inhibiting ileal bile acid transport and their combination with other agents)

RN 636565-35-0 CAPLUS
CN Butanoic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

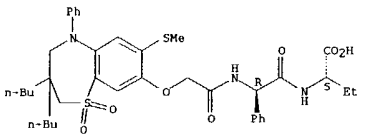


IT **636565-39-4 636565-40-7 636565-41-8 636565-42-9 636565-43-0 636565-44-1 636565-45-2 636565-46-3 636565-47-4 636565-48-5 636565-49-6 636565-50-9 636565-51-0 636565-52-1 636565-53-2 636565-54-3 636565-55-4 636565-56-5 636565-57-6 636565-58-7 636565-59-8 636565-60-1**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptides derivs. comprising thiazepine group for treatment of hyperlipidemic conditions by inhibiting ileal bile acid transport and their combination with other agents)

RN 636565-39-4 CAPLUS
CN Butanoic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

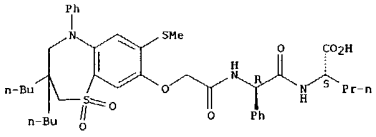
Absolute stereochemistry.

L60 ANSWER 5 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



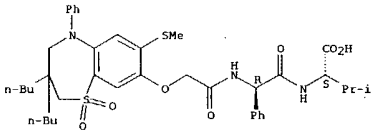
RN 636565-40-7 CAPLUS
CN L-Norvaline, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 636565-41-8 CAPLUS
CN L-Valine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

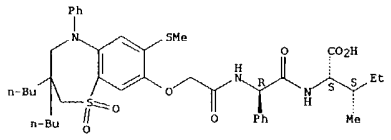
Absolute stereochemistry.



RN 636565-42-9 CAPLUS
CN L-Isoleucine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

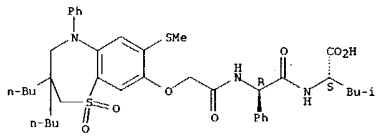
L60 ANSWER 5 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



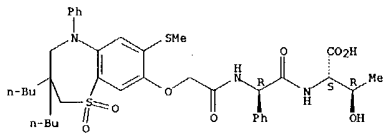
RN 636565-43-0 CAPLUS
CN L-Leucine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 636565-44-1 CAPLUS
CN L-Threonine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

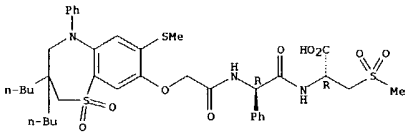
Absolute stereochemistry.



RN 636565-45-2 CAPLUS
CN L-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-

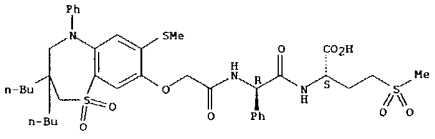
L60 ANSWER 5 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



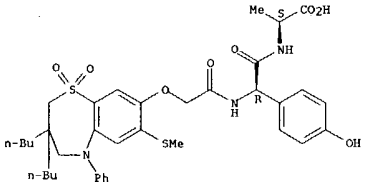
RN 636565-46-3 CAPLUS
CN Butanoic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]-4-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 636565-47-4 CAPLUS
CN L-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

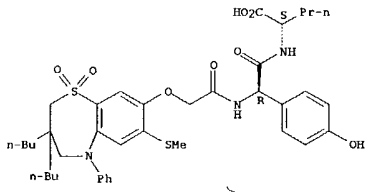
Absolute stereochemistry.



RN 636565-48-5 CAPLUS

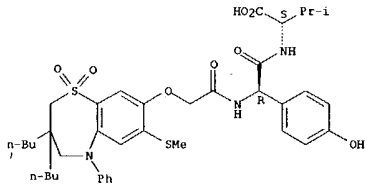
L60 ANSWER 5 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN L-Norvaline, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 636565-49-6 CAPLUS
CN L-Valine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

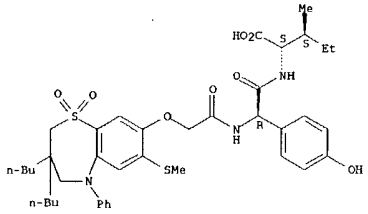
Absolute stereochemistry.



RN 636565-50-9 CAPLUS
CN L-Isoleucine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

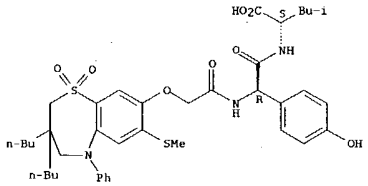
Absolute stereochemistry.

L60 ANSWER 5 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 636565-51-0 CAPLUS
CN L-Leucine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

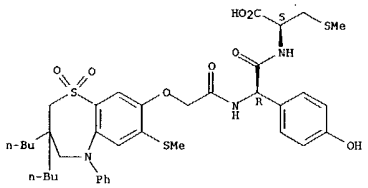
Absolute stereochemistry.



RN 636565-52-1 CAPLUS
CN L-Serine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

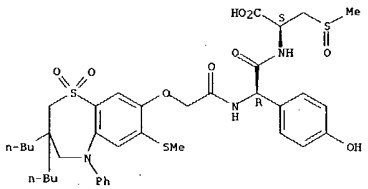
Absolute stereochemistry.

L60 ANSWER 5 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



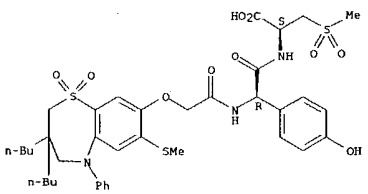
RN 636565-55-4 CAPLUS
CN D-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl-3-(methylsulfinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

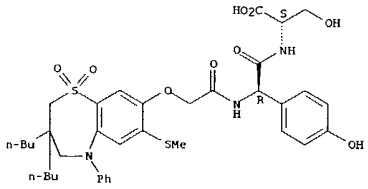


RN 636565-56-5 CAPLUS
CN D-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

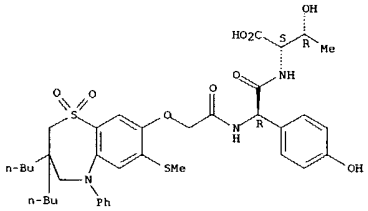


L60 ANSWER 5 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 636565-53-2 CAPLUS
CN L-Threonine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



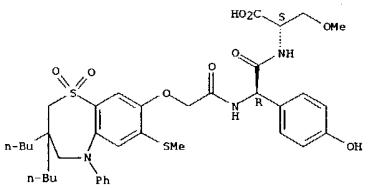
RN 636565-54-3 CAPLUS
CN D-Cysteine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl-S-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L60 ANSWER 5 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

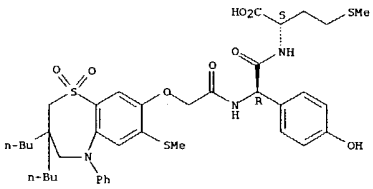
RN 636565-57-6 CAPLUS
CN L-Serine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 636565-58-7 CAPLUS
CN L-Methionine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

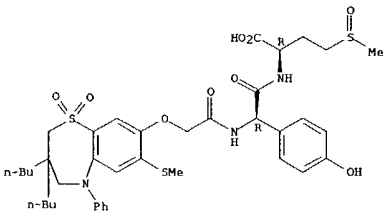
Absolute stereochemistry.



RN 636565-59-8 CAPLUS
CN Butanoic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]-4-(methylsulfinyl)-, (2R)- (9CI) (CA INDEX NAME)

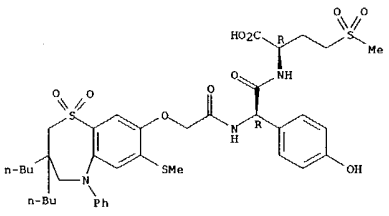
Absolute stereochemistry.

L60 ANSWER 5 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 636565-60-1 CAPLUS
CN Butanoic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]-4-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

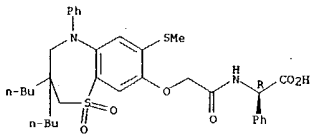
Absolute stereochemistry.



IT **439086-76-7 439086-77-8 439089-25-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(peptides derivs. comprising thiazepine group for treatment of hyperlipidemic conditions by inhibiting ileal bile acid transport and their combination with other agents)
RN 439086-76-7 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

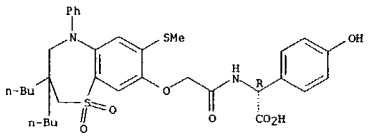
Absolute stereochemistry.

L60 ANSWER 5 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

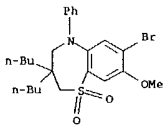


RN 439086-77-8 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-4-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

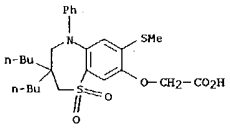


RN 439089-25-5 CAPLUS
CN 1,5-Benzothiazepine, 7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

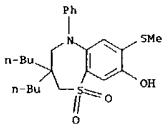


IT **439088-13-8P 439088-16-1P 439088-19-4P**
439089-12-0P 636565-36-1P 636565-37-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(peptides derivs. comprising thiazepine group for treatment of hyperlipidemic conditions by inhibiting ileal bile acid transport and their combination with other agents)
RN 439088-13-8 CAPLUS

L60 ANSWER 5 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Acetic acid, [[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]- (9CI) (CA INDEX NAME)

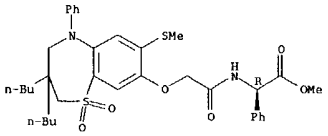


RN 439088-16-1 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



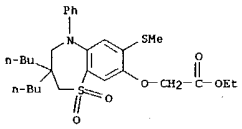
RN 439088-19-4 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



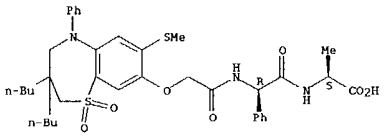
RN 439089-12-0 CAPLUS
CN Acetic acid, [[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

L60 ANSWER 5 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



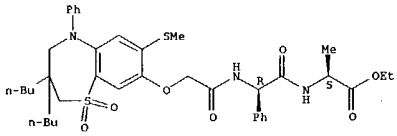
RN 636565-36-1 CAPLUS
CN L-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 636565-37-2 CAPLUS
CN L-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

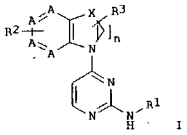


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 6 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:796369 CAPLUS
DOCUMENT NUMBER: 139:307785
TITLE: Preparation of 2,4-diaminopyrimidines as immunosuppressants
INVENTOR(S): Blumenkopf, Todd A.; Mueller, Eileen Elliott; Roskamp, Eric Jan
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 28 pp., Cont. of U.S. Ser. No. 116,554, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003191307	A1	20031009	US 2002-302742	20021122
PRIORITY APPLN. INFO.:			US 2002-116554	B1 20020404

OTHER SOURCE(S): MARPAT 139:307785
GI



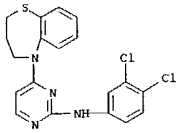
I

AB The title compds. [I; A = CH, N; X = CH2, O, NH, etc.; n = 1-3; R1 = aryl, heteroaryl, etc.; R2 = halo, OH, CO2H, etc.; R3 = alkyl, trihaloalkyl, etc.], useful for the treatment of autoimmune disease, inflammation, allergy, transplant rejection, and other circumstances where administration of an immunosuppressive agent is of therapeutic benefit, were prepared E.g., a 2-step synthesis of I [A = CH; X = CH2; n = 2; R1 = Ph; R2 = 6-Me; R3 = H], starting with 6-methyl-1,2,3,4-tetrahydroquinoline and 2,4-dichloropyrimidine, was given. The compds. I are useful for the treatment of clin. conditions that involve inappropriate T-cell activation. In particular, highly specific inhibitors of lck tyrosine kinase are disclosed. Pharmaceutical composition comprising the compound I is also claimed.

IT **343613-25-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2,4-diaminopyrimidines as immunosuppressants)

RN 343613-25-2 CAPLUS
CN 2-Pyrimidinamine, N-(3,4-dichlorophenyl)-4-(3,4-dihydro-1,5-benzothiazepin-

L60 ANSWER 6 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
5(2H)-yl)- (9CI) (CA INDEX NAME)



L60 ANSWER 7 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:591008 CAPLUS
DOCUMENT NUMBER: 139:128038
TITLE: Use of benzothiazepines having activity as inhibitors of ileal bile acid transport for reducing hypercholesterolemia
INVENTOR(S): Lindqvist, Ann-Margret
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003061663	A1	20030731	WO 2003-GB350	20030123
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			GB 2002-1850	A 20020126

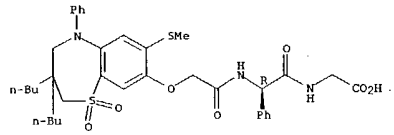
OTHER SOURCE(S): MARPAT 139:128038
AB The invention describes the use of an ileal bile acid transport (IBAT) inhibitor and the use of a combination of an IBAT inhibitor and an HMG-CoA reductase inhibitor in the treatment of a warm-blooded animal, such as man, with hypercholesterolemia and/or other forms of dyslipidemia wherein the hypercholesterolemia and dyslipidemias are characterized by defects in lipoproteins or their receptors.

IT **439087-18-0 439087-21-5 439087-31-7**
439087-34-0 439087-36-2 439087-37-3
439087-38-4 439087-48-6 439087-61-3
439087-63-5 439087-77-1 439087-88-4
439087-89-5 439087-96-4 439088-00-3
439088-01-4 439088-02-5 439088-03-6
549501-76-0 549501-77-1 549501-79-3
549501-80-6 568526-29-4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(benzothiazepines ileal bile acid transport inhibitors for treatment of hypercholesterolemia and dyslipidemia, and use with HMG-CoA reductase inhibitors)

RN 439087-18-0 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

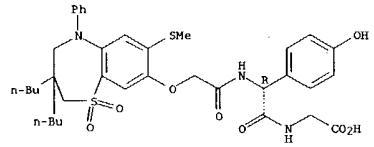
Absolute stereochemistry.

L60 ANSWER 7 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



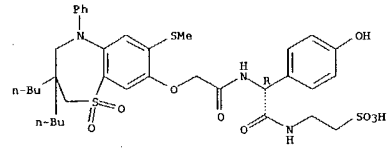
RN 439087-21-5 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-31-7 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

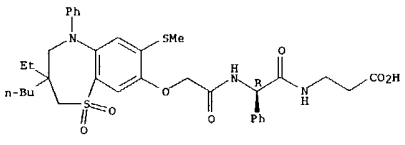
Absolute stereochemistry.



RN 439087-34-0 CAPLUS
CN β-Alanine, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

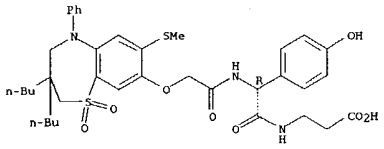
Absolute stereochemistry.

L60 ANSWER 7 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



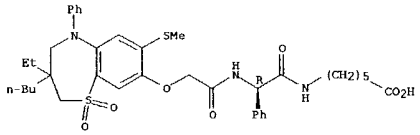
RN 439087-36-2 CAPLUS
CN β-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-37-3 CAPLUS
CN Hexanoic acid, 6-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]- (9CI) (CA INDEX NAME)

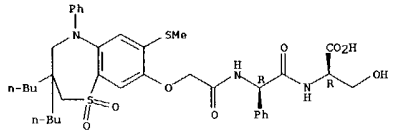
Absolute stereochemistry.



RN 439087-38-4 CAPLUS
CN β-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

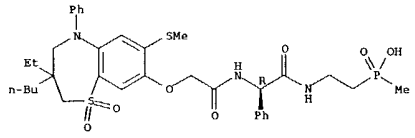
L60 ANSWER 7 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



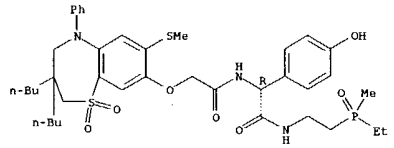
RN 439087-77-1 CAPLUS
CN Phosphinic acid, [2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]ethyl]methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-88-4 CAPLUS
CN Benzeneacetamide, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-[2-(ethylmethylphosphinyl)ethyl]-4-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

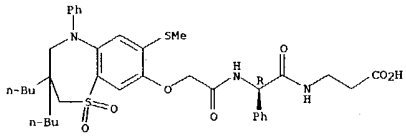
Absolute stereochemistry.



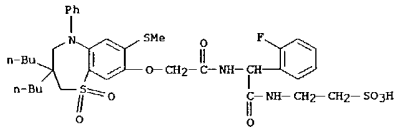
RN 439087-89-5 CAPLUS
CN Phosphinic acid, [2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]ethyl]methyl- (9CI) (CA

L60 ANSWER 7 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.

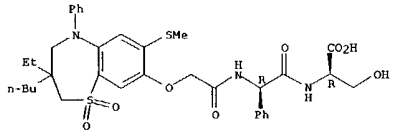


RN 439087-48-6 CAPLUS
CN Ethanesulfonic acid, 2-[[[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](2-fluorophenyl)acetyl]amino]- (9CI) (CA INDEX NAME)



RN 439087-61-3 CAPLUS
CN D-Serine, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

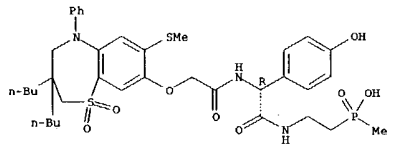
Absolute stereochemistry.



RN 439087-63-5 CAPLUS
CN D-Serine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

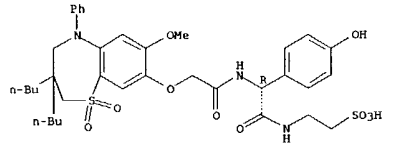
L60 ANSWER 7 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



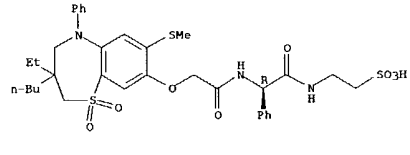
RN 439087-96-4 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



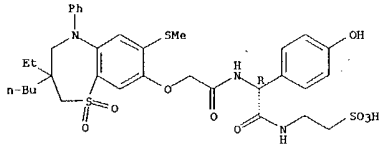
RN 439088-00-3 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



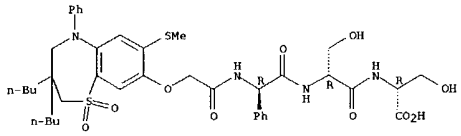
RN 439088-01-4 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

L60 ANSWER 7 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.

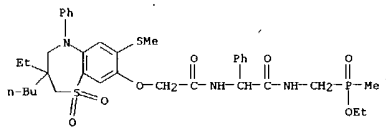


RN 439088-02-5 CAPLUS
CN D-Serine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-D-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

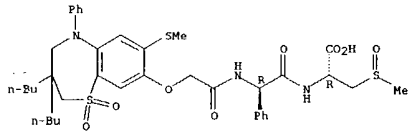


RN 439088-03-6 CAPLUS
CN Phosphinic acid, [[[[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]methyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)



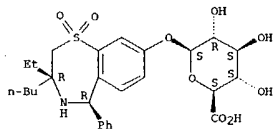
RN 549501-76-0 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]- (9CI) (CA INDEX NAME)

L60 ANSWER 7 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



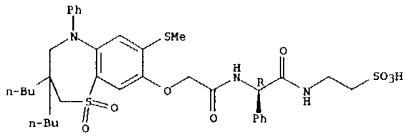
RN 568526-29-4 CAPLUS
CN β-D-Glucopyranosiduronic acid, (3R,5R)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

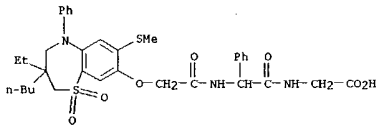


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 7 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.

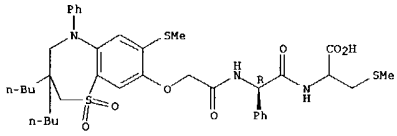


RN 549501-77-1 CAPLUS
CN Glycine, N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)



RN 549501-79-3 CAPLUS
CN Cysteine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-S-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

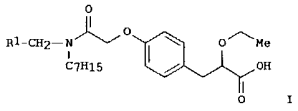


RN 549501-80-6 CAPLUS
CN L-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-3-(methylsulfinyl)- (9CI) (CA INDEX NAME)

L60 ANSWER 8 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:491169 CAPLUS
DOCUMENT NUMBER: 139:69054
TITLE: Preparation of substituted phenylpropionic acid derivatives as agonists to human peroxisome proliferator-activated receptor alpha (PPAR)
INVENTOR(S): Alstermark Lindstedt, Eva-Lotte; Olsson, Anna Christina; Li, Lanna
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051822	A1	20030626	WO 2002-GB5744	20021218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			SE 2001-4334	A 20011219
OTHER SOURCE(S):	MARPAT 139:69054			

GI



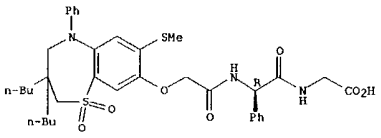
AB The present invention provides the S enantiomer of a compound of formula (I) (wherein R1 represents 2,4-difluorophenyl or cyclohexyl) as well as pharmaceutically acceptable salts, solvates, crystalline forms and prodrugs thereof, processes for preparing such compds., their the utility in treating clin. conditions including lipid disorders (dyslipidemias) whether or not associated with insulin resistance, methods for their therapeutic use, and pharmaceutical comprns. containing them. Thus, to a solution of [4-((2S)-2,3-diethoxy-3-oxopropyl)phenoxy]acetic acid (0.108 g) 3.6 mL CH2Cl2 were added N-(cyclohexylmethyl)-N-heptylamine hydrochloride (0.090 g) and DMAP (0.098 g) followed by 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (0.070 g) and the reaction mixture was stirred at room temperature overnight to give, after workup and silica

L60 ANSWER 8 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
gel chromatog., Et (2S)-3-[4-[2-[(cyclohexylmethyl)(heptyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoate which (0.031 g) was sapond. with LiOH in aq. THF at room temp. overnight and acidified with aq. 2 M HCl to give (2S)-3-[4-[2-[(cyclohexylmethyl)(heptyl)amino]-2-oxoethoxy]phenyl]-2-ethoxypropanoic acid. The compds. I had EC50 of less than 0.5 μmol/L for PPARα and preferred compds. have EC50 of less than 0.05 μmol/L for PPARα. They were more potent with respect to PPARα than with respect to PPARγ.

IT **439087-18-0 439087-21-5 439087-31-7 439087-34-0 439087-36-2 439087-37-3 439087-38-4 439087-48-6 439087-61-3 439087-63-5 439087-88-4 439087-89-5 439087-96-4 439088-00-3 439088-01-4 439088-02-5 439088-03-6 549501-76-0 549501-77-1 549501-78-2 549501-79-3 549501-80-6**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ideal bile acid transport system (IBAT) inhibitor, drug containing; preparation of substituted phenylpropionic acid derivs. as agonists to human peroxisome proliferator-activated receptor alpha (PPAR) for treating lipid disorders)

RN 439087-18-0 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

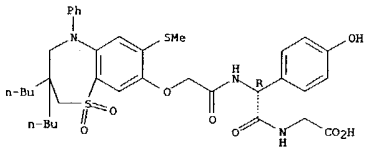
Absolute stereochemistry.



RN 439087-21-5 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

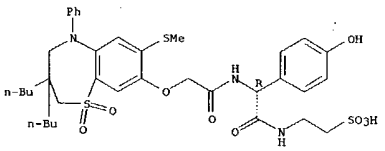
Absolute stereochemistry.

L60 ANSWER 8 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



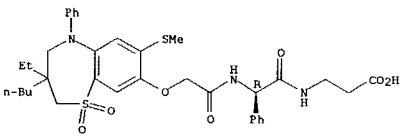
RN 439087-31-7 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-34-0 CAPLUS
CN β-Alanine, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

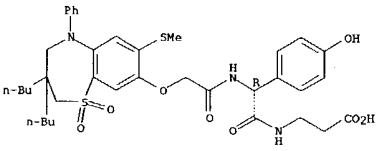
Absolute stereochemistry.



RN 439087-36-2 CAPLUS
CN β-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

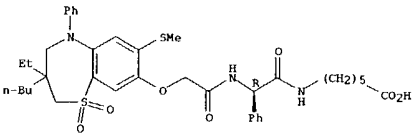
L60 ANSWER 8 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



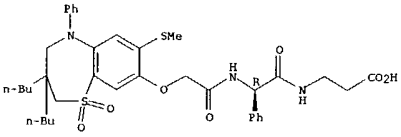
RN 439087-37-3 CAPLUS
CN Hexanoic acid, 6-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



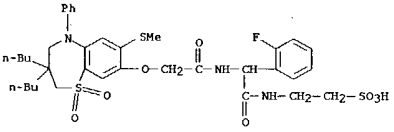
RN 439087-38-4 CAPLUS
CN β-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



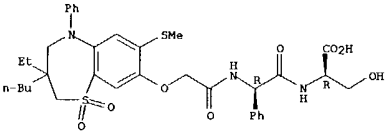
RN 439087-48-6 CAPLUS
CN Ethanesulfonic acid, 2-[[[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](2-fluorophenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

L60 ANSWER 8 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



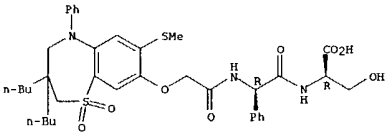
RN 439087-61-3 CAPLUS
CN D-Serine, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-63-5 CAPLUS
CN D-Serine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

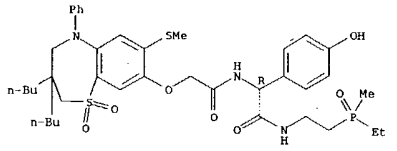
Absolute stereochemistry.



RN 439087-88-4 CAPLUS
CN Benzeneacetamide, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-[2-(ethylmethylphosphinyl)ethyl]-4-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

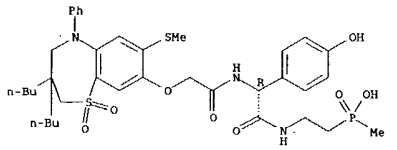
Absolute stereochemistry.

L60 ANSWER 8 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



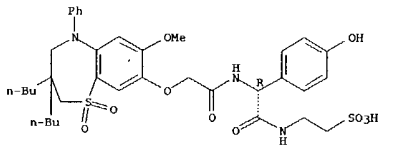
RN 439087-89-5 CAPLUS
CN Phosphinic acid, [2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]ethyl]methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



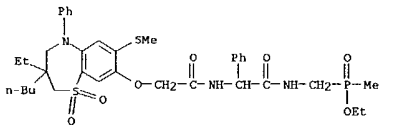
RN 439087-96-4 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



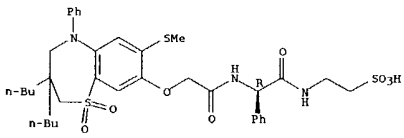
RN 439088-00-3 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-

L60 ANSWER 8 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Phosphinic acid, [[[[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]methyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)

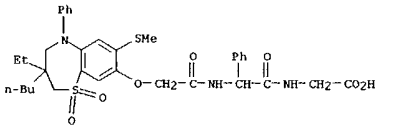


RN 549501-76-0 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



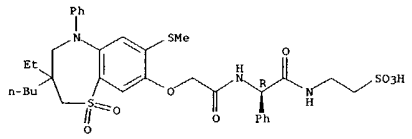
RN 549501-77-1 CAPLUS
CN Glycine, N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)



RN 549501-78-2 CAPLUS
CN Phosphinic acid, [2-[[[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]ethyl]methyl- (9CI) (CA INDEX NAME)

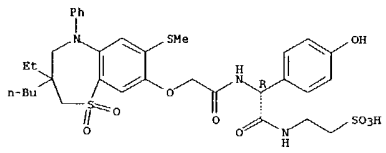
L60 ANSWER 8 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
yl]oxy]acetyl]amino]phenylacetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



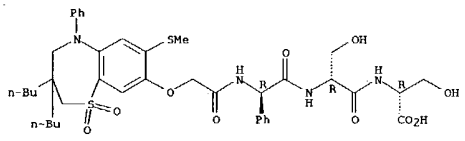
RN 439088-01-4 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



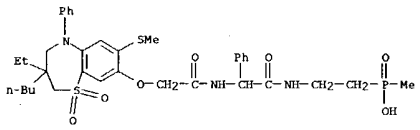
RN 439088-02-5 CAPLUS
CN D-Serine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-D-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



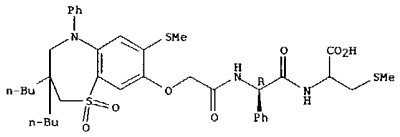
RN 439088-03-6 CAPLUS

L60 ANSWER 8 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



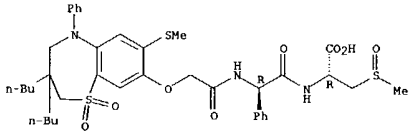
RN 549501-79-3 CAPLUS
CN Cysteine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-S-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 549501-80-6 CAPLUS
CN L-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-3-(methylsulfinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

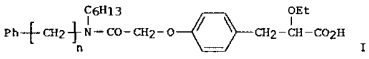


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 9 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:491168 CAPLUS
DOCUMENT NUMBER: 139:69049
TITLE: Preparation of substituted phenylpropionic acid derivatives as agonists to human peroxisome proliferator-activated receptor alpha (PPAR)
INVENTOR(S): Alstermark Lindstedt, Eva-Lotte; Olsson, Anna Christina; Li, Lanna
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051821	A1	20030626	WO 2002-GB5738	20021218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			SE 2001-4334	A 20011219

OTHER SOURCE(S): MARPAT 139:69049
GI



I

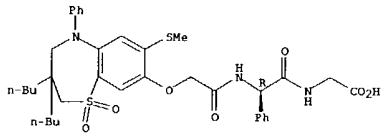
AB The S enantiomer of I, n = 1 or 2, (C6H13 = hexyl) as well as their pharmaceutically acceptable salts, solvates, crystalline forms and prodrugs are synthesized using various solvents and in presence of charcoal-supported palladium catalyst. The utility of these compds. in clin. conditions such as lipid disorders (dyslipidemias) whether or not associated with insulin resistance and therapeutic and other pharmaceutical activities is also investigated. For example, (2S)-3-(4(2-[benzyl(hexyl)amino]-2-oxoethoxy)phenyl)2-ethoxypropionic acid was prepared in 58% yield via reaction of (2S)-2-ethoxy-3-(4-hydroxyphenyl)propanoate and benzyl bromoacetate.

IT **439087-18-0P 439087-21-5P 439087-27-1P 439087-31-7P 439087-34-0P 439087-36-2P 439087-37-3P 439087-38-4P 439087-61-3P**

L60 ANSWER 9 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
439087-63-5P 439087-74-8P 439087-77-1P 439087-83-9P 439087-87-3P 439087-89-5P 439087-96-4P 439088-00-3P 439088-01-4P 439088-02-5P 501098-56-2P 549501-76-0P 549501-80-6P 549532-37-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of enantiomeric substituted phenylpropionic acid derivs. as agonists to human peroxisome proliferator-activated receptor)

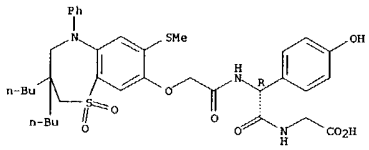
RN 439087-18-0 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-21-5 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

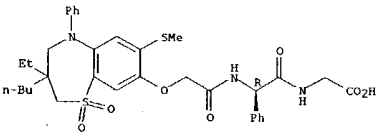
Absolute stereochemistry.



RN 439087-27-1 CAPLUS
CN Glycine, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

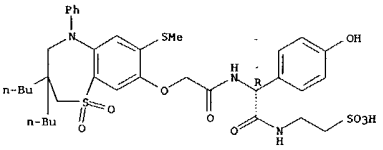
Absolute stereochemistry.

L60 ANSWER 9 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



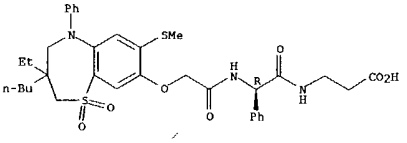
RN 439087-31-7 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-34-0 CAPLUS
CN β-Alanine, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

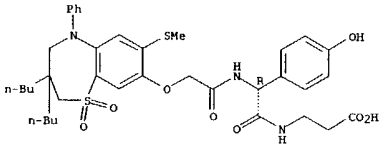
Absolute stereochemistry.



RN 439087-36-2 CAPLUS
CN β-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

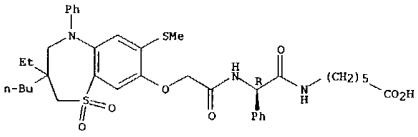
Absolute stereochemistry.

L60 ANSWER 9 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



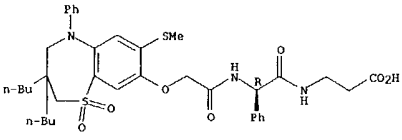
RN 439087-37-3 CAPLUS
CN Hexanoic acid, 6-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-38-4 CAPLUS
CN β-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

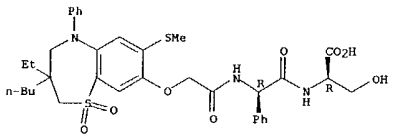
Absolute stereochemistry.



RN 439087-61-3 CAPLUS
CN D-Serine, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

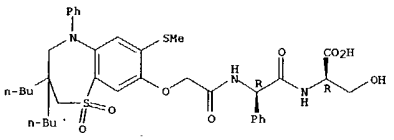
Absolute stereochemistry.

L60 ANSWER 9 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



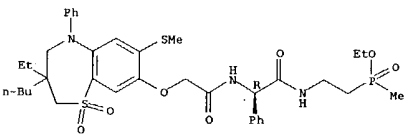
RN 439087-63-5 CAPLUS
CN D-Serine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-74-8 CAPLUS
CN Phosphinic acid, [2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]ethyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

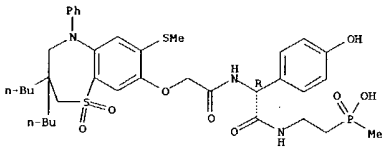


RN 439087-77-1 CAPLUS
CN Phosphinic acid, [2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-

L60 ANSWER 9 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

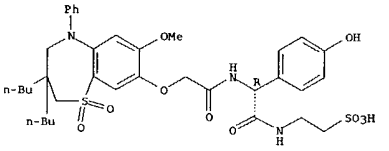
RN 439087-89-5 CAPLUS
CN Phosphinic acid, [2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]ethyl]methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



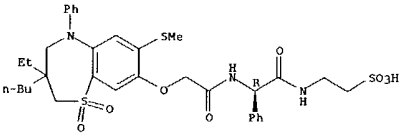
RN 439087-96-4 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



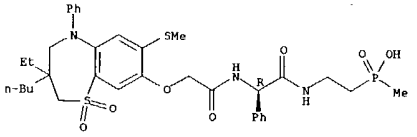
RN 439088-00-3 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



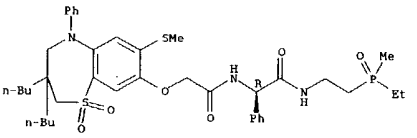
L60 ANSWER 9 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
yl]oxy]acetyl]amino]phenylacetyl]amino]ethyl]methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



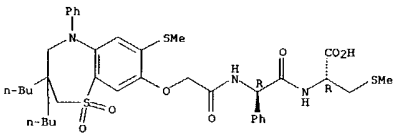
RN 439087-83-9 CAPLUS
CN Benzeneacetamide, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-[2-(ethylmethylphosphinyl)ethyl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-87-3 CAPLUS
CN L-Cysteine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-S-methyl- (9CI) (CA INDEX NAME)

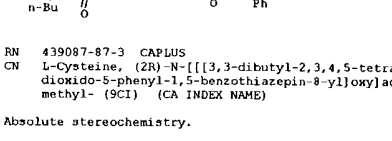
Absolute stereochemistry.



L60 ANSWER 9 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

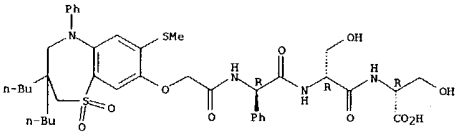
RN 439088-01-4 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



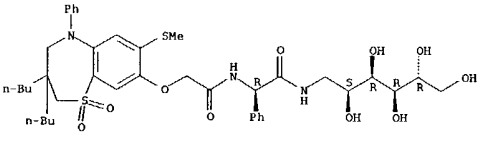
RN 439088-02-5 CAPLUS
CN D-Serine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-D-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 501098-56-2 CAPLUS
CN D-Glucitol, 1-deoxy-1-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]- (9CI) (CA INDEX NAME)

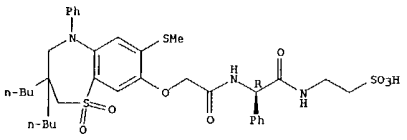
Absolute stereochemistry.



RN 549501-76-0 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-

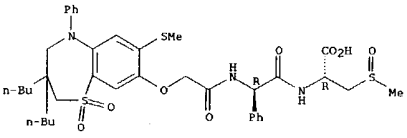
L60 ANSWER 9 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 549501-80-6 CAPLUS
CN L-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-3-(methylsulfinyl)- (9CI) (CA INDEX NAME)

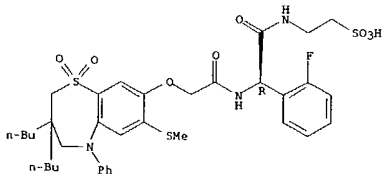
Absolute stereochemistry.



RN 549532-37-8 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](2-fluorophenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L60 ANSWER 9 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 10 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:334829 CAPLUS
DOCUMENT NUMBER: 138:343889
TITLE: Novel pharmaceutical compounds containing drugs bound to polypeptides
INVENTOR(S): Picariello, Thomas
PATENT ASSIGNEE(S): New River Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 4662 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003034980	A2	20030501	WO 2001-US43089	20011114
WO 2003034980	C1	20031120		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1401374	A1	20040331	EP 2001-274606	20011114
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			

PRIORITY APPLN. INFO.: US 2000-274622P P 20001114
WO 2001-US43089 W 20011114

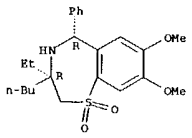
AB Compns. comprising polypeptides and drugs covalently attached to the polypeptide are disclosed. Also provided is a method for delivery of these drugs to a patient comprising administering to the patient a composition comprising a polypeptide and a drug covalently attached to the polypeptide. Also provided is a method for protecting drugs from degradation comprising covalently attaching them to a polypeptide. Also provided is a method for controlling release of drugs from a composition comprising covalently attaching them to the polypeptide.

IT **178961-24-5DP**, protein conjugates
RL: PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(novel pharmaceutical compds. containing drugs bound to polypeptides)

RN 178961-24-5 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

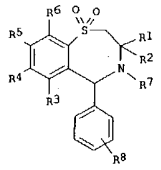
L60 ANSWER 10 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L60 ANSWER 11 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:221668 CAPLUS
DOCUMENT NUMBER: 138:238209
TITLE: Preparation of benzothiazepine derivatives for potential use as ileal bile acid transport inhibitors for the treatment of hyperlipidemia
INVENTOR(S): Starke, Ingemar; Dahlstrom, Mikael Ulf Johan; Blomberg, David
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022825	A1	20030320	WO 2002-GB4043	20020905
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1427713	A1	20040616	EP 2002-767623	20020905
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

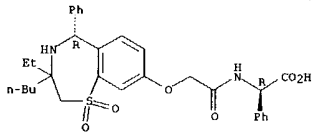
PRIORITY APPLN. INFO.: GB 2001-21621 A 20010907
WO 2002-GB4043 W 20020905
OTHER SOURCE(S): MARPAT 138:238209
GI



I

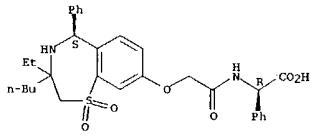
L60 ANSWER 11 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
AB Benzothiazepines I, wherein R1 and R2 are selected from hydrogen, alkyl, alkenyl, and the other is selected from alkyl, alkenyl; R3 and R6 and the other of R4 and R5 are independently selected from hydrogen, halo, nitro, cyano, hydroxy, amino, carboxy, carbamoyl, mercapto, sulphamoyl, alkyl, alkenyl, alkynyl, alkoxy, alkanoyl, alkanoyloxy, N-(alkyl)amino, N,N-(alkyl)2amino, alkanoylamino, N-(alkyl)carbamoyl, N,N-(alkyl)2carbamoyl, alkyl-S(O)a wherein a is 0 to 2, alkoxycarbonyl, N-(alkyl)sulphamoyl and N,N-(alkyl)2sulphamoyl; wherein R3 and R6 and the other of R4 and R5 may be optionally substituted on carbon; R7 is H alkyl, carbocyclyl, heterocyclyl; R8 is (Rz)v; Rz is selected from halo, nitro, cyano, hydroxy, amino, carboxy, carbamoyl, mercapto, sulphamoyl, alkyl, alkenyl, alkynyl, alkoxy, alkanoyl, alkanoyloxy, N-(alkyl)amino, N,N-(alkyl)2amino, alkanoylamino, N-(alkyl)carbamoyl, N,N-(alkyl)2carbamoyl, alkyl-S(O)a wherein a is 0 to 2, alkoxycarbonyl, N-(alkyl)sulphamoyl and N,N-(alkyl)2sulphamoyl; v is 0-5; variable groups are as defined within; pharmaceutically acceptable salts, solvates, solvates of such salts and prodrugs thereof and their potential use as ileal bile acid transport (IBAT) inhibitors for the treatment of hyperlipidemia. Processes for their manufacture and pharmaceutical compns. containing them are also described. Thus, 1,1-Dioxo-3(R)-3-butyl-3-ethyl-5-(R)-5-phenyl-8-(N-{(R)-α-[N-(carboxymethyl)carbamoyl]benzyl}carbamoylmethoxy)-2,3,4,5-tetrahydro-1,4-benzothiazepine was prepared and tested as ileal bile acid transport inhibitor and for the treatment of hyperlipidemia (no data).
IT **501663-75-8P 501663-76-9P 501663-77-0P 501663-80-5P 501663-90-7P 501663-91-8P 501663-92-9P 501663-93-0P 501663-94-1P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzothiazepine derivs. used as ileal bile acid transport inhibitors for treatment of hyperlipidemia)
RN 501663-75-8 CAPLUS
CN Benzeneacetic acid, α-[[[[(5R)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



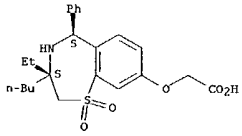
RN 501663-76-9 CAPLUS
CN Benzeneacetic acid, α-[[[[(5S)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

L60 ANSWER 11 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



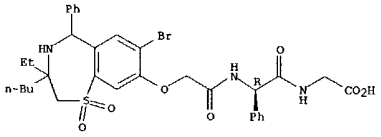
RN 501663-77-0 CAPLUS
CN Acetic acid, [[(3R,5R)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl]oxy]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 501663-80-5 CAPLUS
CN Glycine, (2R)-N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl)oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

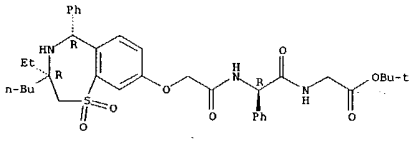
Absolute stereochemistry.



RN 501663-90-7 CAPLUS
CN Glycine, (2R)-N-[[[(3R,5R)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

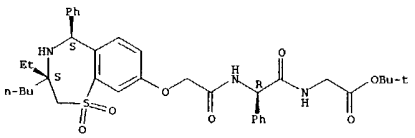
Absolute stereochemistry.

L60 ANSWER 11 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



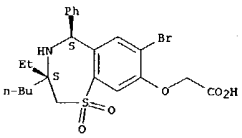
RN 501663-91-8 CAPLUS
CN Glycine, (2R)-N-[[[(3S,5S)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 501663-92-9 CAPLUS
CN Acetic acid, [[(3R,5R)-7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl]oxy]-, rel- (9CI) (CA INDEX NAME)

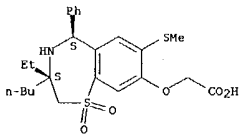
Relative stereochemistry.



RN 501663-93-0 CAPLUS
CN Acetic acid, [[(3R,5R)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl]oxy]-, rel- (9CI) (CA INDEX NAME)

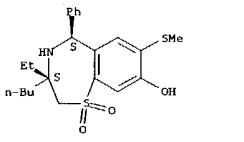
Relative stereochemistry.

L60 ANSWER 11 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 501663-94-1 CAPLUS
CN 1,4-Benzothiazepin-8-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

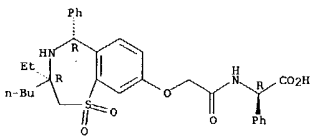


IT **501663-78-1P 501663-79-2P 501663-81-6P**
501663-82-7P 501663-83-8P 501663-84-9P
501663-86-1P 501663-88-3P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of benzothiazepine derivs. used as ileal bile acid transport inhibitors for treatment of hyperlipidemia)

RN 501663-78-1 CAPLUS
CN Benzeneacetic acid, α-[[[[(3R,5R)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

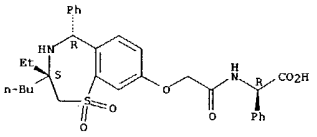
Absolute stereochemistry.

L60 ANSWER 11 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



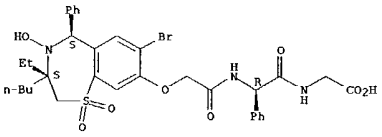
RN 501663-79-2 CAPLUS
CN Benzeneacetic acid, α-[[[[(3S,5R)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 501663-81-6 CAPLUS
CN Glycine, (2R)-N-[[[(3S,5S)-7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

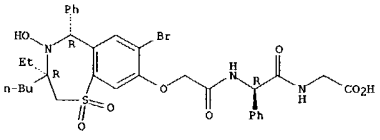
Absolute stereochemistry.



RN 501663-82-7 CAPLUS
CN Glycine, (2R)-N-[[[(3R,5R)-7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

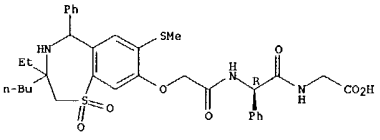
L60 ANSWER 11 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



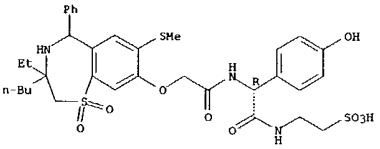
RN 501663-83-8 CAPLUS
CN Glycine, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 501663-84-9 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]-, monoammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● NH_3

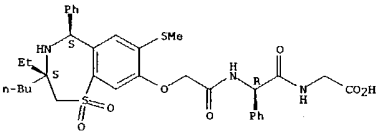
L60 ANSWER 11 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 501663-86-1 CAPLUS
CN Glycine, (2R)-N-[[[(3S,5S)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-, compd. with N-ethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 501663-85-0
CMF C34 H41 N3 O7 S2

Absolute stereochemistry.



CM 2

CRN 109-89-7
CMF C4 H11 N

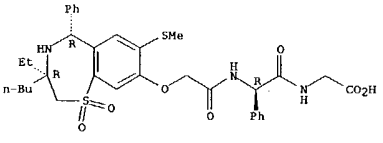
$H_3C-CH_2-NH-CH_2-CH_3$

RN 501663-88-3 CAPLUS
CN Glycine, (2R)-N-[[[(3R,5R)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-, compd. with N-ethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 501663-87-2
CMF C34 H41 N3 O7 S2

Absolute stereochemistry.

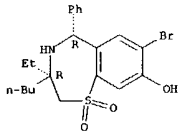


L60 ANSWER 11 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CM 2

CRN 109-89-7
CMF C4 H11 N

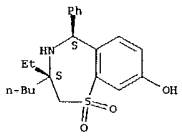
IT **178259-47-7 501663-89-4 501663-95-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzothiazepine derivs. used as ileal bile acid transport inhibitors for treatment of hyperlipidemia)
RN 178259-47-7 CAPLUS
CN 1,4-Benzothiazepin-8-ol, 7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 501663-89-4 CAPLUS
CN 1,4-Benzothiazepin-8-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3S,5S)- (9CI) (CA INDEX NAME)

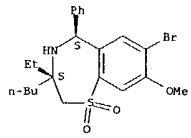
Absolute stereochemistry.



RN 501663-95-2 CAPLUS
CN 1,4-Benzothiazepine, 7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L60 ANSWER 11 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

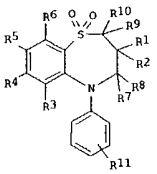
ACCESSION NUMBER:	2003:202631 CAPLUS
DOCUMENT NUMBER:	138:221607
TITLE:	Preparation of benzothiazepine derivatives for potential use as ileal bile acid transport inhibitors for the treatment of hyperlipidemia
INVENTOR(S):	Starke, Ingemar; Dahlstrom, Mikael Ulf Johan; Blomberg, David; Alenfalk, Suzanne; Nordberg, Peter; Wallberg, Andreas Christer; Bostrom, Stig Jonas
PATENT ASSIGNEE(S):	Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE:	PCT Int. Appl., 92 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020710	A1	20030313	WO 2002-GB3983	20020830
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1430040	A1	20040623	EP 2002-755285	20020830
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPLN. INFO.:	GB 2001-21337	A 20010904
	WO 2002-GB3983	W 20020830

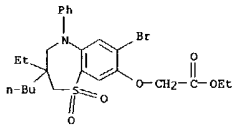
OTHER SOURCE(S): MARPAT 138:221607
GI



AB Benzothiazepines I, wherein R1 and R2 are selected from hydrogen or alkyl and the other is selected from alkyl; R3 and R6 and the other of R4 and R5 are independently selected from hydrogen, halo, nitro, cyano, hydroxy,

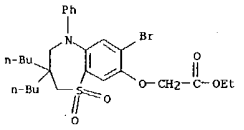
L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
amino, carboxy, carbamoyl, mercapto, sulfamoyl, alkyl, alkenyl, alkynyl, alkoxy, alkanoyl, alkanoyloxy, N-(alkyl)amino, N,N-(alkyl)2amino, alkanoylamino, N-(alkyl)carbamoyl, N,N-(alkyl)2carbamoyl, alkyl-S(O)a wherein a is 0-2, alkoxycarbonyl, N-(alkyl)sulfamoyl and N,N-(alkyl)2sulfamoyl; wherein R3 and R6 and the other of R4 and R5 may be optionally substituted on carbon; R7 and R8 are independently selected from H or alkyl, or one of R7 and R8 is H or alkyl and the other is hydroxy or alkoxy; R9 and R10 are independently selected from H or alkyl; R11 is (Rz)v; Rz is selected from halo, nitro, cyano, hydroxy, amino, carboxy, carbamoyl, mercapto, sulfamoyl, alkyl, alkenyl, alkynyl, alkoxy, alkanoyl, alkanoyloxy, N-(alkyl)amino, N,N-(alkyl)2amino, alkanoylamino, N-(alkyl)carbamoyl, N,N-(alkyl)2carbamoyl, alkyl-S(O)a wherein a is 0 to 2, alkoxycarbonyl, N-(alkyl)sulfamoyl and N,N-(alkyl)2sulfamoyl; v is 0-5; variable groups are as defined within; pharmaceutically acceptable salts, solvates, solvates of such salts and prodrugs thereof and their use as ileal bile acid transport (IBAT) inhibitors for the treatment of hyperlipidemia. Processes for their manuf. and pharmaceutical compns. contg. them are also described. Thus, 1,1-Dioxo-3,3-dibutyl-5-phenyl-7-methylthio-8-(N-{(R)-α-[N'-methyl-N'-(2-(S)-3-(R)-4-(R)-5-(R)-2,3,4,5,6-pentahydroxyhexyl)carbamoyl]benzyl}carbamoylmethoxy)-2,3,4,5-tetrahydro-1,5-benzothiazepine was prepd. and tested as ileal bile acid transport inhibitor and for the treatment of hyperlipidemia (no data).

IT **358375-55-0P 358375-94-7P 358375-95-8P**
358375-96-9P 358375-97-0P 358376-02-0P
439086-76-7P 439086-97-2P 439087-13-5P
439087-18-0P 439088-19-4P 439088-54-7P
501098-36-8P 501098-65-3P 501098-73-3P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzothiazepine derivs. used as ileal bile acid transport inhibitors for treatment of hyperlipidemia)
RN 358375-55-0 CAPLUS
CN Acetic acid, [(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)

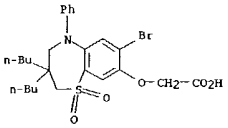


RN 358375-94-7 CAPLUS
CN Acetic acid, [(7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)

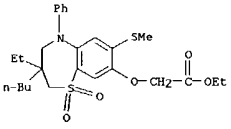
L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 358375-95-8 CAPLUS
CN Acetic acid, [(7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]- (9CI) (CA INDEX NAME)

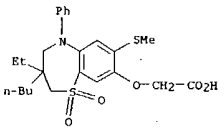


RN 358375-96-9 CAPLUS
CN Acetic acid, [[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

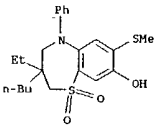


RN 358375-97-0 CAPLUS
CN Acetic acid, [[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]- (9CI) (CA INDEX NAME)

L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

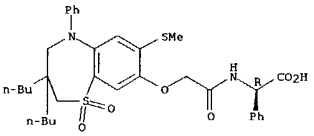


RN 358376-02-0 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 439086-76-7 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

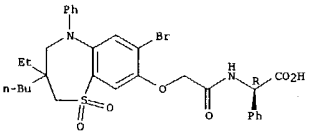
Absolute stereochemistry.



RN 439086-97-2 CAPLUS
CN Benzeneacetic acid, α-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

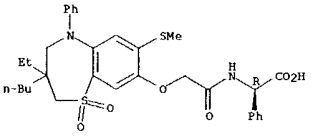
Absolute stereochemistry.

L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



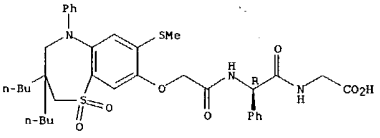
RN 439087-13-5 CAPLUS
CN Benzeneacetic acid, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-18-0 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

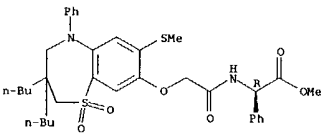
Absolute stereochemistry.



RN 439088-19-4 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

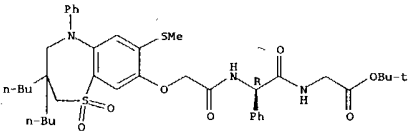
Absolute stereochemistry.

L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



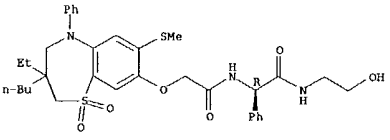
RN 439088-54-7 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 501098-36-8 CAPLUS
CN Benzeneacetamide, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-(2-hydroxyethyl)-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 501098-65-3 CAPLUS
CN Carbamic acid, [[3-[[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]methyl]phenyl]iminomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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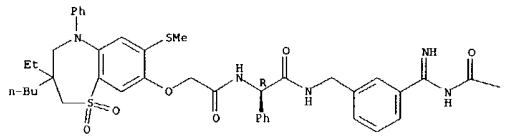

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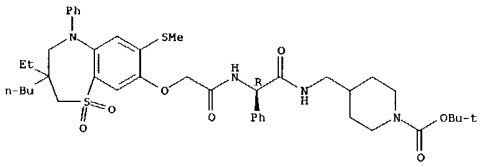
RN 501098-73-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **439088-52-5P 501098-38-0P 501098-40-4P**
501098-41-5P 501098-42-6P 501098-43-7P
501098-44-8P 501098-45-9P 501098-46-0P
501098-47-1P 501098-48-2P 501098-49-3P
501098-50-6P 501098-51-7P 501098-52-8P
501098-53-9P 501098-54-0P 501098-56-2P
501098-57-3P 501098-58-4P 501098-59-5P
501098-60-8P 501098-61-9P 501098-62-0P

L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CM 2

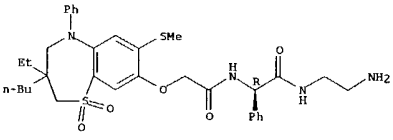
CRN 71-50-1
CMF C2 H3 O2

RN 501098-40-4 CAPLUS
CN Benzeneacetamide, N-(2-aminoethyl)-α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 501098-39-1
CMF C34 H44 N4 O5 S2

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2

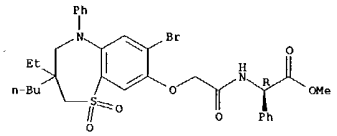
RN 501098-41-5 CAPLUS
CN Glycinamide, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
501098-63-1P 501098-64-2P 501098-66-4P
501098-67-5P 501098-68-6P 501098-69-7P
501098-70-0P 501098-71-1P 501098-72-2P
501098-74-4P 501098-76-6P 501098-77-7P
501098-78-8P 501098-79-9P 501098-81-3P
501098-83-5P 501098-84-6P 501098-85-7P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of benzothiazepine derivs. used as ileal bile acid transport inhibitors for treatment of hyperlipidemia)

RN 439088-52-5 CAPLUS
CN Benzeneacetic acid, α-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

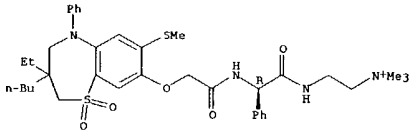


RN 501098-38-0 CAPLUS
CN Ethanaminium, 2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]-N,N,N-trimethyl-, acetate (9CI) (CA INDEX NAME)

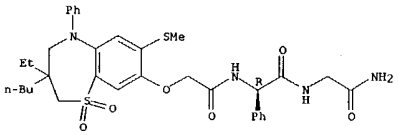
CM 1

CRN 501098-37-9
CMF C37 H51 N4 O5 S2

Absolute stereochemistry.

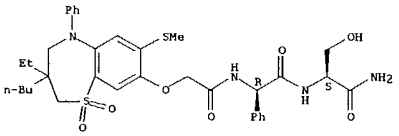


L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



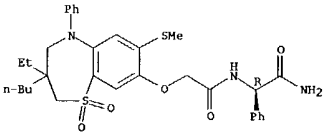
RN 501098-42-6 CAPLUS
CN L-Serinamide, [[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-(2R)-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 501098-43-7 CAPLUS
CN Benzeneacetamide, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

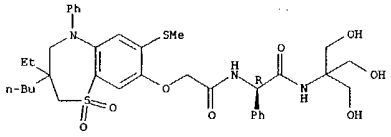
Absolute stereochemistry.



RN 501098-44-8 CAPLUS
CN Benzeneacetamide, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-(2-hydroxy-1,1-bis(hydroxymethyl)ethyl]-, (αR)- (9CI) (CA INDEX NAME)

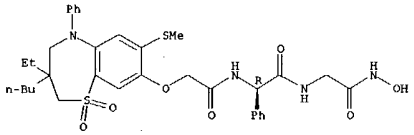
Absolute stereochemistry.

L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



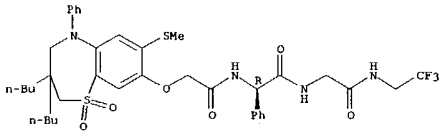
RN 501098-45-9 CAPLUS
CN Glycinamide, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-N-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



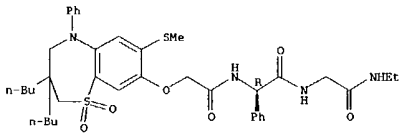
RN 501098-46-0 CAPLUS
CN Glycinamide, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 501098-47-1 CAPLUS
CN D-Glucitol, 1-deoxy-1-[[(2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycylglycyl]amino]- (9CI) (CA INDEX NAME)

L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 501098-50-6 CAPLUS
CN Glycinamide, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-N-[(4-hydroxy-3-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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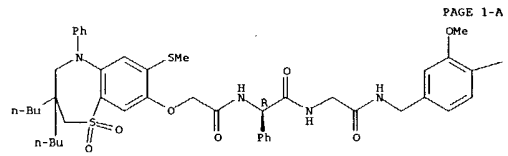

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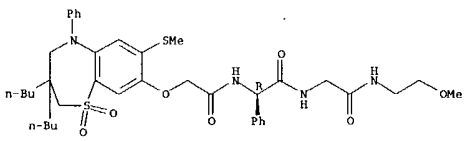
RN 501098-51-7 CAPLUS
CN Glycinamide, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.

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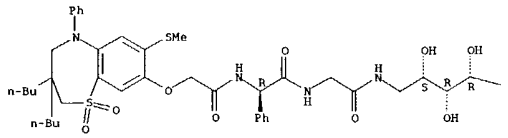

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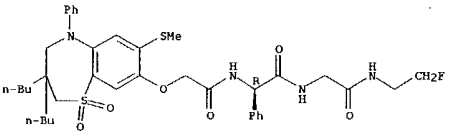
RN 501098-48-2 CAPLUS
CN Glycinamide, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-N-(2-fluoroethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 501098-49-3 CAPLUS
CN Glycinamide, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 501098-52-8 CAPLUS
CN Glycinamide, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-N-[2-[4-(aminosulfonyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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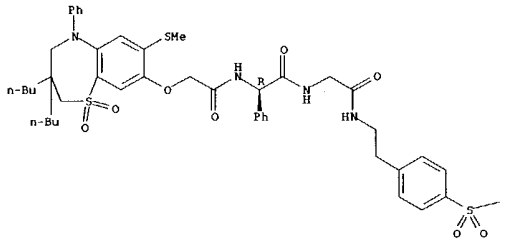

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RN 501098-53-9 CAPLUS
CN Glycinamide, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-N-[2-[[(dimethylamino)sulfonyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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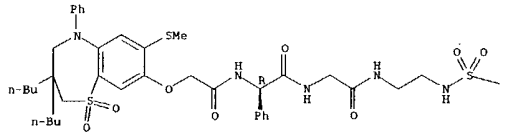

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RN 501098-54-0 CAPLUS
CN Glycinamide, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-N-[2-[[(2-pyrimidinylamino)carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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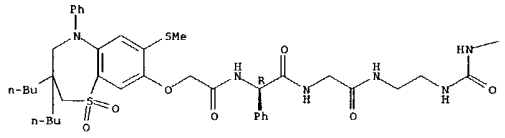

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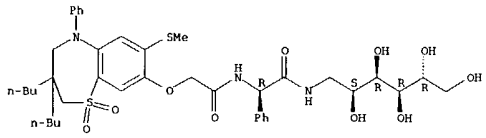
L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

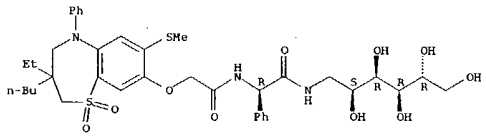
RN 501098-56-2 CAPLUS
CN D-Glucitol, 1-deoxy-1-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 501098-57-3 CAPLUS
CN D-Glucitol, 1-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]-1-deoxy- (9CI) (CA INDEX NAME)

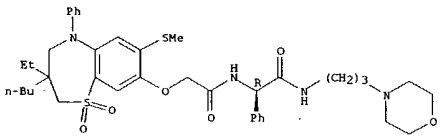
Absolute stereochemistry.



RN 501098-58-4 CAPLUS
CN Benzeneacetamide, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-[3-(4-morpholinyl)propyl]-, (αR)- (9CI) (CA INDEX NAME)

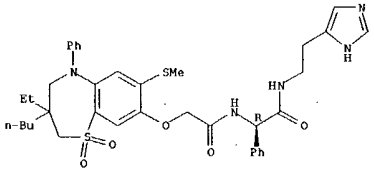
Absolute stereochemistry.

L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



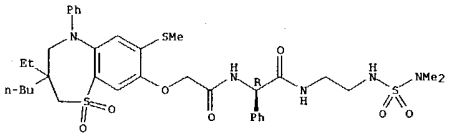
RN 501098-59-5 CAPLUS
CN Benzeneacetamide, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-[2-(1H-imidazol-4-yl)ethyl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 501098-60-8 CAPLUS
CN Benzeneacetamide, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-[2-[[(dimethylamino)sulfonyl]amino]ethyl]-, (αR)- (9CI) (CA INDEX NAME)

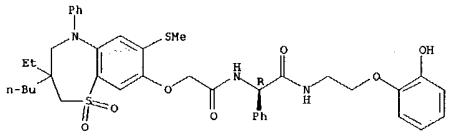
Absolute stereochemistry.



RN 501098-61-9 CAPLUS
CN Benzeneacetamide, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-[2-(2-hydroxyphenoxy)ethyl]-, (αR)- (9CI)

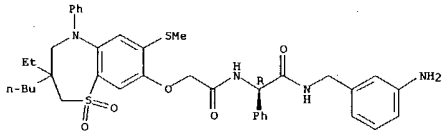
L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(CA INDEX NAME)

Absolute stereochemistry.



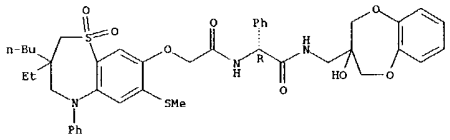
RN 501098-62-0 CAPLUS
CN Benzeneacetamide, N-[(3-aminophenyl)methyl]-α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 501098-63-1 CAPLUS
CN Benzeneacetamide, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-[(3,4-dihydro-3-hydroxy-2H-1,5-benzodioxepin-3-yl)methyl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

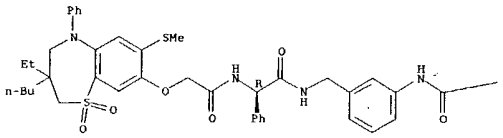


RN 501098-64-2 CAPLUS
CN Carbamic acid, [3-[[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]methyl]phenyl]-, 1,1-dimethylethyl

L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

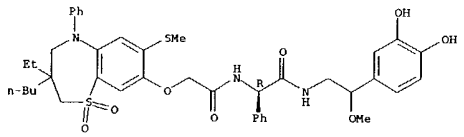


PAGE 1-B

—OBu-t

RN 501098-66-4 CAPLUS
CN Benzeneacetamide, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-[2-(3,4-dihydroxyphenyl)-2-methoxyethyl]-, (αR)- (9CI) (CA INDEX NAME)

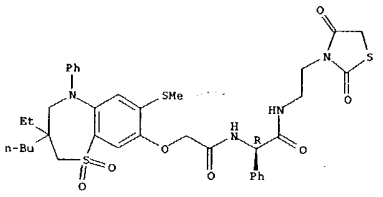
Absolute stereochemistry.



RN 501098-67-5 CAPLUS
CN Benzeneacetamide, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-(2,3-dihydroxypropyl)-, (αR)- (9CI) (CA INDEX NAME)

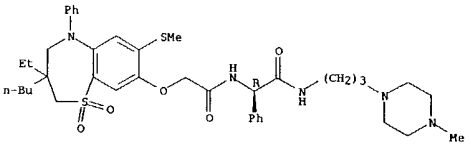
Absolute stereochemistry.

L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 501098-70-0 CAPLUS
CN Benzeneacetamide, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-[3-(4-methyl-1-piperazinyl)propyl]-, (αR)- (9CI) (CA INDEX NAME)

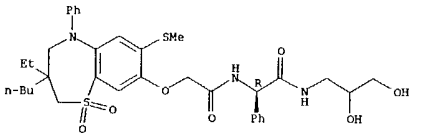
Absolute stereochemistry.



RN 501098-71-1 CAPLUS
CN Benzeneacetamide, N-[2-[4-(aminosulfonyl)phenyl]ethyl]-α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

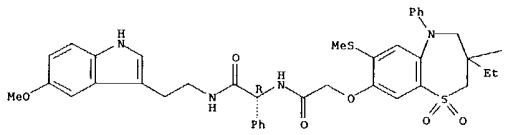
L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 501098-68-6 CAPLUS
CN Benzeneacetamide, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-[2-(5-methoxy-1H-indol-3-yl)ethyl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



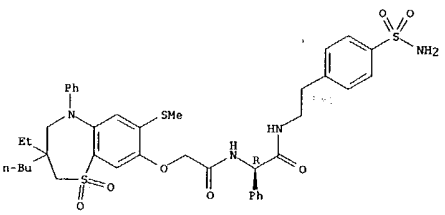
PAGE 1-B

—Bu-n

RN 501098-69-7 CAPLUS
CN Benzeneacetamide, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-[2-(2,4-dioxo-3-thiazolidinyl)ethyl]-, (αR)- (9CI) (CA INDEX NAME)

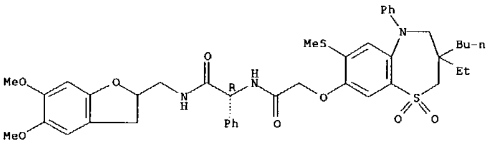
Absolute stereochemistry.

L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



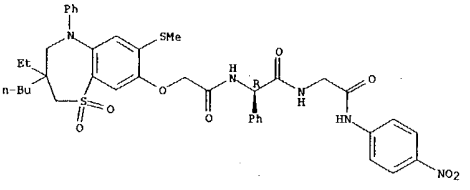
RN 501098-72-2 CAPLUS
CN Benzeneacetamide, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-[(2,3-dihydro-5,6-dimethoxy-2-benzofuranyl)methyl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 501098-74-4 CAPLUS
CN Glycinamide, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-N-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

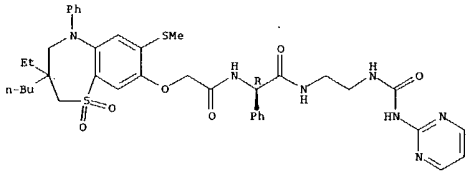
Absolute stereochemistry.



L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

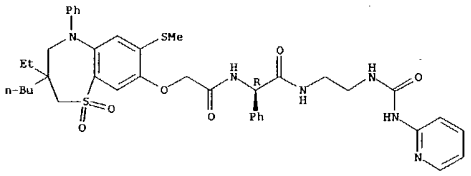
RN 501098-76-6 CAPLUS
CN Benzeneacetamide, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-[2-[[(2-pyrimidinylamino)carbonyl]amino]ethyl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



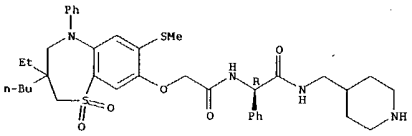
RN 501098-77-7 CAPLUS
CN Benzeneacetamide, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-[2-[[(2-pyridinylamino)carbonyl]amino]ethyl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 501098-78-8 CAPLUS
CN Benzeneacetamide, N-[2-[4-(aminocarbonyl)phenoxy]ethyl]-α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

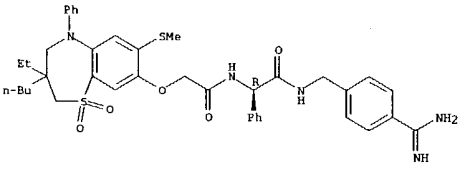


RN 501098-83-5 CAPLUS
CN Benzeneacetamide, N-[[4-(aminoiminomethyl)phenyl]methyl]-α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

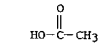
CRN 501098-82-4
CMF C40 H47 N5 O5 S2

Absolute stereochemistry.



CM 2

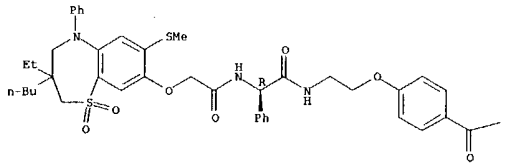
CRN 64-19-7
CMF C2 H4 O2



RN 501098-84-6 CAPLUS
CN D-Glucitol, 1-deoxy-1-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

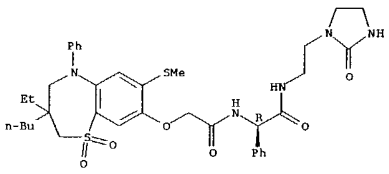
PAGE 1-A



PAGE 1-B

RN 501098-79-9 CAPLUS
CN Benzeneacetamide, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-[2-(2-oxo-1-imidazolidinyl)ethyl]-, (αR)- (9CI) (CA INDEX NAME)

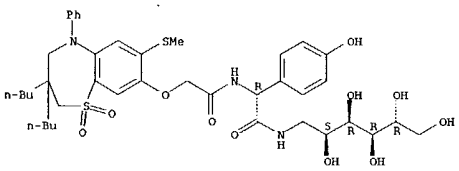
Absolute stereochemistry.



RN 501098-81-3 CAPLUS
CN Benzeneacetamide, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-(4-piperidinylmethyl)-, (αR)- (9CI) (CA

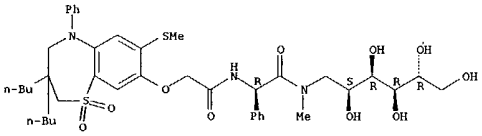
L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



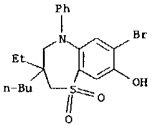
RN 501098-85-7 CAPLUS
CN D-Glucitol, 1-deoxy-1-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]methylamino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



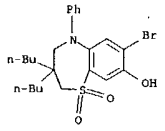
IT **179410-97-0 358376-04-2 439086-77-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzothiazepine derivs. used as ileal bile acid transport inhibitors for treatment of hyperlipidemia)

RN 179410-97-0 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



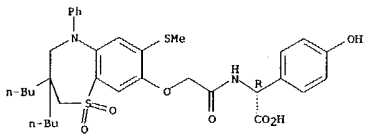
RN 358376-04-2 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

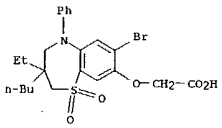


RN 439086-77-8 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-4-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

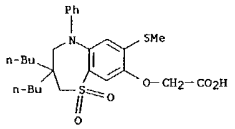


IT **358375-53-8P 439088-13-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzothiazepine derivs. used as ileal bile acid transport inhibitors for treatment of hyperlipidemia)
RN 358375-53-8 CAPLUS
CN Acetic acid, [(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]- (9CI) (CA INDEX NAME)



RN 439088-13-8 CAPLUS
CN Acetic acid, [[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-

L60 ANSWER 12 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
phenyl-1,5-benzothiazepin-8-yl]oxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 13 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:556104 CAPLUS
DOCUMENT NUMBER: 137:109489
TITLE: Compositions comprising a polypeptide and an active agent
INVENTOR(S): Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randal J.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 34 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2002099013	A1	20020725	US 2001-933708		20010822
US 2004087483	A1	20040506	US 2002-136433		20020502
PRIORITY APPLN. INFO.:			US 2000-247556P	P	20001114
			US 2000-247558P	P	20001114
			US 2000-247559P	P	20001114
			US 2000-247560P	P	20001114
			US 2000-247561P	P	20001114
			US 2000-247594P	P	20001114
			US 2000-247595P	P	20001114
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			US 2000-247610P	P	20001114
			US 2000-247611P	P	20001114
			US 2000-247612P	P	20001114
			US 2000-247620P	P	20001114
			US 2000-247621P	P	20001114
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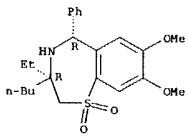
			US 2000-247927P	P	20001114
			US 2000-247928P	P	20001114
			US 2000-247929P	P	20001114
			US 2000-247930P	P	20001114
			US 2000-642820	A2	20000822

L60 ANSWER 13 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
US 2000-248607P P 20001116
US 2001-933708 A2 20010822

AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the composition to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepared from Glu(OBut)NCA and cephalexin hydrochloride.

IT **178961-24-5**, 264W94
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. comprising a polypeptide and an active agent)
RN 178961-24-5 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:521715 CAPLUS
DOCUMENT NUMBER: 137:93777
TITLE: Preparation of benzothiazepine derivatives with activity of bringing about high blood GLP-1 concentration
INVENTOR(S): Nagase, Toshio; Sato, Yoshiyuki; Eiki, Junichi
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 190 pp.
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LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
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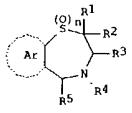
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-397400 A 20001227
OTHER SOURCE(S): MARPAT 137:93777
GI



I

AB Compds. represented by the general formula (I) [wherein R1, R2 = H, C1-3 alkyl; R3 = H, C1-6 alkyl (except n-butyl); R4 = H, HO, C1-3 alkyl; R5, Ar = (un)substituted linear or branched, saturated or unsatd. C1-9 aliphatic group, (un)substituted aromatic carbocyclyl, C7-15 mono, di or tricyclic aromatic carbocyclyl, 5- to 6-membered heterocyclyl, mono, di, or tricyclic heterocyclyl containing 1-5 heteroatoms selected from N, O, and S for each ring; n = an integer of 0 to 2] were prepared These compds. exhibit an activity of bringing about a high blood glucagon-like peptide (GLP-1) concentration which in turn increases the secretion of insulin by acting on β cells of insula Langerhansi and thereby lower blood glucose level and are therefore useful as diabetes remedies, preventives for chronic complications of diabetes, antiobesity agents, and so on. Thus, 0.3 mL 2-isopropylaziridine (prepn. given) was added dropwise to suspension of 300 mg phenyl(2-mercaptophenyl)methanone (prepn. given) in 3.0 mL 2,6-lutidine at room temp. and stirred at room temp. for 3 h, followed by adding 1.0 mL concd. H2SO4, and the resulting mixt. was boiled for 3 h and vacuum-distd. to give 68% 3-isopropyl-5-phenyl-2,3-dihydro-1,4-benzothiazepine (II). To a soln. of 180 mg II in 3.0 mL CF3CO2H was added 1.0 mL 30% aq. H2O2 at .apprx.0°, and stirred at room temp. overnight, treated with 10% aq. sodium thiosulfate and satd. aq. NaHCO3, and extd. twice with EtOAc twice. The ext. was washed with satd. aq. NaCl, dried, concd. under reduced pressure, and mixed with 3.0 mL 4 N HCl/1,4-dioxane, followed by gradually adding 200 mg Zn powder, and the resulting mixt. was stirred at room temp. overnight to give, after work-up and silica gel chromatog., the diastereomer a and b of 3-isopropyl-5-phenyl-2,3,4,5-tetrahydro-1H-1λ6,4-benothiazepine-1,1-dione (III) in 18 and 31% yield, resp. III at 10 mg/kg p.o. significantly increased the blood GLP-1 concn. in male Wister rats. A capsule, a granule, and a tablet formulation contg. III were described.

IT **3358-17-6P 441012-64-2P 441012-65-3P**
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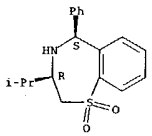
441014-58-0P 441014-59-1P 441014-60-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzothiazepine derivs. with activity of bringing about high blood GLP-1 concn. as diabetes remedies, preventives for chronic complications of diabetes, and antiobesity agents)

RN 3358-17-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-phenyl- (7CI, 8CI, 9CI) (CA INDEX NAME)

RN 441012-64-2 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

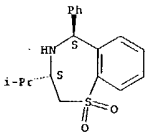
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

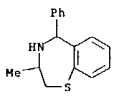


RN 441012-65-3 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

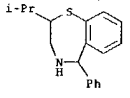
Relative stereochemistry.



RN 441012-66-4 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-methyl-5-phenyl- (9CI) (CA INDEX NAME)

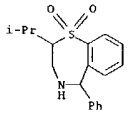


RN 441012-67-5 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-2-(1-methylethyl)-5-phenyl- (9CI) (CA INDEX NAME)

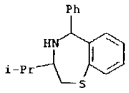


RN 441012-68-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-2-(1-methylethyl)-5-phenyl-,

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
1,1-dioxide (9CI) (CA INDEX NAME)

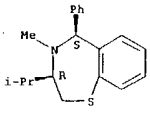


RN 441012-69-7 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-phenyl- (9CI) (CA INDEX NAME)



RN 441012-70-0 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-4-methyl-3-(1-methylethyl)-5-phenyl-, (3R,5S)-rel- (9CI) (CA INDEX NAME)

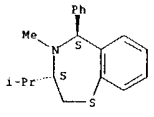
Relative stereochemistry.



RN 441012-71-1 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-4-methyl-3-(1-methylethyl)-5-phenyl-, (3R,5R)-rel- (9CI) (CA INDEX NAME)

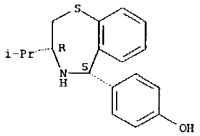
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



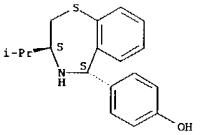
RN 441012-72-2 CAPLUS
CN Phenol, 4-[(3R,5S)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,4-benzothiazepin-5-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



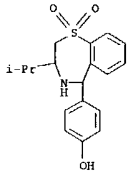
RN 441012-73-3 CAPLUS
CN Phenol, 4-[(3R,5R)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,4-benzothiazepin-5-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

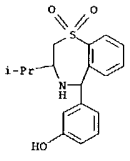


RN 441012-74-4 CAPLUS
CN Phenol, 4-[2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]- (9CI) (CA INDEX NAME)

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

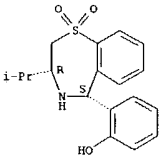


RN 441012-75-5 CAPLUS
CN Phenol, 3-[2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]- (9CI) (CA INDEX NAME)



RN 441012-76-6 CAPLUS
CN Phenol, 2-[(3R,5S)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]-, rel- (9CI) (CA INDEX NAME)

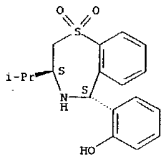
Relative stereochemistry.



RN 441012-77-7 CAPLUS
CN Phenol, 2-[(3R,5R)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]-, rel- (9CI) (CA INDEX NAME)

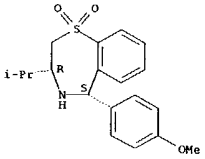
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



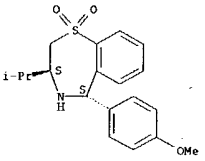
RN 441012-78-8 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-(4-methoxyphenyl)-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



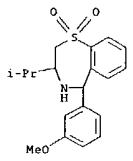
RN 441012-79-9 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-(4-methoxyphenyl)-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



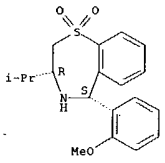
RN 441012-80-2 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-(3-methoxyphenyl)-3-(1-methylethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



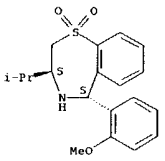
RN 441012-81-3 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-(2-methoxyphenyl)-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441012-82-4 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-(2-methoxyphenyl)-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

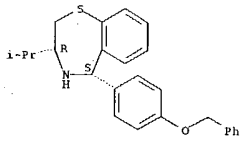
Relative stereochemistry.



RN 441012-83-5 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-[4-(phenylmethoxy)phenyl]-, (3R,5S)-rel- (9CI) (CA INDEX NAME)

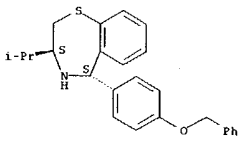
L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Relative stereochemistry.

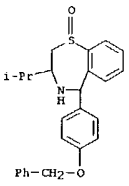


RN 441012-84-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-[4-(phenylmethoxy)phenyl]-, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

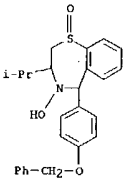


RN 441012-85-7 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-[4-(phenylmethoxy)phenyl]-, 1-oxide (9CI) (CA INDEX NAME)

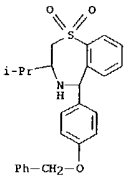


RN 441012-86-8 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-4-hydroxy-3-(1-methylethyl)-5-[4-

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(phenylmethoxy)phenyl]-, 1-oxide (9CI) (CA INDEX NAME)

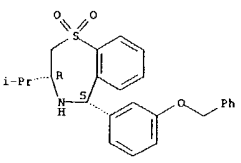


RN 441012-87-9 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-[4-(phenylmethoxy)phenyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 441012-88-0 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-[3-(phenylmethoxy)phenyl]-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

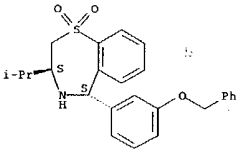
Relative stereochemistry.



RN 441012-89-1 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-[3-

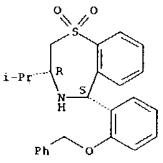
L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(phenylmethoxy)phenyl]-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



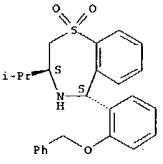
RN 441012-90-4 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-[2-(phenylmethoxy)phenyl]-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



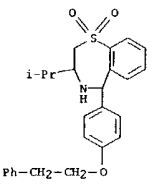
RN 441012-91-5 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-[2-(phenylmethoxy)phenyl]-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

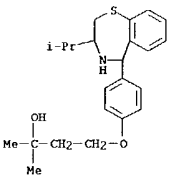


RN 441012-92-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-[4-(2-phenylethoxy)phenyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

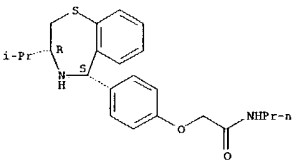


RN 441012-93-7 CAPLUS
CN 2-Butanol, 2-methyl-4-[4-[2,3,4,5-tetrahydro-3-(1-methylethyl)-1,4-benzothiazepin-5-yl]phenoxy]- (9CI) (CA INDEX NAME)

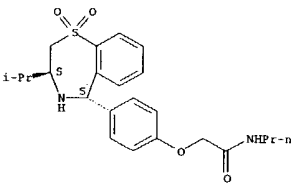


RN 441012-94-8 CAPLUS
CN Acetamide, N-propyl-2-[4-[(3R,5S)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,4-benzothiazepin-5-yl]phenoxy]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

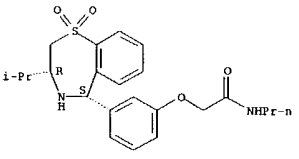


L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



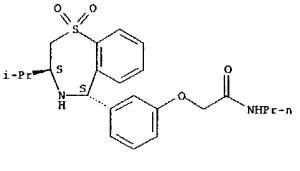
RN 441012-98-2 CAPLUS
CN Acetamide, N-propyl-2-[3-[(3R,5S)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]phenoxy]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441012-99-3 CAPLUS
CN Acetamide, N-propyl-2-[3-[(3R,5R)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]phenoxy]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

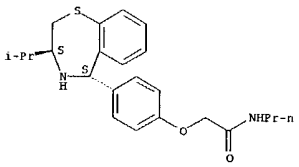


RN 441013-00-9 CAPLUS
CN Acetamide, N-propyl-2-[2-[(3R,5S)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]phenoxy]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

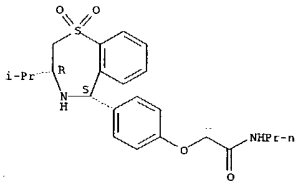
L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 441012-95-9 CAPLUS
CN Acetamide, N-propyl-2-[4-[(3R,5R)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,4-benzothiazepin-5-yl]phenoxy]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441012-96-0 CAPLUS
CN Acetamide, N-propyl-2-[4-[(3R,5S)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]phenoxy]-, rel- (9CI) (CA INDEX NAME)

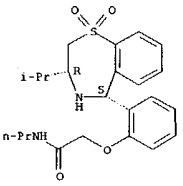
Relative stereochemistry.



RN 441012-97-1 CAPLUS
CN Acetamide, N-propyl-2-[4-[(3R,5R)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]phenoxy]-, rel- (9CI) (CA INDEX NAME)

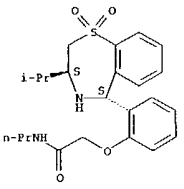
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



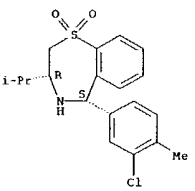
RN 441013-01-0 CAPLUS
CN Acetamide, N-propyl-2-[2-[(3R,5R)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]phenoxy]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441013-02-1 CAPLUS
CN 1,4-Benzothiazepine, 5-(3-chloro-4-methylphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

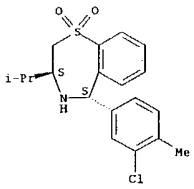
Relative stereochemistry.



RN 441013-03-2 CAPLUS

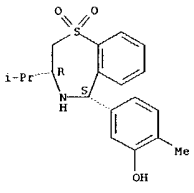
L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1,4-Benzothiazepine, 5-(3-chloro-4-methylphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441013-04-3 CAPLUS
CN Phenol, 2-methyl-5-[(3R,5S)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]-, rel- (9CI) (CA INDEX NAME)

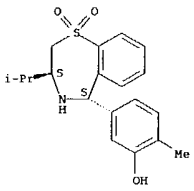
Relative stereochemistry.



RN 441013-05-4 CAPLUS
CN Phenol, 2-methyl-5-[(3R,5R)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]-, rel- (9CI) (CA INDEX NAME)

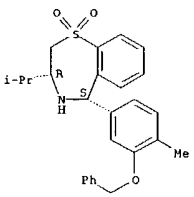
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 441013-06-5 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-[4-methyl-3-(phenylmethoxy)phenyl]-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

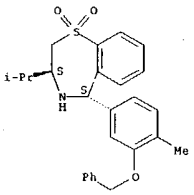
Relative stereochemistry.



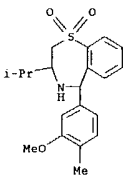
RN 441013-07-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-[4-methyl-3-(phenylmethoxy)phenyl]-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

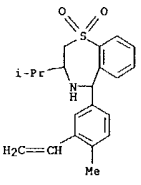
L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 441013-08-7 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-(3-methoxy-4-methylphenyl)-3-(1-methylethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

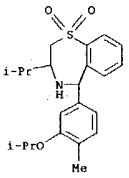


RN 441013-09-8 CAPLUS
CN 1,4-Benzothiazepine, 5-(3-ethenyl-4-methylphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



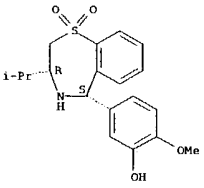
RN 441013-10-1 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-[4-methyl-3-(1-methylethoxy)phenyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



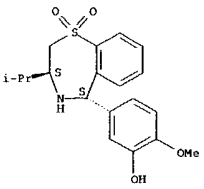
RN 441013-11-2 CAPLUS
CN Phenol, 2-methoxy-5-[(3R,5S)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441013-12-3 CAPLUS
CN Phenol, 2-methoxy-5-[(3R,5R)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]-, rel- (9CI) (CA INDEX NAME)

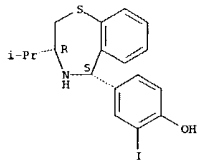
Relative stereochemistry.



RN 441013-13-4 CAPLUS
CN Phenol, 2-iodo-4-[(3R,5S)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,4-

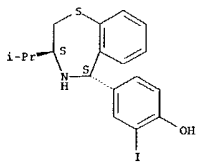
L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
benzothiazepin-5-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

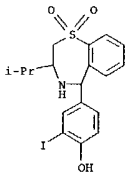


RN 441013-14-5 CAPLUS
CN Phenol, 2-iodo-4-[(3R,5R)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,4-benzothiazepin-5-yl]-, rel- (9CI) (CA INDEX NAME)

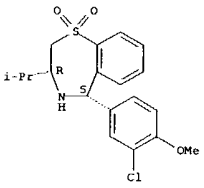
Relative stereochemistry.



RN 441013-15-6 CAPLUS
CN Phenol, 2-iodo-4-[2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]- (9CI) (CA INDEX NAME)

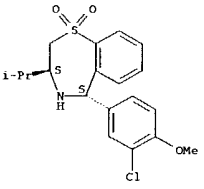


L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



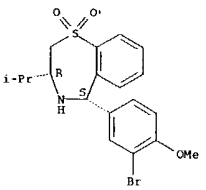
RN 441013-19-0 CAPLUS
CN 1,4-Benzothiazepine, 5-(3-chloro-4-methoxyphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



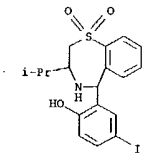
RN 441013-20-3 CAPLUS
CN 1,4-Benzothiazepine, 5-(3-bromo-4-methoxyphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

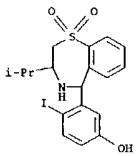


RN 441013-21-4 CAPLUS

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 441013-16-7 CAPLUS
CN Phenol, 4-iodo-2-[2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]- (9CI) (CA INDEX NAME)



RN 441013-17-8 CAPLUS
CN Phenol, 4-iodo-3-[2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]- (9CI) (CA INDEX NAME)

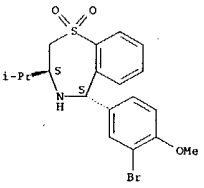


RN 441013-18-9 CAPLUS
CN 1,4-Benzothiazepine, 5-(3-chloro-4-methoxyphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

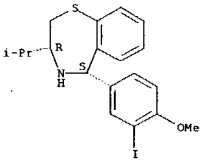
L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1,4-Benzothiazepine, 5-(3-bromo-4-methoxyphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



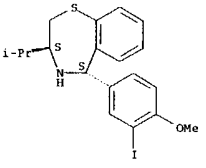
RN 441013-22-5 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-(3-iodo-4-methoxyphenyl)-3-(1-methylethyl)-, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441013-23-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-(3-iodo-4-methoxyphenyl)-3-(1-methylethyl)-, (3R,5R)-rel- (9CI) (CA INDEX NAME)

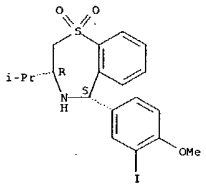
Relative stereochemistry.



RN 441013-24-7 CAPLUS

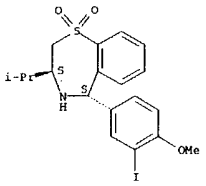
L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-(3-iodo-4-methoxyphenyl)-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441013-25-8 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-(3-iodo-4-methoxyphenyl)-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

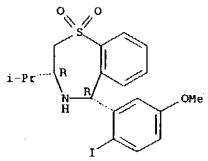
Relative stereochemistry.



RN 441013-26-9 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-(2-iodo-5-methoxyphenyl)-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

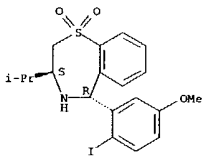
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



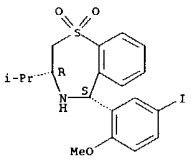
RN 441013-27-0 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-(2-iodo-5-methoxyphenyl)-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441013-28-1 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-(5-iodo-2-methoxyphenyl)-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

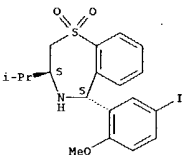
Relative stereochemistry.



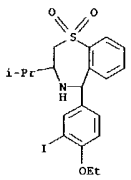
RN 441013-29-2 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-(5-iodo-2-methoxyphenyl)-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

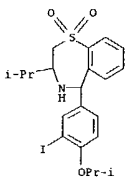
Relative stereochemistry.



RN 441013-30-5 CAPLUS
CN 1,4-Benzothiazepine, 5-(4-ethoxy-3-iodophenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

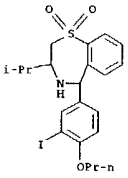


RN 441013-31-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-[3-iodo-4-(1-methylethoxy)phenyl]-3-(1-methylethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

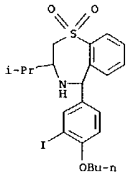


RN 441013-32-7 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-(3-iodo-4-propoxyphenyl)-3-(1-methylethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

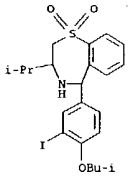
L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 441013-33-8 CAPLUS
CN 1,4-Benzothiazepine, 5-(4-butoxy-3-iodophenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

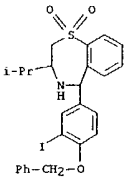


RN 441013-34-9 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-[3-iodo-4-(2-methylpropoxy)phenyl]-3-(1-methylethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

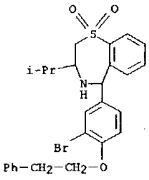


RN 441013-35-0 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-[3-iodo-4-(phenylmethoxy)phenyl]-3-(1-methylethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

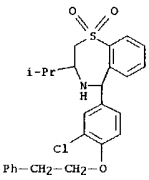
L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



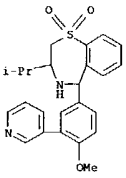
RN 441013-36-1 CAPLUS
CN 1,4-Benzothiazepine, 5-[3-bromo-4-(2-phenylethoxy)phenyl]-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



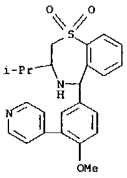
RN 441013-37-2 CAPLUS
CN 1,4-Benzothiazepine, 5-[3-chloro-4-(2-phenylethoxy)phenyl]-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



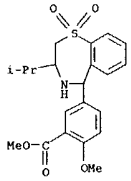
L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 441013-41-8 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-[4-methoxy-3-(4-pyridinyl)phenyl]-3-(1-methylethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

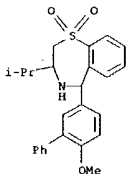


RN 441013-42-9 CAPLUS
CN Benzoic acid, 2-methoxy-5-[2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]-, methyl ester (9CI) (CA INDEX NAME)

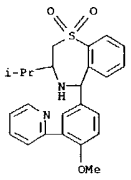


RN 441013-43-0 CAPLUS
CN 1H-Indole, 2,3-dihydro-1-[2-methoxy-5-[2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]benzoyl]- (9CI) (CA INDEX NAME)

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 441013-38-3 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-(6-methoxy[1,1'-biphenyl]-3-yl)-3-(1-methylethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

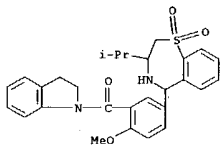


RN 441013-39-4 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-[4-methoxy-3-(2-pyridinyl)phenyl]-3-(1-methylethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

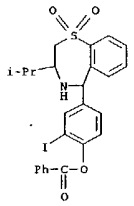


RN 441013-40-7 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-[4-methoxy-3-(3-pyridinyl)phenyl]-3-(1-methylethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

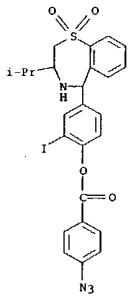


RN 441013-44-1 CAPLUS
CN Phenol, 2-iodo-4-[2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]-, benzoate (ester) (9CI) (CA INDEX NAME)



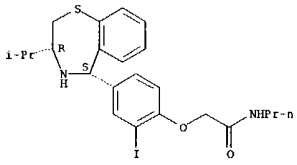
RN 441013-45-2 CAPLUS
CN Benzoic acid, 4-azido-, 2-iodo-4-[2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl ester (9CI) (CA INDEX NAME)

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 441013-46-3 CAPLUS
CN Acetamide, 2-[2-iodo-4-[(3R,5S)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,4-benzothiazepin-5-yl]phenoxy]-N-propyl-, rel- (9CI) (CA INDEX NAME)

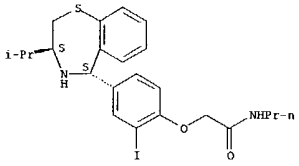
Relative stereochemistry.



RN 441013-47-4 CAPLUS
CN Acetamide, 2-[2-iodo-4-[(3R,5R)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,4-benzothiazepin-5-yl]phenoxy]-N-propyl-, rel- (9CI) (CA INDEX NAME)

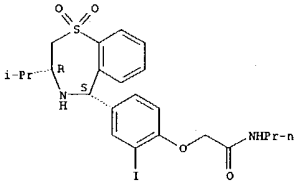
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 441013-48-5 CAPLUS
CN Acetamide, 2-[2-iodo-4-[(3R,5S)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]phenoxy]-N-propyl-, rel- (9CI) (CA INDEX NAME)

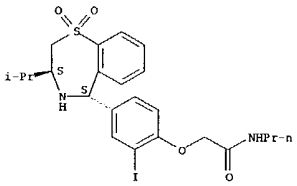
Relative stereochemistry.



RN 441013-49-6 CAPLUS
CN Acetamide, 2-[2-iodo-4-[(3R,5R)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]phenoxy]-N-propyl-, rel- (9CI) (CA INDEX NAME)

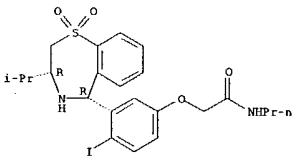
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



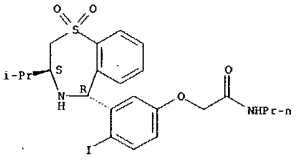
RN 441013-50-9 CAPLUS
CN Acetamide, 2-[4-iodo-3-[(3R,5R)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]phenoxy]-N-propyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



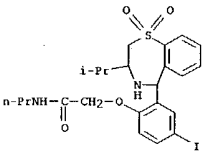
RN 441013-51-0 CAPLUS
CN Acetamide, 2-[4-iodo-3-[(3R,5S)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]phenoxy]-N-propyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



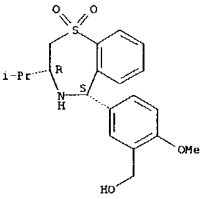
RN 441013-52-1 CAPLUS
CN Acetamide, 2-[4-iodo-2-[2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]phenoxy]-N-propyl- (9CI) (CA INDEX NAME)

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



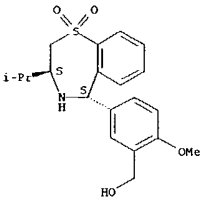
RN 441013-53-2 CAPLUS
CN Benzenemethanol, 2-methoxy-5-[(3R,5S)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



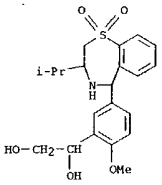
RN 441013-54-3 CAPLUS
CN Benzenemethanol, 2-methoxy-5-[(3R,5R)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

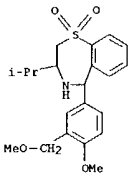


L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 441013-55-4 CAPLUS
CN 1,2-Ethanediol, 1-[2-methoxy-5-[2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

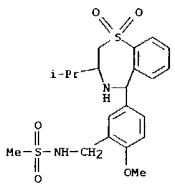


RN 441013-56-5 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-[4-methoxy-3-(methoxymethyl)phenyl]-3-(1-methylethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

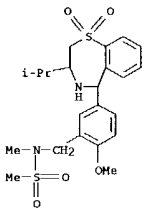


RN 441013-57-6 CAPLUS
CN Methanesulfonamide, N-[[2-methoxy-5-[2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

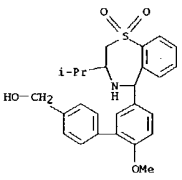


RN 441013-58-7 CAPLUS
CN Methanesulfonamide, N-[[2-methoxy-5-[2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

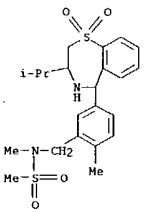


RN 441013-59-8 CAPLUS
CN [1,1'-Biphenyl]-4-methanol, 2'-methoxy-5'-[2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]- (9CI) (CA INDEX NAME)

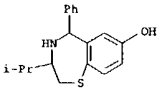
L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 441013-60-1 CAPLUS
CN Methanesulfonamide, N-methyl-N-[[2-methyl-5-[2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]methyl]- (9CI) (CA INDEX NAME)



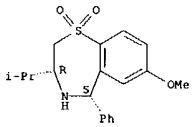
RN 441013-61-2 CAPLUS
CN 1,4-Benzothiazepin-7-ol, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-phenyl- (9CI) (CA INDEX NAME)



RN 441013-62-3 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7-methoxy-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

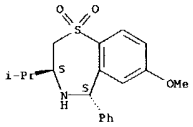
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

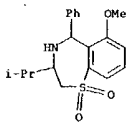


RN 441013-63-4 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7-methoxy-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



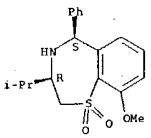
RN 441013-64-5 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-6-methoxy-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 441013-65-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-9-methoxy-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

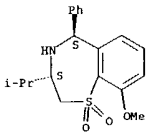
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



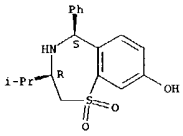
RN 441013-66-7 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-9-methoxy-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441013-67-8 CAPLUS
CN 1,4-Benzothiazepin-8-ol, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

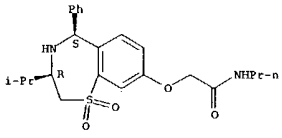
Relative stereochemistry.



RN 441013-68-9 CAPLUS
CN 1,4-Benzothiazepin-8-ol, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

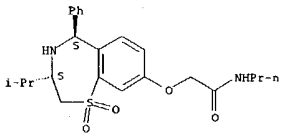
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



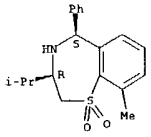
RN 441013-72-5 CAPLUS
CN Acetamide, N-propyl-2-[[(3R,5R)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl]oxy]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441013-73-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-9-methyl-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

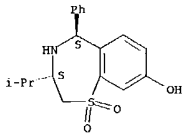
Relative stereochemistry.



RN 441013-74-7 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-9-methyl-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

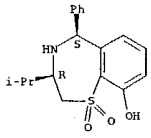
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



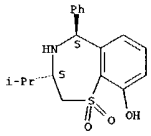
RN 441013-69-0 CAPLUS
CN 1,4-Benzothiazepin-9-ol, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441013-70-3 CAPLUS
CN 1,4-Benzothiazepin-9-ol, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

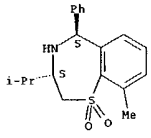
Relative stereochemistry.



RN 441013-71-4 CAPLUS
CN Acetamide, N-propyl-2-[[(3R,5S)-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl]oxy]-, rel- (9CI) (CA INDEX NAME)

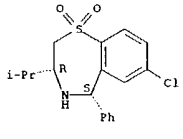
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



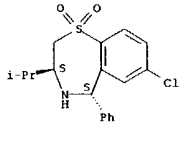
RN 441013-75-8 CAPLUS
CN 1,4-Benzothiazepine, 7-chloro-2,3,4,5-tetrahydro-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441013-76-9 CAPLUS
CN 1,4-Benzothiazepine, 7-chloro-2,3,4,5-tetrahydro-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

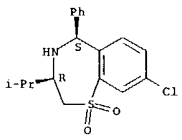
Relative stereochemistry.



RN 441013-77-0 CAPLUS
CN 1,4-Benzothiazepine, 8-chloro-2,3,4,5-tetrahydro-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

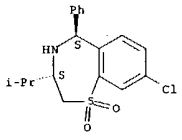
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



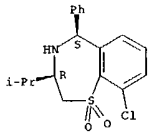
RN 441013-78-1 CAPLUS
CN 1,4-Benzothiazepine, 8-chloro-2,3,4,5-tetrahydro-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441013-79-2 CAPLUS
CN 1,4-Benzothiazepine, 9-chloro-2,3,4,5-tetrahydro-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

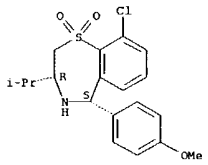
Relative stereochemistry.



RN 441013-80-5 CAPLUS
CN 1,4-Benzothiazepine, 9-chloro-2,3,4,5-tetrahydro-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

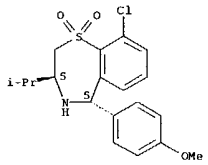
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

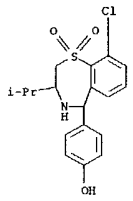


RN 441013-84-9 CAPLUS
CN 1,4-Benzothiazepine, 9-chloro-2,3,4,5-tetrahydro-5-(4-methoxyphenyl)-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

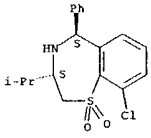


RN 441013-85-0 CAPLUS
CN Phenol, 4-[9-chloro-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]- (9CI) (CA INDEX NAME)



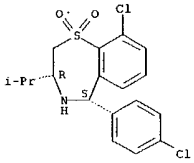
RN 441013-86-1 CAPLUS
CN 1,4-Benzothiazepine, 9-chloro-5-(3-chloro-4-methylphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



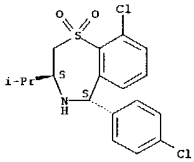
RN 441013-81-6 CAPLUS
CN 1,4-Benzothiazepine, 9-chloro-5-(4-chlorophenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441013-82-7 CAPLUS
CN 1,4-Benzothiazepine, 9-chloro-5-(4-chlorophenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

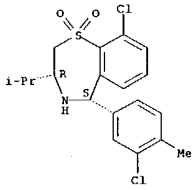
Relative stereochemistry.



RN 441013-83-8 CAPLUS
CN 1,4-Benzothiazepine, 9-chloro-2,3,4,5-tetrahydro-5-(4-methoxyphenyl)-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

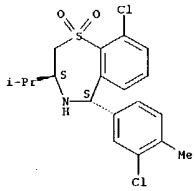
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Relative stereochemistry.



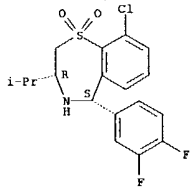
RN 441013-87-2 CAPLUS
CN 1,4-Benzothiazepine, 9-chloro-5-(3-chloro-4-methylphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441013-88-3 CAPLUS
CN 1,4-Benzothiazepine, 9-chloro-5-(3,4-difluorophenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

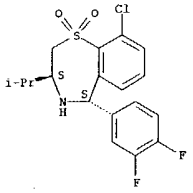
Relative stereochemistry.



L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

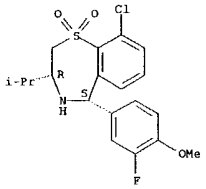
RN 441013-89-4 CAPLUS
CN 1,4-Benzothiazepine, 9-chloro-5-(3,4-difluorophenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441013-90-7 CAPLUS
CN 1,4-Benzothiazepine, 9-chloro-5-(3-fluoro-4-methoxyphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

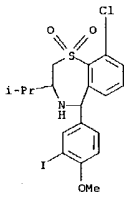
Relative stereochemistry.



RN 441013-91-8 CAPLUS
CN 1,4-Benzothiazepine, 9-chloro-5-(3-fluoro-4-methoxyphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

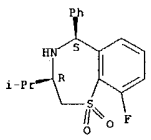
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



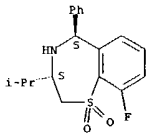
RN 441013-94-1 CAPLUS
CN 1,4-Benzothiazepine, 9-fluoro-2,3,4,5-tetrahydro-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



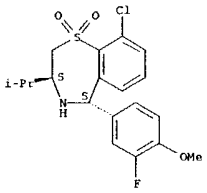
RN 441013-96-3 CAPLUS
CN 1,4-Benzothiazepine, 9-fluoro-2,3,4,5-tetrahydro-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

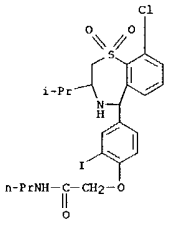


RN 441013-98-5 CAPLUS
CN 1,4-Benzothiazepine, 9-fluoro-2,3,4,5-tetrahydro-5-(4-methoxyphenyl)-3-(1-methylethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

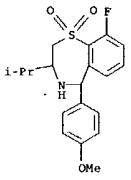


RN 441013-92-9 CAPLUS
CN Acetamide, 2-[4-[9-chloro-2,3,4,5-tetrahydro-3-(1-methylethyl)-1,1-dioxido-1,4-benzothiazepin-5-yl]-2-iodophenoxy]-N-propyl- (9CI) (CA INDEX NAME)

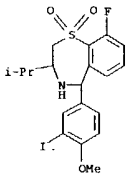


RN 441013-93-0 CAPLUS
CN 1,4-Benzothiazepine, 9-chloro-2,3,4,5-tetrahydro-5-(3-iodo-4-methoxyphenyl)-3-(1-methylethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

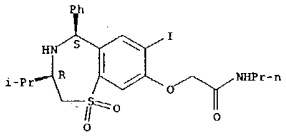


RN 441014-00-2 CAPLUS
CN 1,4-Benzothiazepine, 9-fluoro-2,3,4,5-tetrahydro-5-(3-iodo-4-methoxyphenyl)-3-(1-methylethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 441014-02-4 CAPLUS
CN Acetamide, N-propyl-2-[[(3R,5S)-2,3,4,5-tetrahydro-7-iodo-3-(1-methylethyl)-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl]oxy]-, rel- (9CI) (CA INDEX NAME)

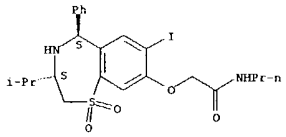
Relative stereochemistry.



RN 441014-04-6 CAPLUS
CN Acetamide, N-propyl-2-[[(3R,5R)-2,3,4,5-tetrahydro-7-iodo-3-(1-methylethyl)-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl]oxy]-, rel- (9CI) (CA INDEX NAME)

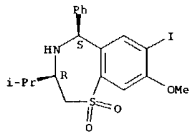
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



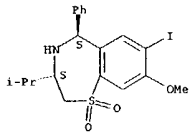
RN 441014-06-8 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7-iodo-8-methoxy-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



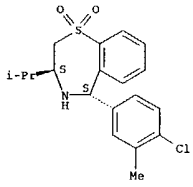
RN 441014-09-1 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7-iodo-8-methoxy-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

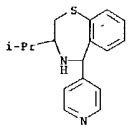


RN 441014-10-4 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-9-iodo-6-methoxy-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

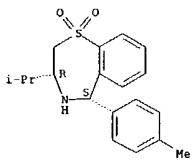


RN 441014-18-2 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-(4-pyridinyl)-(9CI) (CA INDEX NAME)



RN 441014-19-3 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-(4-methylphenyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

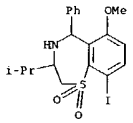
Relative stereochemistry.



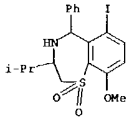
RN 441014-20-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-(4-methylphenyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

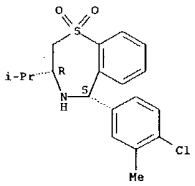


RN 441014-13-7 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-6-iodo-9-methoxy-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 441014-15-9 CAPLUS
CN 1,4-Benzothiazepine, 5-(4-chloro-3-methylphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

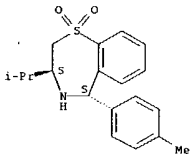
Relative stereochemistry.



RN 441014-17-1 CAPLUS
CN 1,4-Benzothiazepine, 5-(4-chloro-3-methylphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

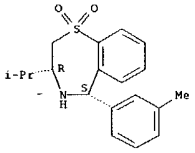
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



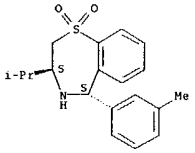
RN 441014-21-7 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-(3-methylphenyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441014-22-8 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-5-(3-methylphenyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

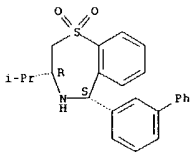
Relative stereochemistry.



RN 441014-23-9 CAPLUS
CN 1,4-Benzothiazepine, 5-[1,1'-biphenyl]-3-yl-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

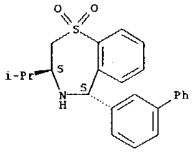
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



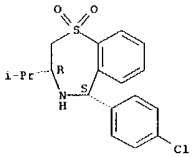
RN 441014-24-0 CAPLUS
CN 1,4-Benzothiazepine, 5-[1,1'-biphenyl]-3-yl-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441014-25-1 CAPLUS
CN 1,4-Benzothiazepine, 5-(4-chlorophenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

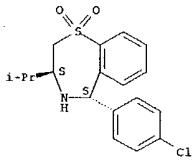
Relative stereochemistry.



RN 441014-26-2 CAPLUS
CN 1,4-Benzothiazepine, 5-(4-chlorophenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

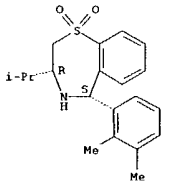
L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Relative stereochemistry.



RN 441014-27-3 CAPLUS
CN 1,4-Benzothiazepine, 5-(2,3-dimethylphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

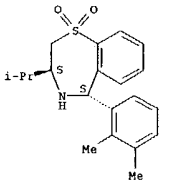
Relative stereochemistry.



RN 441014-28-4 CAPLUS
CN 1,4-Benzothiazepine, 5-(2,3-dimethylphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

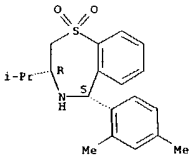
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



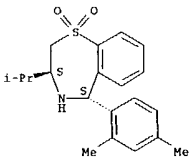
RN 441014-29-5 CAPLUS
CN 1,4-Benzothiazepine, 5-(2,4-dimethylphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441014-30-8 CAPLUS
CN 1,4-Benzothiazepine, 5-(2,4-dimethylphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

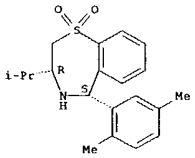
Relative stereochemistry.



RN 441014-31-9 CAPLUS
CN 1,4-Benzothiazepine, 5-(2,5-dimethylphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

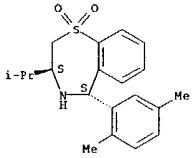
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



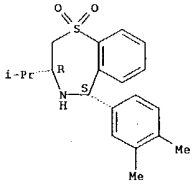
RN 441014-32-0 CAPLUS
CN 1,4-Benzothiazepine, 5-(2,5-dimethylphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441014-33-1 CAPLUS
CN 1,4-Benzothiazepine, 5-(3,4-dimethylphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

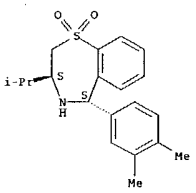
Relative stereochemistry.



RN 441014-34-2 CAPLUS
CN 1,4-Benzothiazepine, 5-(3,4-dimethylphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

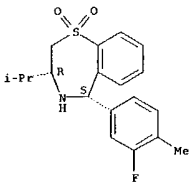
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 441014-35-3 CAPLUS
CN 1,4-Benzothiazepine, 5-(3-fluoro-4-methylphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

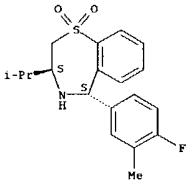
Relative stereochemistry.



RN 441014-36-4 CAPLUS
CN 1,4-Benzothiazepine, 5-(3-fluoro-4-methylphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

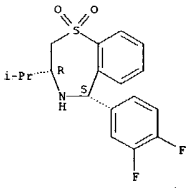
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



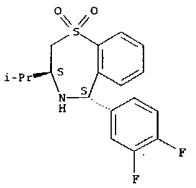
RN 441014-39-7 CAPLUS
CN 1,4-Benzothiazepine, 5-(3,4-difluorophenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



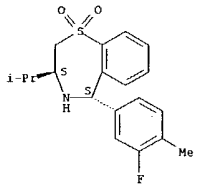
RN 441014-40-0 CAPLUS
CN 1,4-Benzothiazepine, 5-(3,4-difluorophenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



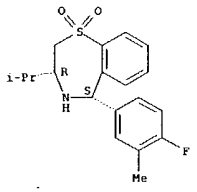
RN 441014-41-1 CAPLUS

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 441014-37-5 CAPLUS
CN 1,4-Benzothiazepine, 5-(4-fluoro-3-methylphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

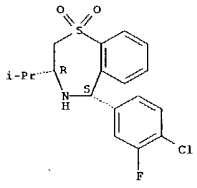


RN 441014-38-6 CAPLUS
CN 1,4-Benzothiazepine, 5-(4-fluoro-3-methylphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

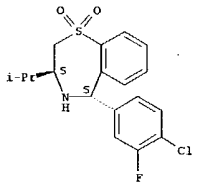
L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1,4-Benzothiazepine, 5-(4-chloro-3-fluorophenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441014-42-2 CAPLUS
CN 1,4-Benzothiazepine, 5-(4-chloro-3-fluorophenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

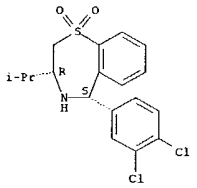
Relative stereochemistry.



RN 441014-43-3 CAPLUS
CN 1,4-Benzothiazepine, 5-(3,4-dichlorophenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

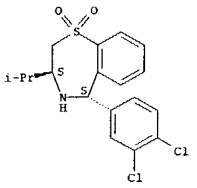
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 441014-44-4 CAPLUS
CN 1,4-Benzothiazepine, 5-(3,4-dichlorophenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

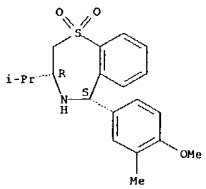
Relative stereochemistry.



RN 441014-45-5 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-(4-methoxy-3-methylphenyl)-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

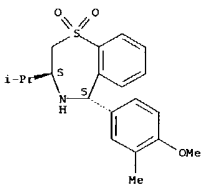
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 441014-46-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-(4-methoxy-3-methylphenyl)-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

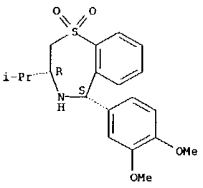
Relative stereochemistry.



RN 441014-47-7 CAPLUS
CN 1,4-Benzothiazepine, 5-(3,4-dimethoxyphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

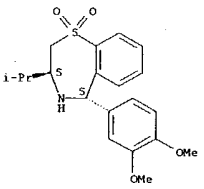
Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



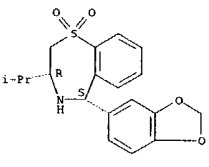
RN 441014-48-8 CAPLUS
CN 1,4-Benzothiazepine, 5-(3,4-dimethoxyphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441014-49-9 CAPLUS
CN 1,4-Benzothiazepine, 5-(1,3-benzodioxol-5-yl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

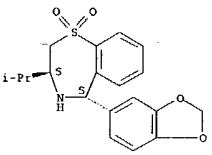
Relative stereochemistry.



RN 441014-50-2 CAPLUS
CN 1,4-Benzothiazepine, 5-(1,3-benzodioxol-5-yl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

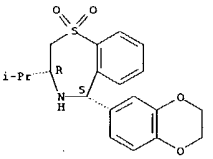
L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Relative stereochemistry.



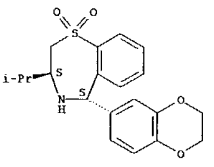
RN 441014-51-3 CAPLUS
CN 1,4-Benzothiazepine, 5-(2,3-dihydro-1,4-benzodioxin-6-yl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



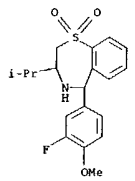
RN 441014-52-4 CAPLUS
CN 1,4-Benzothiazepine, 5-(2,3-dihydro-1,4-benzodioxin-6-yl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



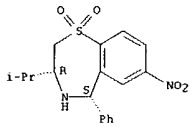
RN 441014-53-5 CAPLUS
CN 1,4-Benzothiazepine, 5-(3-fluoro-4-methoxyphenyl)-2,3,4,5-tetrahydro-3-(1-methylethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



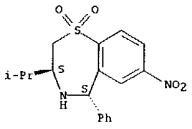
RN 441014-54-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-7-nitro-5-phenyl-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441014-55-7 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(1-methylethyl)-7-nitro-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

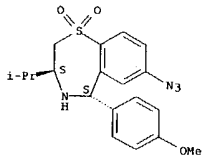
Relative stereochemistry.



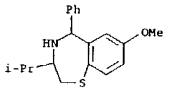
RN 441014-56-8 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-(4-methoxyphenyl)-3-(1-methylethyl)-7-nitro-, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

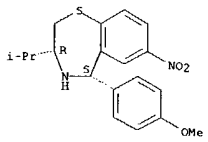


RN 441014-60-4 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7-methoxy-3-(1-methylethyl)-5-phenyl- (9CI) (CA INDEX NAME)



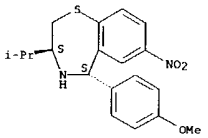
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 14 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



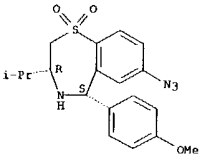
RN 441014-57-9 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-(4-methoxyphenyl)-3-(1-methylethyl)-7-nitro-, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441014-58-0 CAPLUS
CN 1,4-Benzothiazepine, 7-azido-2,3,4,5-tetrahydro-5-(4-methoxyphenyl)-3-(1-methylethyl)-, 1,1-dioxide, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 441014-59-1 CAPLUS
CN 1,4-Benzothiazepine, 7-azido-2,3,4,5-tetrahydro-5-(4-methoxyphenyl)-3-(1-methylethyl)-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L60 ANSWER 15 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:504784 CAPLUS
DOCUMENT NUMBER: 137:78872
TITLE: Preparation of benzazepines, benzoxazepines, and benzothiazepines as selective orexin receptor antagonists
INVENTOR(S): Aissaoui, Hamed; Clozel, Martine; Weller, Thomas; Koberstein, Ralf; Sifferlen, Thierry; Fischli, Walter
PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd., Switz.
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051838	A1	20020704	WO 2001-EP15074	20011219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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WO 2002051232	A2	20020704	WO 2000-EP13289	20001227
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BR 2001016505	A	20040203	BR 2001-16505	20011219
JP 2004516324	T2	20040603	JP 2002-552933	20011219
US 2004058912	A1	20040325	US 2003-450420	20030612
NO 2003002905	A	20030624	NO 2003-2905	20030624
PRIORITY APPLN. INFO.:			WO 2000-EP13289 W	20001227
			WO 2001-EP15074 W	20011219

OTHER SOURCE(S): MARPAT 137:78872
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

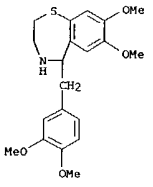
AB Benzazepines, benzoxazepines, and benzothiazepines I [R1, R2, R3, R4 = NC, O2N, halo, H, F3C, F3CO, (un)substituted alkyl, alkenyl, alkoxy, alkenyloxy, cycloalkyloxy, aryloxy, aralkyloxy, heterocycyloxy, heterocyclylalkyloxy or R1R2, R2R3, R3R4 = 5-7 membered ring with 1-2 oxygen atoms; R5 = alkyl, alkenyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl, F3C; R6 = H, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; R7, R8 = alkyl, alkenyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; R9, R10 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; R15 = H, alkyl, aralkyl; XY = CH2CH2, OCH2, SCH2, SO2CH2, NR15CO] are prepared as orexin receptor antagonists for

L60 ANSWER 15 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

the treatment of obesity and sleep disorders. E.g., 3-(3,4-dimethoxyphenyl)propionic acid is amidated with Et chloroformate and ammonium hydroxide to give an amide which is reduced with LiAlH4; acylation of the amine with 3,4-dimethoxyphenylacetyl chloride, electrophilic arom. cyclocondensation of a chloroimine generated from the amide with POCl3 onto the nonacylated arom. ring, and redn. of the imine with NaBH4 gives a benzazepine which is coupled to PhCHBrCO2Me and hydrolyzed with sodium hydroxide in water to give the benzazepineacetic acid intermediate II. E.g., coupling of II with 2-aminomethylbenzimidazole dihydrochloride mediated by PyBOP and DIPEA in DMF gives I (R1, R4-R6, R8, R9 = H; R2, R3 = MeO; R7 = Ph; R9 = benzimidazol-2-ylmethyl; XY = CH2CH2) (III). Inhibition data for selected compds. I against the orexin receptors OX1 and OX2 are given. E.g., III inhibits the orexin-A selective receptor OX1 with an IC50 of 32 nM while inhibiting the orexin-A and orexin-B binding receptor OX2 with an IC50 of 7041 nM.

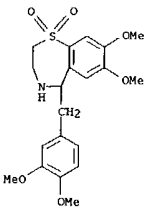
IT **439933-66-1P 439933-69-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzazepines, benzoxazepines, and benzothiazepines as selective orexin receptor antagonists for the treatment of obesity and sleep disorders)

RN 439933-66-1 CAPLUS
CN 1,4-Benzothiazepine, 5-[(3,4-dimethoxyphenyl)methyl]-2,3,4,5-tetrahydro-7,8-dimethoxy- (9CI) (CA INDEX NAME)



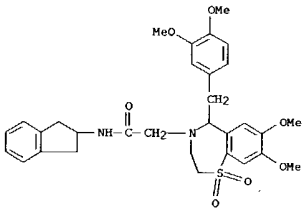
RN 439933-69-4 CAPLUS
CN 1,4-Benzothiazepine, 5-[(3,4-dimethoxyphenyl)methyl]-2,3,4,5-tetrahydro-7,8-dimethoxy-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 15 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



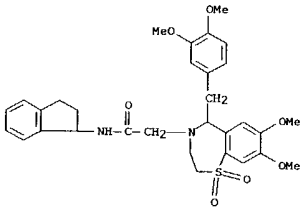
IT **439933-17-2P 439933-18-3P 439933-23-0P 439933-54-7P 439933-55-8P 439933-57-0P 439933-71-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(invention compound; preparation of benzazepines, benzoxazepines, and benzothiazepines as selective orexin receptor antagonists for the treatment of obesity and sleep disorders)

RN 439933-17-2 CAPLUS
CN 1,4-Benzothiazepine-4(5H)-acetamide, N-(2,3-dihydro-1H-inden-2-yl)-5-[(3,4-dimethoxyphenyl)methyl]-2,3-dihydro-7,8-dimethoxy-, 1,1-dioxide (9CI) (CA INDEX NAME)

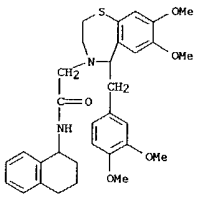


RN 439933-18-3 CAPLUS
CN 1,4-Benzothiazepine-4(5H)-acetamide, N-(2,3-dihydro-1H-inden-1-yl)-5-[(3,4-dimethoxyphenyl)methyl]-2,3-dihydro-7,8-dimethoxy-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 15 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

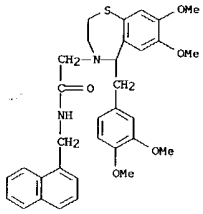


RN 439933-23-0 CAPLUS
CN 1,4-Benzothiazepine-4(5H)-acetamide, 5-[(3,4-dimethoxyphenyl)methyl]-2,3-dihydro-7,8-dimethoxy-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

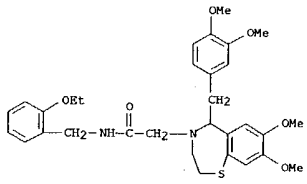


RN 439933-54-7 CAPLUS
CN 1,4-Benzothiazepine-4(5H)-acetamide, 5-[(3,4-dimethoxyphenyl)methyl]-2,3-dihydro-7,8-dimethoxy-N-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

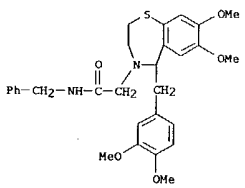
L60 ANSWER 15 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 439933-55-8 CAPLUS
CN 1,4-Benzothiazepine-4(5H)-acetamide, 5-[(3,4-dimethoxyphenyl)methyl]-N-[(2-ethoxyphenyl)methyl]-2,3-dihydro-7,8-dimethoxy- (9CI) (CA INDEX NAME)

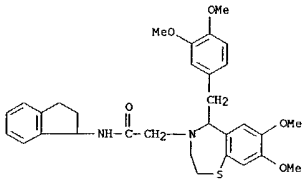


RN 439933-57-0 CAPLUS
CN 1,4-Benzothiazepine-4(5H)-acetamide, 5-[(3,4-dimethoxyphenyl)methyl]-2,3-dihydro-7,8-dimethoxy-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



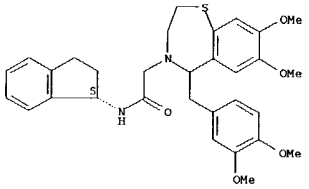
RN 439933-71-8 CAPLUS

L60 ANSWER 15 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1,4-Benzothiazepine-4(5H)-acetamide, N-(2,3-dihydro-1H-inden-1-yl)-5-[(3,4-dimethoxyphenyl)methyl]-2,3-dihydro-7,8-dimethoxy- (9CI) (CA INDEX NAME)



IT **439933-25-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzazepines, benzoxazepines, and benzothiazepines as selective orexin receptor antagonists for the treatment of obesity and sleep disorders)
RN 439933-25-2 CAPLUS
CN 1,4-Benzothiazepine-4(5H)-acetamide, N-[(1S)-2,3-dihydro-1H-inden-1-yl]-5-[(3,4-dimethoxyphenyl)methyl]-2,3-dihydro-7,8-dimethoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



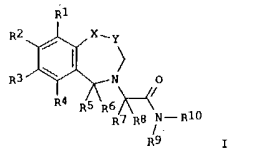
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 16 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:504550 CAPLUS
DOCUMENT NUMBER: 137:78869
TITLE: Preparation of benzazepines and related heterocyclic derivatives for the treatment of obesity and sleep disorders
INVENTOR(S): Fischli, Walter; Clozel, Martin; Weller, Thomas; Koberstein, Ralf; Aissaoui, Hamed; Sifferlen, Thierry
PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd., Switz.
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

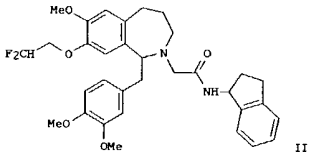
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051232	A2	20020704	WO 2000-EP13289	20001227
W: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
WO 2002051838	A1	20020704	WO 2001-EP15074	20011219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1347967	A1	20031001	EP 2001-988048	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001016505	A	20040203	BR 2001-16505	20011219
JP 2004516324	T2	20040603	JP 2002-552933	20011219
NO 2003002905	A	20030624	NO 2003-2905	20030624
PRIORITY APPLN. INFO.:			WO 2000-EP13289 W	20001227
			WO 2001-EP15074 W	20011219

OTHER SOURCE(S): MARPAT 137:78869
GI

L60 ANSWER 16 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I

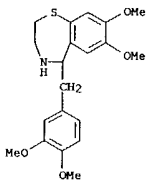


II

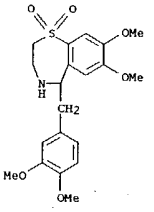
AB Title compds. I [wherein R1, R2, R3, and R4 = independently H, CN, NO2, halo, OH, alkyl, alkenyl(oxy), alkoxy, CF3, CF3O, cycloalkyloxy, aryloxy, aralkyloxy, heterocyclyl(alkyl)oxy, R11CO, NR12R13CO, R12R13N, R11O2C, R11SO2NH or R14CONH; or C2R2R3 or C2R1R2 or C2R3R4 = 5, 6, or 7-membered ring containing 1 or 2 oxygen atoms; R5, R6, R7, R8, R9, and R10 = independently H, aryl, aralkyl, (cyclo)alkyl, alkenyl, CF3, heterocyclyl, or heterocyclylalkyl; R11 = alkyl, alkenyl, aryl, aralkyl, heteroalkyl, or heterocyclylalkyl; R12 and R13 = independently H, alkyl, cycloalkyl, aryl, aralkyl, heterocyclyl, or heterocyclylalkyl; R14 = (cyclo)alkyl, aryl, heterocyclyl, R12R13N, or R11O; XY = CH2CH2, OCH2, SCH2, SO2CH2, and NR15CO; R15 = H, alkyl or aralkyl; and optically pure enantiomers, mixts. of enantiomers racemates, optically pure diastereoisomers, mixts. of diastereoisomers, diastereoisomeric racemates, mixts. of diastereoisomeric racemates or meso forms; and pharmaceutically acceptable salts thereof] were prepared For example, 3-(4-benzyloxy-3-methoxyphenyl)propylamine was reacted with (3,4-dimethoxyphenyl)acetyl chloride (preparation of starting materials given) to give the acetamide (65%). Cyclization in the presence of POCl3 in anhydrous CH3CN afforded the tetrahydrobenzo[c]azepine (42%). Addition of 2-bromoacetyl bromide and 1-aminoindane, followed by hydrogenolysis and addition of 2-bromo-1,1-difluoroethane gave II. II showed antagonist activities against orexin-1 and orexin-2 with IC50 values of 9 nM and 349 nM, resp. Compds. I may be used as active ingredients in the preparation of pharmaceutical compns. for the treatment of obesity and sleep disorders (no data).

IT **439933-66-1P 439933-69-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzazepines and related heterocyclic derivs.
for the treatment of obesity and sleep disorders)
RN 439933-66-1 CAPLUS

L60 ANSWER 16 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1,4-Benzothiazepine, 5-[(3,4-dimethoxyphenyl)methyl]-2,3,4,5-tetrahydro-7,8-dimethoxy- (9CI) (CA INDEX NAME)

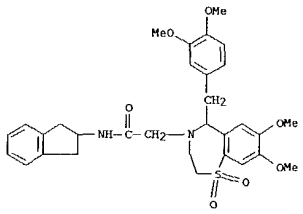


RN 439933-69-4 CAPLUS
CN 1,4-Benzothiazepine, 5-[(3,4-dimethoxyphenyl)methyl]-2,3,4,5-tetrahydro-7,8-dimethoxy-, 1,1-dioxide (9CI) (CA INDEX NAME)

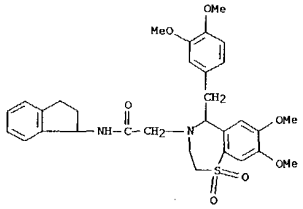


IT **439933-17-2P 439933-18-3P 439933-23-0P**
439933-25-2P 439933-54-7P 439933-55-8P
439933-57-0P 439933-71-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzazepines and related heterocyclic derivs. for the treatment of obesity and sleep disorders)
RN 439933-17-2 CAPLUS
CN 1,4-Benzothiazepine-4(5H)-acetamide, N-(2,3-dihydro-1H-inden-2-yl)-5-[(3,4-dimethoxyphenyl)methyl]-2,3-dihydro-7,8-dimethoxy-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 16 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

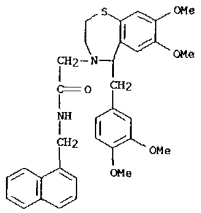


RN 439933-18-3 CAPLUS
CN 1,4-Benzothiazepine-4(5H)-acetamide, N-(2,3-dihydro-1H-inden-1-yl)-5-[(3,4-dimethoxyphenyl)methyl]-2,3-dihydro-7,8-dimethoxy-, 1,1-dioxide (9CI) (CA INDEX NAME)

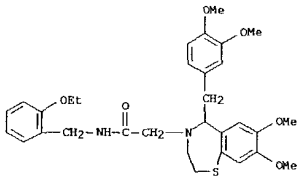


RN 439933-23-0 CAPLUS
CN 1,4-Benzothiazepine-4(5H)-acetamide, 5-[(3,4-dimethoxyphenyl)methyl]-2,3-dihydro-7,8-dimethoxy-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

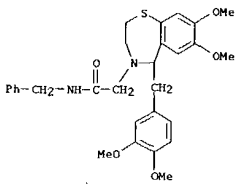
L60 ANSWER 16 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 439933-55-8 CAPLUS
CN 1,4-Benzothiazepine-4(5H)-acetamide, 5-[(3,4-dimethoxyphenyl)methyl]-N-[(2-ethoxyphenyl)methyl]-2,3-dihydro-7,8-dimethoxy- (9CI) (CA INDEX NAME)

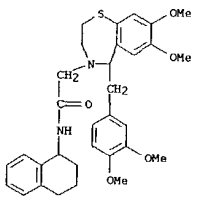


RN 439933-57-0 CAPLUS
CN 1,4-Benzothiazepine-4(5H)-acetamide, 5-[(3,4-dimethoxyphenyl)methyl]-2,3-dihydro-7,8-dimethoxy-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



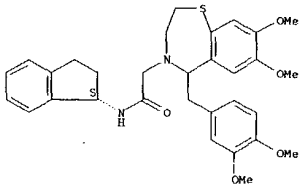
RN 439933-71-8 CAPLUS

L60 ANSWER 16 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



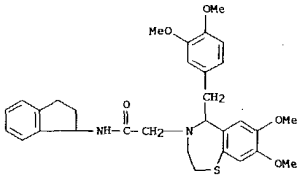
RN 439933-25-2 CAPLUS
CN 1,4-Benzothiazepine-4(5H)-acetamide, N-[(1S)-2,3-dihydro-1H-inden-1-yl]-5-[(3,4-dimethoxyphenyl)methyl]-2,3-dihydro-7,8-dimethoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439933-54-7 CAPLUS
CN 1,4-Benzothiazepine-4(5H)-acetamide, 5-[(3,4-dimethoxyphenyl)methyl]-2,3-dihydro-7,8-dimethoxy-N-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

L60 ANSWER 16 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1,4-Benzothiazepine-4(5H)-acetamide, N-(2,3-dihydro-1H-inden-1-yl)-5-[(3,4-dimethoxyphenyl)methyl]-2,3-dihydro-7,8-dimethoxy- (9CI) (CA INDEX NAME)



L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:487544 CAPLUS
DOCUMENT NUMBER: 137:63270
TITLE: Preparation of N-[(8-benzothiazepinyloxy)acetyl]phenyl glycinates and analogs as ileal bile acid transport inhibitors
INVENTOR(S): Starke, Ingemar; Dahlstrom, Mikael; Blomberg, David
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 161 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050051	A1	20020627	WO 2001-GB5554	20011217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002022228	A5	20020701	AU 2002-22228	20011217
EP 1345918	A1	20030924	EP 2001-271366	20011217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300307	A	20031015	EE 2003-307	20011217
BR 2001016397	A	20031111	BR 2001-16397	20011217
JP 2004516285	T2	20040603	JP 2002-551548	20011217
NO 2003002829	A	20030815	NO 2003-2829	20030620
US 2004067933	A1	20040408	US 2003-451262	20030620
JP 2004196815	A2	20040715	JP 2004-38960	20040216

PRIORITY APPLN. INFO.: SE 2000-4811 A 20001221
GB 2001-12592 A 20010524
JP 2002-551548 A3 20011217
WO 2001-GB5554 W 20011217

OTHER SOURCE(S): MARPAT 137:63270
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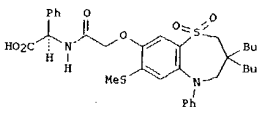


I

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

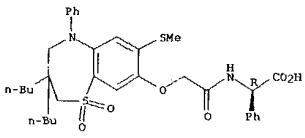
AB Title compds., e.g., I, were prepared as ileal bile acid transport inhibitors (no data).

IT 439086-76-7P 439086-77-8P 439086-78-9P 439086-79-0P 439086-80-3P 439086-81-4P 439086-82-5P 439086-83-6P 439086-84-7P 439086-85-8P 439086-86-9P 439086-87-0P 439086-88-1P 439086-89-2P 439086-90-5P 439086-91-6P 439086-92-7P 439086-93-8P 439086-94-9P 439086-95-0P 439086-96-1P 439086-97-2P 439086-98-3P 439086-99-4P 439087-00-0P 439087-01-1P 439087-02-2P 439087-03-3P 439087-04-4P 439087-05-5P 439087-06-6P 439087-07-7P 439087-08-8P 439087-09-9P 439087-10-2P 439087-11-3P 439087-12-4P 439087-13-5P 439087-14-6P 439087-15-7P 439087-16-8P 439087-17-9P 439087-18-0P 439087-19-1P 439087-20-4P 439087-21-5P 439087-22-6P 439087-23-7P 439087-24-8P 439087-25-9P 439087-26-0P 439087-27-1P 439087-28-2P 439087-29-3P 439087-30-6P 439087-31-7P 439087-32-8P 439087-33-9P 439087-34-0P 439087-35-1P 439087-36-2P 439087-37-3P 439087-38-4P 439087-39-5P 439087-41-9P 439087-43-1P 439087-45-3P 439087-47-5P 439087-48-6P 439087-49-7P 439087-50-0P 439087-51-1P 439087-52-2P 439087-53-3P 439087-54-4P 439087-55-5P 439087-56-6P 439087-57-7P 439087-58-8P 439087-59-9P 439087-60-2P 439087-61-3P 439087-62-4P 439087-63-5P 439087-64-6P 439087-65-7P 439087-66-8P 439087-67-9P 439087-68-0P 439087-69-1P 439087-70-4P 439087-71-5P 439087-72-6P 439087-73-7P 439087-74-8P 439087-75-9P 439087-76-0P 439087-77-1P 439087-78-2P 439087-79-3P 439087-80-6P 439087-81-7P 439087-82-8P 439087-83-9P 439087-84-0P 439087-85-1P 439087-86-2P 439087-87-3P 439087-88-4P 439087-89-5P 439087-91-9P 439087-92-0P 439087-93-1P 439087-94-2P 439087-95-3P 439087-96-4P 439087-97-5P 439087-98-6P 439087-99-7P 439088-00-3P 439088-01-4P 439088-02-5P 439088-03-6P 549501-80-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[(8-benzothiazepinyloxy)acetyl]phenylglycinates and analogs as ileal bile acid transport inhibitors)

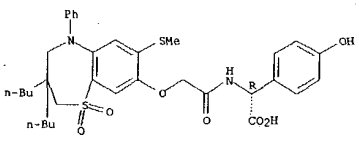
RN 439086-76-7 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.

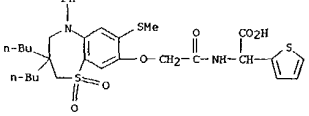


RN 439086-77-8 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-4-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

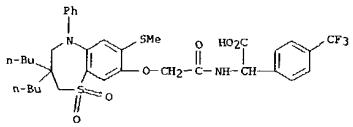


RN 439086-78-9 CAPLUS
CN 2-Thiopheneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]- (9CI) (CA INDEX NAME)



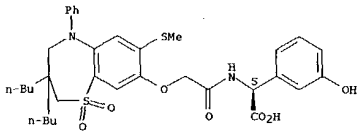
RN 439086-79-0 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



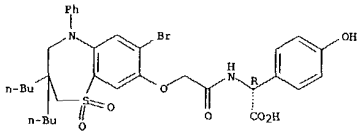
RN 439086-80-3 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-3-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439086-81-4 CAPLUS
CN Benzeneacetic acid, α-[[[(7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-4-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

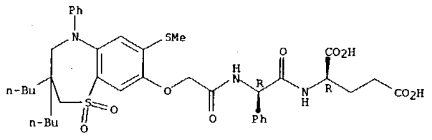
Absolute stereochemistry.



RN 439086-82-5 CAPLUS
CN D-Glutamic acid, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

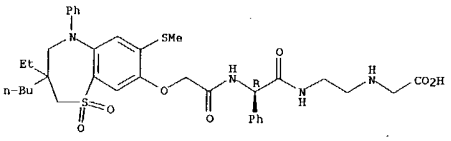
Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



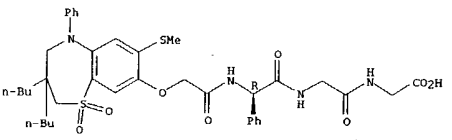
RN 439086-83-6 CAPLUS
CN Glycine, N-[2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439086-84-7 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycylglycyl- (9CI) (CA INDEX NAME)

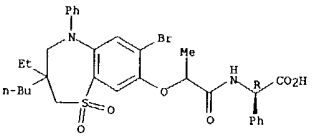
Absolute stereochemistry.



RN 439086-85-8 CAPLUS
CN Benzeneacetic acid, α-[[2-[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]-1-oxopropyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

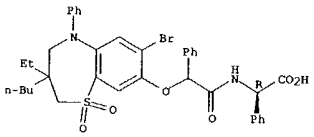
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



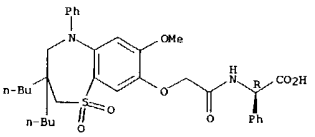
RN 439086-86-9 CAPLUS
CN Benzeneacetic acid, α-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]phenylacetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439086-87-0 CAPLUS
CN Benzeneacetic acid, α-[[[(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

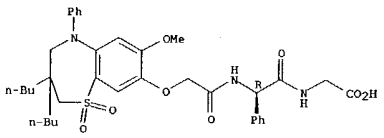
Absolute stereochemistry.



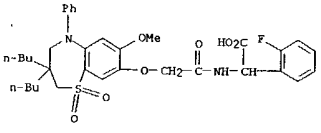
RN 439086-88-1 CAPLUS
CN Glycine, (2R)-N-[[(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

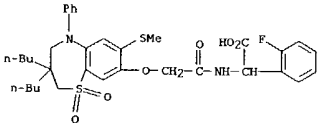
Absolute stereochemistry.



RN 439086-89-2 CAPLUS
CN Benzeneacetic acid, α-[[[(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-2-fluoro- (9CI) (CA INDEX NAME)



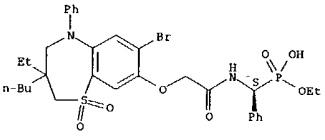
RN 439086-90-5 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-2-fluoro- (9CI) (CA INDEX NAME)



RN 439086-91-6 CAPLUS
CN Phosphonic acid, [(S)-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]phenylmethyl]-, monoethyl ester (9CI) (CA INDEX NAME)

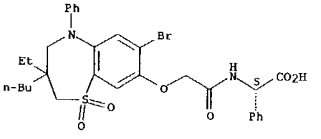
Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

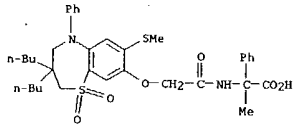


RN 439086-92-7 CAPLUS
CN Benzeneacetic acid, α-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



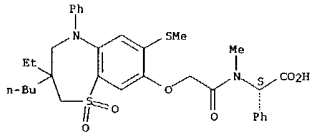
RN 439086-93-8 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-α-methyl- (9CI) (CA INDEX NAME)



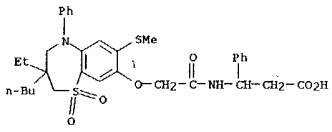
RN 439086-94-9 CAPLUS
CN Benzeneacetic acid, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]methylamino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

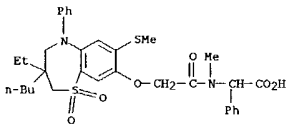
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 439086-95-0 CAPLUS
CN Benzenepropanoic acid, β-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]- (9CI) (CA INDEX NAME)



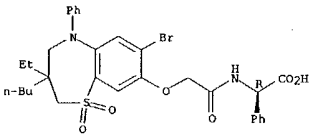
RN 439086-96-1 CAPLUS
CN Benzeneacetic acid, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]methylamino]- (9CI) (CA INDEX NAME)



RN 439086-97-2 CAPLUS
CN Benzeneacetic acid, α-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

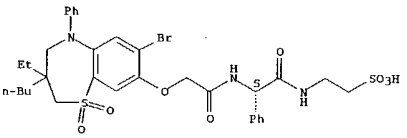
Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 439086-98-3 CAPLUS
CN Ethanesulfonic acid, 2-[[(2S)-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]phenylacetyl]amino]-, monoammonium salt (9CI) (CA INDEX NAME)

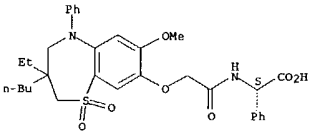
Absolute stereochemistry.



● NH_3

RN 439086-99-4 CAPLUS
CN Benzeneacetic acid, α-[[[(3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

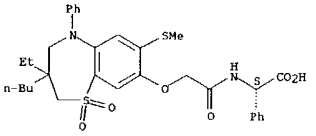
Absolute stereochemistry.



L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

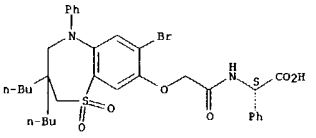
RN 439087-00-0 CAPLUS
CN Benzeneacetic acid, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



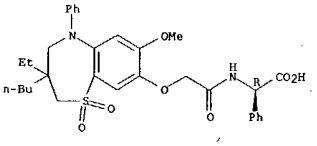
RN 439087-01-1 CAPLUS
CN Benzeneacetic acid, α-[[[(7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-02-2 CAPLUS
CN Benzeneacetic acid, α-[[[(3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

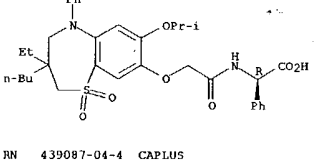


RN 439087-03-3 CAPLUS

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

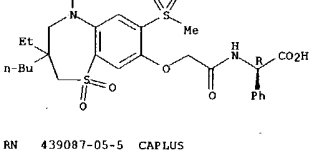
CN Benzeneacetic acid, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(1-methylethoxy)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



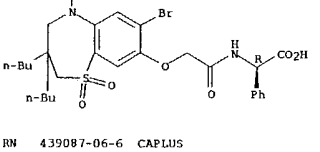
RN 439087-04-4 CAPLUS
CN Benzeneacetic acid, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylsulfonyl)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



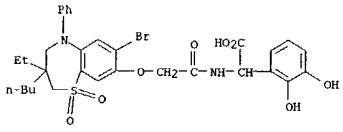
RN 439087-05-5 CAPLUS
CN Benzeneacetic acid, α-[[[(7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

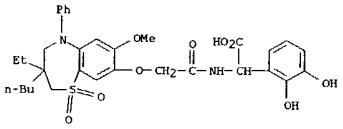


RN 439087-06-6 CAPLUS
CN Benzeneacetic acid, α-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-2,3-

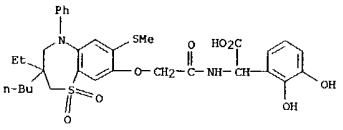
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
dihydroxy- (9CI) (CA INDEX NAME)



RN 439087-07-7 CAPLUS
CN Benzeneacetic acid, α-[[[(3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-2,3-dihydroxy- (9CI) (CA INDEX NAME)



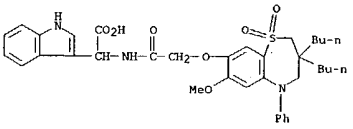
RN 439087-08-8 CAPLUS
CN Benzeneacetic acid, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-2,3-dihydroxy- (9CI) (CA INDEX NAME)



RN 439087-09-9 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-4-fluoro-, (αR)- (9CI) (CA INDEX NAME)

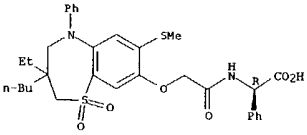
Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



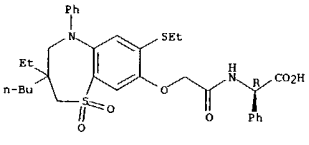
RN 439087-13-5 CAPLUS
CN Benzeneacetic acid, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-14-6 CAPLUS
CN Benzeneacetic acid, α-[[[[3-butyl-3-ethyl-7-(ethylthio)-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

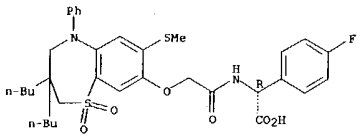
Absolute stereochemistry.



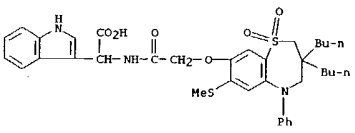
RN 439087-15-7 CAPLUS
CN Benzeneacetic acid, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-[(2-hydroxyethyl)thio]-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

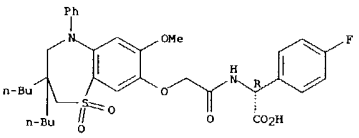


RN 439087-10-2 CAPLUS
CN 1H-Indole-3-acetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]- (9CI) (CA INDEX NAME)



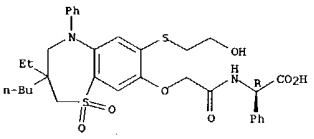
RN 439087-11-3 CAPLUS
CN Benzeneacetic acid, α-[[[(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-4-fluoro-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



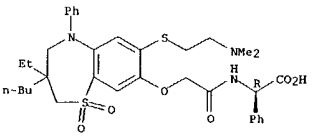
RN 439087-12-4 CAPLUS
CN 1H-Indole-3-acetic acid, α-[[[(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]- (9CI) (CA INDEX NAME)

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



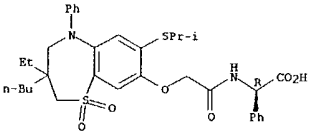
RN 439087-16-8 CAPLUS
CN Benzeneacetic acid, α-[[[[3-butyl-7-[[2-(dimethylamino)ethyl]thio]-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-17-9 CAPLUS
CN Benzeneacetic acid, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-[(1-methylethyl)thio]-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

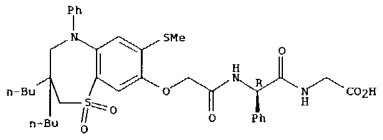
Absolute stereochemistry.



RN 439087-18-0 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

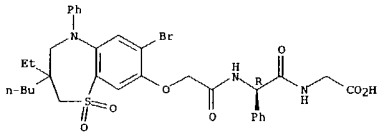
Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



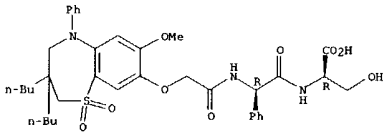
RN 439087-19-1 CAPLUS
CN Glycine, (2R)-N-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-20-4 CAPLUS
CN D-Serine, (2R)-N-[[(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

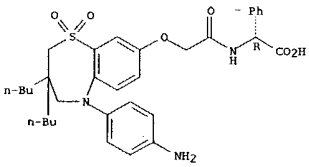


RN 439087-21-5 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

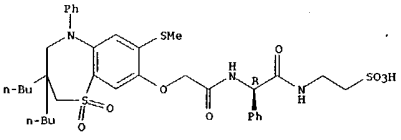
RN 439087-24-8 CAPLUS
CN Benzeneacetic acid, α-[[[[5-(4-aminophenyl)-3,3-dibutyl-2,3,4,5-tetrahydro-1,1-dioxido-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-25-9 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]-, monoammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



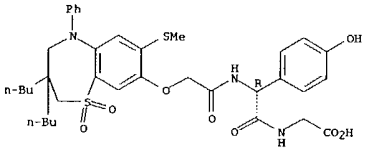
● NH_3

RN 439087-26-0 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]-, monoammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

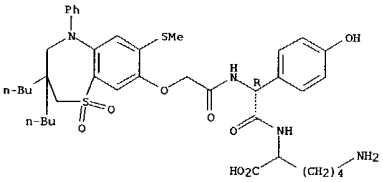
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



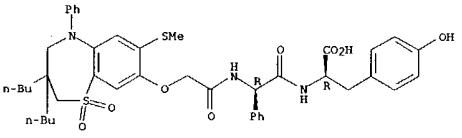
RN 439087-22-6 CAPLUS
CN Lysine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

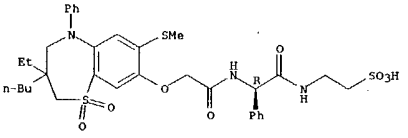


RN 439087-23-7 CAPLUS
CN D-Tyrosine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



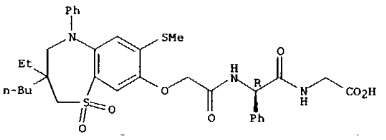
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● NH_3

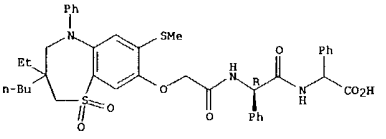
RN 439087-27-1 CAPLUS
CN Glycine, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-28-2 CAPLUS
CN Glycine, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-2-phenyl- (9CI) (CA INDEX NAME)

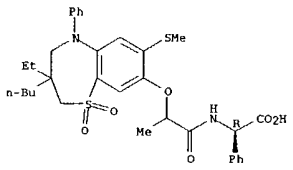
Absolute stereochemistry.



RN 439087-29-3 CAPLUS
CN Benzeneacetic acid, α-[[2-[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]-1-oxopropyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

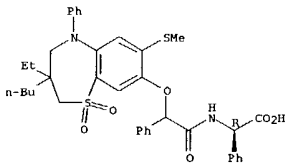
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



RN 439087-30-6 CAPLUS
CN Benzeneacetic acid, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]phenylacetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

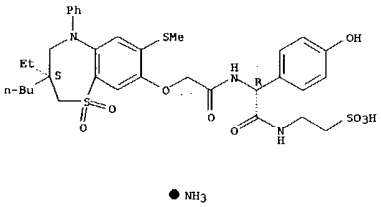
Absolute stereochemistry.



RN 439087-31-7 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

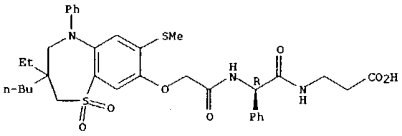
Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



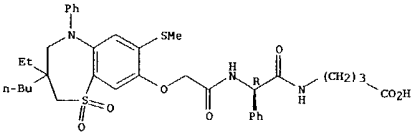
RN 439087-34-0 CAPLUS
CN β-Alanine, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



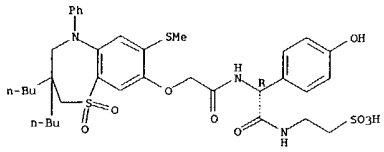
RN 439087-35-1 CAPLUS
CN Butanoic acid, 4-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



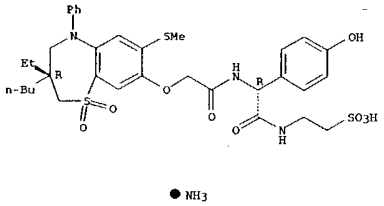
RN 439087-36-2 CAPLUS
CN β-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 439087-32-8 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[(3R)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]-, monoammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



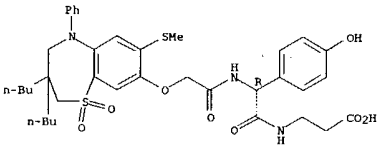
● NH_3

RN 439087-33-9 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[(3S)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]-, monoammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

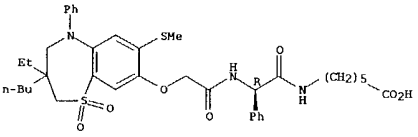
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
hydroxyphenyl)glycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



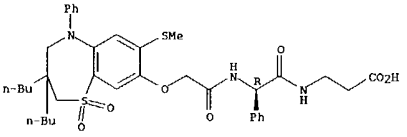
RN 439087-37-3 CAPLUS
CN Hexanoic acid, 6-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



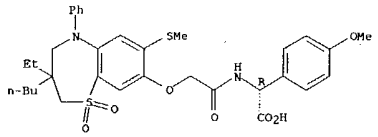
RN 439087-38-4 CAPLUS
CN β-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



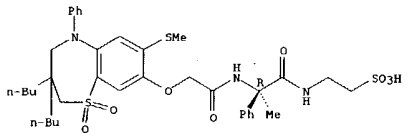
RN 439087-39-5 CAPLUS
CN Benzeneacetic acid, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-4-methoxy-, (αR)- (9CI) (CA INDEX NAME)

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



RN 439087-41-9 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-2-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-1-oxo-2-phenylpropyl]amino]-, monoammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

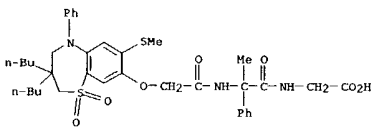


● NH_3

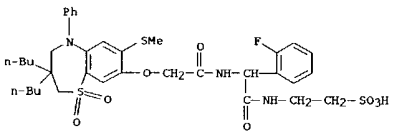
RN 439087-43-1 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]methylamino]-, monoammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



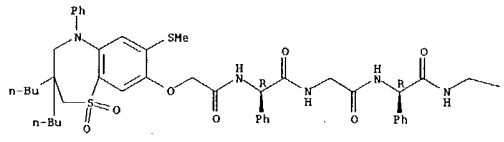
RN 439087-48-6 CAPLUS
CN Ethanesulfonic acid, 2-[[[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](2-fluorophenyl)acetyl]amino]- (9CI) (CA INDEX NAME)



RN 439087-49-7 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycylglycyl-(2R)-2-phenylglycyl- (9CI) (CA INDEX NAME)

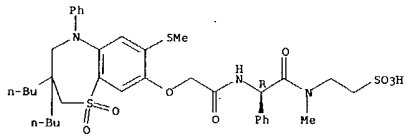
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

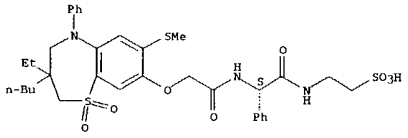
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● NH_3

RN 439087-45-3 CAPLUS
CN Ethanesulfonic acid, 2-[[(2S)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]-, monoammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



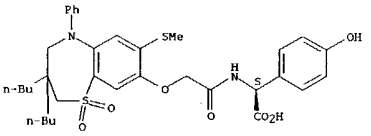
● NH_3

RN 439087-47-5 CAPLUS
CN Glycine, N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylalanyl- (9CI) (CA INDEX NAME)

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

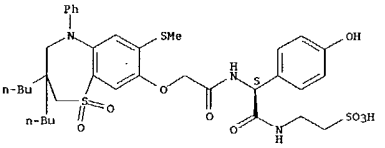
RN 439087-50-0 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-4-hydroxy-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-51-1 CAPLUS
CN Ethanesulfonic acid, 2-[[(2S)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]-, monoammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

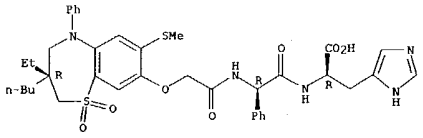


● NH_3

RN 439087-52-2 CAPLUS
CN D-Histidine, (2R)-N-[[[(3R)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

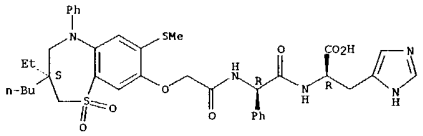
Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



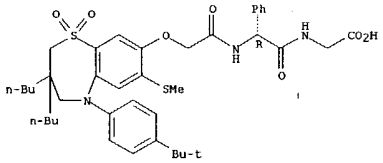
RN 439087-53-3 CAPLUS
CN D-Histidine, (2R)-N-[[[(3S)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-54-4 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-5-[4-(1,1-dimethylethyl)phenyl]-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

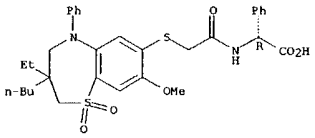
Absolute stereochemistry.



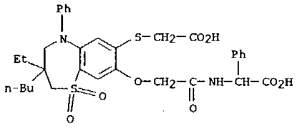
RN 439087-55-5 CAPLUS
CN Benzeneacetic acid, α-[[[(3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-7-yl)thio]acetyl]amino]-,

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

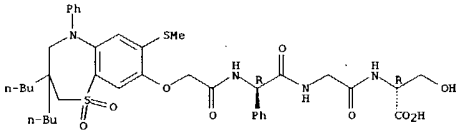


RN 439087-56-6 CAPLUS
CN Benzeneacetic acid, α-[[[[3-butyl-7-[(carboxymethyl)thio]-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]- (9CI) (CA INDEX NAME)



RN 439087-57-7 CAPLUS
CN D-Serine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycylglycyl- (9CI) (CA INDEX NAME)

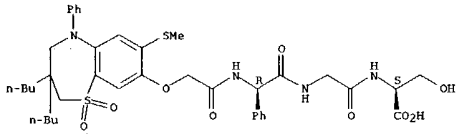
Absolute stereochemistry.



RN 439087-58-8 CAPLUS
CN L-Serine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycylglycyl-

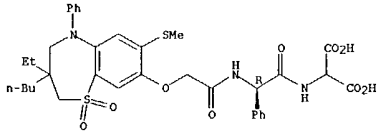
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



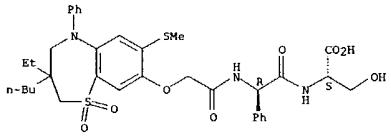
RN 439087-59-9 CAPLUS
CN Glycine, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-2-carboxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



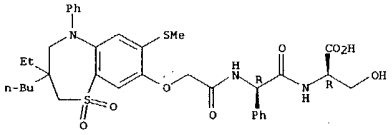
RN 439087-60-2 CAPLUS
CN L-Serine, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



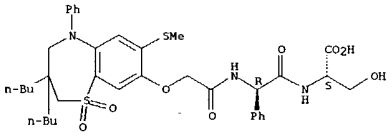
RN 439087-61-3 CAPLUS
CN D-Serine, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



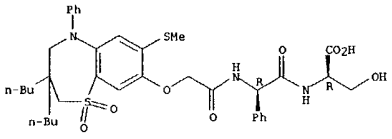
RN 439087-62-4 CAPLUS
CN L-Serine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-63-5 CAPLUS
CN D-Serine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

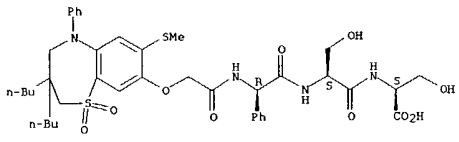
Absolute stereochemistry.



RN 439087-64-6 CAPLUS
CN L-Serine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-L-seryl- (9CI) (CA INDEX NAME)

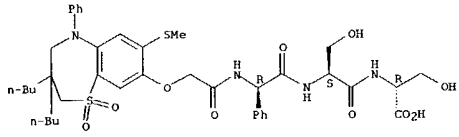
Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



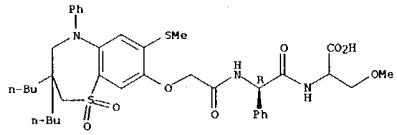
RN 439087-65-7 CAPLUS
CN D-Serine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



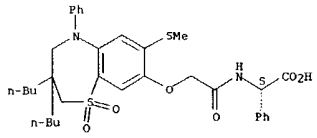
RN 439087-66-8 CAPLUS
CN Serine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



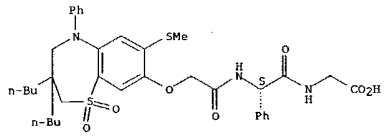
RN 439087-67-9 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



RN 439087-68-0 CAPLUS
CN Glycine, (2S)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

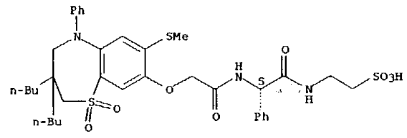
Absolute stereochemistry.



RN 439087-69-1 CAPLUS
CN Ethanesulfonic acid, 2-[[(2S)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

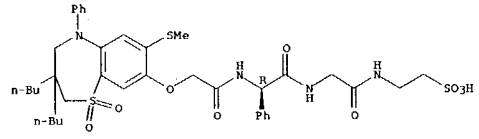
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Na

RN 439087-70-4 CAPLUS
CN Glycinamide, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-N-(2-sulfoethyl)-, monoammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

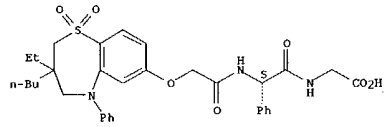


● NH_3

RN 439087-71-5 CAPLUS
CN Glycine, (2S)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-7-yl]oxy]acetyl]-2-phenylglycyl-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

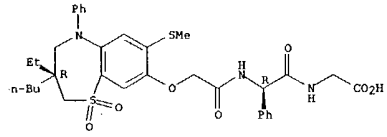
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● Na

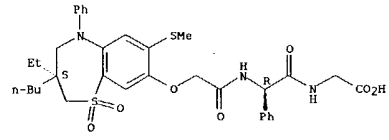
RN 439087-72-6 CAPLUS
CN Glycine, (2R)-N-[[[(3R)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-73-7 CAPLUS
CN Glycine, (2R)-N-[[[(3S)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

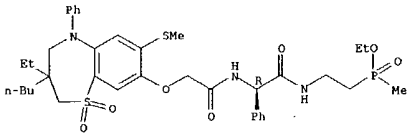
Absolute stereochemistry.



RN 439087-74-8 CAPLUS
CN Phosphinic acid, [2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]ethyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)

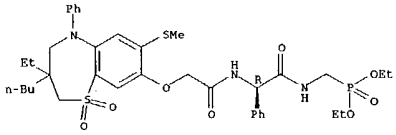
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



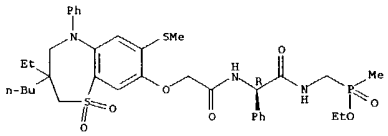
RN 439087-75-9 CAPLUS
CN Phosphonic acid, [[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

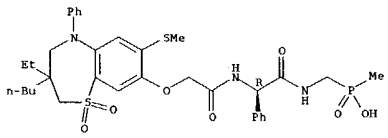


RN 439087-76-0 CAPLUS
CN Phosphinic acid, [[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]methyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

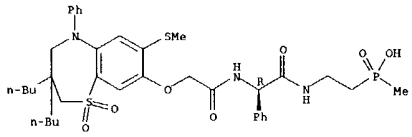


L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



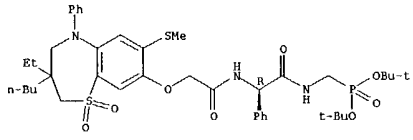
RN 439087-80-6 CAPLUS
CN Phosphinic acid, [2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]ethyl]methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-81-7 CAPLUS
CN Phosphonic acid, [[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]methyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

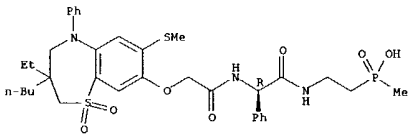


RN 439087-82-8 CAPLUS
CN Phosphonic acid, [[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

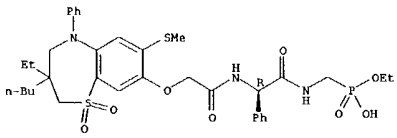
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 439087-77-1 CAPLUS
CN Phosphinic acid, [2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]ethyl]methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-78-2 CAPLUS
CN Phosphonic acid, [[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

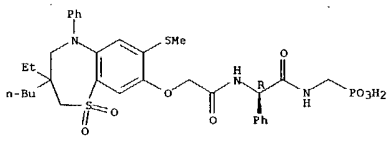
Absolute stereochemistry.



RN 439087-79-3 CAPLUS
CN Phosphinic acid, [[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]methyl]methyl- (9CI) (CA INDEX NAME)

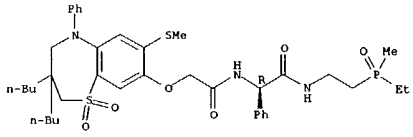
Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



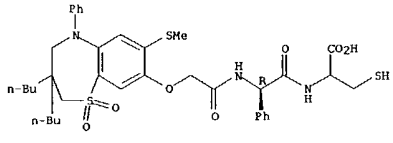
RN 439087-83-9 CAPLUS
CN Benzeneacetamide, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-[2-(ethylmethylphosphinyl)ethyl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-84-0 CAPLUS
CN Cysteine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

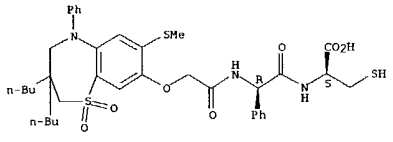
Absolute stereochemistry.



RN 439087-85-1 CAPLUS
CN D-Cysteine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

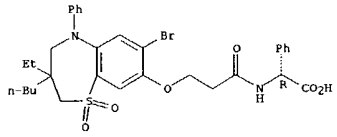
Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



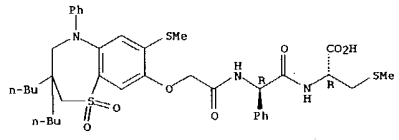
RN 439087-86-2 CAPLUS
CN Benzeneacetic acid, α-[[3-[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]-1-oxopropyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-87-3 CAPLUS
CN L-Cysteine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-S-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

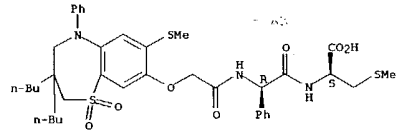


RN 439087-88-4 CAPLUS
CN Benzeneacetamide, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-N-[2-(ethylmethylphosphinyl)ethyl]-4-hydroxy-, (αR)- (9CI) (CA INDEX NAME)

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

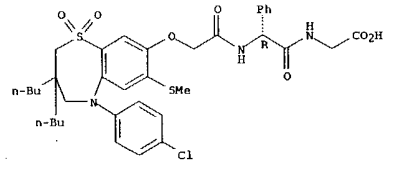
CN D-Cysteine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-S-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



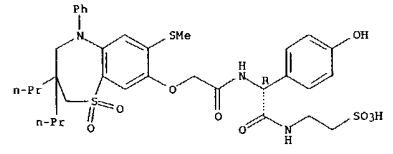
RN 439087-93-1 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-5-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-94-2 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-(4-hydroxyphenyl)[[[[2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-3,3-dipropyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]acetyl]amino]- (9CI) (CA INDEX NAME)

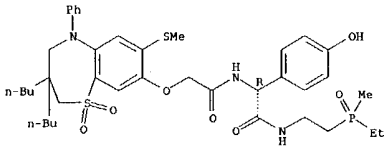
Absolute stereochemistry.



RN 439087-95-3 CAPLUS
CN Glycine, (2R)-2-(4-hydroxyphenyl)-N-[[[2,3,4,5-tetrahydro-7-(methylthio)-

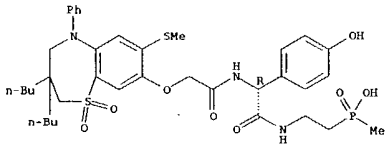
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



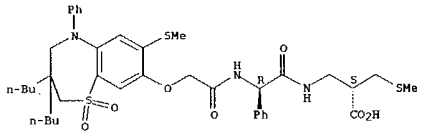
RN 439087-89-5 CAPLUS
CN Phosphinic acid, [2-[[(2R)-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]ethyl]methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-91-9 CAPLUS
CN β-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-2-[(methylthio)methyl]-, (2S)- (9CI) (CA INDEX NAME)

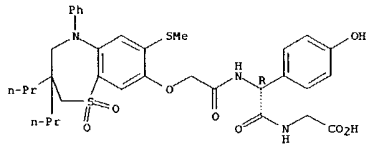
Absolute stereochemistry.



RN 439087-92-0 CAPLUS

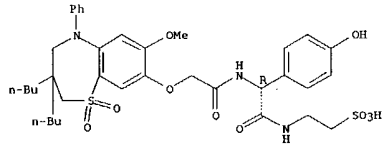
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
1,1-dioxido-5-phenyl-3,3-dipropyl-1,5-benzothiazepin-8-yl]oxy]acetyl]glycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



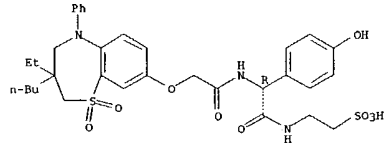
RN 439087-96-4 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439087-97-5 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[(3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

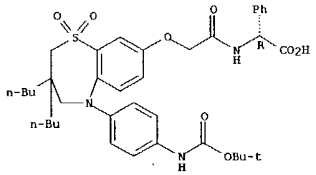
Absolute stereochemistry.



RN 439087-98-6 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-5-[4-[[(1,1-dimethylethoxy)carbonyl]amino]phenyl]-2,3,4,5-tetrahydro-1,1-dioxido-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

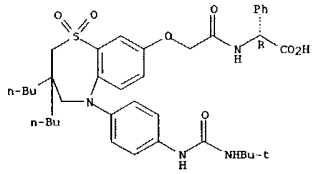
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



RN 439087-99-7 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-5-[4-[[[(1,1-dimethylethyl)amino]carbonyl]amino]phenyl]-2,3,4,5-tetrahydro-1,1-dioxido-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

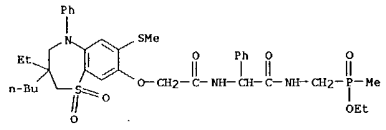
Absolute stereochemistry.



RN 439088-00-3 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]- (9CI) (CA INDEX NAME)

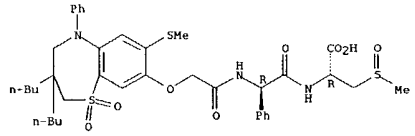
Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



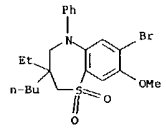
RN 549501-80-6 CAPLUS
CN L-Alanine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-3-(methylsulfinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



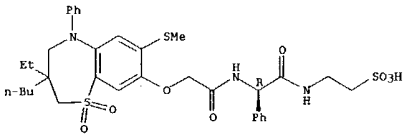
IT **179410-96-9 179410-97-0 179411-06-4 179411-07-5 358376-03-1 358376-04-2 439089-25-5 439089-30-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-[(8-benzothiazepinyloxy)acetyl]phenylglycinates and analogs as ileal bile acid transport inhibitors)

RN 179410-96-9 CAPLUS
CN 1,5-Benzothiazepine, 7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



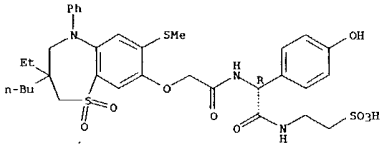
RN 179410-97-0 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



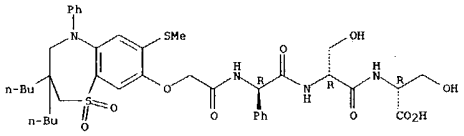
RN 439088-01-4 CAPLUS
CN Ethanesulfonic acid, 2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino](4-hydroxyphenyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



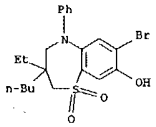
RN 439088-02-5 CAPLUS
CN D-Serine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-D-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

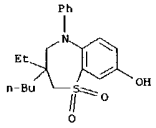


RN 439088-03-6 CAPLUS
CN Phosphinic acid, [[[[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]methyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)

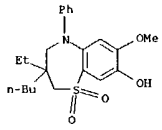
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



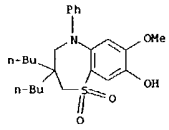
RN 179411-06-4 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



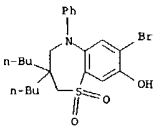
RN 179411-07-5 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



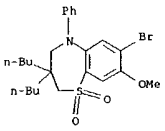
RN 358376-03-1 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



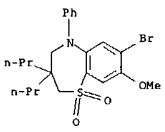
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 358376-04-2 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 439089-25-5 CAPLUS
CN 1,5-Benzothiazepine, 7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 439089-30-2 CAPLUS
CN 1,5-Benzothiazepine, 7-bromo-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-3,3-dipropyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



IT **358375-53-8P 358375-55-0P 358375-92-5P**
358375-93-6P 358375-94-7P 358375-95-8P
358375-96-9P 358375-97-0P 358375-98-1P
358375-99-2P 358376-00-8P 358376-01-9P
358376-02-0P 439088-04-7P 439088-05-8P
439088-06-9P 439088-07-0P 439088-08-1P
439088-09-2P 439088-10-5P 439088-11-6P

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
439088-12-7P 439088-13-8P 439088-14-9P
439088-15-0P 439088-16-1P 439088-19-4P
439088-20-7P 439088-21-8P 439088-22-9P
439088-23-0P 439088-24-1P 439088-25-2P
439088-26-3P 439088-27-4P 439088-28-5P
439088-29-6P 439088-30-9P 439088-31-0P
439088-32-1P 439088-33-2P 439088-34-3P
439088-36-5P 439088-37-6P 439088-38-7P
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439088-45-6P 439088-46-7P 439088-47-8P
439088-48-9P 439088-49-0P 439088-50-3P
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439088-75-2P 439088-76-3P 439088-77-4P
439088-78-5P 439088-79-6P 439088-80-9P
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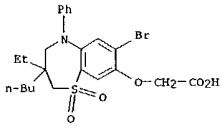
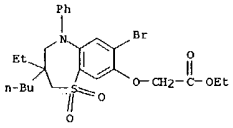
439088-98-9P 439089-00-6P 439089-02-8P
439089-04-0P 439089-06-2P 439089-08-4P
439089-12-0P 439089-14-2P 439089-16-4P
439089-17-5P 439089-19-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-[(8-benzothiazepinyloxy)acetyl]phenylglycinates and analogs as ileal bile acid transport inhibitors)
RN 358375-53-8 CAPLUS
CN Acetic acid, [(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]- (9CI) (CA INDEX NAME)

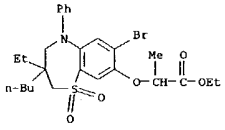


RN 358375-55-0 CAPLUS
CN Acetic acid, [(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)

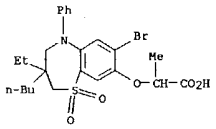
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



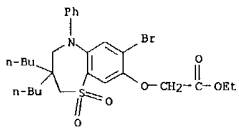
RN 358375-92-5 CAPLUS
CN Propanoic acid, 2-[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 358375-93-6 CAPLUS
CN Propanoic acid, 2-[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]- (9CI) (CA INDEX NAME)

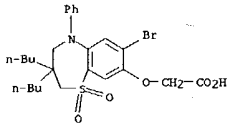


RN 358375-94-7 CAPLUS
CN Acetic acid, [(7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)

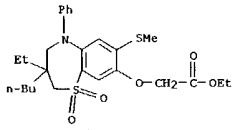


L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

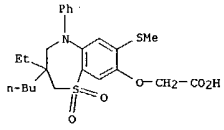
RN 358375-95-8 CAPLUS
CN Acetic acid, [(7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]- (9CI) (CA INDEX NAME)



RN 358375-96-9 CAPLUS
CN Acetic acid, [[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

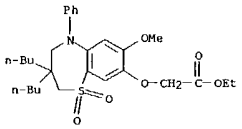


RN 358375-97-0 CAPLUS
CN Acetic acid, [[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]- (9CI) (CA INDEX NAME)

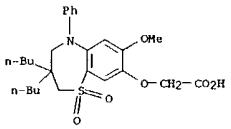


RN 358375-98-1 CAPLUS
CN Acetic acid, [(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)

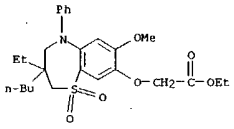
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 358375-99-2 CAPLUS
CN Acetic acid, [(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]- (9CI) (CA INDEX NAME)

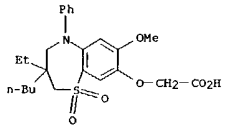


RN 358376-00-8 CAPLUS
CN Acetic acid, [(3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)

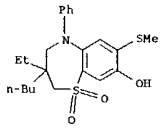


RN 358376-01-9 CAPLUS
CN Acetic acid, [(3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]- (9CI) (CA INDEX NAME)

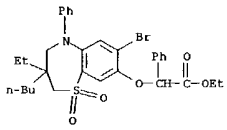
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 358376-02-0 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

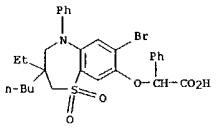


RN 439088-04-7 CAPLUS
CN Benzeneacetic acid, α-[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)



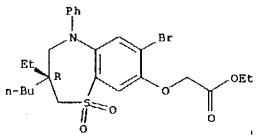
RN 439088-05-8 CAPLUS
CN Benzeneacetic acid, α-[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]- (9CI) (CA INDEX NAME)

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



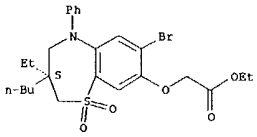
RN 439088-06-9 CAPLUS
CN Acetic acid, [[(3R)-7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



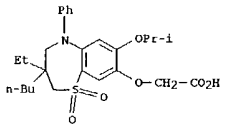
RN 439088-07-0 CAPLUS
CN Acetic acid, [[(3S)-7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



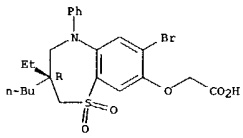
RN 439088-08-1 CAPLUS
CN Acetic acid, [[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(1-methylethoxy)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]- (9CI) (CA INDEX NAME)

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



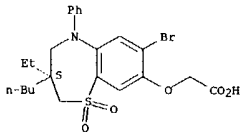
RN 439088-09-2 CAPLUS
CN Acetic acid, [[(3R)-7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



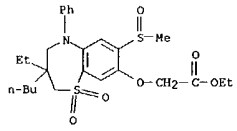
RN 439088-10-5 CAPLUS
CN Acetic acid, [[(3S)-7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

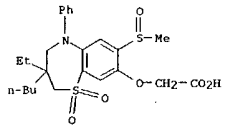


RN 439088-11-6 CAPLUS
CN Acetic acid, [[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylsulfinyl)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

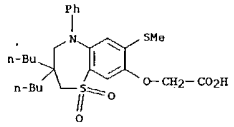
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 439088-12-7 CAPLUS
CN Acetic acid, [[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylsulfinyl)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]- (9CI) (CA INDEX NAME)



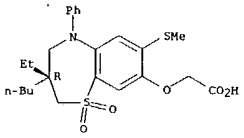
RN 439088-13-8 CAPLUS
CN Acetic acid, [[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]- (9CI) (CA INDEX NAME)



RN 439088-14-9 CAPLUS
CN Acetic acid, [[(3R)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]- (9CI) (CA INDEX NAME)

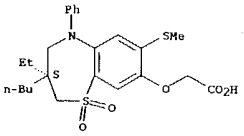
Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

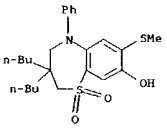


RN 439088-15-0 CAPLUS
CN Acetic acid, [[(3S)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



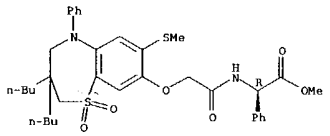
RN 439088-16-1 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 439088-19-4 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

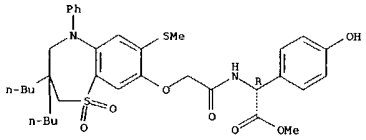
Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

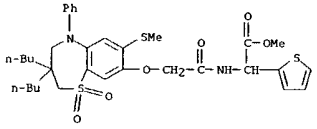


RN 439088-20-7 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-4-hydroxy-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

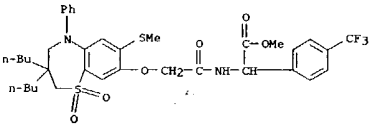


RN 439088-21-8 CAPLUS
CN 2-Thiopheneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



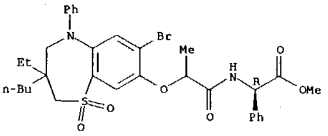
RN 439088-22-9 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-4-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



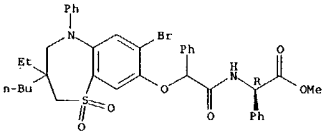
RN 439088-23-0 CAPLUS
CN Benzeneacetic acid, α-[[2-[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]-1-oxopropyl]amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439088-24-1 CAPLUS
CN Benzeneacetic acid, α-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]phenylacetyl]amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

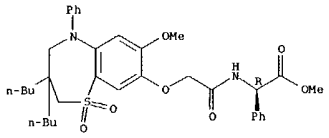
Absolute stereochemistry.



RN 439088-25-2 CAPLUS
CN Benzeneacetic acid, α-[[[(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

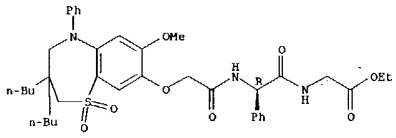
Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

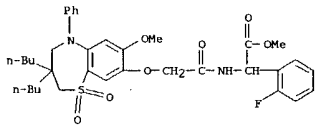


RN 439088-26-3 CAPLUS
CN Glycine, (2R)-N-[[(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-2-phenylglycyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

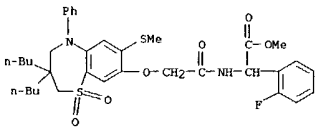


RN 439088-27-4 CAPLUS
CN Benzeneacetic acid, α-[[[(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-2-fluoro-, methyl ester (9CI) (CA INDEX NAME)



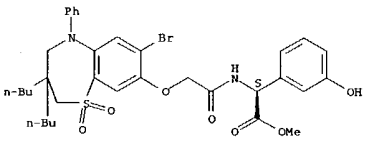
RN 439088-28-5 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-2-fluoro-, methyl ester (9CI) (CA INDEX NAME)

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



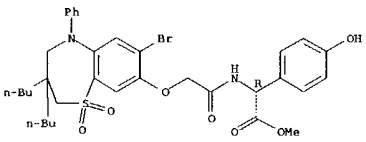
RN 439088-29-6 CAPLUS
CN Benzeneacetic acid, α-[[[(7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-3-hydroxy-, methyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439088-30-9 CAPLUS
CN Benzeneacetic acid, α-[[[(7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-4-hydroxy-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

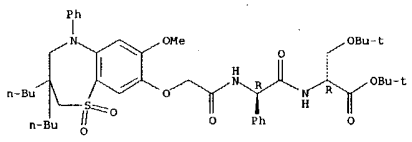
Absolute stereochemistry.



RN 439088-31-0 CAPLUS
CN D-Serine, (2R)-N-[[(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-2-phenylglycyl-O-(1,1-dimethylethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

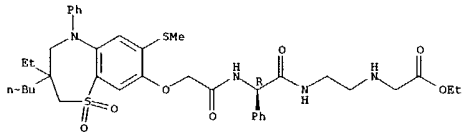
Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

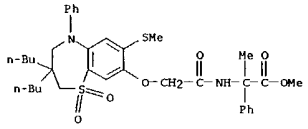


RN 439088-32-1 CAPLUS
CN Glycine, N-[2-[[(2R)-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]phenylacetyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

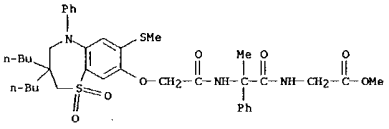


RN 439088-33-2 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-α-methyl-, methyl ester (9CI) (CA INDEX NAME)



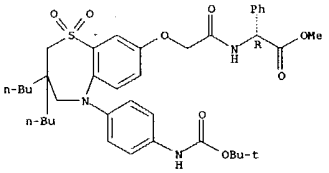
RN 439088-34-3 CAPLUS
CN Glycine, N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylalanyl-, methyl ester (9CI) (CA INDEX NAME)

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



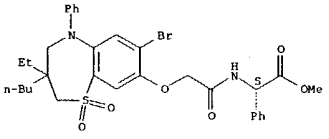
RN 439088-36-5 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-5-[4-[[(1,1-dimethylethoxy)carbonyl]amino]phenyl]-2,3,4,5-tetrahydro-1,1-dioxido-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439088-37-6 CAPLUS
CN Benzeneacetic acid, α-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, methyl ester, (αS)- (9CI) (CA INDEX NAME)

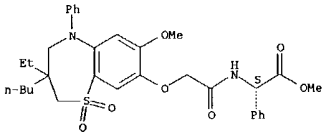
Absolute stereochemistry.



RN 439088-38-7 CAPLUS
CN Benzeneacetic acid, α-[[[(3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, methyl ester, (αS)- (9CI) (CA INDEX NAME)

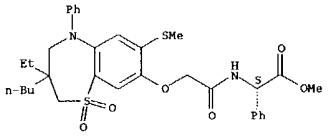
Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



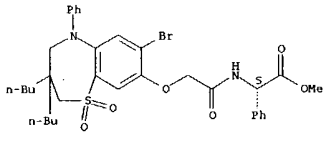
RN 439088-39-8 CAPLUS
CN Benzeneacetic acid, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, methyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439088-40-1 CAPLUS
CN Benzeneacetic acid, α-[[[(7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, methyl ester, (αS)- (9CI) (CA INDEX NAME)

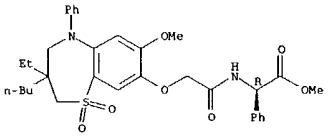
Absolute stereochemistry.



RN 439088-41-2 CAPLUS
CN Benzeneacetic acid, α-[[[(3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

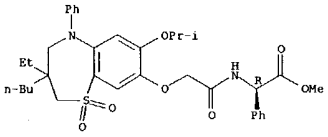
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



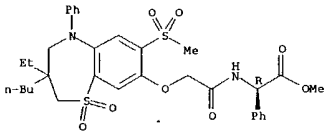
RN 439088-42-3 CAPLUS
CN Benzeneacetic acid, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(1-methylethoxy)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439088-43-4 CAPLUS
CN Benzeneacetic acid, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylsulfonyl)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

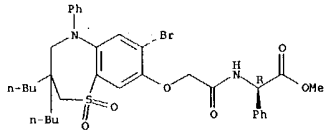
Absolute stereochemistry.



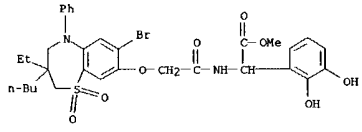
RN 439088-44-5 CAPLUS
CN Benzeneacetic acid, α-[[[(7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-1,1-

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

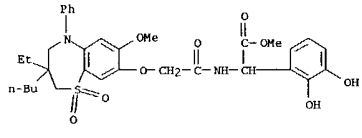
Absolute stereochemistry.



RN 439088-45-6 CAPLUS
CN Benzeneacetic acid, α-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-2,3-dihydroxy-, methyl ester (9CI) (CA INDEX NAME)

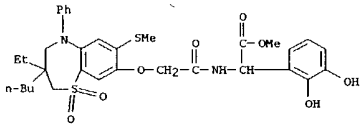


RN 439088-46-7 CAPLUS
CN Benzeneacetic acid, α-[[[(3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-2,3-dihydroxy-, methyl ester (9CI) (CA INDEX NAME)



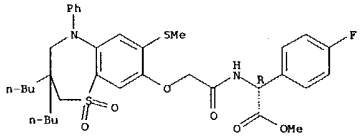
RN 439088-47-8 CAPLUS
CN Benzeneacetic acid, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-2,3-dihydroxy-, methyl ester (9CI) (CA INDEX NAME)

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

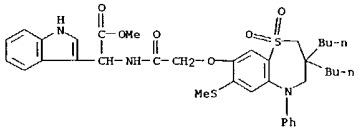


RN 439088-48-9 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-4-fluoro-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



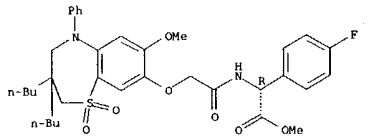
RN 439088-49-0 CAPLUS
CN 1H-Indole-3-acetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



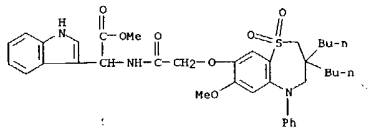
RN 439088-50-3 CAPLUS
CN Benzeneacetic acid, α-[[[(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-4-fluoro-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

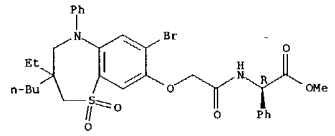


RN 439088-51-4 CAPLUS
CN 1H-Indole-3-acetic acid, α-[[[(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



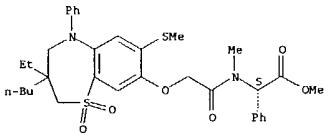
RN 439088-52-5 CAPLUS
CN Benzeneacetic acid, α-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



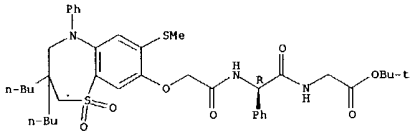
RN 439088-53-6 CAPLUS
CN Benzeneacetic acid, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]methylamino]-, methyl ester, (αS)- (9CI) (CA INDEX NAME)

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



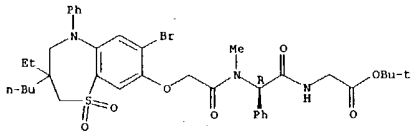
RN 439088-54-7 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439088-55-8 CAPLUS
CN Glycine, (2R)-N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-N-methyl-2-phenylglycyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

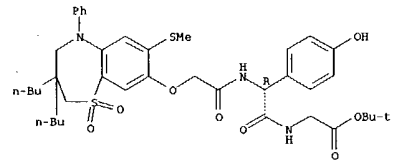
Absolute stereochemistry.



RN 439088-56-9 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-

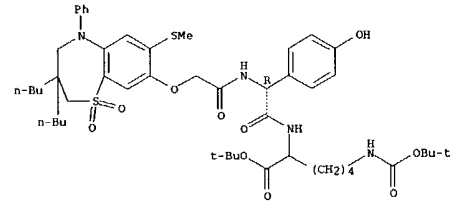
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
hydroxyphenyl)glycyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439088-57-0 CAPLUS
CN Lysine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-(4-hydroxyphenyl)glycyl-N6-[(1,1-dimethylethoxy)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

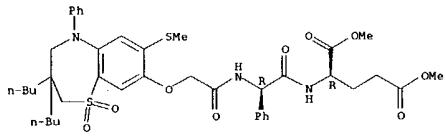
Absolute stereochemistry.



RN 439088-58-1 CAPLUS
CN D-Glutamic acid, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-, dimethyl ester (9CI) (CA INDEX NAME)

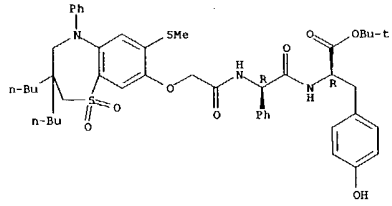
Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



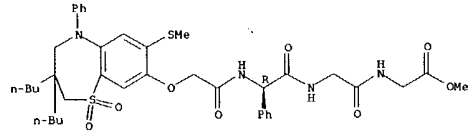
RN 439088-59-2 CAPLUS
CN D-Tyrosine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439088-60-5 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycylglycyl-, methyl ester (9CI) (CA INDEX NAME)

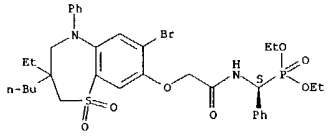
Absolute stereochemistry.



RN 439088-61-6 CAPLUS
CN Phosphonic acid, [(S)-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]phenylmethyl]-, diethyl ester (9CI) (CA INDEX NAME)

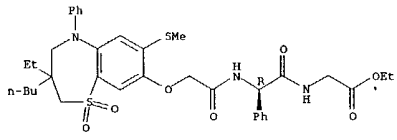
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



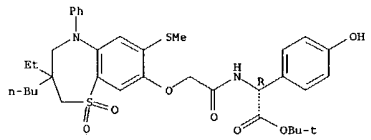
RN 439088-63-8 CAPLUS
CN Glycine, (2R)-N-[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



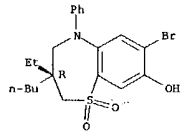
RN 439088-65-0 CAPLUS
CN Benzeneacetic acid, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-4-hydroxy-, 1,1-dimethylethyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



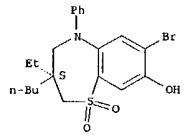
RN 439088-66-1 CAPLUS

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



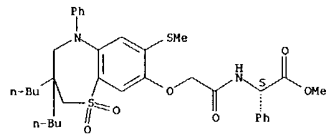
RN 439088-72-9 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439088-75-2 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, methyl ester, (αS)- (9CI) (CA INDEX NAME)

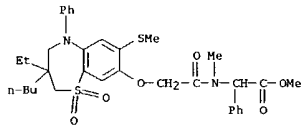
Absolute stereochemistry.



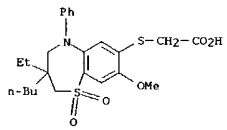
RN 439088-76-3 CAPLUS
CN Glycine, (2S)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

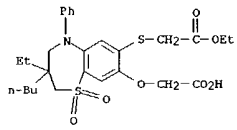
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Benzeneacetic acid, α-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]methylamino]-, methyl ester (9CI) (CA INDEX NAME)



RN 439088-68-3 CAPLUS
CN Acetic acid, [(3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-7-yl)thio]- (9CI) (CA INDEX NAME)



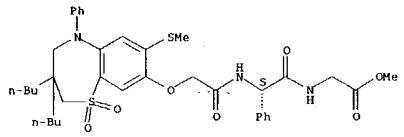
RN 439088-70-7 CAPLUS
CN Acetic acid, [[3-butyl-8-(carboxymethoxy)-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-7-yl]thio]-, 1-ethyl ester (9CI) (CA INDEX NAME)



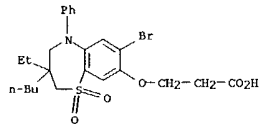
RN 439088-71-8 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

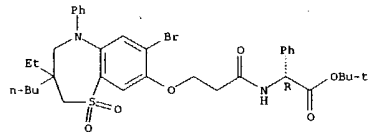


RN 439088-77-4 CAPLUS
CN Propanoic acid, 3-[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]- (9CI) (CA INDEX NAME)



RN 439088-78-5 CAPLUS
CN Benzeneacetic acid, α-[[3-[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]-1-oxopropyl]amino]-, 1,1-dimethylethyl ester, (αR)- (9CI) (CA INDEX NAME)

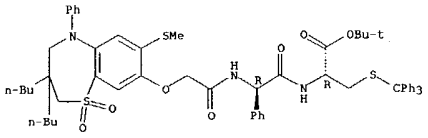
Absolute stereochemistry.



RN 439088-79-6 CAPLUS
CN L-Cysteine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-S-(triphenylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

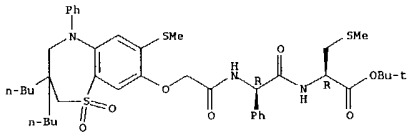
Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



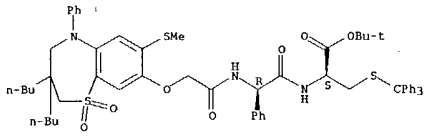
RN 439088-80-9 CAPLUS
CN L-Cysteine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-S-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439088-81-0 CAPLUS
CN D-Cysteine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-S-(triphenylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

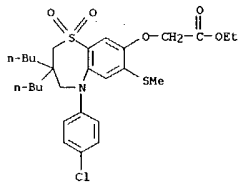
Absolute stereochemistry.



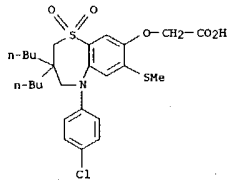
RN 439088-82-1 CAPLUS
CN L-Methionine, (2R)-N-[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-, methyl ester (9CI) (CA INDEX NAME)

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 439088-88-7 CAPLUS
CN Acetic acid, [[3,3-dibutyl-5-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-1,5-benzothiazepin-8-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 439088-89-8 CAPLUS
CN Acetic acid, [[3,3-dibutyl-5-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-1,5-benzothiazepin-8-yl]oxy]- (9CI) (CA INDEX NAME)

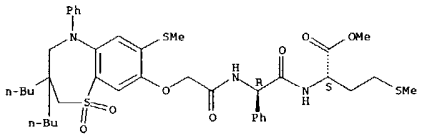


RN 439088-90-1 CAPLUS
CN Glycine, (2R)-N-[[[3,3-dibutyl-5-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-1,5-benzothiazepin-8-yl]oxy]acetyl]-2-phenylglycyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

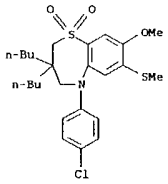
Absolute stereochemistry.

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

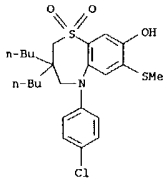
Absolute stereochemistry.



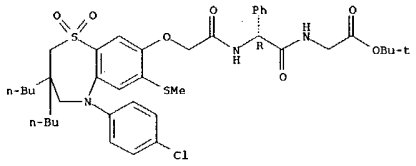
RN 439088-86-5 CAPLUS
CN 1,5-Benzothiazepine, 3,3-dibutyl-5-(4-chlorophenyl)-2,3,4,5-tetrahydro-8-methoxy-7-(methylthio)-, 1,1-dioxide (9CI) (CA INDEX NAME)



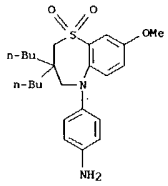
RN 439088-87-6 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3,3-dibutyl-5-(4-chlorophenyl)-2,3,4,5-tetrahydro-7-(methylthio)-, 1,1-dioxide (9CI) (CA INDEX NAME)



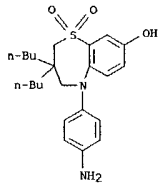
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 439088-94-5 CAPLUS
CN Benzenamine, 4-(3,3-dibutyl-3,4-dihydro-8-methoxy-1,1-dioxido-1,5-benzothiazepin-5(2H)-yl)- (9CI) (CA INDEX NAME)

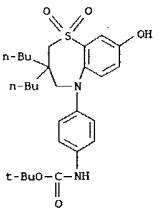


RN 439088-96-7 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 5-(4-aminophenyl)-3,3-dibutyl-2,3,4,5-tetrahydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

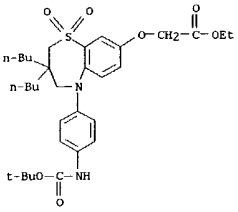


RN 439088-98-9 CAPLUS
CN Carbamic acid, [4-(3,3-dibutyl-3,4-dihydro-8-hydroxy-1,1-dioxido-1,5-benzothiazepin-5(2H)-yl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

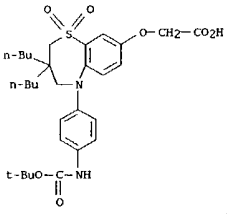


RN 439089-00-6 CAPLUS
CN Acetic acid, [[3,3-dibutyl-5-[4-[[(1,1-dimethylethoxy)carbonyl]amino]phenyl]-2,3,4,5-tetrahydro-1,1-dioxido-1,5-benzothiazepin-8-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



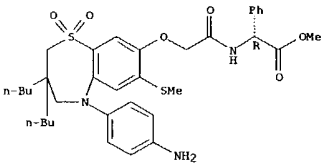
RN 439089-02-8 CAPLUS
CN Acetic acid, [[3,3-dibutyl-5-[4-[[(1,1-dimethylethoxy)carbonyl]amino]phenyl]-2,3,4,5-tetrahydro-1,1-dioxido-1,5-benzothiazepin-8-yl]oxy]- (9CI) (CA INDEX NAME)

L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 439089-04-0 CAPLUS
CN Benzeneacetic acid, α-[[[[5-(4-aminophenyl)-3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

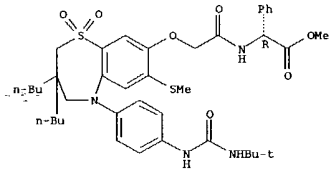
Absolute stereochemistry.



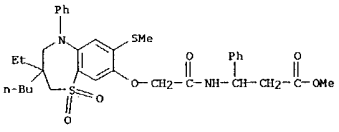
RN 439089-06-2 CAPLUS
CN Benzeneacetic acid, α-[[[[3,3-dibutyl-5-[4-[[[(1,1-dimethylethyl)amino]carbonyl]amino]phenyl]-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

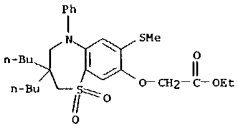
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 439089-08-4 CAPLUS
CN Benzenepropanoic acid, β-[[[[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

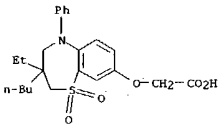


RN 439089-12-0 CAPLUS
CN Acetic acid, [[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

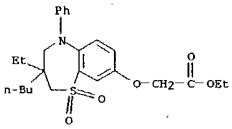


RN 439089-14-2 CAPLUS
CN Acetic acid, [(3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]- (9CI) (CA INDEX NAME)

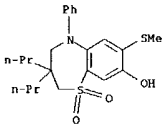
L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



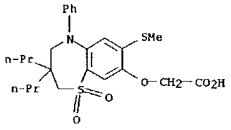
RN 439089-16-4 CAPLUS
CN Acetic acid, [(3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)



RN 439089-17-5 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 2,3,4,5-tetrahydro-7-(methylthio)-5-phenyl-3,3-dipropyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 439089-19-7 CAPLUS
CN Acetic acid, [[2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-3,3-dipropyl-1,5-benzothiazepin-8-yl]oxy]- (9CI) (CA INDEX NAME)



L60 ANSWER 17 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 18 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:332011 CAPLUS
DOCUMENT NUMBER: 136:355482
TITLE: Compositions comprising a polypeptide and an active agent
INVENTOR(S): Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randall J.
PATENT ASSIGNEE(S): New River Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002034237	A1	20020502	WO 2001-US26142	20010822
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6716452	B1	20040406	US 2000-642820	20000822
AU 2001086599	A5	20020506	AU 2001-86599	20010822
EP 1311242	A1	20030521	EP 2001-966056	20010822
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004523480	T2	20040805	JP 2002-537291	20010822
US 2004127397	A1	20040701	US 2003-727565	20031205

PRIORITY APPLN. INFO.:

US 2000-642820	A	20000822
US 2000-247613P	P	20001114
US 2000-247614P	P	20001114
US 2000-247615P	P	20001114
US 2000-247616P	P	20001114
US 2000-247617P	P	20001114
US 2000-247622P	P	20001114
US 2000-247630P	P	20001114
US 2000-247631P	P	20001114
US 2000-247632P	P	20001114
US 2000-247633P	P	20001114
US 2000-247556P	P	20001114
US 2000-247558P	P	20001114
US 2000-247559P	P	20001114
US 2000-247560P	P	20001114
US 2000-247561P	P	20001114
US 2000-247594P	P	20001114
US 2000-247595P	P	20001114
US 2000-247606P	P	20001114
US 2000-247607P	P	20001114
US 2000-247608P	P	20001114
US 2000-247609P	P	20001114

L60 ANSWER 18 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

US 2000-247610P	P	20001114
US 2000-247611P	P	20001114
US 2000-247612P	P	20001114
US 2000-247620P	P	20001114
US 2000-247621P	P	20001114
US 2000-247634P	P	20001114
US 2000-247635P	P	20001114
US 2000-247698P	P	20001114
US 2000-247699P	P	20001114
US 2000-247701P	P	20001114
US 2000-247702P	P	20001114
US 2000-247797P	P	20001114
US 2000-247798P	P	20001114
US 2000-247799P	P	20001114
US 2000-247800P	P	20001114
US 2000-247801P	P	20001114
US 2000-247802P	P	20001114
US 2000-247803P	P	20001114
US 2000-247804P	P	20001114
WO 2001-US26142	W	20010822

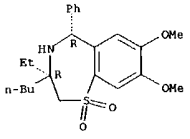
AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the composition to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepared from Glu(OBut)NCA and cephalexin hydrochloride.

IT **178961-24-5**, 264W94
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. comprising a polypeptide and an active agent)

RN 178961-24-5 CAPLUS

CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 19 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:171918 CAPLUS
DOCUMENT NUMBER: 136:217007
TITLE: Preparation of antiviral nucleoside derivatives as inhibitors of subgenomic hepatitis C virus RNA replication
INVENTOR(S): Devos, Rene; Dymock, Brian William; Hobbs, Christopher John; Jiang, Wen-rong; Martin, Joseph Armstrong; Merrett, John Herbert; Najera, Isabel; Shimma, Nobuo; Tsukuda, Takuo
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 225 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018404	A2	20020307	WO 2001-EP9633	20010821
WO 2002018404	C2	20031002		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003008841	A1	20030109	US 2001-923620	20010807
AU 2001095497	A5	20020313	AU 2001-95497	20010821
EP 1315736	A2	20030604	EP 2001-976128	20010821
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013611	A	20030624	BR 2001-13611	20010821
JP 2004513083	T2	20040430	JP 2002-523918	20010821
US 2004110718	A1	20040610	US 2003-678804	20031003

PRIORITY APPLN. INFO.:

GB 2000-21285	A	20000830
GB 2000-26611	A	20001031
US 2001-923620	B1	20010807
WO 2001-EP9633	W	20010821

OTHER SOURCE(S): MARPAT 136:217007
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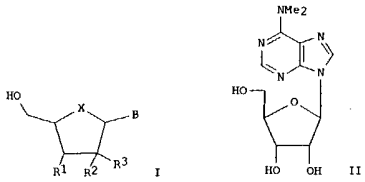
L60 ANSWER 19 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



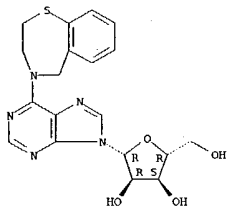
AB Nucleosides I , wherein R1 is hydrogen, hydroxy, alkyl, hydroxyalkyl, alkoxy, halogen, cyano, isocyano or azido; R2 is hydrogen, hydroxy, alkoxy, chlorine, bromine or iodine; R3 is hydrogen; or R2 and R3 together represent =CH2; or R2 and R3 represent fluorine; X is O, S or CH2; B is a substituted purine base, were prepared as inhibitors of subgenomic hepatitis C virus (HCV) RNA replication. Thus, nucleoside II was prepared and tested for the inhibition of HCV RNA replication (EC50 = 0.6 μM).

IT **402724-47-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of antiviral nucleoside derivs. as inhibitors of subgenomic hepatitis C virus RNA replication)

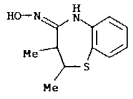
RN 402724-47-4 CAPLUS

CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-4-(9-β-D-ribofuranosyl-9H-purin-6-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

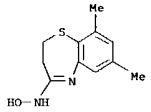


L60 ANSWER 20 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 137346-87-3 CAPLUS

CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-7,9-dimethyl-, oxime (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 20 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:142642 CAPLUS
DOCUMENT NUMBER: 136:185763
TITLE: Method for ring chlorination of ortho-xylene
INVENTOR(S): Mais, Franz-Josef; Klausener, Alexander; Schrage, Heinrich
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014245	A1	20020221	WO 2001-EP8889	20010801
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10039722	A1	20020228	DE 2000-10039722	20000814
AU 2001089781	A5	20020225	AU 2001-89781	20010801
EP 1311465	A1	20030521	EP 2001-969561	20010801
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2002049357	A1	20020425	US 2001-928852	20010813

PRIORITY APPLN. INFO.: DE 2000-10039722 A 20000814
WO 2001-EP8889 W 20010801

OTHER SOURCE(S): MARPAT 136:185763

AB The invention relates to a method for ring chlorination of o-xylene using elemental chlorine in the presence of a Friedel-Crafts-catalyst and a cocatalyst, wherein benzo-condensed thiazepines or thiazolines are used as cocatalysts. These cocatalysts provide high ratios of 4-chloro- to 3-chloro-1,3-dimethylbenzene in the products.

IT **137346-77-1 137346-87-3**
RL: CAT (Catalyst use); USES (Uses)
(ring chlorination of ortho-xylene in presence of Friedel-Crafts catalysts and benzothiazepines or benzothiazolines as cocatalysts)

RN 137346-77-1 CAPLUS

CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2,3-dimethyl-, oxime (9CI) (CA INDEX NAME)

L60 ANSWER 21 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:90031 CAPLUS
DOCUMENT NUMBER: 136:151190
TITLE: Preparation of novel 1,4-benzothiazepine and 1,5-benzothiazepine compounds as inhibitors of apical sodium co-dependent bile acid transport and taurocholate uptake
INVENTOR(S): Tremont, Samuel J.; Koeller, Kevin J.; Neumann, William L.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 561 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008211	A2	20020131	WO 2001-US23533	20010726
WO 2002008211	A3	20020808		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002183307	A1	20021205	US 2001-912233	20010725
US 2004077625	A1	20040422	US 2003-333842	20030811

PRIORITY APPLN. INFO.: US 2000-220966P P 20000726
US 2001-912233 A 20010725
WO 2001-US23533 W 20010726

OTHER SOURCE(S): MARPAT 136:151190

GI

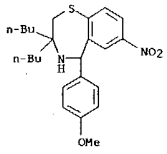
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; R1 = CH3(CH2)3, CH3CH2; R2 = F, H, N(CH3)2; Y = CH2, NH; Z = NC6H5, 4-CH3OC6H4N, C6H5CH, 4-(CH3CH2)2NCH2CH2OC6H4N, 4-(CH3CH2)2NCH2CH2OC6H4CH, 4-CH3OC6H4CH, 4-(CH3CH2)3N+CH2CH2OC6H4CH, 4-(CH3CH2)3N+CH2CH2OC6H4N, 4-(CH3CH2)2NCH2CH2[OCH2CH2]2OC6H4CH, 4-(CH3CH2)2NCH2CH2[OCH2CH2]2OC6H4N; n = 1, 2], pharmaceutical compns., pharmaceutically acceptable salts, solvates, and prodrugs are prepared and methods for the treatment of a hyperlipidemic condition in a subject are claimed. Title compds. I are apical sodium co-dependent bile acid transporter (ASBT) inhibitors and taurocholate uptake inhibitors and are in vitro tested for uptake of [14C]-alanine inhibition and in vivo tested in male Wister rats for IBAT inhibition effect by fecal bile acid content, using an enzymic assay. Thus, the title compound II·Cl was prepared from 3-FC6H4NH2, NH4SCN, BrCH2C(CH2CH2CH2CH3)2COOH, and 4-IC6H4OCH3 via cyclization, decarboxylation, oxidation of sulfur, amination, demethylation, and reacted with 4-ClCH2C6H4CH2Cl and 1,4-diazabicyclo[2.2.2]octane.

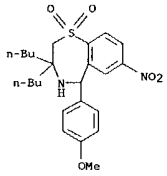
IT **393855-90-8P 393855-95-3P 393855-98-6P**
393856-01-4P 393856-06-9P 393856-09-2P

L60 ANSWER 21 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
393856-12-7P 393856-20-7P 393856-41-2P
393856-44-5P 393856-47-8P 393856-50-3P
393856-53-6P 393856-59-2P 393856-65-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of benzothiazepines as inhibitors of apical sodium co-dependent bile acid transport and taurocholate uptake)

RN 393855-90-8 CAPLUS
CN 1,4-Benzothiazepine, 3,3-dibutyl-2,3,4,5-tetrahydro-5-(4-methoxyphenyl)-7-nitro- (9CI) (CA INDEX NAME)

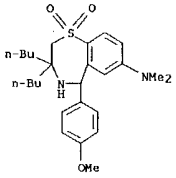


RN 393855-95-3 CAPLUS
CN 1,4-Benzothiazepine, 3,3-dibutyl-2,3,4,5-tetrahydro-5-(4-methoxyphenyl)-7-nitro-, 1,1-dioxide (9CI) (CA INDEX NAME)

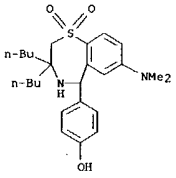


RN 393855-98-6 CAPLUS
CN 1,4-Benzothiazepin-7-amine, 3,3-dibutyl-2,3,4,5-tetrahydro-5-(4-methoxyphenyl)-N,N-dimethyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

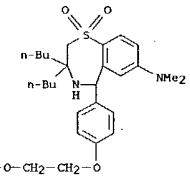
L60 ANSWER 21 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 393856-01-4 CAPLUS
CN Phenol, 4-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]- (9CI) (CA INDEX NAME)



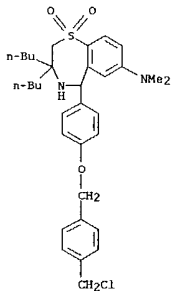
RN 393856-06-9 CAPLUS
CN 1,4-Benzothiazepin-7-amine, 3,3-dibutyl-2,3,4,5-tetrahydro-5-[4-[2-[2-(2-iodoethoxy)ethoxy]ethoxy]phenyl]-N,N-dimethyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



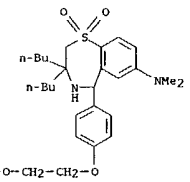
$ICH_2-CH_2-O-CH_2-CH_2-O-CH_2-CH_2-O$

L60 ANSWER 21 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 393856-09-2 CAPLUS
CN 1,4-Benzothiazepin-7-amine, 3,3-dibutyl-5-[4-[[4-(chloromethyl)phenyl]methoxy]phenyl]-2,3,4,5-tetrahydro-N,N-dimethyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 393856-12-7 CAPLUS
CN Ethanaminium, 2-[2-[2-[4-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenoxy]ethoxy]ethoxy]-N,N,N-triethyl-, iodide (9CI) (CA INDEX NAME)

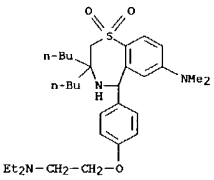


$Et_3{}^{+}N-CH_2-CH_2-O-CH_2-CH_2-O-CH_2-CH_2-O$

● I^-

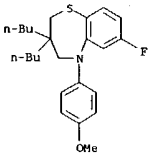
RN 393856-20-7 CAPLUS
CN 1,4-Benzothiazepin-7-amine, 3,3-dibutyl-5-[4-[2-(diethylamino)ethoxy]phenyl]-2,3,4,5-tetrahydro-N,N-dimethyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 21 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

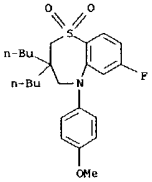


$Et_2N-CH_2-CH_2-O$

RN 393856-41-2 CAPLUS
CN 1,5-Benzothiazepine, 3,3-dibutyl-7-fluoro-2,3,4,5-tetrahydro-5-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

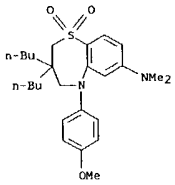


RN 393856-44-5 CAPLUS
CN 1,5-Benzothiazepine, 3,3-dibutyl-7-fluoro-2,3,4,5-tetrahydro-5-(4-methoxyphenyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

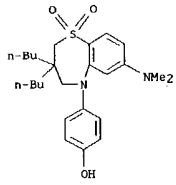


RN 393856-47-8 CAPLUS
CN 1,5-Benzothiazepin-7-amine, 3,3-dibutyl-2,3,4,5-tetrahydro-5-(4-methoxyphenyl)-N,N-dimethyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

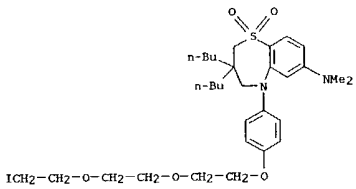
L60 ANSWER 21 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 393856-50-3 CAPLUS
CN Phenol, 4-[3,3-dibutyl-7-(dimethylamino)-3,4-dihydro-1,1-dioxido-1,5-benzothiazepin-5(2H)-yl]- (9CI) (CA INDEX NAME)



RN 393856-53-6 CAPLUS
CN 1,5-Benzothiazepin-7-amine, 3,3-dibutyl-2,3,4,5-tetrahydro-5-[4-[2-[2-(2-iodoethoxy)ethoxy]ethoxy]phenyl]-N,N-dimethyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



L60 ANSWER 21 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(Uses)
(prepn. of benzothiazepines as inhibitors of apical sodium co-dependent bile acid transport and taurocholate uphake)

RN 393856-16-1 CAPLUS
CN 4-Aza-1-azoniabicyclo[2.2.2]octane, 1-[[4-[[4-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenoxy]methyl]phenyl]methyl]-, chloride (9CI) (CA INDEX NAME)

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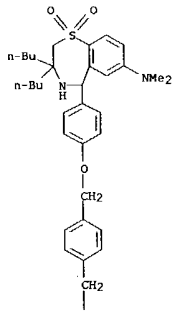

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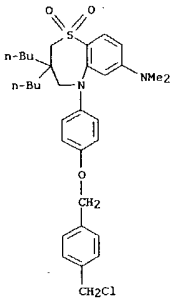
● Cl⁻

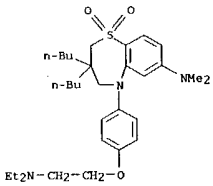
RN 393856-23-0 CAPLUS
CN Ethanaminium, 2-[4-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenoxy]-N,N,N-triethyl-, iodide (9CI) (CA INDEX NAME)

L60 ANSWER 21 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 393856-59-2 CAPLUS
CN 1,5-Benzothiazepin-7-amine, 3,3-dibutyl-5-[4-[[4-(chloromethyl)phenyl]methoxy]phenyl]-2,3,4,5-tetrahydro-N,N-dimethyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

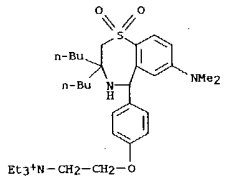


RN 393856-65-0 CAPLUS
CN 1,5-Benzothiazepin-7-amine, 3,3-dibutyl-5-[4-[2-(diethylamino)ethoxy]phenyl]-2,3,4,5-tetrahydro-N,N-dimethyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



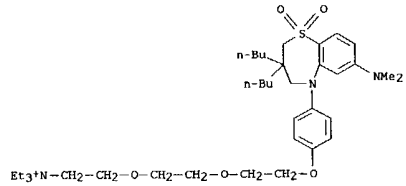
IT **393856-16-1P 393856-23-0P 393856-56-9P**
393856-62-7P 393856-68-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

L60 ANSWER 21 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● I⁻

RN 393856-56-9 CAPLUS
CN Ethanaminium, 2-[2-[2-[4-[3,3-dibutyl-7-(dimethylamino)-3,4-dihydro-1,1-dioxido-1,5-benzothiazepin-5(2H)-yl]phenoxy]ethoxy]ethoxy]-N,N,N-triethyl-, iodide (9CI) (CA INDEX NAME)

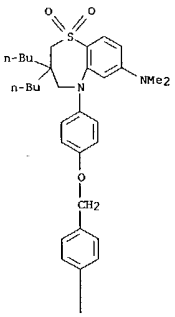


● I⁻

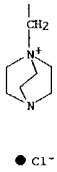
RN 393856-62-7 CAPLUS
CN 4-Aza-1-azoniabicyclo[2.2.2]octane, 1-[[4-[[4-[3,3-dibutyl-7-(dimethylamino)-3,4-dihydro-1,1-dioxido-1,5-benzothiazepin-5(2H)-yl]phenoxy]methyl]phenyl]methyl]-, chloride (9CI) (CA INDEX NAME)

L60 ANSWER 21 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A



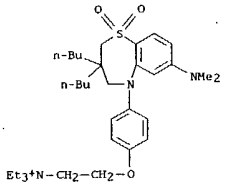
PAGE 2-A



● Cl⁻

RN 393856-68-3 CAPLUS
CN Ethanaminium, 2-[4-[3,3-dibutyl-7-(dimethylamino)-3,4-dihydro-1,1-dioxido-1,5-benzothiazepin-5(2H)-yl]phenoxy]-N,N,N-triethyl-, iodide (9CI) (CA INDEX NAME)

L60 ANSWER 21 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

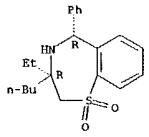


● I⁻

IT **152802-07-8P 393856-74-1P 393856-77-4P 393856-80-9P 393856-83-2P 393856-92-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel benzothiazepines as inhibitors of apical sodium co-dependent bile acid transport and taurocholate uptake)

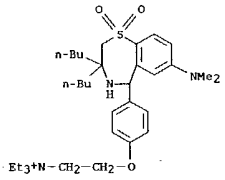
RN 152802-07-8 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

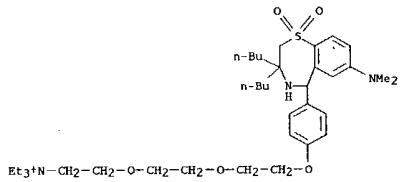


RN 393856-74-1 CAPLUS
CN Ethanaminium, 2-[4-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenoxy]-N,N,N-triethyl- (9CI) (CA INDEX NAME)

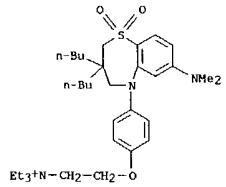
L60 ANSWER 21 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 393856-77-4 CAPLUS
CN Ethanaminium, 2-[2-[2-[4-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenoxy]ethoxy]ethoxy]-N,N,N-triethyl- (9CI) (CA INDEX NAME)

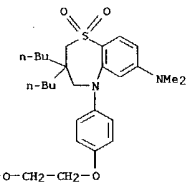


RN 393856-80-9 CAPLUS
CN Ethanaminium, 2-[4-[3,3-dibutyl-7-(dimethylamino)-3,4-dihydro-1,1-dioxido-1,5-benzothiazepin-5(2H)-yl]phenoxy]-N,N,N-triethyl- (9CI) (CA INDEX NAME)



RN 393856-83-2 CAPLUS

L60 ANSWER 21 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Ethanaminium, 2-[2-[2-[4-[3,3-dibutyl-7-(dimethylamino)-3,4-dihydro-1,1-dioxido-1,5-benzothiazepin-5(2H)-yl]phenoxy]ethoxy]ethoxy]-N,N,N-triethyl- (9CI) (CA INDEX NAME)



RN 393856-92-3 CAPLUS
CN 4-Aza-1-azoniabicyclo[2.2.2]octane, 1-[[4-[[4-[3,3-dibutyl-7-(dimethylamino)-3,4-dihydro-1,1-dioxido-1,5-benzothiazepin-5(2H)-yl]phenoxy]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

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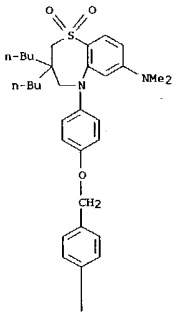
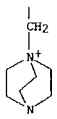


L60 ANSWER 21 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



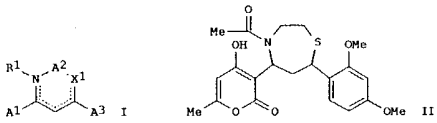
L60 ANSWER 22 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:780869 CAPLUS
DOCUMENT NUMBER: 135:331449
TITLE: Preparation of substituted 1,4-thiazepines and analogs as activators of caspases and inducers of apoptosis for treatment of cancer and other proliferative diseases
INVENTOR(S): Cai, Sui Xiong; Drewe, John A.; Shelton, Emma Jane; Litvak, Joane; Sperandio, David; Spencer, Jeffrey R.
PATENT ASSIGNEE(S): Cytovia, Inc., USA
SOURCE: PCT Int. Appl., 162 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001079187	A2	20011025	WO 2001-US12581	20010418
WO 2001079187	A3	20020221		
WO 2001079187	C1	20030220		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002010169	A1	20020124	US 2001-836548	20010418
EP 1324993	A2	20030709	EP 2001-969044	20010418
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-197599P P 20000418
WO 2001-US12581 W 20010418

OTHER SOURCE(S): MARPAT 135:331449
GI



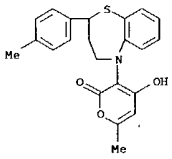
AB Title compds. I [wherein R1 = null, H, alkyl, or COR6; X1 = NR2, S, SO, SO2, or O; R6 = null, H, or (halo)alkyl; A1 = (un)substituted monocyclic or fused polycyclic (hetero)aryl or (hetero)cycloalkyl ring; or A1 and R1 together form an (un)substituted fused polycyclic heteroaryl or

L60 ANSWER 22 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

heterocycloalkyl ring; the ring contg. A2 = (un)substituted monocyclic or fused bicyclic heteroarylene or heterocycloalkylene ring; A3 = (un)substituted monocyclic or fused polycyclic (hetero)aryl or (hetero)cycloalkyl ring; and N-oxides, prodrugs, protected derivs., stereoisomers, and pharmaceutically acceptable salts thereof] were prepd. as caspase activators and apoptosis inducers. For example, coupling of 3-acetyl-4-hydroxy-6-methylpyran-2-one with 2,4-dimethoxybenzaldehyde, followed by cyclization with 2-aminoethanethiol (61%) and acetylation, gave the [1,4]thiazepine II. Five invention compds. were tested and demonstrated caspase potency in human breast cancer cell lines T-47D and ZR-75-1 with EC50 values ranging from 345 nM to 6930 nM and 163 nM to 4207 nM, resp. Thus, I and their compns. with known cancer chemotherapeutic agents are useful for the treatment of drug resistant cancer in animals.

IT **369389-73-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted 1,4-thiazepines and analogs as activators of caspases and inducers of apoptosis for treatment of cancer and other proliferative diseases)

RN 369389-73-1 CAPLUS
CN 2H-Pyran-2-one, 3-[3,4-dihydro-2-(4-methylphenyl)-1,5-benzothiazepin-5(2H)-yl]-4-hydroxy-6-methyl- (9CI) (CA INDEX NAME)



L60 ANSWER 23 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:693092 CAPLUS
DOCUMENT NUMBER: 135:257253
TITLE: Preparation of tetrahydrobenzothiepines and naphthalenes useful in combination therapy with HMG Co-A reductase inhibitors for the prophylaxis and treatment of hyperlipidemic conditions and disorders.
INVENTOR(S): Keller, Bradley T.; Tremont, Samuel J.; Glenn, Kevin C.; Manning, Robert E.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001068096	A2	20010920	WO 2001-US7505	20010308
WO 2001068096	A3	20020725		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002061888	A1	20020523	US 2001-802313	20010308
US 2003232834	A1	20031218	US 2002-204672	20021126
US 2004082647	A1	20040429	US 2003-419266	20030421
US 2004110761	A1	20040610	US 2003-611942	20030703

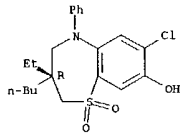
PRIORITY APPLN. INFO.: US 2000-188361P P 20000310
US 2000-188378P P 20000310
US 2001-802279 A3 20010308
US 2001-802313 B1 20010308
WO 2001-US7505 W 20010308

AB A method for the treatment and/or prophylaxis of a hyperlipidemic condition or disorder comprises the administration of ≥1 HMG Co-A reductase inhibitors and one or more specific apical Na codependent bile acid transporter (ASBT) inhibitors is claimed. Thus, (4R,5R)-1-[[4-[4-[3-butyl-3-ethyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxo-1-benzothiepin-5-yl]phenoxy]methyl]phenyl]methyl-4-aza-1-azoniabicyclo[2.2.2]octane chloride (3,3-di-Bu analog preparation given) 0.375 mg/kg/day and lovastatin 0.45 mg/kg/day orally in dogs reduced serum triglycerides by 37% at 4 wk.

IT **280757-38-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of tetrahydrobenzothiepines and naphthalenes useful in combination therapy with HMG Co-A reductase inhibitors for the prophylaxis and treatment of hyperlipidemic conditions and disorders)

RN 280757-38-2 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3-butyl-7-chloro-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3R)- (9CI) (CA INDEX NAME)

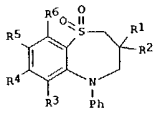
L60 ANSWER 23 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:676757 CAPLUS
DOCUMENT NUMBER: 135:210745
TITLE: Preparation of 1,5-benzothiazepines for use as hypolipidemics
INVENTOR(S): Starke, Ingemar; Dahlstrom, Michael; Blomberg, David
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066533	A1	20010913	WO 2001-GB909	20010305
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1263747	A1	20021211	EP 2001-909970	20010305
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009011	A	20030603	BR 2001-9011	20010305
JP 2003525933	T2	20030902	JP 2001-565349	20010305
NZ 520951	A	20040528	NZ 2001-520951	20010305
ZA 2002006739	A	20031124	ZA 2002-6739	20020822
NO 2002004217	A	20021009	NO 2002-4217	20020904
US 2003166927	A1	20030904	US 2002-220877	20020906
PRIORITY APPLN. INFO.:			SE 2000-772 A	20000308
			WO 2001-GB909 W	20010305

OTHER SOURCE(S): MARPAT 135:210745
GI



I

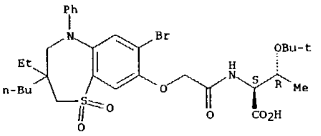
AB Benzothiazepines I [R1, R2 = alkyl; one of R4 and R5 is R7(CR8R9)mNR10CO(CHR11)nO; R3, R6 and the other of R4 and R5 are H, halo,

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
nitro, cyano, hydroxy, amino, carboxy, mercapto, (un)substituted carbamoyl, sulfamoyl, alkyl, alkenyl, alkynyl, alkoxy, alkanoyl, etc.; R7 = carboxy, sulfo, sulfino, phosphono, P(O)(ORa)(ORb), P(O)(OH)(ORa) (Ra, Rb = alkyl) or substituted carbamoyl; R8, R9 = H, alkyl, a satd. cyclic group or R8R9 = (un)substituted alkylene; R10 = H, (un)substituted alkyl; R11 = H, (un)substituted alkyl, carbocyclyl or heterocyclyl; m, n = 1-3] or their pharmaceutically acceptable salts, solvates, solvates and prodrugs were prepd. for use as ileal bile acid transport (IBAT) inhibitors for the treatment of hyperlipidemia. Thus, 3-butyl-3-ethyl-5-phenyl-7-[[N-(carboxymethyl)carbamoyl]methoxy]-2,3,4,5-tetrahydro-1,5-benzothiazepine 1,1-dioxide was prepd. from 3-butyl-3-ethyl-5-phenyl-7-hydroxy-2,3,4,5-tetrahydro-1,5-benzothiazepine 1,1-dioxide by treatment with Et bromoacetate, sapon., coupling with glycine tert-Bu ester, and ester cleavage using TFA.

IT **358375-41-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzothiazepines as hypolipidemics)

RN 358375-41-4 CAPLUS
CN L-Threonine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-O-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

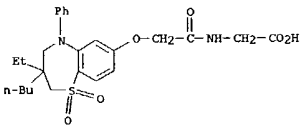
Absolute stereochemistry.



IT **358375-12-9P 358375-13-0P 358375-14-1P**
358375-15-2P 358375-16-3P 358375-17-4P
358375-18-5P 358375-20-9P 358375-21-0P
358375-22-1P 358375-23-2P 358375-24-3P
358375-25-4P 358375-26-5P 358375-27-6P
358375-28-7P 358375-29-8P 358375-30-1P
358375-31-2P 358375-33-4P 358375-34-5P
358375-35-6P 358375-36-7P 358375-37-8P
358375-38-9P 358375-39-0P 358375-40-3P
358375-42-5P 358375-43-6P 358375-44-7P
358375-45-8P 358375-46-9P 358375-47-0P
358375-48-1P 358375-49-2P 358375-50-5P
358375-51-6P 358376-51-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzothiazepines as hypolipidemics)

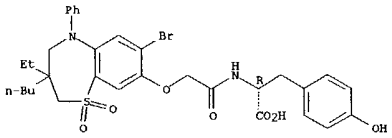
RN 358375-12-9 CAPLUS
CN Glycine, N-[[(3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-7-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



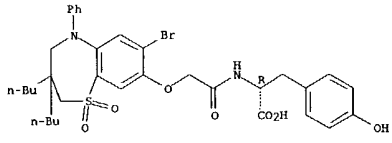
RN 358375-13-0 CAPLUS
CN D-Tyrosine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 358375-14-1 CAPLUS
CN D-Tyrosine, N-[[(7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

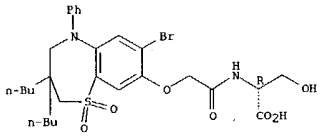
Absolute stereochemistry.



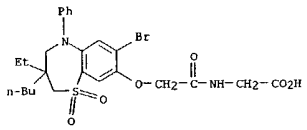
RN 358375-15-2 CAPLUS
CN D-Serine, N-[[(7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

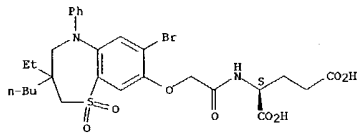


RN 358375-16-3 CAPLUS
CN Glycine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)



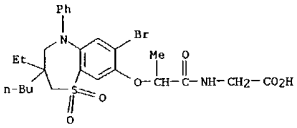
RN 358375-17-4 CAPLUS
CN L-Glutamic acid, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

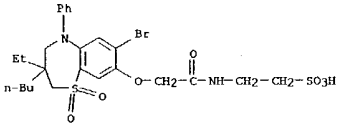


RN 358375-18-5 CAPLUS
CN Glycine, N-[2-[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]-1-oxopropyl]- (9CI) (CA INDEX NAME)

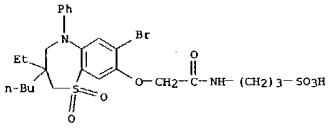
L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 358375-20-9 CAPLUS
CN Ethanesulfonic acid, 2-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]- (9CI) (CA INDEX NAME)

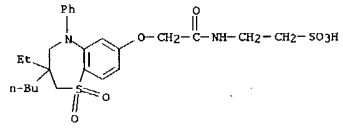


RN 358375-21-0 CAPLUS
CN 1-Propanesulfonic acid, 3-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]- (9CI) (CA INDEX NAME)

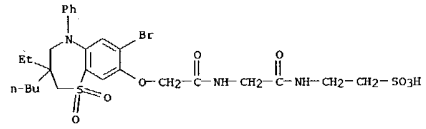


RN 358375-22-1 CAPLUS
CN Ethanesulfonic acid, 2-[[[(3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-7-yl)oxy]acetyl]amino]- (9CI) (CA INDEX NAME)

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

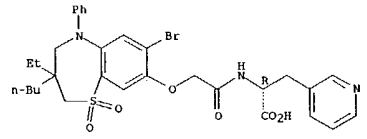


RN 358375-23-2 CAPLUS
CN Ethanesulfonic acid, 2-[[[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]acetyl]amino]- (9CI) (CA INDEX NAME)



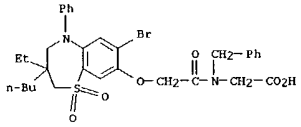
RN 358375-24-3 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



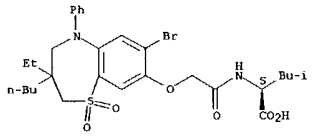
RN 358375-25-4 CAPLUS
CN Glycine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



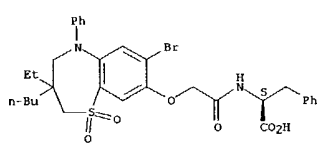
RN 358375-26-5 CAPLUS
CN L-Leucine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 358375-27-6 CAPLUS
CN L-Phenylalanine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

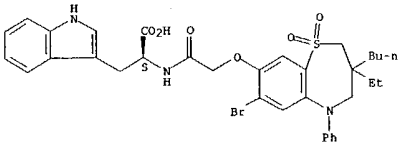
Absolute stereochemistry.



RN 358375-28-7 CAPLUS
CN L-Tryptophan, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

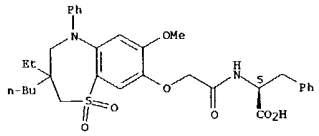
Absolute stereochemistry.

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



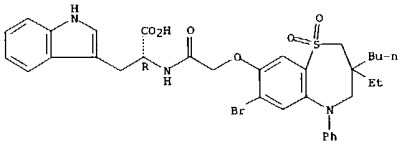
RN 358375-29-8 CAPLUS
CN L-Phenylalanine, N-[[(3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 358375-30-1 CAPLUS
CN D-Tryptophan, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

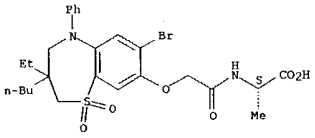
Absolute stereochemistry.



RN 358375-31-2 CAPLUS
CN L-Alanine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

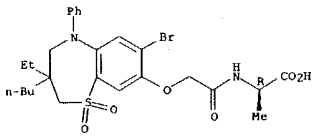
Absolute stereochemistry.

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

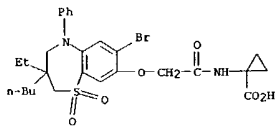


RN 358375-33-4 CAPLUS
CN D-Alanine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

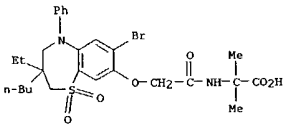


RN 358375-34-5 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]- (9CI) (CA INDEX NAME)

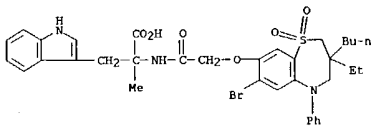


RN 358375-35-6 CAPLUS
CN Alanine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-2-methyl- (9CI) (CA INDEX NAME)

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

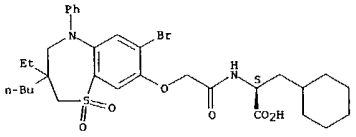


RN 358375-36-7 CAPLUS
CN Tryptophan, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-α-methyl- (9CI) (CA INDEX NAME)



RN 358375-37-8 CAPLUS
CN Cyclohexanepropanoic acid, α-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

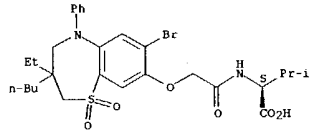
Absolute stereochemistry.



RN 358375-38-9 CAPLUS
CN L-Valine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

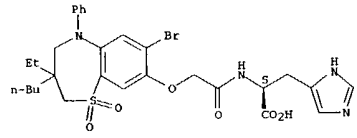
Absolute stereochemistry.

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



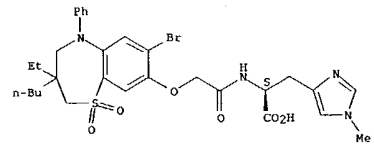
RN 358375-39-0 CAPLUS
CN L-Histidine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 358375-40-3 CAPLUS
CN L-Histidine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-1-methyl- (9CI) (CA INDEX NAME)

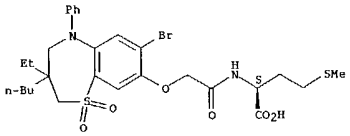
Absolute stereochemistry.



RN 358375-42-5 CAPLUS
CN L-Methionine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

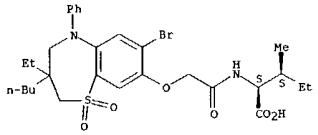
Absolute stereochemistry.

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



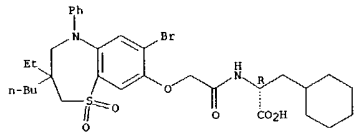
RN 358375-43-6 CAPLUS
CN L-Isoleucine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 358375-44-7 CAPLUS
CN Cyclohexanepropanoic acid, α-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

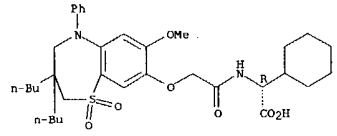
Absolute stereochemistry.



RN 358375-45-8 CAPLUS
CN D-Valine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

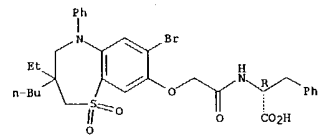
Absolute stereochemistry.

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



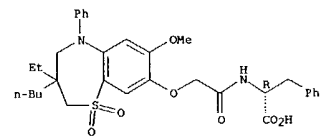
RN 358375-49-2 CAPLUS
CN D-Phenylalanine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 358375-50-5 CAPLUS
CN D-Phenylalanine, N-[[(3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

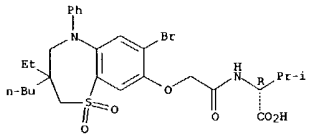
Absolute stereochemistry.



RN 358375-51-6 CAPLUS
CN L-Threonine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

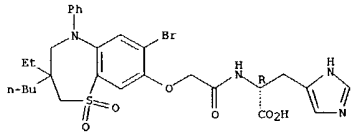
Absolute stereochemistry.

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



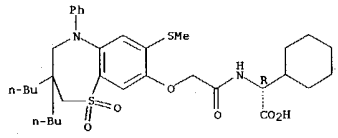
RN 358375-46-9 CAPLUS
CN D-Histidine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



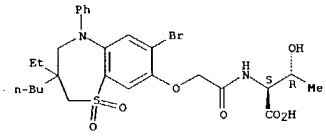
RN 358375-47-0 CAPLUS
CN Cyclohexaneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



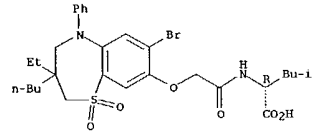
RN 358375-48-1 CAPLUS
CN Cyclohexaneacetic acid, α-[[[(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

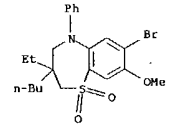


RN 358376-51-9 CAPLUS
CN D-Leucine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

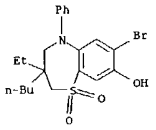


IT **179410-96-9 179410-97-0 179411-07-5 179411-09-7 358376-03-1 358376-04-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzothiazepines as hypolipidemics)
RN 179410-96-9 CAPLUS
CN 1,5-Benzothiazepine, 7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

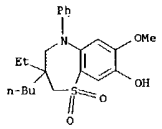


RN 179410-97-0 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

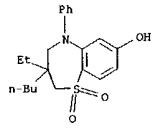
L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 179411-07-5 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

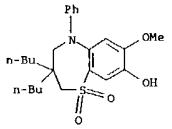


RN 179411-09-7 CAPLUS
CN 1,5-Benzothiazepin-7-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

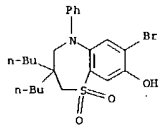


RN 358376-03-1 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



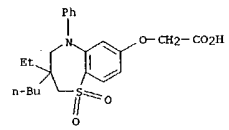
RN 358376-04-2 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



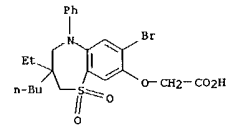
IT **358375-52-7P 358375-53-8P 358375-54-9P**
358375-55-0P 358375-56-1P 358375-57-2P
358375-58-3P 358375-59-4P 358375-60-7P
358375-62-9P 358375-64-1P 358375-65-2P
358375-66-3P 358375-67-4P 358375-68-5P
358375-69-6P 358375-70-9P 358375-71-0P
358375-72-1P 358375-73-2P 358375-74-3P
358375-75-4P 358375-76-5P 358375-77-6P
358375-78-7P 358375-79-8P 358375-80-1P
358375-81-2P 358375-82-3P 358375-83-4P
358375-84-5P 358375-85-6P 358375-86-7P
358375-87-8P 358375-88-9P 358375-89-0P
358375-90-3P 358375-91-4P 358375-92-5P
358375-93-6P 358375-94-7P 358375-95-8P
358375-96-9P 358375-97-0P 358375-98-1P
358375-99-2P 358376-00-8P 358376-01-9P
358376-02-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzothiazepines as hypolipidemics)

RN 358375-52-7 CAPLUS
CN Acetic acid, [(3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-7-yl)oxy]- (9CI) (CA INDEX NAME)

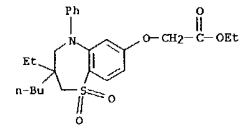
L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



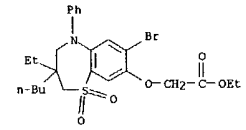
RN 358375-53-8 CAPLUS
CN Acetic acid, [(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]- (9CI) (CA INDEX NAME)



RN 358375-54-9 CAPLUS
CN Acetic acid, [(3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-7-yl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)

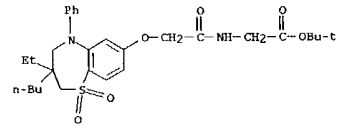


RN 358375-55-0 CAPLUS
CN Acetic acid, [(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)

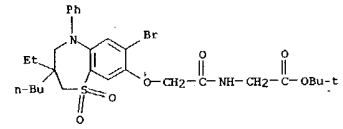


L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 358375-56-1 CAPLUS
CN Glycine, N-[[(3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-7-yl)oxy]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

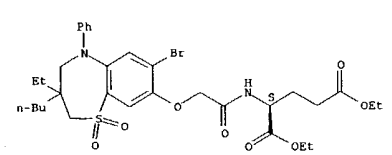


RN 358375-57-2 CAPLUS
CN Glycine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



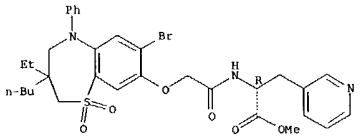
RN 358375-58-3 CAPLUS
CN L-Glutamic acid, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-, diethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

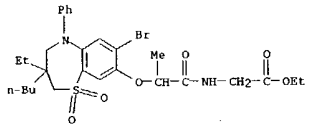


RN 358375-59-4 CAPLUS
CN 3-Pyridinepropanoic acid, α-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

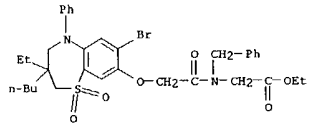
L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



RN 358375-60-7 CAPLUS
CN Glycine, N-[2-[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]-1-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 358375-62-9 CAPLUS
CN Glycine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-N-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



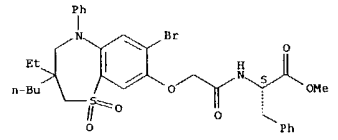
RN 358375-64-1 CAPLUS
CN D-Tyrosine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

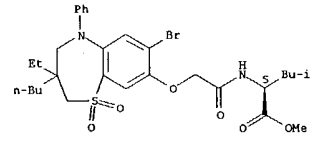
RN 358375-67-4 CAPLUS
CN L-Phenylalanine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



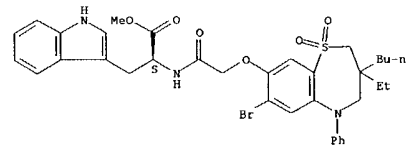
RN 358375-68-5 CAPLUS
CN L-Leucine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



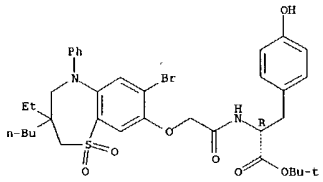
RN 358375-69-6 CAPLUS
CN L-Tryptophan, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



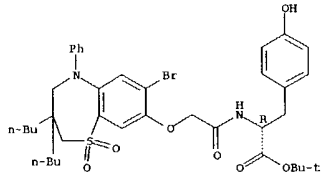
RN 358375-70-9 CAPLUS

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



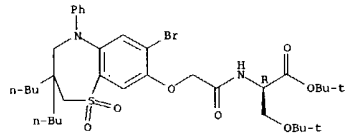
RN 358375-65-2 CAPLUS
CN D-Tyrosine, N-[[(7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 358375-66-3 CAPLUS
CN D-Serine, N-[[(7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-O-(1,1-dimethylethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

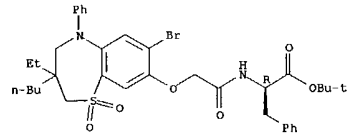
Absolute stereochemistry.



L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

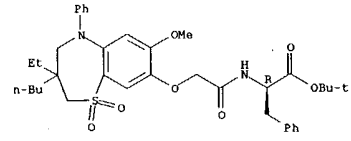
CN D-Phenylalanine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



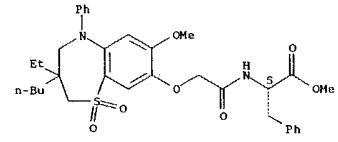
RN 358375-71-0 CAPLUS
CN D-Phenylalanine, N-[[(3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 358375-72-1 CAPLUS
CN L-Phenylalanine, N-[[(3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

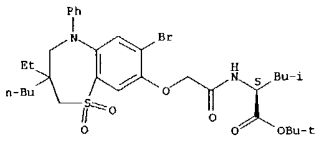
Absolute stereochemistry.



RN 358375-73-2 CAPLUS
CN L-Leucine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-, 1,1-dimethylethyl ester (9CI)

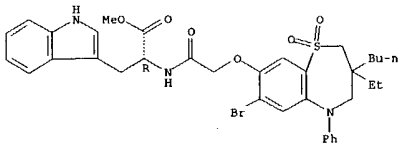
L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(CA INDEX NAME)

Absolute stereochemistry.



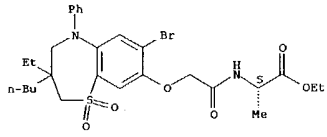
RN 358375-74-3 CAPLUS
CN D-Tryptophan, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



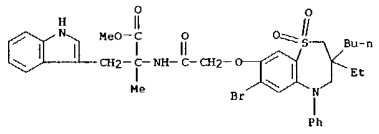
RN 358375-75-4 CAPLUS
CN L-Alanine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



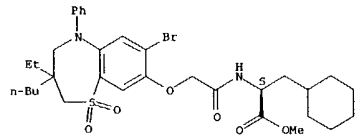
RN 358375-76-5 CAPLUS

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(9CI) (CA INDEX NAME)



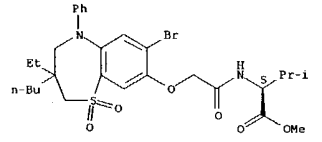
RN 358375-80-1 CAPLUS
CN Cyclohexanepropanoic acid, α-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, methyl ester, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 358375-81-2 CAPLUS
CN L-Valine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

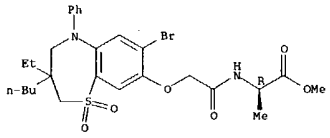


RN 358375-82-3 CAPLUS
CN L-Histidine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

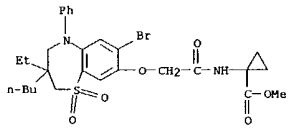
Absolute stereochemistry.

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN D-Alanine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

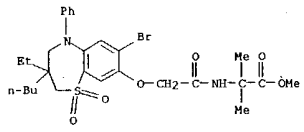
Absolute stereochemistry.



RN 358375-77-6 CAPLUS
CN Cyclopropanecarboxylic acid, 1-[[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

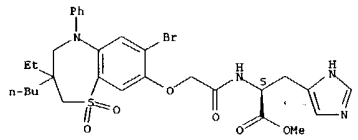


RN 358375-78-7 CAPLUS
CN Alanine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



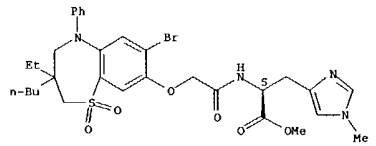
RN 358375-79-8 CAPLUS
CN Tryptophan, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-α-methyl-, methyl ester

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



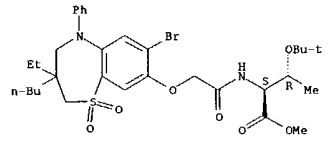
RN 358375-83-4 CAPLUS
CN L-Histidine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 358375-84-5 CAPLUS
CN L-Threonine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-O-(1,1-dimethylethyl)-, methyl ester (9CI) (CA INDEX NAME)

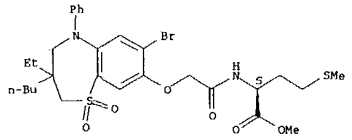
Absolute stereochemistry.



RN 358375-85-6 CAPLUS
CN L-Methionine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

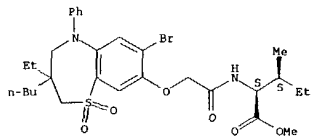
Absolute stereochemistry.

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



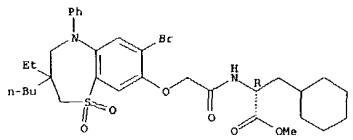
RN 358375-86-7 CAPLUS
CN L-Isoleucine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



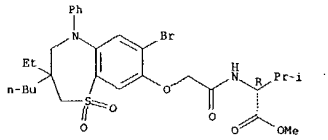
RN 358375-87-8 CAPLUS
CN Cyclohexanepropanoic acid, α-[[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



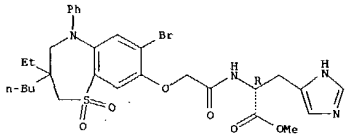
RN 358375-88-9 CAPLUS
CN D-Valine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



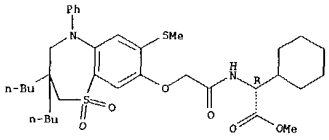
RN 358375-89-0 CAPLUS
CN D-Histidine, N-[[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 358375-90-3 CAPLUS
CN Cyclohexaneacetic acid, α-[[[[3,3-dibutyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]acetyl]amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

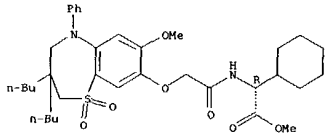
Absolute stereochemistry.



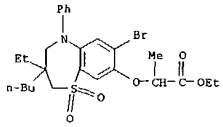
RN 358375-91-4 CAPLUS
CN Cyclohexaneacetic acid, α-[[[(3,3-dibutyl-2,3,4,5-tetrahydro-7-

L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]acetyl]amino]-, methyl ester, (αR)- (9CI) (CA INDEX NAME)

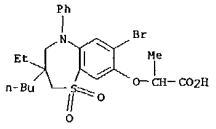
Absolute stereochemistry.



RN 358375-92-5 CAPLUS
CN Propanoic acid, 2-[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)

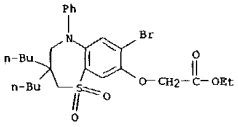


RN 358375-93-6 CAPLUS
CN Propanoic acid, 2-[(7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]- (9CI) (CA INDEX NAME)

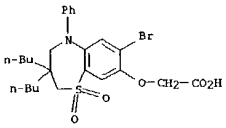


RN 358375-94-7 CAPLUS
CN Acetic acid, [(7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)

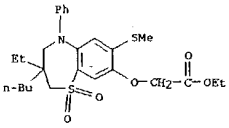
L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 358375-95-8 CAPLUS
CN Acetic acid, [(7-bromo-3,3-dibutyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]- (9CI) (CA INDEX NAME)

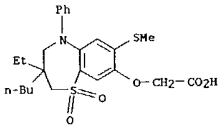


RN 358375-96-9 CAPLUS
CN Acetic acid, [[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

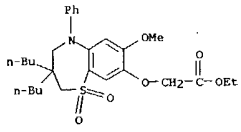


RN 358375-97-0 CAPLUS
CN Acetic acid, [[3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl]oxy]- (9CI) (CA INDEX NAME)

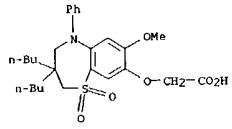
L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 358375-98-1 CAPLUS
CN Acetic acid, [(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)

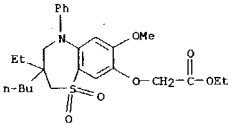


RN 358375-99-2 CAPLUS
CN Acetic acid, [(3,3-dibutyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]- (9CI) (CA INDEX NAME)

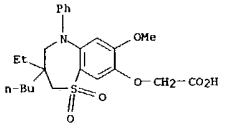


RN 358376-00-8 CAPLUS
CN Acetic acid, [(3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)

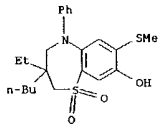
L60 ANSWER 24 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 358376-01-9 CAPLUS
CN Acetic acid, [(3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,5-benzothiazepin-8-yl)oxy]- (9CI) (CA INDEX NAME)



RN 358376-02-0 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(methylthio)-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

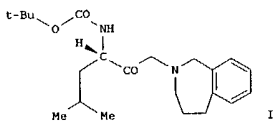


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 25 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:565014 CAPLUS
DOCUMENT NUMBER: 135:152826
TITLE: Preparation of benz-fused heterocycle derivatives and remedies containing the same as cysteine proteases inhibitors
INVENTOR(S): Ohmoto, Kazuyuki; Itagaki, Iori
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 273 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055118	A1	20010802	WO 2001-JP473	20010125
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001028810	A5	20010807	AU 2001-28810	20010125
EP 1254898	A1	20021106	EP 2001-946856	20010125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003162964	A1	20030828	US 2002-181713	20020722
PRIORITY APPLN. INFO.:			JP 2000-17045 A	20000126
			WO 2001-JP473 W	20010125

OTHER SOURCE(S): MARPAT 135:152826
GI



I

AB Title compds. [RAA1AA2NR9CR7R8CO(CH2)mZ(R10)n; R = H, C1-8alkyl, NO2, CF3, alkylsulfonyl, alkoxycarbonyl, alkylcarbonyl; AA1 = single bond, carbonylalkylamino, heterocyclylaminocarbonyl, heterocycle; AA2 = single bond, carbonylalkylamino, carbonylcycloalkylamino; R7, R8 independently = H, C1-8alkyl; R9 = H, C1-8alkyl, aryl; Z = benzazepine; R10 = C1-8alkyl, cycloalkyl, amino, alkoxy, OH] and nontoxic salts are prepared Title compds. exhibit inhibitory activities against cysteine proteases (no data) and are useful as preventive and/or therapeutic drugs for immune disorders (such as autoimmune diseases and infectious diseases), inflammatory

L60 ANSWER 25 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
diseases (such as inflammatory diseases of intestine, multiple encephalosclerosis, and arthritis), nerve degeneration diseases (such as Alzheimer's disease and muscular dystrophy), bone resorptive diseases (such as osteoporosis), respiratory diseases, diabetes, shock, etc. Thus, the title compd. I was prepd.

IT **24187-83-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzazepine derivs. and remedies as cysteine proteases inhibitors)

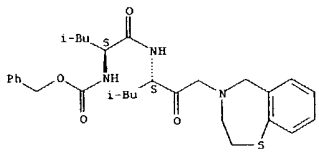
RN 24187-83-5 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-, 1,1-dioxide, hydrochloride (8CI, 9CI) (CA INDEX NAME)

● HCl

IT **352356-18-4P 352356-19-5P 352356-20-8P 352356-21-9P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzazepine derivs. and remedies as cysteine proteases inhibitors)

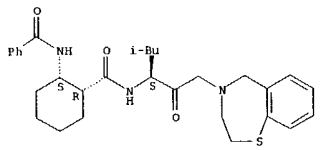
RN 352356-18-4 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S)-1-[(2,3-dihydro-1,4-benzothiazepin-4(5H)-yl)acetyl]-3-methylbutyl]amino]carbonyl]-3-methylbutyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



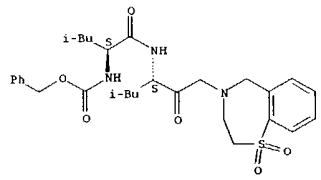
RN 352356-19-5 CAPLUS
CN Benzamide, N-[(1S,2R)-2-[[[(1S)-1-[(2,3-dihydro-1,4-benzothiazepin-4(5H)-yl)acetyl]-3-methylbutyl]amino]carbonyl]cyclohexyl]- (9CI) (CA INDEX NAME)

L60 ANSWER 25 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



RN 352356-20-8 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S)-1-[(2,3-dihydro-1,1-dioxido-1,4-benzothiazepin-4(5H)-yl)acetyl]-3-methylbutyl]amino]carbonyl]-3-methylbutyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

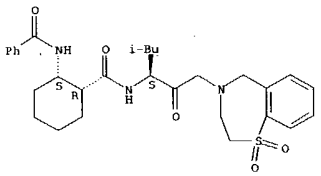
Absolute stereochemistry.



RN 352356-21-9 CAPLUS
CN Benzamide, N-[(1S,2R)-2-[[[(1S)-1-[(2,3-dihydro-1,1-dioxido-1,4-benzothiazepin-4(5H)-yl)acetyl]-3-methylbutyl]amino]carbonyl]cyclohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L60 ANSWER 25 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

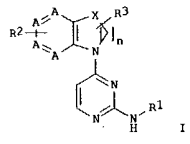


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 26 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:416928 CAPLUS
DOCUMENT NUMBER: 135:33486
TITLE: Preparation of 2,4-diaminopyrimidines as immunosuppressants
INVENTOR(S): Blumenkopf, Todd Andrew; Mueller, Eileen Elliott; Roskamp, Eric Jan
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001040215	A1	20010607	WO 2000-IB1628	20001109
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000015995	A	20020806	BR 2000-15995	20001109
EP 1242403	A1	20020925	EP 2000-971650	20001109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003515602	T2	20030507	JP 2001-541899	20001109
EE 200200275	A	20031015	EE 2002-275	20001109
BG 106695	A	20021229	BG 2002-106695	20020513
NO 2002002557	A	20020529	NO 2002-2557	20020529
PRIORITY APPLN. INFO.:			US 1999-168224P	P 19991130
			WO 2000-IB1628	W 20001109

OTHER SOURCE(S): MARPAT 135:33486
GI



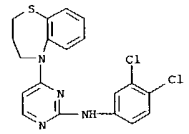
I

AB The title compds. [I; A = CH, N; X = CH2, O, NH, etc.; n = 1-3; R1 = aryl, heteroaryl, etc.; R2 = halo, OH, CO2H, etc.; R3 = alkyl, trihaloalkyl, etc.], useful for the treatment of autoimmune disease, inflammation, allergy, transplant rejection, and other circumstances where administration of an immunosuppressive agent is of therapeutic benefit,

L60 ANSWER 26 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
were prepd. E.g., a 2-step synthesis of I [A = CH; X = CH2; n = 2; R1 = Ph; R2 = 6-Me; R3 = H], starting with 6-methyl-1,2,3,4-tetrahydroquinoline and 2,4-dichloropyrimidine, was given. The compds. I are useful for the treatment of clin. conditions that involve inappropriate T-cell activation. In particular, highly specific inhibitors of lck tyrosine kinase are disclosed.

IT 343613-25-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2,4-diaminopyrimidines as immunosuppressants)

RN 343613-25-2 CAPLUS
CN 2-Pyrimidinamine, N-(3,4-dichlorophenyl)-4-(3,4-dihydro-1,5-benzothiazepin-5(2H)-yl)- (9CI) (CA INDEX NAME)

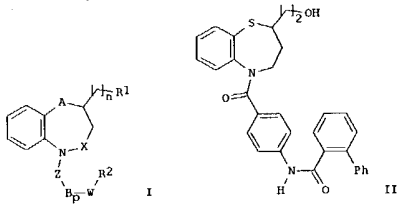


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 27 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:338510 CAPLUS
DOCUMENT NUMBER: 134:353326
TITLE: Preparation of nonpeptide substituted benzothiazepines as vasopressin antagonists
INVENTOR(S): Urbanski, Maud J.; Chen, Robert H. K.
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032639	A1	20010510	WO 2000-US30114	20001102
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1226132	A1	20020731	EP 2000-980254	20001102
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 6489321	B1	20021203	US 2000-704314	20001102
JP 2003513082	T2	20030408	JP 2001-534790	20001102
BR 2000015299	A	20030415	BR 2000-15299	20001102
PRIORITY APPLN. INFO.:			US 1999-163544P	P 19991104
			WO 2000-US30114	W 20001102

OTHER SOURCE(S): MARPAT 134:353326
GI



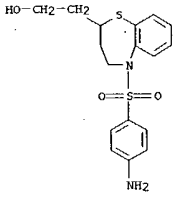
I II

L60 ANSWER 27 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

AB The title compds. [I; R1 = CO2H, CHO, SO2H, etc.; A = S, SO, SO2; X = CH2, CO; Z = CH2, SO2, CO (with the proviso that X is not CH2 when Z = CH2); B = (CH2)m, NH, O; W = (un)substituted aryl, heteroaryl; R2 = NHYR3, YNHR3 (Y = H, CO; R3 = H, alkyl, aryl); m = 1-3; n = 1-5; p = 0-1], useful as vasopressin receptor antagonists for treating conditions involving increased vascular resistance and cardiac insufficiency, were prepared E.g., a 3-step synthesis of the benzothiazepine II which showed IC50 of 0.097 μM and of 0.008 μM against V1a and V2 receptor binding, resp., was given. Pharmaceutical compns. comprising a compound I and methods of treating conditions such as hypertension, congestive heart failure, cardiac insufficiency, coronary vasospasm, cardiac ischemia, liver cirrhosis, renal vasospasm, renal failure, cerebral edema and ischemia, stroke, thrombosis, or water retention are also disclosed.

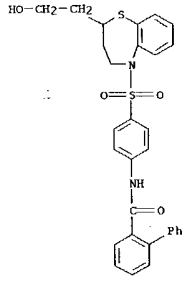
IT **338970-09-5P 338970-10-8P 338970-16-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of nonpeptide substituted benzothiazepines as vasopressin antagonists)

RN 338970-09-5 CAPLUS
CN 1,5-Benzothiazepine-2-ethanol, 5-[(4-aminophenyl)sulfonyl]-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)

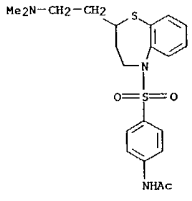


RN 338970-10-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[3,4-dihydro-2-(2-hydroxyethyl)-1,5-benzothiazepin-5(2H)-yl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

L60 ANSWER 27 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



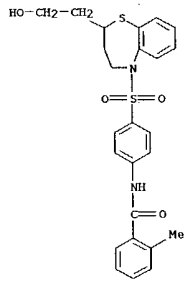
RN 338970-16-4 CAPLUS
CN Acetamide, N-[4-[[2-[2-(dimethylamino)ethyl]-3,4-dihydro-1,5-benzothiazepin-5(2H)-yl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



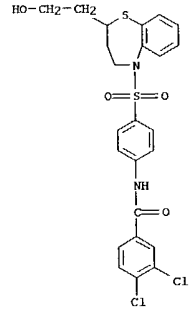
IT **338970-11-9P 338970-12-0P 338970-18-6P**
338970-19-7P 338970-25-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nonpeptide substituted benzothiazepines as vasopressin antagonists)

RN 338970-11-9 CAPLUS
CN Benzamide, N-[4-[[3,4-dihydro-2-(2-hydroxyethyl)-1,5-benzothiazepin-5(2H)-yl]sulfonyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

L60 ANSWER 27 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

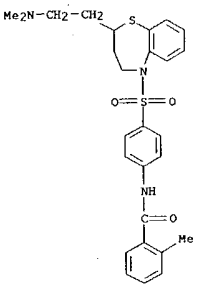


RN 338970-12-0 CAPLUS
CN Benzamide, 3,4-dichloro-N-[4-[[3,4-dihydro-2-(2-hydroxyethyl)-1,5-benzothiazepin-5(2H)-yl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

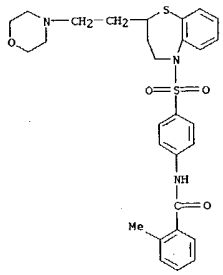


RN 338970-18-6 CAPLUS
CN Benzamide, N-[4-[[2-[2-(dimethylamino)ethyl]-3,4-dihydro-1,5-benzothiazepin-5(2H)-yl]sulfonyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

L60 ANSWER 27 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

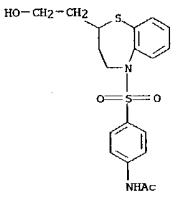


RN 338970-19-7 CAPLUS
CN Benzamide, N-[4-[[3,4-dihydro-2-[2-(4-morpholinyl)ethyl]-1,5-benzothiazepin-5(2H)-yl]sulfonyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

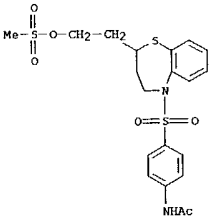


RN 338970-25-5 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[4-[[3,4-dihydro-2-[2-[(methylsulfonyl)oxy]ethyl]-1,5-benzothiazepin-5(2H)-yl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

L60 ANSWER 27 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

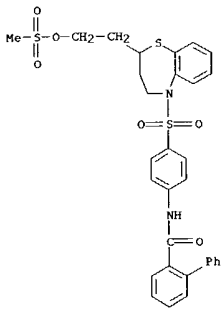


RN 338970-42-6 CAPLUS
CN Acetamide, N-[4-[[3,4-dihydro-2-[2-[(methylsulfonyl)oxy]ethyl]-1,5-benzothiazepin-5(2H)-yl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



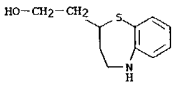
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 27 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **338970-32-4P 338970-37-9P 338970-42-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nonpeptide substituted benzothiazepines as vasopressin antagonists)

RN 338970-32-4 CAPLUS
CN 1,5-Benzothiazepine-2-ethanol, 2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)



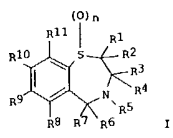
RN 338970-37-9 CAPLUS
CN Acetamide, N-[4-[[3,4-dihydro-2-(2-hydroxyethyl)-1,5-benzothiazepin-5(2H)-yl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

L60 ANSWER 28 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:12247 CAPLUS
DOCUMENT NUMBER: 134:66163
TITLE: Benzothiazepines as antiobesity agents
INVENTOR(S): Kilpatrick, Ian Charles
PATENT ASSIGNEE(S): Knoll A.-G. Chemische Fabriken, Germany
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000185	A2	20010104	WO 2000-EP5541	20000616
WO 2001000185	A3	20020411		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1218010	A2	20020703	EP 2000-945759	20000616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003513008	T2	20030408	JP 2001-505895	20000616
PRIORITY APPLN. INFO.:			GB 1999-14745	A 19990624
			WO 2000-EP5541	W 20000616

OTHER SOURCE(S): MARPAT 134:66163
GI



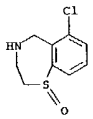
AB A method of treating obesity and related conditions comprises the administration to a mammal of a benzothiazepine (I, where n = 0, 1 or 2; R1, R2 = e.g., H or C1-4 alkyl; R3, R4 = H or alkyl of C1-4 alkyl; R5 = H, C1-4 alkyl; R6, R7 = H, R8, R9, R10, R11 = H, halo, cyano, nitro or C1-4 alkyl). Mormosets given 4-acetyl-6-chloro-2,3,4,5-tetrahydro-1,4-benzothiazepine (50 mg/kg oral) daily for 14 days showed reduced body weight gain.

IT **157100-35-1 157100-36-2 157100-44-2**
157100-51-1 157100-53-3 157100-54-4
157100-55-5 157100-56-6 157100-58-8

L60 ANSWER 28 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
157100-61-3 157100-62-4 157100-65-7
157100-66-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(benzothiazepines as antiobesity agents)

RN 157100-35-1 CAPLUS
CN 1,4-Benzothiazepine, 6-chloro-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)

RN 157100-36-2 CAPLUS
CN 1,4-Benzothiazepine, 6-chloro-2,3,4,5-tetrahydro-, 1-oxide (9CI) (CA INDEX NAME)

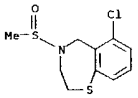


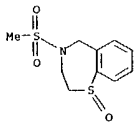
RN 157100-44-2 CAPLUS
CN 1,4-Benzothiazepine, 6-fluoro-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)

RN 157100-51-1 CAPLUS
CN 1,4-Benzothiazepine, 6-chloro-2,3,4,5-tetrahydro-4-(methylsulfinyl)- (9CI) (CA INDEX NAME)

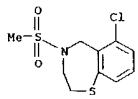
L60 ANSWER 28 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 157100-53-3 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-4-(methylsulfonyl)-, 1-oxide (9CI) (CA INDEX NAME)

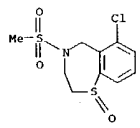




RN 157100-54-4 CAPLUS
CN 1,4-Benzothiazepine, 6-chloro-2,3,4,5-tetrahydro-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

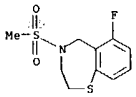


RN 157100-55-5 CAPLUS
CN 1,4-Benzothiazepine, 6-chloro-2,3,4,5-tetrahydro-4-(methylsulfonyl)-, 1-oxide (9CI) (CA INDEX NAME)

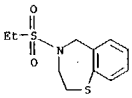


L60 ANSWER 28 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

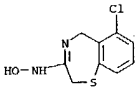
RN 157100-56-6 CAPLUS
CN 1,4-Benzothiazepine, 6-fluoro-2,3,4,5-tetrahydro-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



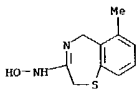
RN 157100-58-8 CAPLUS
CN 1,4-Benzothiazepine, 4-(ethylsulfonyl)-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)



RN 157100-61-3 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 6-chloro-4,5-dihydro-, oxime (9CI) (CA INDEX NAME)

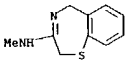


RN 157100-62-4 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-6-methyl-, oxime (9CI) (CA INDEX NAME)

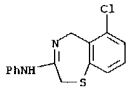


RN 157100-65-7 CAPLUS
CN 1,4-Benzothiazepin-3-amine, 2,5-dihydro-N-methyl- (9CI) (CA INDEX NAME)

L60 ANSWER 28 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 157100-66-8 CAPLUS
CN 1,4-Benzothiazepin-3-amine, 6-chloro-2,5-dihydro-N-phenyl- (9CI) (CA INDEX NAME)



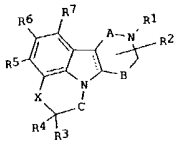
L60 ANSWER 29 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:900647 CAPLUS
DOCUMENT NUMBER: 134:56657
TITLE: Preparation of substituted heterocycle fused gamma-carbolines
INVENTOR(S): Robichaud, Albert J.; Lee, Taekyu; Deng, Wei; Mitchell, Ian S.; Haydar, Simon; Chen, Wenting; McClung, Christopher D.; Calvello, Emilie J. B.; Zawrotny, David M.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 764 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000077010	A2	20001221	WO 2000-US16373	20000615
WO 2000077010	A3	20010628		
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1192165	A2	20020403	EP 2000-942807	20000615
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000012411	A	20020416	BR 2000-12411	20000615
TR 200103658	T2	20020621	TR 2001-200103658	20000615
JP 2003502336	T2	20030121	JP 2001-503867	20000615
US 6548493	B1	20030415	US 2000-594008	20000615
US 6552017	B1	20030422	US 2000-595250	20000615
US 6713471	B1	20040330	US 2000-594954	20000615
NO 2001006128	A	20020211	NO 2001-6128	20011214
US 2004034015	A1	20040219	US 2003-370878	20030220
US 2004127482	A1	20040701	US 2003-370872	20030220

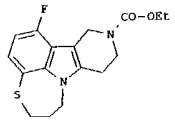
PRIORITY APPLN. INFO.: US 1999-139321P P 19990615
US 2000-594008 A3 20000615
US 2000-595250 A3 20000615
WO 2000-US16373 W 20000615

OTHER SOURCE(S): MARPAT 134:56657
GI

L60 ANSWER 29 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I



II

AB Novel γ-carboline compds. of formula I [R1, R2 = H, acyl, alkyl, cycloalkyl, etc.; R3, R4 = H, OH, amino, CF3, alkyl, etc.; R5-R7 = H, halo, CF3, OH, CN, alkyl, aryl, heterocycle, etc.; X = (substituted) NH, (substituted) CONH, (substituted) NHCO, S; A, B, C = (CH2)n, n = 0-3] are prepared The invention is also concerned with pharmaceutical formulations comprising these novel compds. as active ingredients and the use of the novel compds. and their formulations in the treatment of certain disorders. The compds. of this invention are serotonin agonists and antagonists and are useful in the control or prevention of central nervous system disorders including obesity, anxiety, depression, psychosis, schizophrenia, sleep disorders, sexual disorders, migraine, conditions associated with cephalic pain, social phobias, and gastrointestinal disorders such as dysfunction of the gastrointestinal tract motility. Thus, II is prepared starting from p-fluorophenol, β-propiolactone and 1-carbethoxy-4-piperidone. Pharmaceutical compns. containing I are described.

IT **51511-27-4 58121-92-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted heterocycle fused γ-carbolines as serotonin agonists and antagonists)

RN 51511-27-4 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-nitroso- (9CI) (CA INDEX NAME)

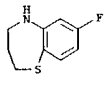
RN 58121-92-9 CAPLUS
CN 1,5-Benzothiazepin-5(2H)-amine, 3,4-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

L60 ANSWER 29 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

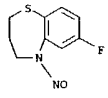
● HCl

IT **313369-12-9P 313369-13-0P 313369-14-1P**
313543-96-3P 313543-97-4P 313543-98-5P
313544-09-1P 313544-10-4P 313544-11-5P
313544-18-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted heterocycle fused γ-carbolines as serotonin agonists and antagonists)

RN 313369-12-9 CAPLUS
CN 1,5-Benzothiazepine, 7-fluoro-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)

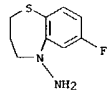


RN 313369-13-0 CAPLUS
CN 1,5-Benzothiazepine, 7-fluoro-2,3,4,5-tetrahydro-5-nitroso- (9CI) (CA INDEX NAME)

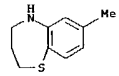


RN 313369-14-1 CAPLUS
CN 1,5-Benzothiazepin-5(2H)-amine, 7-fluoro-3,4-dihydro- (9CI) (CA INDEX NAME)

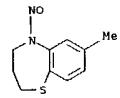
L60 ANSWER 29 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



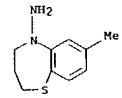
RN 313543-96-3 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-7-methyl- (9CI) (CA INDEX NAME)



RN 313543-97-4 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-7-methyl-5-nitroso- (9CI) (CA INDEX NAME)

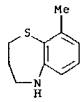


RN 313543-98-5 CAPLUS
CN 1,5-Benzothiazepin-5(2H)-amine, 3,4-dihydro-7-methyl- (9CI) (CA INDEX NAME)

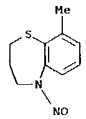


RN 313544-09-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-9-methyl- (9CI) (CA INDEX NAME)

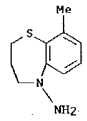
L60 ANSWER 29 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 313544-10-4 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-9-methyl-5-nitroso- (9CI) (CA INDEX NAME)



RN 313544-11-5 CAPLUS
CN 1,5-Benzothiazepin-5(2H)-amine, 3,4-dihydro-9-methyl- (9CI) (CA INDEX NAME)



RN 313544-18-2 CAPLUS
CN 1,5-Benzothiazepin-5(2H)-amine, 3,4-dihydro- (9CI) (CA INDEX NAME)

L60 ANSWER 30 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

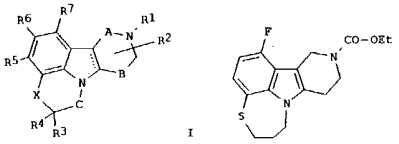
ACCESSION NUMBER: 2000:900639 CAPLUS
DOCUMENT NUMBER: 134:56655
TITLE: Preparation of substituted heterocycle fused gamma-carbolines
INVENTOR(S): Robichaud, Albert J.; Lee, Taekyu; Deng, Wei; Mitchell, Ian S.; Yang, Michael Guang; Haydar, Simon; Chen, Wenting; McClung, Christopher D.; Calvello, Emilie J. B.; Zawrotny, David M.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 308 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000077002	A1	20001221	WO 2000-US16498	20000615
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1189904	A1	20020327	EP 2000-941453	20000615
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000012086	A	20020402	BR 2000-12086	20000615
TR 200103658	T2	20020621	TR 2001-200103658	20000615
JP 2003502331	T2	20030121	JP 2001-503860	20000615
US 6548493	B1	20030415	US 2000-594008	20000615
US 6552017	B1	20030422	US 2000-595250	20000615
NZ 516031	A	20031031	NZ 2000-516031	20000615
US 6713471	B1	20040330	US 2000-594954	20000615
NO 2001006116	A	20020211	NO 2001-6116	20011214
US 2004034015	A1	20040219	US 2003-370878	20030220
US 2004127482	A1	20040701	US 2003-370872	20030220

PRIORITY APPLN. INFO.: US 1999-139321P P 19990615
US 2000-594008 A3 20000615
US 2000-595250 A3 20000615
WO 2000-US16498 W 20000615

OTHER SOURCE(S): MARPAT 134:56655
GI

L60 ANSWER 30 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

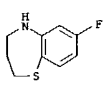


I II

AB Novel γ-carboline compds. of formula I [R1, R2 = H, acyl, alkyl, cycloalkyl, etc.; R3, R4 = H, OH, amino, CF3, alkyl, etc.; R5-R7 = H, halo, CF3, OH, CN, alkyl, aryl, heterocycle, etc.; X = (substituted) NH, (substituted) CONH, (substituted) NHCO, S; A, B, C = (CH2)n, n = 0-3] are prepared The invention is also concerned with pharmaceutical formulations comprising these novel compds. as active ingredients and the use of the novel compds. and their formulations in the treatment of certain disorders. The compds. of this invention are serotonin agonists and antagonists and are useful in the control or prevention of central nervous system disorders including obesity, anxiety, depression, psychosis, schizophrenia, sleep disorders, sexual disorders, migraine, conditions associated with cephalic pain, social phobias, and gastrointestinal disorders
such as dysfunction of the gastrointestinal tract motility (no data). Thus, II is prepared starting from p-fluorothiophenol, β-propiolactone and 1-carbethoxy-4-piperidone. Pharmaceutical compns. containing I are described.

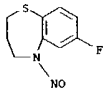
IT **313369-12-9P 313369-13-0P 313369-14-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted heterocycle fused γ-carbolines as serotonin agonists and antagonists)

RN 313369-12-9 CAPLUS
CN 1,5-Benzothiazepine, 7-fluoro-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)

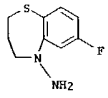


RN 313369-13-0 CAPLUS
CN 1,5-Benzothiazepine, 7-fluoro-2,3,4,5-tetrahydro-5-nitroso- (9CI) (CA INDEX NAME)

L60 ANSWER 30 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 313369-14-1 CAPLUS
CN 1,5-Benzothiazepin-5(2H)-amine, 7-fluoro-3,4-dihydro- (9CI) (CA INDEX NAME)



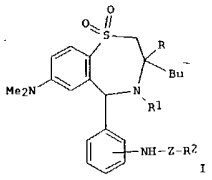
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 31 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:742082 CAPLUS
DOCUMENT NUMBER: 133:282019
TITLE: Preparation of 1,4-benzothiazepine-1,1-dioxide derivatives substituted by sugar radicals for use as medicaments
INVENTOR(S): Frick, Wendelin; Glombik, Heiner; Heuer, Hubert; Schafer, Hans-Ludwig
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061568	A2	20001019	WO 2000-EP2570	20000323
WO 2000061568	A3	20010419		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19916108	C1	20010111	DE 1999-19916108	19990409
BR 2000009641	A	20020108	BR 2000-9641	20000323
EP 1169313	A2	20020109	EP 2000-920550	20000323
EP 1169313	B1	20030625		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200102910	T2	20020321	TR 2001-200102910	20000323
JP 2002541248	T2	20021203	JP 2000-610842	20000323
EE 200100495	A	20021216	EE 2001-495	20000323
AT 243686	E	20030715	AT 2000-920550	20000323
AU 765199	B2	20030911	AU 2000-41087	20000323
NZ 514656	A	20031128	NZ 2000-514656	20000323
PT 1169313	T	20031128	PT 2000-920550	20000323
ES 2200860	T3	20040316	ES 2000-920550	20000323
RU 2232155	C2	20040710	RU 2001-129936	20000323
US 6277831	B1	20010821	US 2000-545456	20000407
ZA 2001007989	A	20020607	ZA 2001-7989	20010928
NO 2001004792	A	20011002	NO 2001-4792	20011002
HR 2001000725	A1	20021231	HR 2001-725	20011008

PRIORITY APPLN. INFO.: DE 1999-19916108 A 19990409
WO 2000-EP2570 W 20000323

OTHER SOURCE(S): MARPAT 133:282019
GI

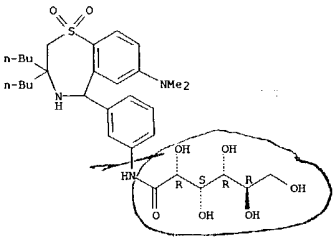


AB The invention relates to substituted 1,4-benzothiazepine-1,1-dioxide derivs. [(I); R = Me, Et, Pr, Bu; R1 = H, OH; R2 = (oligo)saccharide; Z = acyl, aminoacyl, alkyl, oxyalkyl, carbonyl-alkyl, or bond] and to the acid addition salts thereof, as drugs or prodrugs for therapeutic uses, e.g. as hypolipidemic agents. Thus, beginning with 2,5-difluorobenzophenone, 2,2-dibutylazidine, and penta-O-acetyl-D-gluconic acid, I [R = Bu; R1 = H; R2 = D-gluconoyl- C(O)CH(CH)CH(OH)CH(OH)CH(OH)CH2OH; Z = bond; NH attached at 3'-position of C6H4 (II)] was prepared in eight steps as an unsepd. mixture of benzothiazepine diastereomers. In ileum perfusion tests in Wistar rats, using 3H-taurocholic acid as test substance, II had EC50 of 0.4 μM for clearance to the gallbladder of the test substance.

IT **300350-12-3P 300350-14-5P 300350-16-7P**
300350-18-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,4-benzothiazepine-1,1-dioxide derivs. substituted by sugar radicals for use as medicaments)

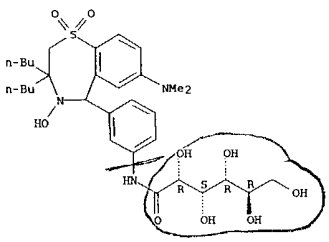
RN 300350-12-3 CAPLUS
CN D-Gluconamide, N-[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



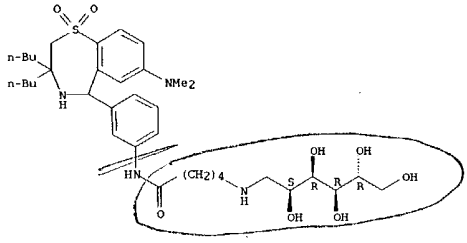
RN 300350-14-5 CAPLUS
CN D-Gluconamide, N-[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



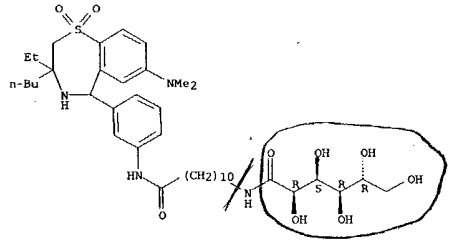
RN 300350-16-7 CAPLUS
CN D-Glucitol, 1-deoxy-1-[[5-[[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]-5-oxopentyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 300350-18-9 CAPLUS
CN D-Gluconamide, N-[11-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]-11-oxoundecyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

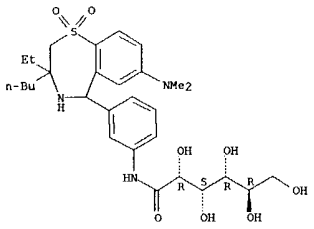


IT **300350-19-0 300350-20-3 300350-21-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of 1,4-benzothiazepine-1,1-dioxide derivs. substituted by sugar radicals for use as medicaments)

RN 300350-19-0 CAPLUS
CN D-Gluconamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

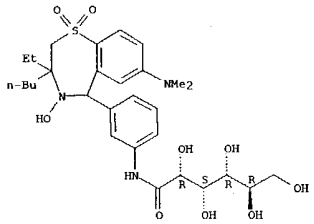
Absolute stereochemistry.

L60 ANSWER 31 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 300350-20-3 CAPLUS
CN D-Gluconamide, N-[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

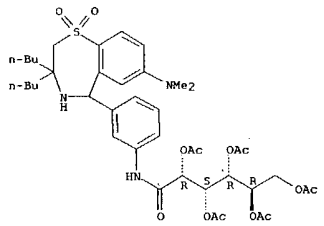
Absolute stereochemistry.



RN 300350-21-4 CAPLUS
CN D-Glucitol, 1-[[5-[[3-[3-butyl-7-(dimethylamino)-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]amino]-5-oxopentyl]amino]-1-deoxy- (9CI) (CA INDEX NAME)

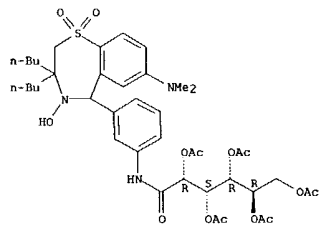
Absolute stereochemistry.

L60 ANSWER 31 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



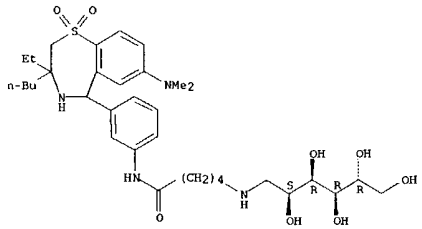
RN 300350-13-4 CAPLUS
CN D-Gluconamide, N-[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]-, 2,3,4,5,6-pentaacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

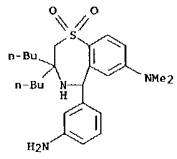


RN 300350-15-6 CAPLUS
CN Pentanamide, 5-bromo-N-[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

L60 ANSWER 31 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



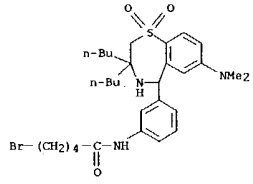
IT **300350-10-1P 300350-11-2P 300350-13-4P**
300350-15-6P 300350-17-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1,4-benzothiazepine-1,1-dioxide derivs. substituted by sugar
radicals for use as medicaments)
RN 300350-10-1 CAPLUS
CN 1,4-Benzothiazepin-7-amine, 5-(3-aminophenyl)-3,3-dibutyl-2,3,4,5-tetrahydro-N,N-dimethyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 300350-11-2 CAPLUS
CN D-Gluconamide, N-[3-[3,3-dibutyl-7-(dimethylamino)-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl]phenyl]-, 2,3,4,5,6-pentaacetate (9CI) (CA INDEX NAME)

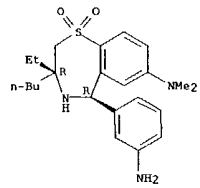
Absolute stereochemistry.

L60 ANSWER 31 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 300350-17-8 CAPLUS
CN 1,4-Benzothiazepin-7-amine, 5-(3-aminophenyl)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-N,N-dimethyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



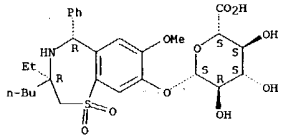
L60 ANSWER 32 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:666908 CAPLUS
DOCUMENT NUMBER: 133:232793
TITLE: Method using bile canaliculus-containing hepatocyte culture for screening candidate compounds for susceptibility to biliary excretion
INVENTOR(S): Lecluyse, Edward L.; Brouwer, Kim L. R.; Liu, Xingrong
PATENT ASSIGNEE(S): University of North Carolina At Chapel Hill, USA
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055355	A2	20000921	WO 2000-US7186	20000317
WO 2000055355	A3	20001214		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000040145	A5	20001004	AU 2000-40145	20000317
NZ 513773	A	20010928	NZ 2000-513773	20000317
EP 1163517	A2	20011219	EP 2000-919459	20000317
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000009073	A	20021022	BR 2000-9073	20000317
JP 2003502016	T2	20030121	JP 2000-605772	20000317
US 2003044883	A1	20030306	US 2000-527352	20000317
PRIORITY APPLN. INFO.:			US 1999-124810P P	19990317
			WO 2000-US7186 W	20000317

AB A method is provided for screening a candidate compound for susceptibility to biliary excretion. The method includes providing a culture of hepatocytes, the culture having at least one bile canaliculus; exposing a candidate compound to the culture; and determining an amount of candidate compound in the at least one bile canaliculus, the amount of candidate compound in the at least one bile canaliculus indicating the susceptibility of the candidate compound to biliary excretion. Optionally, the culture of hepatocytes is a long-term culture in a sandwich configuration. The method is particularly applicable to the screening of multiple candidate compds. in a single effort.

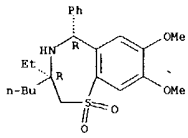
IT **178961-24-5**, 264W94
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(bile canaliculus-containing hepatocyte culture for screening candidate compds. for susceptibility to biliary excretion)
RN 178961-24-5 CAPLUS

L60 ANSWER 32 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



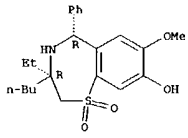
L60 ANSWER 32 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **178259-31-9**, 2169w94 **292620-67-8**
RL: BPR (Biological process); BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative); PROC (Process)
(bile canaliculus-containing hepatocyte culture for screening candidate compds. for susceptibility to biliary excretion)
RN 178259-31-9 CAPLUS
CN 1,4-Benzothiazepin-8-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-, 1,1-dioxide, (3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 292620-67-8 CAPLUS
CN β-D-Glucopyranosiduronic acid, (3R,5R)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L61 ANSWER 33 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:456926 CAPLUS
DOCUMENT NUMBER: 133:84286
TITLE: Combinations of ileal bile acid transport inhibitors and nicotinic acid derivatives for cardiovascular indications
INVENTOR(S): Keller, Bradley T.; Glenn, Kevin C.; Connolly, Daniel T.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000038729	A1	20000706	WO 1999-US27950	19991217
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2356664	AA	20000706	CA 1999-2356664	19991217
EP 1140191	A1	20011010	EP 1999-967141	19991217
EP 1140191	B1	20021023		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9916567	A	20011211	BR 1999-16567	19991217
JP 2002533415	T2	20021008	JP 2000-590680	19991217
AT 226448	E	20021115	AT 1999-967141	19991217
EP 1293211	A1	20030319	EP 2002-25631	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
ES 2188285	T3	20030616	ES 1999-967141	19991217
EP 1336413	A1	20030820	EP 2003-9706	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
EP 1340508	A1	20030903	EP 2003-12143	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
EP 1340509	A1	20030903	EP 2003-12144	19991217
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EP 1340510	A1	20030903	EP 2003-12145	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
EP 1342475	A1	20030910	EP 2003-11146	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
EP 1354604	A1	20031022	EP 2003-16600	19991217
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NZ 512533	A	20040227	NZ 1999-512533	19991217
NO 2001003160	A	20010821	NO 2001-3160	20010622

L60 ANSWER 33 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

US 2003166720	A1	20030904	US 2002-200600	20020723
US 2003203892	A1	20031030	US 2002-200599	20020723
US 2003109558	A1	20030612	US 2002-245506	20020918
US 2003125316	A1	20030703	US 2002-245507	20020918
US 2004058908	A1	20040325	US 2002-266743	20021009
US 2004029845	A1	20040212	US 2003-373180	20030226
US 2004028644	A1	20040212	US 2003-412694	20030414
US 2004048846	A1	20040311	US 2003-652306	20030902
PRIORITY APPLN. INFO.:			US 1998-113955P	P 19981223
			US 1999-142550P	P 19990707
			US 1999-142603P	P 19990707
			US 1999-142616P	P 19990707
			US 1999-142682P	P 19990707
			US 1999-142684P	P 19990707
			US 1999-143043P	P 19990707
			US 1999-143047P	P 19990707
			US 1999-143550P	P 19990713
			EP 1999-965035	A3 19991217
			EP 1999-965899	A3 19991217
			EP 1999-965900	A3 19991217
			EP 1999-965901	A3 19991217
			EP 1999-965902	A3 19991217
			EP 1999-965903	A3 19991217
			EP 1999-967140	A3 19991217
			US 1999-465642	A3 19991217
			US 1999-466413	A3 19991217
			US 1999-466415	A3 19991217
			US 1999-466466	B1 19991217
			US 1999-466469	A3 19991217
			US 1999-466470	A3 19991217
			US 1999-466592	A3 19991217
			US 1999-466596	B3 19991217
			WO 1999-US27950	W 19991217

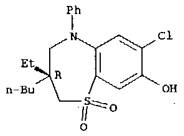
AB Combinations of cardiovascular therapeutic compds. are provided for the prophylaxis or treatment of cardiovascular disease including hypercholesterolemia, atherosclerosis, or hyperlipidemia. Combinations disclosed include an ileal bile acid transport inhibitor combined with a nicotinic acid derivative

IT **178961-24-5 178961-24-5D**, enantiomers
229307-33-9 280757-38-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ileal bile acid transport inhibitor-nicotinic acid derivative combination
for cardiovascular indications)

RN 178961-24-5 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

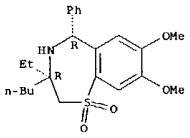
Relative stereochemistry.

L60 ANSWER 33 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



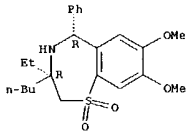
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 33 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



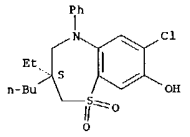
RN 178961-24-5 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 229307-33-9 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3-butyl-7-chloro-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 280757-38-2 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3-butyl-7-chloro-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L60 ANSWER 34 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	2000:456925 CAPLUS
DOCUMENT NUMBER:	133:94516
TITLE:	Combinations of ileal bile acid transport inhibitors and bile acid sequestering agents for cardiovascular indications
INVENTOR(S):	Keller, Bradley T.; Glenn, Kevin C.; Schuh, Joseph R.
PATENT ASSIGNEE(S):	G.D. Searle and Co., USA
SOURCE:	PCT Int. Appl., 72 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	9
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000038728	A1	20000706	WO 1999-US27949	19991217
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2356156	AA	20000706	CA 1999-2356156	19991217
EP 1140190	A1	20011010	EP 1999-967140	19991217
EP 1140190	B1	20021120		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9916484	A	20020122	BR 1999-16484	19991217
JP 2002533414	T2	20021008	JP 2000-590679	19991217
AT 228012	E	20021215	AT 1999-967140	19991217
EP 1293211	A1	20030319	EP 2002-25631	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
US 6562860	B1	20030513	US 1999-466592	19991217
ES 2189529	T3	20030701	ES 1999-967140	19991217
EP 1336413	A1	20030820	EP 2003-9706	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
EP 1340508	A1	20030903	EP 2003-12143	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
EP 1340509	A1	20030903	EP 2003-12144	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
EP 1340510	A1	20030903	EP 2003-12145	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
EP 1342475	A1	20030910	EP 2003-11146	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
EP 1354604	A1	20031022	EP 2003-16600	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
NZ 512535	A	20031219	NZ 1999-512535	19991217
NO 2001003159	A	20010822	NO 2001-3159	20010622

L60 ANSWER 34 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

US 2003166720	A1	20030904	US 2002-200600	20020723
US 2003203892	A1	20031030	US 2002-200599	20020723
US 2003109558	A1	20030612	US 2002-245506	20020918
US 2003125316	A1	20030703	US 2002-245507	20020918
US 2004058908	A1	20040325	US 2002-266743	20021009
US 2004029845	A1	20040212	US 2003-373180	20030226
US 2004028644	A1	20040212	US 2003-412694	20030414
US 2004048846	A1	20040311	US 2003-652306	20030902
PRIORITY APPLN. INFO.:			US 1998-113955P	P 19981223
			US 1999-143043P	P 19990707
			US 1999-142603P	P 19990707
			US 1999-142616P	P 19990707
			US 1999-142682P	P 19990707
			US 1999-142684P	P 19990707
			US 1999-143047P	P 19990707
			US 1999-143550P	P 19990713
			EP 1999-965035	A3 19991217
			EP 1999-965899	A3 19991217
			EP 1999-965900	A3 19991217
			EP 1999-965901	A3 19991217
			EP 1999-965902	A3 19991217
			EP 1999-965903	A3 19991217
			EP 1999-967140	A3 19991217
			US 1999-465642	A3 19991217
			US 1999-466413	A3 19991217
			US 1999-466415	A3 19991217
			US 1999-466466	B1 19991217
			US 1999-466469	A3 19991217
			US 1999-466470	A3 19991217
			US 1999-466592	A3 19991217
			US 1999-466596	B3 19991217
			WO 1999-US27949	W 19991217

AB The present invention provides combinations of cardiovascular therapeutic compds. for the prophylaxis or treatment of cardiovascular disease including hypercholesterolemia, atherosclerosis, or hyperlipidemia. Combinations disclosed include an ileal bile acid transport inhibitor combined with a bile acid sequestrant. A therapeutic combination containing (3R,5R)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-1-4-benzothiazepine-1,2-dioxide and cholestyramine is disclosed. Different biol. assays to show the utility of the invention are described.

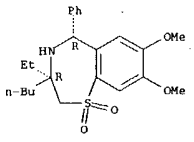
IT **178961-24-5D**, enantiomers, mixture with sequestering agents
229307-33-9D, enantiomers, mixture with sequestering agents
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combinations of ileal bile acid transport inhibitors and bile acid sequestering agents for cardiovascular indications)

RN 178961-24-5 CAPLUS

CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

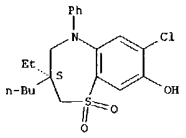
L60 ANSWER 34 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 229307-33-9 CAPLUS

CN 1,5-Benzothiazepin-8-ol, 3-butyl-7-chloro-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 35 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:456924 CAPLUS

DOCUMENT NUMBER: 133:79370

TITLE: Combinations of ileal bile acid transport inhibitors and fibric acid derivatives for cardiovascular indications

INVENTOR(S): Keller, Bradley T.; Glenn, Kevin C.; Schuh, Joseph R.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000038727	A1	20000706	WO 1999-US27948	19991217
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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EP 1140189	A1	20011010	EP 1999-965903	19991217
EP 1140189	B1	20030514		
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BR 9916565	A	20020129	BR 1999-16565	19991217
JP 2002533413	T2	20021008	JP 2000-590678	19991217
EP 1293211	A1	20030319	EP 2002-25631	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
AT 240120	E	20030515	AT 1999-965903	19991217
EP 1336413	A1	20030820	EP 2003-9706	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
EP 1340508	A1	20030903	EP 2003-12143	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
EP 1340509	A1	20030903	EP 2003-12144	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
EP 1340510	A1	20030903	EP 2003-12145	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
EP 1342475	A1	20030910	EP 2003-11146	19991217
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PT 1140189	T	20030930	PT 1999-965903	19991217
EP 1354604	A1	20031022	EP 2003-16600	19991217
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NZ 512537	A	20031128	NZ 1999-512537	19991217
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L60 ANSWER 35 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

NO 2001003162	A	20010821	NO 2001-3162	20010622
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US 2003203892	A1	20031030	US 2002-200599	20020723
US 2003109558	A1	20030612	US 2002-245506	20020918
US 2003125316	A1	20030703	US 2002-245507	20020918
US 2004058908	A1	20040325	US 2002-266743	20021009
US 2004029845	A1	20040212	US 2003-373180	20030226
US 2004028644	A1	20040212	US 2003-412694	20030414
US 2004048846	A1	20040311	US 2003-652306	20030902
PRIORITY APPLN. INFO.:			US 1998-113955P	P 19981223
			US 1999-142603P	P 19990707
			US 1999-142616P	P 19990707
			US 1999-142682P	P 19990707
			US 1999-142684P	P 19990707
			US 1999-143043P	P 19990707
			US 1999-143047P	P 19990707
			US 1999-143550P	P 19990713
			EP 1999-965035	A3 19991217
			EP 1999-965899	A3 19991217
			EP 1999-965900	A3 19991217
			EP 1999-965901	A3 19991217
			EP 1999-965902	A3 19991217
			EP 1999-965903	A3 19991217
			EP 1999-967140	A3 19991217
			US 1999-465642	A3 19991217
			US 1999-466413	A3 19991217
			US 1999-466415	A3 19991217
			US 1999-466466	B1 19991217
			US 1999-466469	A3 19991217
			US 1999-466470	A3 19991217
			US 1999-466592	A3 19991217
			US 1999-466596	B3 19991217
			WO 1999-US27948	W 19991217

AB The present invention provides combinations of cardiovascular therapeutic compds. for the prophylaxis or treatment of cardiovascular disease including hypercholesterolemia, atherosclerosis, or hyperlipidemia. Combinations disclosed include an ileal bile acid transport inhibitor combined with a fibric acid derivative A therapeutic combination containing (3R,5R)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-1-4-benzothiazepine-1,2-dioxide and clofibrate is disclosed. Different biol. assays to show the utility of the invention are described.

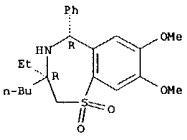
IT **178961-24-5D**, enantiomers, mixts. with fibric acid derivs.
229307-33-9D, enantiomers, mixts. with fibric acid derivs.
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combinations of ileal bile acid transport inhibitors and fibric acid derivs. for cardiovascular indications)

RN 178961-24-5 CAPLUS

CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

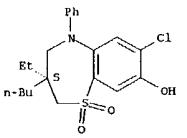
Relative stereochemistry.

L60 ANSWER 35 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 229307-33-9 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3-butyl-7-chloro-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 36 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:456923 CAPLUS
DOCUMENT NUMBER: 133:79369
TITLE: Combinations of ileal bile acid transport inhibitors and cholesteryl ester transfer protein inhibitors for cardiovascular indications
INVENTOR(S): Keller, Bradley T.; Sikorski, James A.; Glenn, Kevin C.; Connolly, Daniel T.; Smith, Mark E.; Schuh, Joseph R.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000038726	A1	20000706	WO 1999-US27947	19991217
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2356422	AA	20000706	CA 1999-2356422	19991217
EP 1140188	A1	20011010	EP 1999-965902	19991217
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9916486	A	20020205	BR 1999-16486	19991217
US 6458851	B1	20021001	US 1999-466415	19991217
JP 2002533412	T2	20021008	JP 2000-590677	19991217
EP 1293211	A1	20030319	EP 2002-25631	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
AT 241386	E	20030615	AT 1999-965902	19991217
EP 1336413	A1	20030820	EP 2003-9706	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
EP 1340508	A1	20030903	EP 2003-12143	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
EP 1340509	A1	20030903	EP 2003-12144	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
EP 1340510	A1	20030903	EP 2003-12145	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
EP 1342475	A1	20030910	EP 2003-11146	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
EP 1354604	A1	20031022	EP 2003-16600	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
PT 1140188	T	20031031	PT 1999-965902	19991217
NZ 512536	A	20031128	NZ 1999-512536	19991217
ES 2200587	T3	20040301	ES 1999-965902	19991217
NO 2001003161	A	20010817	NO 2001-3161	20010622
HK 1041443	A1	20030919	HK 2002-102732	20020410
US 2003166720	A1	20030904	US 2002-200600	20020723
US 2003203892	A1	20031030	US 2002-200599	20020723
US 2003109558	A1	20030612	US 2002-245506	20020918
US 2003125316	A1	20030703	US 2002-245507	20020918
US 2004058908	A1	20040325	US 2002-266743	20021009
US 2004029845	A1	20040212	US 2003-373180	20030226
US 2004028644	A1	20040212	US 2003-412694	20030414
US 2004048846	A1	20040311	US 2003-652306	20030902
PRIORITY APPLN. INFO.:			US 1998-113955P	P 19981223
			US 1999-143047P	P 19990707
			US 1999-142603P	P 19990707
			US 1999-142616P	P 19990707
			US 1999-142682P	P 19990707
			US 1999-142684P	P 19990707
			US 1999-143043P	P 19990707
			US 1999-143550P	P 19990713
			EP 1999-965035	A3 19991217
			EP 1999-965899	A3 19991217
			EP 1999-965900	A3 19991217
			EP 1999-965901	A3 19991217
			EP 1999-965902	A3 19991217
			EP 1999-965903	A3 19991217
			EP 1999-967140	A3 19991217
			US 1999-465642	A3 19991217
			US 1999-466413	A3 19991217
			US 1999-466415	A3 19991217
			US 1999-466466	B1 19991217
			US 1999-466469	A3 19991217
			US 1999-466470	A3 19991217
			US 1999-466592	A3 19991217
			US 1999-466596	B3 19991217
			WO 1999-US27947	W 19991217

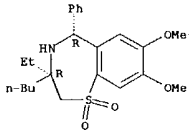
AB The present invention provides combinations of cardiovascular therapeutic compds. for the prophylaxis or treatment of cardiovascular disease including hypercholesterolemia, atherosclerosis, or hyperlipidemia. Combinations disclosed include an ileal bile acid transport inhibitor combined with a cholesteryl ester transfer protein (CETP) inhibitor. A therapeutic combination containing (3R,5R)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-1-4-benzothiazepine-1,2-dioxide and a cholesteryl ester transfer protein inhibitor is disclosed. Different biol. assays to show the utility of the invention are described.

IT **178961-24-5D**, enantiomers **229307-33-9D**, enantiomers
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(mixts. with cholesteryl ester transfer protein inhibitors; combinations of ileal bile acid transport inhibitors and cholesteryl ester transfer protein inhibitors for cardiovascular indications)

RN 178961-24-5 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-

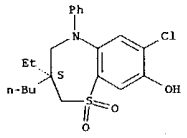
L60 ANSWER 36 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 229307-33-9 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3-butyl-7-chloro-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 37 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:456922 CAPLUS
DOCUMENT NUMBER: 133:94515
TITLE: Combinations for cardiovascular indications
INVENTOR(S): Keller, Bradley T.; Reitz, David B.; Schuh, Joseph R.; Sikorski, James A.; Tremont, Samuel J.; Lappe, Rodney W.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 248 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000038725	A1	20000706	WO 1999-US27946	19991217
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2356515	AA	20000706	CA 1999-2356515	19991217
EP 1140187	A1	20011010	EP 1999-965901	19991217
EP 1140187	B1	20030903		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO				
BR 9916564	A	20020129	BR 1999-16564	19991217
JP 2002533411	T2	20021008	JP 2000-590676	19991217
EP 1293211	A1	20030319	EP 2002-25631	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
EP 1336413	A1	20030820	EP 2003-9706	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
EP 1340508	A1	20030903	EP 2003-12143	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
EP 1340509	A1	20030903	EP 2003-12144	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
EP 1340510	A1	20030903	EP 2003-12145	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
EP 1342475	A1	20030910	EP 2003-11146	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				
AT 248606	E	20030915	AT 1999-965901	19991217
EP 1354604	A1	20031022	EP 2003-16600	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO, CY				

L60 ANSWER 37 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

NZ 512532	A	20031219	NZ 1999-512532	19991217
PT 1140187	T	20040130	PT 1999-965901	19991217
ZA 2001005056	A	20020620	ZA 2001-5056	20010620
ZA 2001005059	A	20020620	ZA 2001-5059	20010620
ZA 2001005061	A	20020620	ZA 2001-5061	20010620
ZA 2001005062	A	20020828	ZA 2001-5062	20010620
ZA 2001005060	A	20020920	ZA 2001-5060	20010620
NO 2001003157	A	20010822	NO 2001-3157	20010622
US 2003166720	A1	20030904	US 2002-200600	20020723
US 2003203892	A1	20031030	US 2002-200599	20020723
US 2003109558	A1	20030612	US 2002-245506	20020918
US 2003125316	A1	20030703	US 2002-245507	20020918
US 2004058908	A1	20040325	US 2002-266743	20021009
US 2004029845	A1	20040212	US 2003-373180	20030226
US 2004028644	A1	20040212	US 2003-412694	20030414
US 2004048846	A1	20040311	US 2003-652306	20030902

PRIORITY APPLN. INFO.:

US 1998-113955P	P	19981223
US 1999-142603P	P	19990707
US 1999-142616P	P	19990707
US 1999-142682P	P	19990707
US 1999-142684P	P	19990707
US 1999-143043P	P	19990707
US 1999-143047P	P	19990707
US 1999-143550P	P	19990713
EP 1999-965035	A3	19991217
EP 1999-965899	A3	19991217
EP 1999-965900	A3	19991217
EP 1999-965901	A3	19991217
EP 1999-965902	A3	19991217
EP 1999-965903	A3	19991217
EP 1999-967140	A3	19991217
US 1999-465642	A3	19991217
US 1999-466413	A3	19991217
US 1999-466415	A3	19991217
US 1999-466466	B1	19991217
US 1999-466469	A3	19991217
US 1999-466470	A3	19991217
US 1999-466592	A3	19991217
US 1999-466596	B3	19991217
WO 1999-US27946	W	19991217

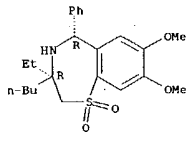
AB The present invention provides combinations of cardiovascular therapeutic compds. for the prophylaxis or treatment of cardiovascular disease including hypercholesterolemia and atherosclerosis. Combinations disclosed include an ileal bile acid transport inhibitor combined with a cholesteryl ester transport protein (CETP) inhibitor, a fibric acid derivative, a nicotinic acid derivative, a microsomal triglyceride transfer protein inhibitor, a cholesterol absorption antagonist, a phytosterol, a stanol, an antihypertensive agent, or others. Further combinations include a CETP inhibitor with a fibric acid derivative, a nicotinic acid derivative, a bile acid sequestrant, a microsomal triglyceride transfer protein inhibitor, a cholesterol absorption antagonist, or others.

IT **178961-24-5D**, enantiomers **229307-33-9D**, enantiomers
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

L60 ANSWER 37 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(combinations for cardiovascular agents for treatment of cardiovascular indications)

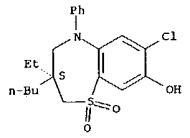
RN 178961-24-5 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 229307-33-9 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3-butyl-7-chloro-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 38 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:405889 CAPLUS
DOCUMENT NUMBER: 133:219702
TITLE: Cytostar-T Scintillating Microplate Assay for Measurement of Sodium-Dependent Bile Acid Uptake in Transfected HEK-293 Cells
AUTHOR(S): Bonge, Helena; Hallen, Stefan; Fryklund, Jan; Sjostrom, Jan-Eric
CORPORATE SOURCE: Cell Biology and Biochemistry, AstraZeneca R&D Molndal, Moelndal, S-431 83, Swed.
SOURCE: Analytical Biochemistry (2000), 282(1), 94-101
CODEN: ANBCA2; ISSN: 0003-2697
PUBLISHER: Academic Press
DOCUMENT TYPE: Journal
LANGUAGE: English

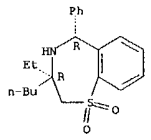
AB Real-time measurements of bile acid uptake into HEK-293 cell monolayers expressing the human sodium/bile acid cotransporters have been demonstrated using Cytostar-T microplates with an integral scintillating base. In these 96-well microplates, which permits culturing and observation of adherent cell monolayers, uptake of 14C-labeled glycocholate and taurocholate into transfected HEK-293 cells was time-dependent, sodium-stimulated, and saturable. The sodium-activated uptake of 30 μM [14C]glycocholate (GC) via the ileal (IBAT) and liver (LBAT) transporters was 30-40 times higher than GC uptake in a sodium-free background. In addition, ouabain inhibition of the plasma membrane Na+,K+-ATPase, causing the sodium gradient to collapse, resulted in total loss of glycocholate transport. Induction of gene expression by sodium butyrate showed that the amount of labeled bile acid accumulated in the cell monolayers at steady state was a function of the total amount of transporter expressed. Uptake of labeled bile acids was inhibited both by the specific IBAT inhibitor, 2164U90, and by various bile acids. No major difference was observed between IBAT and LBAT in their specificity for the bile acids tested while the dihydroxy bile acids had the highest affinity for both the transporters studied. The Cytostar-T proximity assay has been demonstrated to be an accurate and reproducible method for monitoring specific bile acid transport in transfected mammalian cells and the results are similar to those obtained by traditional methods. We conclude that the technique is an attractive approach to the cellular study of membrane transport of radiolabeled solutes in general and suggest a role in screening and characterization of novel transport inhibitors. (c) 2000 Academic Press.

IT **152802-07-8**, 2164U90
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(inhibitor; Cytostar-T scintillating microplate assay for measurement of sodium-dependent bile acid uptake in transfected HEK-293 cells)

RN 152802-07-8 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3R,5R)- (9CI) (CA INDEX NAME)

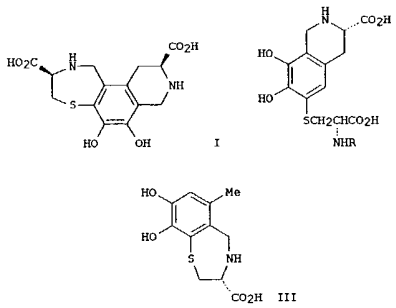
Absolute stereochemistry.

L60 ANSWER 38 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 39 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:403249 CAPLUS
DOCUMENT NUMBER: 133:207736
TITLE: A Novel Octahydropyridobenzothiazepine Metabolite in Human Urine: Biomimetic Formation from the Melanogen 5-S-Cysteinyldopa and Formaldehyde via a Peculiar Sulfur-Controlled Double Pictet-Spengler Condensation
AUTHOR(S): Manini, Paola; D'Ischia, Marco; Prota, Giuseppe
CORPORATE SOURCE: Department of Organic and Biological Chemistry, University of Naples Federico II, Naples, I-80134, Italy
SOURCE: Journal of Organic Chemistry (2000), 65(14), 4269-4273
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:207736
GI



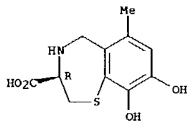
AB HPLC evidence is reported demonstrating the occurrence in some human urine samples of a novel catecholic metabolite, (3R,7S)-3,7-dicarboxy-10,11-dihydroxy-2,3,4,5,6,7,8,9-octahydropyrido[4,3-g][1,4]benzothiazepine (I). The compound was shown to arise by a double Pictet-Spengler condensation of the urinary melanogen 5-S-cysteinyldopa with formaldehyde, in which regioselective formation of the six-membered ring ortho to the activating hydroxyl group lends assistance to the subsequent closure of the seven-membered 1,4-thiazepine moiety. Under physiol. relevant conditions, i.e., in 0.1 M phosphate buffer pH 7.4 and at 37°, the

L60 ANSWER 39 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
7,8-dihydroxytetrahydroisoquinoline II (R = H) was the sole detectable intermediate in the formation of I. N-Acetylcysteinyldopa reacted likewise with formaldehyde to give the 7,8-dihydroxytetrahydroisoquinoline II (R = Ac). The anomalous regiochem. underlying formation of II (R = H, Ac) was rationalized with the aid of AM1/PM3 calcns. on a model alkylthiocatechol, predicting a higher HOMO-controlled reactivity on the position ortho rather than para to the activating hydroxyl group. The potential of the reported chem. as a convenient synthetic access to the 2,3,4,5-tetrahydro[1,4]benzothiazepine ring system is suggested by the efficient conversion of a cysteinylcatechol to III in the presence of formaldehyde.

IT **289658-17-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(biomimetic formation of an octahydropyridobenzothiazepine metabolite in human urine from 5-S-cysteinyldopa and formaldehyde via a peculiar sulfur-controlled double Pictet-Spengler condensation)

RN 289658-17-9 CAPLUS
CN 1,4-Benzothiazepine-3-carboxylic acid, 2,3,4,5-tetrahydro-8,9-dihydroxy-6-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



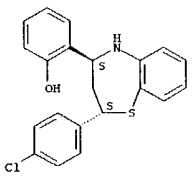
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 40 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:374178 CAPLUS
DOCUMENT NUMBER: 133:135299
TITLE: An unexpected synthesis of novel oxygen-bridged 1,5-benzothiazepine derivatives and their reductive five-membered-ring opening
AUTHOR(S): Ahmad, Roshan; Zia-Ul-Haq, Mohammad; Hameed, Shahid; Akhtar, Humaira; Duddeck, Helmut
CORPORATE SOURCE: Department of Chemistry, Quaid-i-Azam University Islamabad, Islamabad, Pak.
SOURCE: Monatshefte fuer Chemie (2000), 131(4), 393-400
CODEN: MOCMB7; ISSN: 0026-9247
PUBLISHER: Springer-Verlag Wien
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:135299
AB A convenient procedure is reported for the preparation of 2-phenylbenzofuro[1',2'-c]-1,5-benzothiazepines by oxidative cyclocondensation of phenolic β-diketones with o-aminothiophenol in DMSO. The regiochem. of these compds. is proven by HMBC signals and the existence of a five-bond 19F,13C-2 coupling. Surprisingly, treatment with LiAlH4 at room temperature led to a double reduction under opening of the five-membered ring. Refluxing such solns. with a higher amount of LiAlH4 gave rise to a further reduced derivative possessing the trans-configuration.
All structures (regio- and stereochem.) were assigned on the basis of NMR spectroscopic data.

IT **286465-00-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and reductive ring opening of 2-phenylbenzofuro[1',2'-c]-1,5-benzothiazepines)

RN 286465-00-7 CAPLUS
CN Phenol, 2-[(2R,4R)-2-(4-chlorophenyl)-2,3,4,5-tetrahydro-1,5-benzothiazepin-4-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



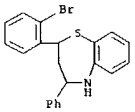
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 41 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:269279 CAPLUS
DOCUMENT NUMBER: 133:74008
TITLE: Cycloaddition reaction of benzoheteroazepine: synthesis of 4a,5,6,12-tetrahydro-1H-1,3-oxazino[3,2-d][1,5] benzothiazepin-1-ones and 1H,7H-1,3-oxazino[3,2-d][1,5]benzodiazepin-1-ones
AUTHOR(S): Xu, Jiaxi; Jin, Sheng; Xing, Qiyi
CORPORATE SOURCE: College of Chemistry and Molecular Engineering, Peking University, Beijing, 100871, Peop. Rep. China
SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (1998), 141, 57-70
CODEN: PSSLEC; ISSN: 1042-6507
PUBLISHER: Gordon & Breach Science Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:74008

AB 2,3-Dihydro-1,5-benzothiazepines and 2,3-dihydro-1H-1,5-benzodiazepines reacted with α-carbonylketenes, generated from 2-diazo-1,3-diphenyl-1,3-propanedione and 2-diazo-1-phenyl-1,3-butandione by heating, to give [2+4] cycloadducts 4a,5,6,12-tetrahydro-1H-1,3-oxazino[3,2-d][1,5]benzothiazepin-1-ones and 4a,5,6,12-tetrahydro-1H,7H-1,3-oxazino[3,2-d][1,5]benzodiazepin-1-ones. The cycloaddn. reactions showed different regioselectivities when different 1,5-benzoheteroazepines reacted with asym. 2-diazo-1-phenyl-1,3-butandione. The conformations of cycloadducts and cycloaddn. reaction mechanism were described.

IT **278616-84-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 278616-84-5 CAPLUS
CN 1,5-Benzothiazepine, 2-(2-bromophenyl)-2,3,4,5-tetrahydro-4-phenyl- (9CI) (CA INDEX NAME)

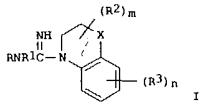


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 42 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:113097 CAPLUS
DOCUMENT NUMBER: 132:151671
TITLE: Preparation of indoline derivatives and 1,2,3,4-tetrahydroquinoline derivatives useful for the treatment or prophylaxis of neurological injury and neurodegenerative disorders
INVENTOR(S): Reddy, N. Laxma; Maillard, Michael; Berlove, David; Magar, Sharad; Durant, Graham J.
PATENT ASSIGNEE(S): Cambridge Neuroscience, Inc., USA
SOURCE: U.S., 41 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6025355	A	20000215	US 1997-858399	19970519
US 6358993	B1	20020319	US 1999-425582	19991022
US 2002099084	A1	20020725	US 2001-38178	20011109
US 6514990	B2	20030204		
US 2003153763	A1	20030814	US 2002-321402	20021217
US 6770668	B2	20040803		
PRIORITY APPLN. INFO.:			US 1996-601992	B2 19960215
			WO 1997-US2678	A1 19970214
			US 1997-858399	A3 19970519
			US 1999-425582	A1 19991022
			US 2001-38178	A1 20011109

OTHER SOURCE(S): MARPAT 132:151671
GI

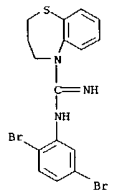


I

AB The title compds., e.g. I (R, R1 = H, alkyl, alkenyl, alkoxy, alkylthio, etc.; R2, R3 = H, halo, OH, alkyl, etc.; X = sulfinyl, sulfonyl; m, n = 0-4), useful for the treatment or prophylaxis of neurol. injury and neurodegenerative disorders, were prepared E.g., N-(1-naphthyl)-4-(2,3-dihydro[1,4]benzothiazinyl)carboximidamide was prepared Anticonvulsant activity of some of the compds. was determined

IT **195437-33-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and anticonvulsant activity of indoline derivs. and 1,2,3,4-tetrahydroquinoline derivs.)

L60 ANSWER 42 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 195437-33-3 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-carboximidamide, N-(2,5-dibromophenyl)-3,4-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 198 THERE ARE 198 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 43 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:2085 CAPLUS
DOCUMENT NUMBER: 132:175759
TITLE: Substrate specificity of the ileal and the hepatic Na+/bile acid cotransporters of the rabbit. II. A reliable 3D QSAR pharmacophore model for the ileal Na+/bile acid cotransporter
AUTHOR(S): Baringhaus, Karl-Heinz; Matter, Hans; Stengelin, Siegfried; Kramer, Werner
CORPORATE SOURCE: Department of Medicinal Chemistry and DG Metabolic Diseases, Hoechst Marion Roussel Deutschland GmbH, Frankfurt/Main, D-65926, Germany
SOURCE: Journal of Lipid Research (1999), 40(12), 2158-2168
CODEN: JLPRAW; ISSN: 0022-2275
PUBLISHER: Lipid Research, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

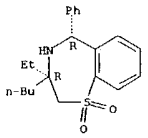
AB To design a reliable 3D QSAR model of the intestinal Na+/bile acid cotransporter, we have used a training set of 17 inhibitors of the rabbit ileal Na+/bile acid cotransporter. The IC50 values of the training set of compds. covered a range of four orders of magnitude for inhibition of [3H]cholyltaurine uptake by CHO cells expressing the rabbit ileal Na+/bile acid cotransporter allowing the generation of a pharmacophore using the CATALYST algorithm. After thorough conformational anal. of each mol., CATALYST generated a pharmacophore model characterized by five chemical features: one hydrogen bond donor, one hydrogen bond acceptor, and three hydrophobic features. The 3D pharmacophore was enantiospecific and correctly estimated the activities of the members of the training set. The predicted interactions of natural bile acids with the pharmacophore model of the ileal Na+/bile acid cotransporter explain exactly the exptl. found structure-activity relationships for the interaction of bile acids with the ileal Na+/bile acid cotransporter. The natural bile acid analogs cholyltaurine, chenodeoxycholyltaurine, or deoxycholyltaurine were able to map four of the five features of the pharmacophore model: (a) the five-membered ring D and the Me group at position 18 map one hydrophobic site and the 21-Me group of the side chain maps a second hydrophobic site; (b) one of the α-oriented hydroxyl groups at position 7 or 12 fits the hydrogen bond donor feature; (c) the neg. charged side chain acts as hydrogen bond acceptor; and (d) the hydroxy group at position 3 does not specifically map any of the five binding features of the pharmacophore model. The 3-hydroxy group of natural bile acids is not essential for interactions with ileal or hepatic Na+/bile acid cotransporters. A modification of the 3-position of a natural bile acid mol. is therefore the preferred position for drug targeting strategies using bile acid transport pathways.

IT **152802-07-8**, S 0382 **259529-01-6**, S 0381
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(substrate specificity of ileal and hepatic Na+/bile acid cotransporters of the rabbit and a reliable 3D QSAR pharmacophore model for ileal Na+/bile acid cotransporter)

RN 152802-07-8 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3R,5R)- (9CI) (CA INDEX NAME)

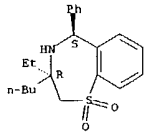
Absolute stereochemistry.

L60 ANSWER 43 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 259529-01-6 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

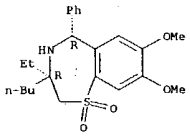
L60 ANSWER 44 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:489867 CAPLUS
DOCUMENT NUMBER: 131:345953
TITLE: 264W94 (Glaxo Wellcome)
AUTHOR(S): Shibata, Nobuhito
CORPORATE SOURCE: Department of Hospital Pharmacy, Shiga University of Medical Science, Tsukinowa, Japan
SOURCE: Current Opinion in Cardiovascular, Pulmonary & Renal Investigational Drugs (1999), 1(2), 276-278
CODEN: CCPRFX; ISSN: 1464-8482
PUBLISHER: Current Drugs Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review, with 13 refs., of the pharmacol. of 264W94, a bile acid transport inhibitor, for the potential treatment of hypercholesterolemia. The compound is in phase II trials. It is one of a series of benzodiazepine bile acid transport inhibitors disclosed by Glaxo in WO-09316055, WO-09418183, WO-09418184, WO-09605188, WO-09616051 and WO-09838182.

IT **178961-24-5P**, 264W94
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(pharmacol. of bile acid transport inhibitor 264W94 for treatment of hypercholesterolemia)

RN 178961-24-5 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

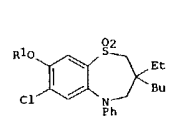
Relative stereochemistry.



L60 ANSWER 45 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:451286 CAPLUS
DOCUMENT NUMBER: 131:87928
TITLE: Preparation of benzothiazepines as hypolipidemics.
INVENTOR(S): Handlon, Anthony Louis
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9935135	A1	19990715	WO 1999-EP21	19990107
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9900081	A	20000706	ZA 1999-81	19990106
CA 2317651	AA	19990715	CA 1999-2317651	19990107
AU 9925155	A1	19990726	AU 1999-25155	19990107
BR 9906799	A	20001010	BR 1999-6799	19990107
EP 1045840	A1	20001025	EP 1999-904742	19990107
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200001816	T2	20001121	TR 2000-200001816	19990107
JP 2002500220	T2	20020108	JP 2000-527536	19990107
NZ 505453	A	20021126	NZ 1999-505453	19990107
US 6465451	B1	20021015	US 2000-582907	20000706
NO 2000003514	A	20000907	NO 2000-3514	20000707
HR 2000000468	A1	20001031	HR 2000-468	20000710
PRIORITY APPLN. INFO.:			GB 1998-428 A	19980110
			WO 1999-EP21 W	19990107

OTHER SOURCE(S): MARPAT 131:87928
GI



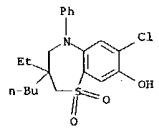
I

AB Title compds. (I; R1 = H, Me), were prepared Thus, 2-amino-5-chloro-6-methoxybenzothiazole (preparation given) was refluxed 7 h in aqueous KOH; 2-bromomethyl-2-ethylhexanoic acid (preparation given) was added and the mixture was stirred 18 h to give 2-[[(2-amino-4-chloro-5-methoxyphenyl)thio]methyl]-2-ethylhexanoic acid. This was refluxed in

L60 ANSWER 45 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
tetradecene with azeotropic removal of H2O to give 2,3-dihydro-3-ethyl-3-butyl-5H-7-chloro-8-methoxy-1,5-benzothiazepine. The latter was resolved on a CHIRALPAK AD column and the resulting (3R)-isomer was refluxed 5.5 h with PhI, CuI, and K2CO3 to give (3R)-2,3-dihydro-3-ethyl-3-butyl-5-phenyl-7-chloro-8-methoxy-1,5-benzothiazepine-4-one. This in THF was added to a mixt. prepd. from LiAlH4 in H2SO4/Et2O at 0° followed by stirring to room temp for 3.5 h to give (3S)-2,3,4,5-tetrahydro-3-ethyl-3-butyl-5-phenyl-7-chloro-8-methoxy-1,5-benzothiazepine 1,1-dioxide. Treatment with BBr3 in CH2Cl2 at 0° gave (3S)-2,3,4,5-tetrahydro-3-ethyl-3-butyl-5-phenyl-7-chloro-8-hydroxy-1,5-benzothiazepine 1,1-dioxide (II). II inhibited bile acid reabsorption in rats with ED30 = 0.048 mg/kg orally.

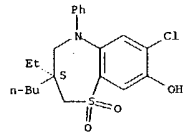
IT **229307-32-8P 229307-33-9P 229307-34-0P**
229307-35-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzothiazepines as hypolipidemics)

RN 229307-32-8 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3-butyl-7-chloro-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



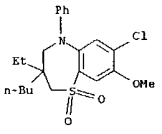
RN 229307-33-9 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3-butyl-7-chloro-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



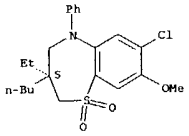
RN 229307-34-0 CAPLUS
CN 1,5-Benzothiazepine, 3-butyl-7-chloro-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 45 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 229307-35-1 CAPLUS
CN 1,5-Benzothiazepine, 3-butyl-7-chloro-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, 1,1-dioxide, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 46 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:363675 CAPLUS
DOCUMENT NUMBER: 131:138894
TITLE: Correlation of biliary excretion in sandwich-cultured rat hepatocytes and in vivo in rats
AUTHOR(S): Liu, Xingrong; Chism, Jack P.; Lecluyse, Edward L.; Brouwer, Kenneth R.; Brouwer, Kim L. R.
CORPORATE SOURCE: Division of Drug Delivery and Disposition, School of Pharmacy, University of North Carolina at Chapel Hill, Chapel Hill, NC, 27599-7360, USA
SOURCE: Drug Metabolism and Disposition (1999), 27(6), 637-644
CODEN: DMDSAI; ISSN: 0090-9556
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

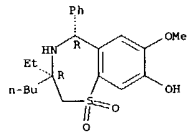
AB The relation between biliary excretion in sandwich-cultured rat hepatocytes and in vivo in rats was examined. The biliary excretion of seven model substrates in 96-h sandwich-cultured rat hepatocytes was determined by differential cumulative uptake of substrate in the monolayers preincubated in standard buffer (intact bile canaliculi) and Ca2+-free buffer (disrupted bile canaliculi). Biliary excretion in vivo was quantitated in bile duct-cannulated rats. The biliary excretion index of model substrates, equivalent to the percentage of retained substrate in the canalicular networks, was consistent with the percentage of the dose excreted in bile from in vivo expts. The in vitro biliary clearance of inulin, salicylate, methotrexate, [D-pen2,5]enkephalin, and taurocholate, calculated as the ratio of the amount excreted into the bile canalicular networks and the area under the incubation medium concentration-time profile (.apprx.0, .apprx.0, 4.1±1.0, 12.6±2.2, and 56.2±6.0 mL/min/kg, resp.), correlated with their intrinsic in vivo biliary clearance (0.04, 0, 17.3, 34.4, and 116.9 mL/min/kg, resp.; r2 = 0.99). The model compound 264W94 was not excreted in bile either in vivo or in vitro. The glucuronide conjugate of 2169W94, the O-demethylated metabolite of 264W94, was excreted into bile in vitro when 2169W94, but not 264W94, was incubated with the monolayers; 2169W94 glucuronide undergoes extensive biliary excretion after administration of 264W94 or 2169W94 in vivo. Biliary excretion in long-term sandwich-cultured rat hepatocytes correlates with in vivo biliary excretion. The study of biliary excretion of metabolites in the hepatocyte monolayers requires consideration of the status of metabolic activities.

IT **235433-70-2D**, glucuronide conjugate **235433-71-3**
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(correlation of biliary excretion in sandwich-cultured rat hepatocytes and in vivo in rats)

RN 235433-70-2 CAPLUS
CN 1,4-Benzothiazepin-8-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-, 1,1-dioxide, labeled with carbon-14, (3R,5R)- (9CI) (CA INDEX NAME)

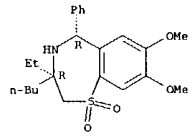
Absolute stereochemistry.

L60 ANSWER 46 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 235433-71-3 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-, 1,1-dioxide, labeled with carbon-14, (3R,5R)- (9CI) (CA INDEX NAME)

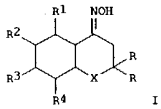
Absolute stereochemistry.



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 47 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:108434 CAPLUS
DOCUMENT NUMBER: 130:182329
TITLE: Expedient synthesis of 4-aminochromans and 4-aminothiochromans
AUTHOR(S): Sebok, Peter; Levai, Albert; Timar, Tibor
CORPORATE SOURCE: Department of Chemical Research, ICN Hungary Co. Ltd., Tiszavasvari, H-4440, Hung.
SOURCE: Heterocyclic Communications (1998), 4(6), 547-557
CODEN: HCOMEX; ISSN: 0793-0283
PUBLISHER: Freund Publishing House Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:182329
GI

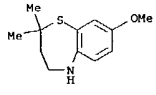


I

AB The reduction of 4-chromanone and 4-thiochromanone oximes I (R = H, Me, R1, R4 = H, MeO, R2, R3 = H, MeO, CMe3, Cl, Br) is investigated. Based on the product distributions (4-aminochromans vs. 1,5-benzoxazepines) for the redns. of several 4-chromanone oximes by different reducing agents, the Raney-Ni/H2 system proved to be practically useful for selective production of 4-aminochromans and 4-aminothiochromans.

IT **220634-36-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of aminochromans and aminothiochromans from the chromanones via the oximes and preparation of benzoxazepines)

RN 220634-36-6 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-8-methoxy-2,2-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 48 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:96247 CAPLUS
DOCUMENT NUMBER: 130:139337
TITLE: Preparation of thiazolobenzazepines and analogs as anticonvulsants and glutamate antagonists
INVENTOR(S): Hardy, Jean-Claude; Bouquerel, Jean; Nemecek, Patrick; Peyronel, Jean-Francois
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer S.A., Fr.
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9905147	A1	19990204	WO 1998-FR1638	19980724
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2766487	A1	19990129	FR 1997-9556	19970728
FR 2766487	B1	19990827		
AU 9888676	A1	19990216	AU 1998-88676	19980724
AU 746193	B2	20020418		
EP 1000067	A1	20000517	EP 1998-940327	19980724
EP 1000067	B1	20021023		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
BR 9811056	A	20000905	BR 1998-11056	19980724
CZ 289468	B6	20020116	CZ 2000-294	19980724
NZ 502054	A	20020531	NZ 1998-502054	19980724
JP 2002520253	T2	20020709	JP 2000-504142	19980724
AT 226585	E	20021115	AT 1998-940327	19980724
RU 2198889	C2	20030220	RU 2000-104838	19980724
PT 1000067	T	20030331	PT 1998-940327	19980724
ES 2187997	T3	20030616	ES 1998-940327	19980724
CN 1122670	B	20031001	CN 1998-807624	19980724
ZA 9806680	A	19990127	ZA 1998-6680	19980727
US 6369221	B1	20020409	US 2000-484836	20000118
NO 2000000423	A	20000322	NO 2000-423	20000127

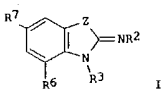
PRIORITY APPLN. INFO.: FR 1997-9556 A 19970728
WO 1998-FR1638 W 19980724

OTHER SOURCE(S): MARPAT 130:139337
GI

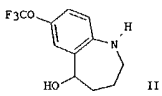
L60 ANSWER 48 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 48 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I

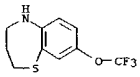


II

AB Title compds. [I; R2 = H or alkyl; R6R3 = (CH2)4, (CH2)3CO, (CH2)3O, etc.; R7 = polyfluoroalkyl(oxy); Z = S or Se] were prepared Thus, N-protected Et 2-amino-5-trifluoromethoxybenzoate was N-alkylated by Br(CH2)3CO2Et and the product cyclized to give, in 3 addnl. steps, benzazepine II which was cyclocondensed with KSCN to give I [R2 = H, R6R3 = CH(OH)(CH2)3, R7 = OCF3, Z = S]. Data for biol. activity of I were given.

IT **220107-26-6P 220107-45-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiazolobenzazepines and analogs as anticonvulsants and glutamate antagonists)

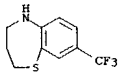
RN 220107-26-6 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-8-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



RN 220107-45-9 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-8-(trifluoromethyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 220107-44-8
CMF C10 H10 F3 N S



CM 2

CRN 76-05-1
CMF C2 H F3 O2

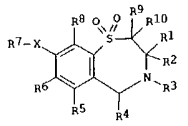
L60 ANSWER 49 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:624001 CAPLUS
DOCUMENT NUMBER: 129:245416
TITLE: Preparation of hypolipidemic 1,4-benzothiazepine-1,-dioxide glycosides
INVENTOR(S): Enhsen, Alfons; Falk, Eugen; Glombik, Heiner; Stengelin, Siegfried
PATENT ASSIGNEE(S): Hoechst A.-G., Germany; Aventis Pharma Deutschland GmbH
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 864582	A2	19980916	EP 1998-103702	19980303
EP 864582	A3	19981021		
EP 864582	B1	20030604		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 242258	E	20030615	AT 1998-103702	19980303
PT 864582	T	20031031	PT 1998-103702	19980303
ES 2198613	T3	20040201	ES 1998-103702	19980303
CA 2231971	AA	19980914	CA 1998-2231971	19980312
AU 9858349	A1	19980917	AU 1998-58349	19980312
AU 731575	B2	20010405		
IL 123648	A1	20001121	IL 1998-123648	19980312
RU 2179977	C2	20020227	RU 1998-104950	19980312
ZA 9802140	A	19980914	ZA 1998-2140	19980313
CN 1194979	A	19981007	CN 1998-108046	19980313
CN 1070484	B	20010905		
JP 10279568	A2	19981020	JP 1998-62665	19980313
JP 3282998	B2	20020520		
US 6020330	A	20000201	US 1998-41953	19980313
BR 9801126	A	20000321	BR 1998-1126	19980313
US 6114322	A	20000905	US 1999-361530	19990727

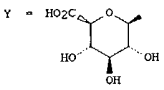
PRIORITY APPLN. INFO.: EP 1997-104348 A 19970314
US 1998-41953 A3 19980313

OTHER SOURCE(S): MARPAT 129:245416
GI

L60 ANSWER 49 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I



AB The present invention is concerned with new hypolipidemic compds. I (R1, R2 = alkyl; R3 = H, alkoxy, , OH, ester; R4 = pyridyl, substituted phenyl; R5, R6, R8 = same or different , H, halogen, cyano, ester, sulfonyl, acyl, phosphate, OCF3, OCN, SCN, NHCN, CHO, cyanoalkyl, amide, aminoalkoxy; R7 = sugar, carboxylate sugar, R9, R10 = H, alkyl; X = alkyl, alkylamine, NH, O) and with their use in medicine, particularly in the prophylaxis and treatment of hyperlipidemic conditions, such as atherosclerosis. Thus, I (R1 = Et, R2 = Bu, R3 = R5 = R6 = R8 = H, R4 = Ph, R7 = Y; X = O) was prepared and used in treatment of hyperlipidemic conditions, such as atherosclerosis.

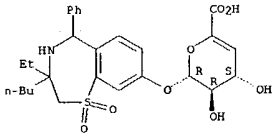
IT **213335-24-1P 213335-25-2P 213335-26-3P 213335-27-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hypolipidemic benzothiazepinedioxide glycosides)

RN 213335-24-1 CAPLUS

CN α-L-threo-Hex-4-enopyranosiduronic acid, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl 4-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

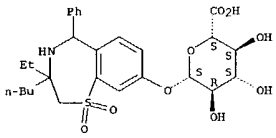
L60 ANSWER 49 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 213335-25-2 CAPLUS

CN β-D-Glucopyranosiduronic acid, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl (9CI) (CA INDEX NAME)

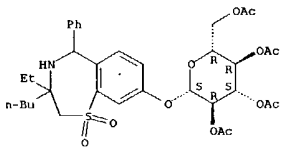
Absolute stereochemistry.



RN 213335-26-3 CAPLUS

CN β-D-Glucopyranoside, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl, 2,3,4,6-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

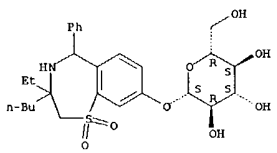


RN 213335-27-4 CAPLUS

CN β-D-Glucopyranoside, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl (9CI) (CA INDEX NAME)

L60 ANSWER 49 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

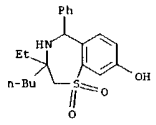
Absolute stereochemistry.



IT **213335-22-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of hypolipidemic benzothiazepinedioxide glycosides)

RN 213335-22-9 CAPLUS

CN 1,4-Benzothiazepin-8-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

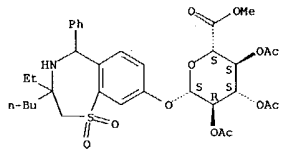


IT **213335-23-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of hypolipidemic benzothiazepinedioxide glycosides)

RN 213335-23-0 CAPLUS

CN β-D-Glucopyranosiduronic acid, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl, methyl ester, 2,3,4-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

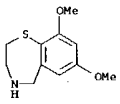


L60 ANSWER 49 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L60 ANSWER 50 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:409299 CAPLUS
DOCUMENT NUMBER: 129:203118
TITLE: A synthesis of mono- and dimethoxy-1,2,3,4-tetrahydroisoquinolines via Pummerer reaction: effects of methoxy groups on intramolecular cyclization
AUTHOR(S): Shinohara, Tatsumi; Takeda, Akira; Toda, Jun; Ueda, Yoko; Kohno, Michiyo; Sano, Takehiro
CORPORATE SOURCE: Racing Chemistry Laboratories, Tokyo, 158, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1998), 46(6), 918-927
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:203118

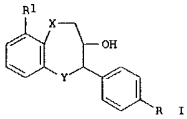
AB A synthesis of 1,2,3,4-tetrahydroisoquinolines (TIQs) with one and two methoxy groups at various positions of the benzene ring was achieved via the intramol. cyclization of N-(aryl)methyl-2-(phenylsulfinyl)ethylamines using the Pummerer reaction as a key step. The reaction was carried out by using trifluoroacetic anhydride (TFAA) (method A) or TFAA-BF3·Et2O (method B). The cyclization to 4-(phenylthio)-1,2,3,4-tetrahydroisoquinolines 4-SPhTIQs proceeded effectively when the reaction center at the benzene ring was electronically activated by a methoxy group. In the reaction of the sulfoxide having two OMe groups at ortho- and para-positions a different cyclization reaction leading to a benzothiazepine was observed, indicating that the high nucleophilicity of the benzene ring caused the unexpected reaction prior to the cyclization to the 4-SPhTIQ. The route starting from methoxylated benzaldehydes was proved to provide an efficient and convenient method of TIQ synthesis which should be complementary to the well known Pictet-Spengler method.

IT **212185-08-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of mono- and dimethoxy-1,2,3,4-tetrahydroisoquinolines via Pummerer reaction, effects of methoxy groups on intramol. cyclization)
RN 212185-08-5 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,9-dimethoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

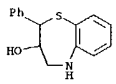
L60 ANSWER 51 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:348320 CAPLUS
DOCUMENT NUMBER: 129:95478
TITLE: A new synthesis of heterocyclic compounds with 1,5-benzodioxepine, 1,5-benzodiazepine, and 1,5-benzothiazepine ring systems
AUTHOR(S): Ganesh, T.; Krupadanam, G. L. David
CORPORATE SOURCE: Department of Chemistry, Osmania University, Hyderabad, 500 007, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1998), 37B(1), 34-38
CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: National Institute of Science Communication, CSIR
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Title compds. I (X = Y = O; R = H, Cl; R1 = H, OH), I (X = Y = NH, R = R1 = H), and I (X = NH, Y = S, R = R1 = H) were prepared by reaction of 1,2-dihydroxybenzene, 1,2-diaminobenzene, 2-aminothiophenol, and pyrogallol with 2-(bromomethyl)-3-aryloxiranes in acetone or acetonitrile-K2CO3 medium.

IT **209727-14-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 209727-14-0 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 2,3,4,5-tetrahydro-2-phenyl- (9CI) (CA INDEX NAME)

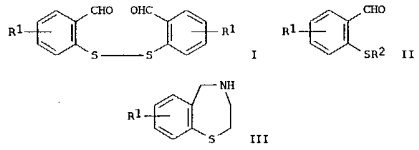


REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 52 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:115880 CAPLUS
DOCUMENT NUMBER: 128:140734
TITLE: Process for preparation of disulfide compounds and thiazepins
INVENTOR(S): Kano, Hitoshi; Kajiwara, Sakae; Sakagami, Shigeki; Itsuda, Hiroshi
PATENT ASSIGNEE(S): Sumitomo Seika K. K., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10045706	A2	19980217	JP 1996-204406	19960802
PRIORITY APPLN. INFO.:			JP 1996-204406	19960802

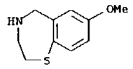
OTHER SOURCE(S): CASREACT 128:140734; MARPAT 128:140734
GI



AB The title compds. (I; R1 = H, halo, linear or branched C1-4 alkyl or alkoxy; R2 = linear or branched C1-4 alkyl) are prepared by halogenation of compds. (II; R1, R2 = same as above) and followed by hydrolysis. I are reacted with ClCH2CH2NH2 or salt thereof in the presence of base and followed by reduction and cyclization to give thiazepins (III; R1 = same as above). III, useful as intermediates in the production of functional materials, drugs and pesticides, are prepared in an industrial manner efficiently and economically. Thus, o-MeSC6H4CHO was treated with SO2Cl2 to give 85% I (R1 = H), which was further reacted with ClCH2CH2NH2.HCl in the presence of aqueous NaOH and followed by reduction with NaBH4 to give III (R1 = H).

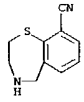
IT **145903-31-7P 157100-35-1P 201987-36-2P 201987-37-3P 201987-38-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(process for preparation of disulfide compds. and thiazepins)
RN 145903-31-7 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7-methoxy- (9CI) (CA INDEX NAME)

L60 ANSWER 52 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

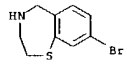


RN 157100-35-1 CAPLUS
CN 1,4-Benzothiazepine, 6-chloro-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)

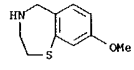
RN 201987-36-2 CAPLUS
CN 1,4-Benzothiazepine-9-carbonitrile, 2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)



RN 201987-37-3 CAPLUS
CN 1,4-Benzothiazepine, 8-bromo-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)



RN 201987-38-4 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-8-methoxy- (9CI) (CA INDEX NAME)



L60 ANSWER 53 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:112358 CAPLUS
DOCUMENT NUMBER: 128:167450
TITLE: Preparation of 2,3-dihydro-1,4-benzothiazepines
INVENTOR(S): Holman, Nicholas John; Tometzki, Gerald Bernard
PATENT ASSIGNEE(S): Knoll A.-G. Chemische Fabriken, Germany; Holman, Nicholas John; Tometzki, Gerald Bernard
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9805657	A1	19980212	WO 1997-EP3945	19970722
W: AL, AU, BG, BR, CA, CN, CZ, GE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9737699	A1	19980225	AU 1997-37699	19970722
PRIORITY APPLN. INFO.:			GB 1996-16279	19960802
			WO 1997-EP3945	19970722

OTHER SOURCE(S): CASREACT 128:167450; MARPAT 128:167450
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I: R1-R4 = H, halo, C1-4 alkyl, C1-4 alkoxy] were prepared from 2-phenylthiazolidine II (X = a group susceptible to nucleophilic displacement by sulfur) or benzylidene III, or directly from benzaldehyde IV and H2N(CH2)2SH by treatment with a base in an inert solvent. The compds. I can be reduced to the corresponding tetrahydro derivs. V which are useful in the preparation of therapeutical agents (no data).

IT **157100-35-1P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 2,3-dihydro-1,4-benzothiazepines)

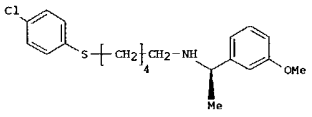
RN 157100-35-1 CAPLUS
CN 1,4-Benzothiazepine, 6-chloro-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

L60 ANSWER 54 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:65886 CAPLUS
DOCUMENT NUMBER: 128:140516
TITLE: Preparation of aryloxyalkylamines, heteroaryloxyalkylamines and their analogs as calcium receptor-active compounds
INVENTOR(S): Sakai, Teruyuki; Takami, Atsuya; Suzuki, Rika
PATENT ASSIGNEE(S): Kirin Beer K. K., Japan; NPS Pharmaceuticals, Inc.; Sakai, Teruyuki; Takami, Atsuya; Suzuki, Rika
SOURCE: PCT Int. Appl., 430 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9801417	A1	19980115	WO 1997-JP2358	19970708
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2259922	AA	19980115	CA 1997-2259922	19970708
AU 9733597	A1	19980202	AU 1997-33597	19970708
AU 734710	B2	20010621		
CN 1225083	A	19990804	CN 1997-196285	19970708
CN 1131202	B	20031217		
EP 933354	A1	19990804	EP 1997-929555	19970708
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
TW 510896	B	20021121	TW 1997-86109630	19970708
MX 9900453	A	20000131	MX 1999-453	19990108
KR 2000023645	A	20000425	KR 1999-700098	19990108
US 6362231	B1	20020326	US 1999-214552	19990606
US 2002107406	A1	20020808	US 2002-53133	20020117
US 6750255	B2	20040615		
US 2003176485	A1	20030918	US 2002-243322	20021121
US 2003144526	A1	20030731	US 2002-326713	20021219
PRIORITY APPLN. INFO.:			JP 1996-178315 A	19960708
			JP 1996-350393 A	19961227
			JP 1997-107778 A	19970424
			WO 1997-JP2358 W	19970708
			US 1999-214552 A1	19990606
			US 2002-53133 A1	20020117

OTHER SOURCE(S): MARPAT 128:140516
GI



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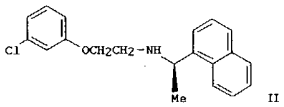


II

AB The title compds. Ar1[CR1R2]pX[CR3R4]q[CR5R6]NR7[CR8R9]Ar2 [Ar1 is selected from the group consisting of aryl, heteroaryl, bis(arylmethyl)amino, bis(heteroarylmethyl)amino and arylmethyl(heteroarylmethyl)amino; X is selected from the group consisting of oxygen, sulfur, sulfinyl, sulfonyl, carbonyl and amino; R1, R2, R3, R4, R5, R6, R7, R8 and R9 represent, for example, each hydrogen or alkyl; Ar2 is selected from the group consisting of aryl and heteroaryl; p is an integer of from 0 to 6; and q is an integer of from 0 to 14] are prepared In in vitro tests for calcium receptor activity, the title compds. I and II showed EC50 values of 0.051 μM and 0.049 μM, resp.

IT **153809-94-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aryloxyalkylamines and heteroaryloxyalkylamines as calcium receptor-active compds.)

RN 153809-94-0 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-phenyl- (9CI) (CA INDEX NAME)

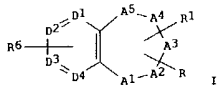
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 55 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:772294 CAPLUS
DOCUMENT NUMBER: 128:61531
TITLE: Preparation of benzazepines, benzodiazepines, and analogs as fibrinogen antagonists
INVENTOR(S): Bondinell, William Edward; Callahan, James Francis; Huffman, William Francis; Keenan, Richard McCulloch; Ku, Thomas Wen-Fu; Newlander, Kenneth Allen
PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA
SOURCE: U.S., 41 pp., Cont.-in-part of U.S. Ser. No. 723,009, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5693636	A	19971202	US 1992-923794	19920626
WO 9300095	A2	19930107	WO 1992-US5463	19920626
WO 9300095	A3	19930218		
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
US 5939412	A	19990817	US 1997-953039	19971017
US 6127359	A	20001003	US 1999-312006	19990514
PRIORITY APPLN. INFO.:			US 1991-723009 B2	19910628
			WO 1992-US5463 W	19920626
			US 1992-923794 A3	19920626
			US 1997-953039 A3	19971017

OTHER SOURCE(S): MARPAT 128:61531
GI

L60 ANSWER 55 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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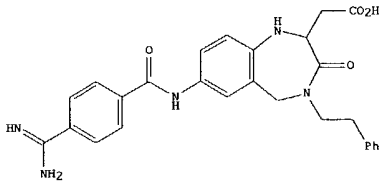
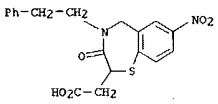


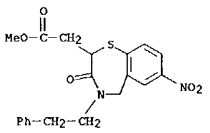
II

AB The title compds. are disclosed, specifically I [A1-A5 form (un)saturated substituted 7-membered ring optionally containing ≤ 2 O, S, N or their oxides (rings with 2 N atoms claimed); D1-D4 form substituted 6-membered ring optionally containing ≤ 2 N atoms; R = R7, Q-C1-4 alkyl, Q-C2-4-alk(en/yn)yl, optionally substituted by ≥ 1 O, R11, or R7; R7 = R8CO, R8CS, O2N, etc.; Q = H, C3-6 cycloalkyl, heterocyclyl, aryl; R8 = OH, alkoxy, (substituted) amino, etc.; R1 = substituted Q, aryl, heterocyclyl, etc.; R11 = H, halo, alkoxy, cyano, (substituted) amino, nitro, etc.; R6 = substituted amino, -amidino, -guanidino, etc., with optional linkers] and their salts. Examples include 37 syntheses (some prophetic) and 3 formulations. For instance, 2-chloro-5-nitro-N-(2-phenylethyl)benzylamine was amidated with Boc-(RS)-Asp(CH2Ph)-OH, and the product was subjected to a sequence of: removal of the Boc group (67%), cyclization induced by DIEA in DMSO (35%), hydrogenation of the nitro group to amino (87%), amidation of the amine with p-(benzyloxycarbonylamidino)benzoic acid, and acidic/hydrogenolytic deprotection, to give the benzodiazepineacetic acid derivative II. I inhibited ADP-induced aggregation of human platelets in vitro with IC50 of 0.1-150 μM. I also inhibited RGD-mediated GPIIb-IIIa binding in a competitive binding assay.
IT **147291-29-0P 147291-30-3P 147291-31-4P**
147291-32-5P 147291-33-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzazepines, benzodiazepines, and analogs, as fibrinogen antagonists)
RN 147291-29-0 CAPLUS
CN 1,4-Benzothiazepine-2-acetic acid, 2,3,4,5-tetrahydro-7-nitro-3-oxo-4-(2-phenylethyl)- (9CI) (CA INDEX NAME)

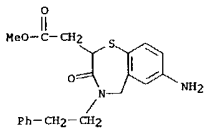
L60 ANSWER 55 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 147291-30-3 CAPLUS
CN 1,4-Benzothiazepine-2-acetic acid, 2,3,4,5-tetrahydro-7-nitro-3-oxo-4-(2-phenylethyl)-, methyl ester (9CI) (CA INDEX NAME)

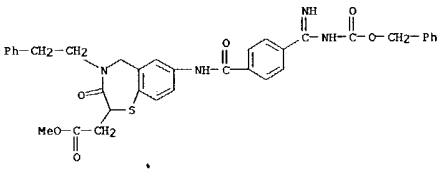


RN 147291-31-4 CAPLUS
CN 1,4-Benzothiazepine-2-acetic acid, 7-amino-2,3,4,5-tetrahydro-3-oxo-4-(2-phenylethyl)-, methyl ester (9CI) (CA INDEX NAME)

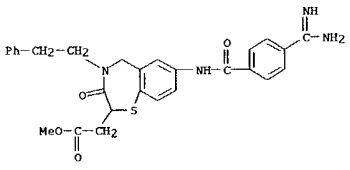


RN 147291-32-5 CAPLUS
CN 1,4-Benzothiazepine-2-acetic acid, 2,3,4,5-tetrahydro-7-[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]benzoyl]amino]-3-oxo-4-(2-phenylethyl)-, methyl ester (9CI) (CA INDEX NAME)

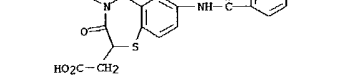
L60 ANSWER 55 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 147291-33-6 CAPLUS
CN 1,4-Benzothiazepine-2-acetic acid, 7-[[4-(aminoiminomethyl)benzoyl]amino]-2,3,4,5-tetrahydro-3-oxo-4-(2-phenylethyl)-, methyl ester (9CI) (CA INDEX NAME)



IT **147290-28-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of benzazepines, benzodiazepines, and analogs, as fibrinogen antagonists)
RN 147290-28-6 CAPLUS
CN 1,4-Benzothiazepine-2-acetic acid, 7-[[4-(aminoiminomethyl)benzoyl]amino]-2,3,4,5-tetrahydro-3-oxo-4-(2-phenylethyl)- (9CI) (CA INDEX NAME)



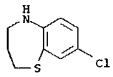
L60 ANSWER 56 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:771561 CAPLUS
DOCUMENT NUMBER: 128:97302
TITLE: Change of mechanical activity to contraction from the relaxation induced by the intracellular Ca2+ antagonist KT-362: effects of alkylation of side chain, and substitution of 2,3,4,5-tetrahydro-1,5-benzothiazepine derivatives
AUTHOR(S): Ueyama, Naoto; Wakabayashi, Shyuichi; Tomiyama, Tsuyoshi
CORPORATE SOURCE: Kotobuki Research Laboratories, Kotobuki Seiyaku Company, Ltd., Nagano, 389-06, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1997), 45(11), 1761-1766
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English

AB KT-362 (5-[3-[-2(3,4-Dimethoxyphenyl)ethyl]aminopropionyl]-2,3,4,5-tetrahydro-1,5-benzothiazepine fumarate) is an intracellular Ca2+ antagonist. The compound obtained by introducing Me groups onto the nitrogen (R2) of the side chain of KT-362 showed vasoconstrictive activity. Therefore we synthesized various derivs., and examined their activities. Substitution at position R2 of the side chain resulted in potent contractile activity, and the optimal alkyl length was two or three carbons. The potency was further increased by the introduction of a chloro group at the R1 position of 2,3,4,5-tetrahydro-1,5-benzothiazepines. One of the synthesized compds., 8-chloro-5-(N-ethyl-N-[2-(3,4-dimethoxyphenyl)ethyl]aminopropionyl)-2,3,4,5-tetrahydro-1,5-benzothiazepine fumarate (9b), showed an EC50 value of 3.47 + 10-8 M for contraction of rabbit iliac artery. The action of compound 9b was antagonized competitively by an H1-histamine receptor antagonist, diphenhydramine, and the pA2 value was 7.82. The maximum constriction was inhibited by a Ca2+ entry blocker, nicardipine, but not by an a1-adrenoreceptor antagonist, prazosin. In a Ca2+-free medium, tonic constriction induced by 9b disappeared, and only a phasic constriction was observed Though this phasic constriction was inhibited by diphenhydramine, it was not inhibited by prazosin or nicardipine.

IT **150395-08-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(structure-activity study of the intracellular calcium antagonist KT-362)

RN 150395-08-7 CAPLUS
CN 1,5-Benzothiazepine, 8-chloro-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

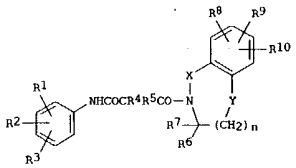
L60 ANSWER 56 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L60 ANSWER 57 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:768819 CAPLUS
DOCUMENT NUMBER: 128:48145
TITLE: Preparation of malonic acid diamides as antiarteriosclerotics
INVENTOR(S): Suzuki, Tomoo; Nakamura, Shigeyoshi; Fukushima, Masato; Mineda, Koji; Fuchigami, Masahiro; Maeda, Koji; Kimura, Hiroki; Yamaguchi, Katsushi; Mitani, Takahiko
PATENT ASSIGNEE(S): Sanwa Kagaku Kenkyusho Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09301953	A2	19971125	JP 1997-33567	19970218
WO 9835939	A1	19980820	WO 1997-JP3863	19971024
W: US				
RW: CH, DE, FR, GB, IT				
PRIORITY APPLN. INFO.:			JP 1996-54525	19960312
			JP 1997-33567	19970218

OTHER SOURCE(S): MARPAT 128:48145
GI



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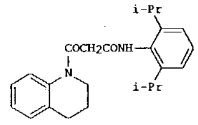


II

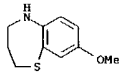
AB The title compds. [I; R1-R3 = H, halo, lower alkyl or alkoxy; R4, R5 = H, lower alkyl; R6, R7 = H, lower alkyl, (un)substituted Ph; R8-R10 = H, halo, lower alkyl or alkoxy, NO2, etc.; X = CH2, bond; Y = bond, O, S, etc.; n = 0-3] are prepared I, possessing Acyl Co-A: cholesterol acyltransferase (ACAT) inhibitor activity, are useful as

L60 ANSWER 57 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

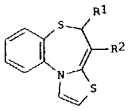
antiarteriosclerotics and blood cholesterol lowering agents. Thus, N-(2,6-diisopropylphenyl)malonic acid monoamide was reacted with 1,2,3,4-tetrahydroquinoline in the presence of DCC to give 76.7% the title compd. (II), which showed IC50 of 409 nM against ACAT when tested with Sprague Dawley rats.

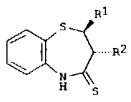
IT **199186-56-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of malonic acid diamides as antiarteriosclerotics)

RN 199186-56-6 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-8-methoxy- (9CI) (CA INDEX NAME)



L60 ANSWER 58 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:575926 CAPLUS
DOCUMENT NUMBER: 127:262662
TITLE: Synthesis and structural characteristics of novel 5H-thiazolo[2,3-d][1,5]benzothiazepine derivatives
AUTHOR(S): Bruno, Giuseppe; Chimirri, Alba; Gitto, Rosaria; Grasso, Silvana; Nicolo, Francesco; Scopelliti, Rosario; Zappala, Maria
CORPORATE SOURCE: Dip. di Chim. Inorganica, Anal. e Struttura Molecolare, Messina, 98166, Italy
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1997), (15), 2211-2215
CODEN: JCPRB4; ISSN: 0300-922X
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 127:262662
GI

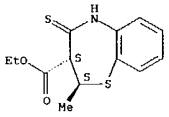

I


II

AB The 5H-thiazolo[2,3-d][1,5]benzothiazepines I (R1 = Me, Ph, R2 = H, CO2Et) have been synthesized by condensation of the 1,5-benzothiazepine-2-thiones II and α-bromoacetaldehyde di-Et acetal followed by treatment with alkali. The structure and stereochem. of the adducts obtained has been established on the basis of spectroscopic data. For compds. I (R1 = Me, Ph, R2 = CO2Et) the presence in solution of two conformers has been postulated. An X-ray crystallog. structural study of thiazolobenzothiazepine I (R1 = Me, R2 = CO2Et) reveals that only one conformer is present in the solid state, stabilized by the presence of a short S···O contact (2.59 Å).
IT **196201-90-8 196201-91-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of thiazolobenzothiazepines)
RN 196201-90-8 CAPLUS
CN 1,5-Benzothiazepine-3-carboxylic acid, 2,3,4,5-tetrahydro-2-methyl-4-thioxo-, ethyl ester, trans- (9CI) (CA INDEX NAME)

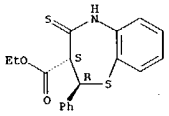
Relative stereochemistry.

L60 ANSWER 58 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 196201-91-9 CAPLUS
CN 1,5-Benzothiazepine-3-carboxylic acid, 2,3,4,5-tetrahydro-2-phenyl-4-thioxo-, ethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



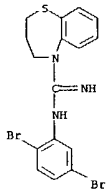
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 59 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:568120 CAPLUS
DOCUMENT NUMBER: 127:234258
TITLE: Indolinyl- and tetrahydroquinolylcarboxamidines with anticonvulsant activity
INVENTOR(S): Reddy, N. Laxma; Maillard, Michael; Berlove, David; Magar, Sharad; Durant, Graham J.
PATENT ASSIGNEE(S): Cambridge Neuroscience, Inc., USA; Reddy, N. Laxma; Maillard, Michael; Berlove, David; Magar, Sharad; Durant, Graham J.
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9730054	A1	19970821	WO 1997-US2678	19970214
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9722780	A1	19970902	AU 1997-22780	19970214
AU 733475	B2	20010517		
EP 925300	A1	19990630	EP 1997-906923	19970214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000504730	T2	20000418	JP 1997-529602	19970214
US 6358993	B1	20020319	US 1999-425582	19991022
US 2002099084	A1	20020725	US 2001-38178	20011109
US 6514990	B2	20030204		
US 2003153763	A1	20030814	US 2002-321402	20021217
US 6770668	B2	20040803		
PRIORITY APPLN. INFO.:			US 1996-601992	A 19960215
			WO 1997-US2678	W 19970214
			US 1997-858399	A3 19970519
			US 1999-425582	A1 19991022
			US 2001-38178	A1 20011109

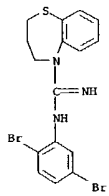
OTHER SOURCE(S): MARPAT 127:234258
AB Title compds. (>250 compds.) were prepared Thus, 1-aminonaphthalene was treated with BrCN to give 1-naphthylcyanamide which was treated with indolin mesylate to give N-(1-naphthyl)-1-indolinylcarboxamidine (I). I at 2 mg/kg i.p. caused 82% inhibition of audiogenic seizures in mice. The title compds. are particularly useful for the treatment or prophylaxis of neurol. injury and neurodegenerative disorders.
IT **195437-33-3P 195439-90-8P 195439-91-9P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolinyl- and tetrahydroquinolylcarboxamidines with anticonvulsant activity)
RN 195437-33-3 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-carboximidamide, N-(2,5-dibromophenyl)-3,4-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

L60 ANSWER 59 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



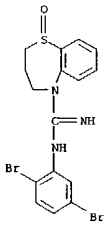
● HCl

RN 195439-90-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-carboximidamide, N-(2,5-dibromophenyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



RN 195439-91-9 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-carboximidamide, N-(2,5-dibromophenyl)-3,4-dihydro-, 1-oxide (9CI) (CA INDEX NAME)

L60 ANSWER 59 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



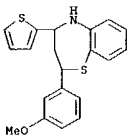
L60 ANSWER 60 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:508097 CAPLUS
DOCUMENT NUMBER: 127:190718
TITLE: Synthesis and pharmacological activities of some 2,3,4,5-tetrahydro[1,5]benzo[f]thiazepines
AUTHOR(S): Saturnino, Carmela; Saturnino, Paola; De Martino, Giovanni; Lancelot, Jean-Charles; Perrine, Daniel; Rault, Sylvain; Robba, Max; Rossi, Francesco
CORPORATE SOURCE: Facolta di Farmacia, Universita degli Studi di Salerno, Penta, 84084, Italy
SOURCE: Farmaco (1997), 52(3), 183-186
CODEN: FRMCE8; ISSN: 0014-827X
PUBLISHER: Societa Chimica Italiana
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 2,3,4,5-Tetrahydro-N-(5-morpholinopentanoyl)-[1,5]benzo[f]thiazepines were synthesized and examined in vitro for their calcium antagonist activity compared to Diltiazem. The synthesis started with the cyclization of o-aminothiophenol with propenones RCH:CHCOR1 [R = 3-, 4-MeOC6H4, thienyl derivs.; R1 = 2-thienyl, 4-MeC6H4, 2-naphthyl, etc.].

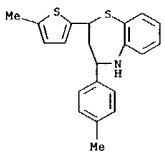
IT **194469-48-2P 194469-49-3P 194469-50-6P 194469-51-7P 194469-52-8P 194469-53-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and calcium antagonist activity of tetrahydro[1,5]benzo[f]thiazepines)

RN 194469-48-2 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-(3-methoxyphenyl)-4-(2-thienyl)- (9CI) (CA INDEX NAME)

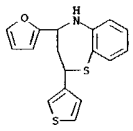


RN 194469-49-3 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-(4-methylphenyl)-2-(5-methyl-2-thienyl)- (9CI) (CA INDEX NAME)

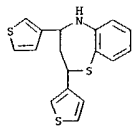
L60 ANSWER 60 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 194469-50-6 CAPLUS
CN 1,5-Benzothiazepine, 4-(2-furanyl)-2,3,4,5-tetrahydro-2-(3-thienyl)- (9CI) (CA INDEX NAME)

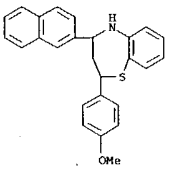


RN 194469-51-7 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2,4-di-3-thienyl- (9CI) (CA INDEX NAME)

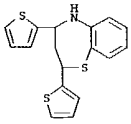


RN 194469-52-8 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-(4-methoxyphenyl)-4-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

L60 ANSWER 60 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

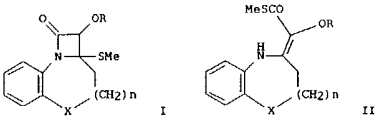


RN 194469-53-9 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2,4-di-2-thienyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 61 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:430156 CAPLUS
DOCUMENT NUMBER: 127:135775
TITLE: Studies on the chemistry of O,N- and S,N-containing heterocycles. 17. Studies on ring opening reactions of β-lactams
AUTHOR(S): Pippich, Susanne; Bartsch, Herbert; Holzer, Wolfgang
CORPORATE SOURCE: Inst. Pharmaceutical Chem., Univ. Vienna, Vienna, A-1090, Austria
SOURCE: Tetrahedron (1997), 53(25), 8439-8446
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



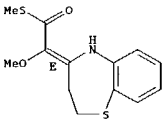
I II

AB Reaction of tricyclic azetidinones I [X = O, S; R = Me, CH2Ph; n = 0, 1] with trifluoroacetic acid led to bicyclic thioesters II. There is evidence for an intermol. reaction and a possible mechanism is discussed. The structure of E- and Z-II [X = S, R = Me, n = 1] was elucidated by different NMR expts. and complete assignments of 1H- and 13C-chemical shifts are given. Reaction of I [X = O, R = Me, n = 0] with sodium periodate and magnesium monoperoxyphthalate led to the sulfoxide and the sulfone, resp.

IT **193143-66-7P 193143-68-9P 193143-71-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(ring cleavage of azetidinones by trfifluoroacetic acid)

RN 193143-66-7 CAPLUS
CN Ethanethioic acid, (2,3-dihydro-1,5-benzothiazepin-4(5H)-ylidene)methoxy-, S-methyl ester, (E)- (9CI) (CA INDEX NAME)

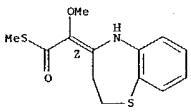
Double bond geometry as shown.



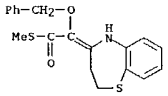
RN 193143-68-9 CAPLUS

L60 ANSWER 61 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Ethanethioic acid, (2,3-dihydro-1,5-benzothiazepin-4(5H)-ylidene)methoxy-, S-methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

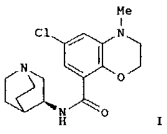


RN 193143-71-4 CAPLUS
CN Ethanethioic acid, (2,3-dihydro-1,5-benzothiazepin-4(5H)-ylidene)(phenylmethoxy)-, S-methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 62 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:728043 CAPLUS
DOCUMENT NUMBER: 126:89344
TITLE: Benzoxazines. II. Synthesis, conformational analysis, and structure-activity relationships of 3,4-dihydro-2H-1,4-benzoxazine-8-carboxamide derivatives as potent and long-acting serotonin-3 (5-HT3) receptor antagonists
AUTHOR(S): Kuroita, Takanobu; Marubayashi, Nobuhiro; Sano, Mitsuharu; Kanzaki, Kouji; Inaba, Kenichi; Kawakita, Takeshi
CORPORATE SOURCE: Res. Labs., Yoshitomi Pharmaceutical Indus., Ltd., Fukuoka, 871, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1996), 44(11), 2051-2060
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



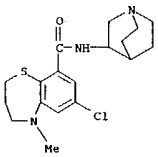
I

AB A series of 3,4-dihydro-2H-1,4-benzoxazine-8-carboxamide derivs. was synthesized and evaluated for S3 serotonin (5-HT3) receptor antagonistic activities by means of assays of 5-HT3 receptor binding and the ability to antagonize the von Bezold-Jarisch reflex in rats. The target compds. were analogs and derivs. of (S)-N-1-azabicyclo[2.2.2]oct-3-yl-6-chloro-3,4-dihydro-4-methyl-2H-1,4-benzoxazine-8-carboxamide (I). Replacement of the 1,4-benzoxazine ring with a 1,4-benzthiazine ring or seven-membered ring (i.e., 1,5-benzoxepine or 1,5-benzthiepine) resulted in decreased affinity for 5-HT3 receptor. Introduction of substituents at the 2 position of the 1,4-benzoxazine ring increased the antagonistic activities (di-Me > Me > dihydro > phenyl). Compds. bearing a 9-methyl-9-azabicyclo[3.3.1]non-3-yl moiety as the basic part of 3,4-dihydro-2H-1,4-benzoxazine-8-carboxamide derivs. were equipotent to those bearing 1-azabicyclo[2.2.2]oct-3-yl moiety. The 9-methyl-9-azabicyclo[3.3.1]non-3-yl moiety was confirmed to adopt a boat-chair conformation on the basis of both NMR studies and X-rays anal. In this series, endo-6-chloro-3,4-dihydro-N-(9-methyl-9-azabicyclo[3.3.1]non-3-yl)-2,2,4-trimethyl-2H-1,4-benzoxazine-8-carboxamide showed the highest affinity for 5-HT3 receptors (Ki = 0.019 nM), and a long-lasting 5-HT3 receptor antagonistic activity as evidenced by antagonism to the von Bezold-Jarisch reflex in rats. Such a long-lasting 5-HT3 receptor antagonism would be attributed to the introduction of both two Me groups at the 2 position of the benzoxazine ring and the 9-methyl-9-azabicyclo[3.3.1]non-3-yl moiety, which adopted the boat-chair conformation.

IT **139776-44-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L60 ANSWER 62 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and 5-HT3 receptor antagonistic structure-activity relationship of benzoxazinecarboxamide derivs.)

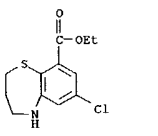
RN 139776-44-6 CAPLUS
CN 1,5-Benzothiazepine-9-carboxamide, N-1-azabicyclo[2.2.2]oct-3-yl-7-chloro-2,3,4,5-tetrahydro-5-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

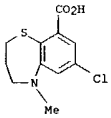
IT **139776-41-3P 139776-43-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and 5-HT3 receptor antagonistic structure-activity relationship of benzoxazinecarboxamide derivs.)

RN 139776-41-3 CAPLUS
CN 1,5-Benzothiazepine-9-carboxylic acid, 7-chloro-2,3,4,5-tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)



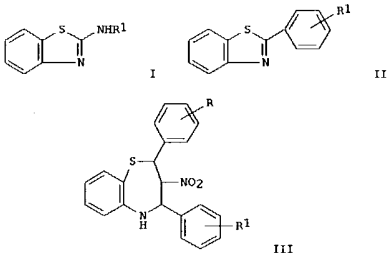
RN 139776-43-5 CAPLUS
CN 1,5-Benzothiazepine-9-carboxylic acid, 7-chloro-2,3,4,5-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)

L60 ANSWER 62 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



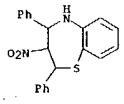
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L60 ANSWER 63 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:652014 CAPLUS
DOCUMENT NUMBER: 126:8094
TITLE: Reactions of new nitroethane derivatives; formation of new 1,5-benzothiazepines and benzothiazoles III
AUTHOR(S): Bercin, Erdogan; Uysal-Gokce, Mehtap; Noyanalpan, Ningur
CORPORATE SOURCE: Faculty of Pharmacy, Gazi University, Ankara, 06330, Turk.
SOURCE: Journal of Faculty of Pharmacy of Gazi University (1996), 13(1), 85-96
CODEN: JFPUE3; ISSN: 1015-9592
PUBLISHER: Gazi Universitesi, Eczacilik Fakultesi Dekanligi
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

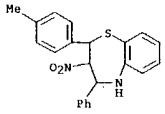


AB The reactions of [(aminophenyl)thio]phenylnitroethane derivs., 2-NH2C6H4SCH(CH2NO2)C6H4R (2; R = H, Me) with isothiocyanates and benzaldehydes were studied. The reaction of 2 with isothiocyanates, R1NCS (R1 = Ph, 4-ClC6H4) gave 2-anilinobenzothiazoles I. Depending on the reaction temperature, 2 reacted with benzaldehydes (4-R1C6H4CHO, R1 = H, Cl, OMe, OEt) to give either 2-phenylbenzothiazole derivative II or 1,5-benzothiazepines III (R = H, Me, R1 = H).
IT **183561-65-1P 183561-66-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 183561-65-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-3-nitro-2,4-diphenyl- (9CI) (CA INDEX NAME)

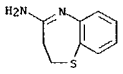
L60 ANSWER 63 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 183561-66-2 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-(4-methylphenyl)-3-nitro-4-phenyl- (9CI) (CA INDEX NAME)



L60 ANSWER 64 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:568851 CAPLUS
DOCUMENT NUMBER: 125:264987
TITLE: Synthesis and SAR study of imidazo[2,1-b]benzothiazole acids and some related compounds with anti-inflammatory and analgesic activities
AUTHOR(S): Palagiano, Francesco; Arenare, Loredana; De Caprariis, Paolo; Grandolini, Giuliano; Ambrogi, Valeria; Perioli, Luana; Filippelli, Walter; Falcone, Giuseppe; Rossi, Francesco
CORPORATE SOURCE: Fac. Farm., Univ. Stud. Napoli Fed. II, Naples, 80131, Italy
SOURCE: Farmaco (1996), 51(7), 483-491
CODEN: FRMCE8
PUBLISHER: Societa Chimica Italiana
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:264987
AB Some (un)substituted imidazo[2,1-b]benzothiazole carboxylic or acetic acids and some related compds., i.e. imidazo[2,1-b]naphtho[2,1-d]thiazole, 4H-imidazo[2,1-c][1,4]benzothiazine, 4,5-dihydroimidazo[2,1-d][1,5]benzothiazepine carboxylic and acetic acids were synthesized and tested in vivo in order to study the structure-activity relationships (SAR) of their antiinflammatory and analgesic activities. Pharmacol. assays confirmed the interest of this class of compds. as potential antiinflammatory and analgesic drugs with low side effects.
IT **104004-37-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and SAR study of imidazo[2,1-b]benzothiazole acids and some related compds. with anti-inflammatory and analgesic activities)
RN 104004-37-7 CAPLUS
CN 1,5-Benzothiazepin-4-amine, 2,3-dihydro- (9CI) (CA INDEX NAME)



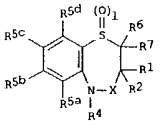
L60 ANSWER 65 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:469617 CAPLUS
DOCUMENT NUMBER: 125:142793
TITLE: Preparation of hypolipidemic benzothiazepines
INVENTOR(S): Brieaddy, Lawrence Edward; Handlon, Anthony Louis; Hodgson, Gordon Lewis, Jr.
PATENT ASSIGNEE(S): Wellcome Foundation Limited, UK
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9616051	A1	19960530	WO 1995-GB2700	19951116
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2203921	AA	19960530	CA 1995-2203921	19951116
AU 9538762	A1	19960617	AU 1995-38762	19951116
AU 706325	B2	19990617		
EP 792268	A1	19970903	EP 1995-937940	19951116
EP 792268	B1	20000223		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9509683	A	19970916	BR 1995-9683	19951116
CN 1164230	A	19971105	CN 1995-196304	19951116
CN 1059674	B	20001220		
HU 77412	A2	19980428	HU 1997-2189	19951116
JP 11500102	T2	19990106	JP 1995-516661	19951116
AT 189891	E	20000315	AT 1995-937940	19951116
ES 2144151	T3	20000601	ES 1995-937940	19951116
PT 792268	T	20000630	PT 1995-937940	19951116
US 5998400	A	19991207	US 1997-836405	19970501
FI 9702085	A	19970515	FI 1997-2085	19970515
NO 9702261	A	19970716	NO 1997-2261	19970516
GR 3033450	T3	20000929	GR 2000-401138	20000519
PRIORITY APPLN. INFO.:			GB 1994-23172 A	19941117
			WO 1995-GB2700 W	19951116

OTHER SOURCE(S): MARPAT 125:142793
GI

L60 ANSWER 65 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

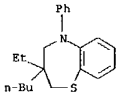


I

AB The invention is concerned with novel hypolipidemic compds. (I) (X = CH2, CO, CS, CNR; l = 0, 1, or 2) with processes and novel intermediates for their preparation, pharmaceutical compns. containing them and with their use in medicine, particularly in the prophylaxis and treatment of hyperlipidemic conditions, and associated diseases such as atherosclerosis. Thus, (±)-3-n-butyl-3-ethyl-2,3,4,5-tetrahydro-8-hydroxy-5-phenyl-1,5-benzothiazepine-1,1-dioxide, which was prepared from 2-amino-5-methoxythiophenol and (±)-2-(bromomethyl)-2-ethylhexanoic acid in 5 steps, demonstrated 50-65% inhibition of bile acid uptake at 10 mg/kg.

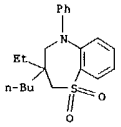
IT **179410-85-6P 179410-86-7P 179410-88-9P 179410-91-4P 179410-93-6P 179410-94-7P 179410-96-9P 179410-97-0P 179410-98-1P 179411-00-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of hypolipidemic benzothiazepines)

RN 179410-85-6 CAPLUS
CN 1,5-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl- (9CI) (CA INDEX NAME)

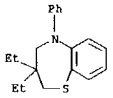


RN 179410-86-7 CAPLUS
CN 1,5-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

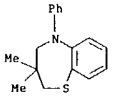
L60 ANSWER 65 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



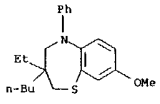
RN 179410-88-9 CAPLUS
CN 1,5-Benzothiazepine, 3,3-diethyl-2,3,4,5-tetrahydro-5-phenyl- (9CI) (CA INDEX NAME)



RN 179410-91-4 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-3,3-dimethyl-5-phenyl- (9CI) (CA INDEX NAME)

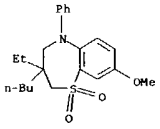


RN 179410-93-6 CAPLUS
CN 1,5-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl- (9CI) (CA INDEX NAME)

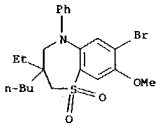


RN 179410-94-7 CAPLUS
CN 1,5-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

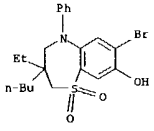
L60 ANSWER 65 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



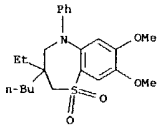
RN 179410-96-9 CAPLUS
CN 1,5-Benzothiazepine, 7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 179410-97-0 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

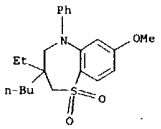


RN 179410-98-1 CAPLUS
CN 1,5-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



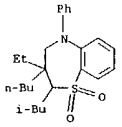
L60 ANSWER 65 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 179411-00-8 CAPLUS
CN 1,5-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



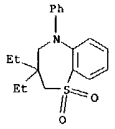
IT **179411-02-0P 179411-04-2P 179411-05-3P**
179411-06-4P 179411-07-5P 179411-08-6P
179411-09-7P 179411-23-5P 179411-24-6P
179411-25-7P 179411-26-8P 179411-27-9P
179411-28-0P 179411-29-1P 179411-30-4P
179411-31-5P 179411-32-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hypolipidemic benzothiazepines)

RN 179411-02-0 CAPLUS
CN 1,5-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-2-(2-methylpropyl)-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

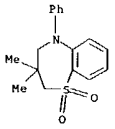


RN 179411-04-2 CAPLUS
CN 1,5-Benzothiazepine, 3,3-diethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

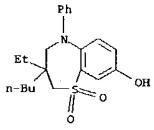
L60 ANSWER 65 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 179411-05-3 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-3,3-dimethyl-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

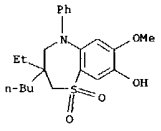


RN 179411-06-4 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

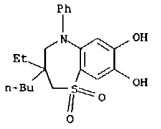


RN 179411-07-5 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

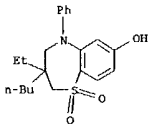
L60 ANSWER 65 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



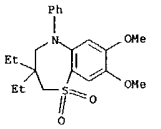
RN 179411-08-6 CAPLUS
CN 1,5-Benzothiazepine-7,8-diol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 179411-09-7 CAPLUS
CN 1,5-Benzothiazepin-7-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

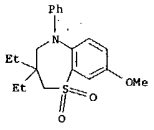


RN 179411-23-5 CAPLUS
CN 1,5-Benzothiazepine, 3,3-diethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

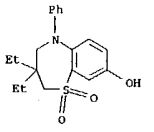


L60 ANSWER 65 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

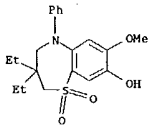
RN 179411-24-6 CAPLUS
CN 1,5-Benzothiazepine, 3,3-diethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 179411-25-7 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3,3-diethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

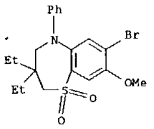


RN 179411-26-8 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3,3-diethyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

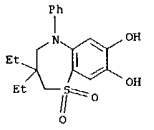


RN 179411-27-9 CAPLUS
CN 1,5-Benzothiazepine, 7-bromo-3,3-diethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

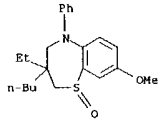
L60 ANSWER 65 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 179411-28-0 CAPLUS
CN 1,5-Benzothiazepine-7,8-diol, 3,3-diethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

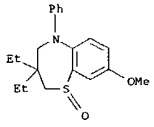


RN 179411-29-1 CAPLUS
CN 1,5-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, 1-oxide (9CI) (CA INDEX NAME)

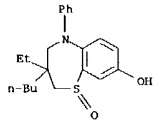


RN 179411-30-4 CAPLUS
CN 1,5-Benzothiazepine, 3,3-diethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, 1-oxide (9CI) (CA INDEX NAME)

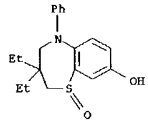
L60 ANSWER 65 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 179411-31-5 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1-oxide (9CI) (CA INDEX NAME)



RN 179411-32-6 CAPLUS
CN 1,5-Benzothiazepin-8-ol, 3,3-diethyl-2,3,4,5-tetrahydro-5-phenyl-, 1-oxide (9CI) (CA INDEX NAME)



L60 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:397152 CAPLUS
DOCUMENT NUMBER: 125:114724
TITLE: Preparation of 1,4-benzothiazepine-1,1-dioxides as hypolipemics
INVENTOR(S): Brieaddy, Lawrence Edward
PATENT ASSIGNEE(S): Wellcome Foundation Limited, UK
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9605188	A1	19960222	WO 1995-GB1884	19950809
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9506647	A	19970210	ZA 1995-6647	19950808
CA 2197099	AA	19960222	CA 1995-2197099	19950809
AU 9644260	A1	19960307	AU 1996-44260	19950809
AU 696073	B2	19980903		
EP 775126	A1	19970528	EP 1995-927877	19950809
EP 775126	B1	20021030		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1161035	A	19971001	CN 1995-195419	19950809
CN 1059673	B	20001220		
HU 77129	A2	19980302	HU 1997-408	19950809
JP 10504035	T2	19980414	JP 1995-507116	19950809
JP 2935756	B2	19990816		
BR 9508586	A	19980714	BR 1995-8586	19950809
IL 114877	A1	19990714	IL 1995-114877	19950809
RU 2156245	C2	20000920	RU 1997-104002	19950809
EP 1203769	A1	20020508	EP 2002-3711	19950809
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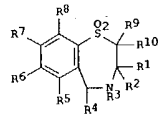
AT 226946	E	20021115	AT 1995-927877	19950809
PL 184512	B1	20021129	PL 1995-318496	19950809
PT 775126	T	20030228	PT 1995-927877	19950809
ES 2185711	T3	20030501	ES 1995-927877	19950809
FI 9700531	A	19970207	FI 1997-531	19970207
NO 9700585	A	19970407	NO 1997-585	19970207
US 5910494	A	19990608	US 1997-793040	19970207
HK 1003936	A1	20030314	HK 1998-103105	19980415
PRIORITY APPLN. INFO.:			US 1994-288527 A	19940810
			EP 1995-927877 A3	19950809
			WO 1995-GB1884 W	19950809

OTHER SOURCE(S): MARPAT 125:114724
GI

L60 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



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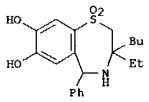

II

AB Title compds. [I; R1,R2 = alkyl; R3 = H, OH, alkoxy, alkanoyloxy; R4 = pyridyl, (un)substituted Ph; R5-R8 = H, halo, alkyl, alkoxy, etc.; R9,R10 = H or alkyl] were prepared Thus, 2-mercapto-4,5-dimethoxybenzophenone was cyclocondensed with (R)-H2NCBuEtCH2OSO3H (preparation each given) and the product converted in 3 steps to title compound (3R,5R)-II which gave 72% inhibition of Se-homocholic acid taurine ileal uptake in rats at 0.1mg/kg orally.

IT **178259-25-1P 178259-26-2P 178259-27-3P**
178259-28-4P 178259-29-5P 178259-30-8P
178259-31-9P 178259-32-0P 178259-33-1P
178259-34-2P 178259-35-3P 178259-36-4P
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178259-40-0P 178259-42-2P 178259-43-3P
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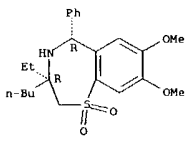
178259-66-0P 178259-67-1P 178259-68-2P
178259-69-3P 178259-70-6P 178259-71-7P
178259-72-8P 178259-73-9P 178259-74-0P
178259-75-1P 178961-24-5P 178961-25-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,4-benzothiazepine-1,1-dioxides as hypolipemics)

RN 178259-25-1 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-, 1,1-dioxide, (3R-trans)- (9CI) (CA INDEX NAME)

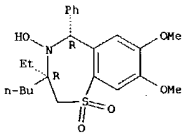
Absolute stereochemistry.



RN 178259-26-2 CAPLUS

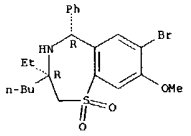
L60 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-7,8-dimethoxy-5-phenyl-, 1,1-dioxide, (3R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



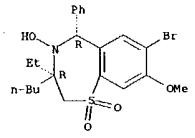
RN 178259-27-3 CAPLUS
CN 1,4-Benzothiazepine, 7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, 1,1-dioxide, (3R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 178259-28-4 CAPLUS
CN 1,4-Benzothiazepine, 7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-8-methoxy-5-phenyl-, 1,1-dioxide, (3R-trans)- (9CI) (CA INDEX NAME)

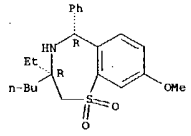
Absolute stereochemistry.



RN 178259-29-5 CAPLUS
CN 1,4-Benzothiazepine-7,8-diol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-

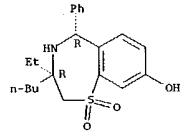
L60 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Relative stereochemistry.



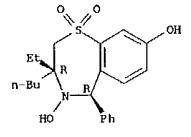
RN 178259-33-1 CAPLUS
CN 1,4-Benzothiazepin-8-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 178259-34-2 CAPLUS
CN 1,4-Benzothiazepin-8-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

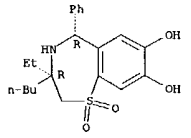


RN 178259-35-3 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methyl-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

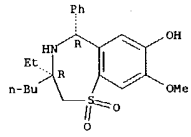
L60 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
, 1,1-dioxide, (3R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



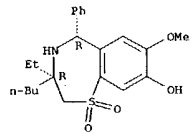
RN 178259-30-8 CAPLUS
CN 1,4-Benzothiazepin-7-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, 1,1-dioxide, (3R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



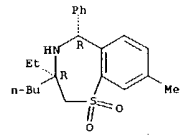
RN 178259-31-9 CAPLUS
CN 1,4-Benzothiazepin-8-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-, 1,1-dioxide, (3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



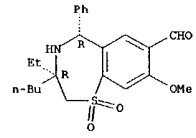
RN 178259-32-0 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

L60 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



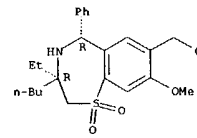
RN 178259-36-4 CAPLUS
CN 1,4-Benzothiazepine-7-carboxaldehyde, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 178259-37-5 CAPLUS
CN Ethanol, 2-[(3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-1,1-dioxido-5-phenyl-1,4-benzothiazepin-7-yl)methoxy]-, trans- (9CI) (CA INDEX NAME)

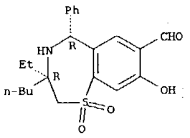
Relative stereochemistry.



RN 178259-38-6 CAPLUS
CN 1,4-Benzothiazepine-7-carboxaldehyde, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-hydroxy-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

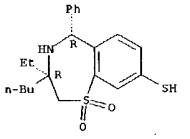
Relative stereochemistry.

L60 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



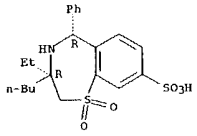
RN 178259-39-7 CAPLUS
CN 1,4-Benzothiazepine-8-thiol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 178259-40-0 CAPLUS
CN 1,4-Benzothiazepine-8-sulfonic acid, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

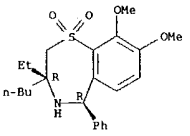
Relative stereochemistry.



RN 178259-42-2 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-8,9-dimethoxy-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

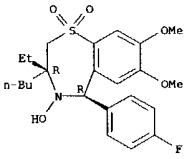
Relative stereochemistry.

L60 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



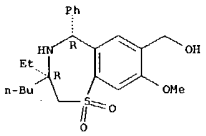
RN 178259-43-3 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-5-(4-fluorophenyl)-2,3,4,5-tetrahydro-4-hydroxy-7,8-dimethoxy-, 1,1-dioxide, (3R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 178259-44-4 CAPLUS
CN 1,4-Benzothiazepine-7-methanol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

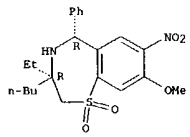
Relative stereochemistry.



RN 178259-45-5 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-7-nitro-5-phenyl-, 1,1-dioxide, (3R-trans)- (9CI) (CA INDEX NAME)

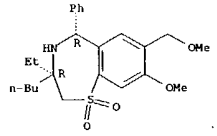
Absolute stereochemistry.

L60 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



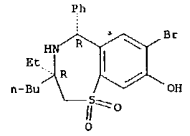
RN 178259-46-6 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-7-(methoxymethyl)-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 178259-47-7 CAPLUS
CN 1,4-Benzothiazepin-8-ol, 7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

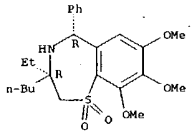
Relative stereochemistry.



RN 178259-48-8 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7,8,9-trimethoxy-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

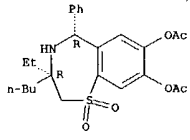
Relative stereochemistry.

L60 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



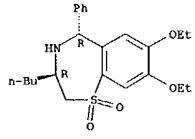
RN 178259-49-9 CAPLUS
CN 1,4-Benzothiazepine-7,8-diol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, diacetate (ester), 1,1-dioxide, (3R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 178259-51-3 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-7,8-diethoxy-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3R-trans)- (9CI) (CA INDEX NAME)

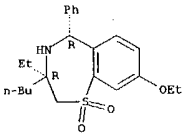
Absolute stereochemistry.



RN 178259-52-4 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-8-ethoxy-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

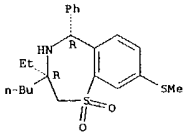
Relative stereochemistry.

L60 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 178259-53-5 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-(methylthio)-5-phenyl-, 1,1-dioxide, hydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

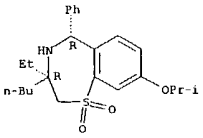


● HCl

RN 178259-54-6 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-(1-methylethoxy)-5-phenyl-, 1,1-dioxide, hydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

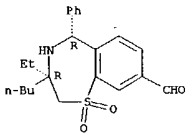
L60 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



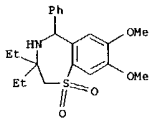
● HCl

RN 178259-55-7 CAPLUS
CN 1,4-Benzothiazepine-8-carboxaldehyde, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

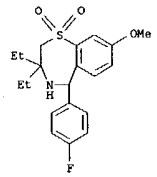


RN 178259-56-8 CAPLUS
CN 1,4-Benzothiazepine, 3,3-diethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

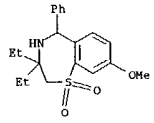


RN 178259-57-9 CAPLUS
CN 1,4-Benzothiazepine, 3,3-diethyl-5-(4-fluorophenyl)-2,3,4,5-tetrahydro-8-methoxy-, 1,1-dioxide (9CI) (CA INDEX NAME)

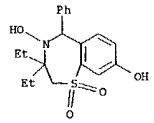
L60 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 178259-58-0 CAPLUS
CN 1,4-Benzothiazepine, 3,3-diethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

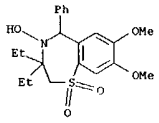


RN 178259-59-1 CAPLUS
CN 1,4-Benzothiazepin-8-ol, 3,3-diethyl-2,3,4,5-tetrahydro-4-hydroxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



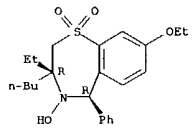
RN 178259-60-4 CAPLUS
CN 1,4-Benzothiazepine, 3,3-diethyl-2,3,4,5-tetrahydro-4-hydroxy-7,8-dimethoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



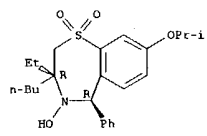
RN 178259-61-5 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-8-ethoxy-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 178259-62-6 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-8-(1-methylethoxy)-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

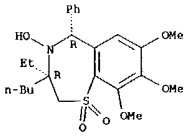
Relative stereochemistry.



RN 178259-63-7 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-7,8,9-trimethoxy-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

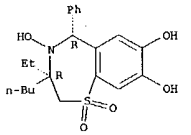
Relative stereochemistry.

L60 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



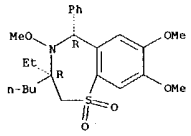
RN 178259-64-8 CAPLUS
CN 1,4-Benzothiazepine-7,8-diol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-5-phenyl-, 1,1-dioxide, (3R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 178259-65-9 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-4,7,8-trimethoxy-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

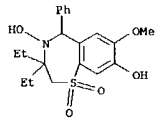
Relative stereochemistry.



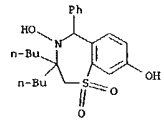
RN 178259-66-0 CAPLUS
CN 1,4-Benzothiazepine, 4-(acetyloxy)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L60 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

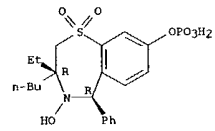


RN 178259-70-6 CAPLUS
CN 1,4-Benzothiazepin-8-ol, 3,3-dibutyl-2,3,4,5-tetrahydro-4-hydroxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



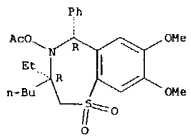
RN 178259-71-7 CAPLUS
CN 1,4-Benzothiazepin-8-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-5-phenyl-, 8-(dihydrogen phosphate), 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

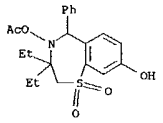


RN 178259-72-8 CAPLUS
CN 1,4-Benzothiazepin-8-ol, 3,3-diethyl-2,3,4,5-tetrahydro-4-hydroxy-5-phenyl-, 8-(hydrogen sulfate), 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

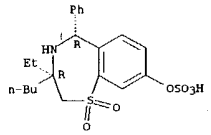


RN 178259-67-1 CAPLUS
CN 1,4-Benzothiazepin-8-ol, 4-(acetyloxy)-3,3-diethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



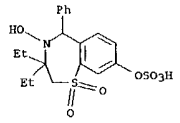
RN 178259-68-2 CAPLUS
CN 1,4-Benzothiazepin-8-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, hydrogen sulfate (ester), 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

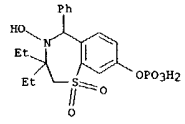


RN 178259-69-3 CAPLUS
CN 1,4-Benzothiazepin-8-ol, 3,3-diethyl-2,3,4,5-tetrahydro-4-hydroxy-7-methoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

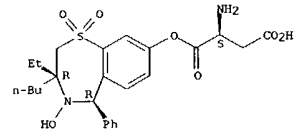


RN 178259-73-9 CAPLUS
CN 1,4-Benzothiazepin-8-ol, 3,3-diethyl-2,3,4,5-tetrahydro-4-hydroxy-5-phenyl-, 8-(dihydrogen phosphate), 1,1-dioxide (9CI) (CA INDEX NAME)



RN 178259-74-0 CAPLUS
CN D-Aspartic acid, 1-[(3S,5S)-3-butyl-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl] ester, rel- (9CI) (CA INDEX NAME)

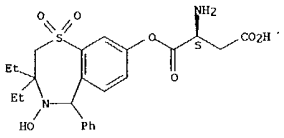
Relative stereochemistry.



RN 178259-75-1 CAPLUS
CN L-Aspartic acid, 1-(3,3-diethyl-2,3,4,5-tetrahydro-4-hydroxy-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl) ester (9CI) (CA INDEX NAME)

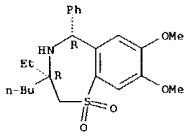
Absolute stereochemistry.

L60 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



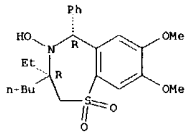
RN 178961-24-5 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-, 1,1-dioxide, (3R,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



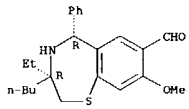
RN 178961-25-6 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-7,8-dimethoxy-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



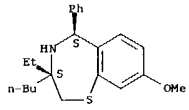
IT **178259-82-0P 178259-86-4P 178259-87-5P**
178259-91-1P 178601-20-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1,4-benzothiazepine-1,1-dioxides as hypolipemics)
RN 178259-82-0 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-

L60 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



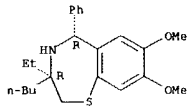
RN 178601-20-2 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



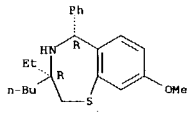
L60 ANSWER 66 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
phenyl-, (3R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



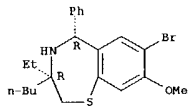
RN 178259-86-4 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, (3R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 178259-87-5 CAPLUS
CN 1,4-Benzothiazepine, 7-bromo-3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, (3R-trans)- (9CI) (CA INDEX NAME)

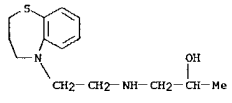
Absolute stereochemistry.



RN 178259-91-1 CAPLUS
CN 1,4-Benzothiazepine-7-carboxaldehyde, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, trans- (9CI) (CA INDEX NAME)

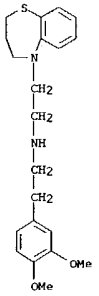
Relative stereochemistry.

L60 ANSWER 67 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:126155 CAPLUS
DOCUMENT NUMBER: 124:219425
TITLE: New intracellular calcium antagonists. I. Synthesis and pharmacological evaluation of 2,3,4,5-tetrahydro-1,5-benzothiazepine analogs
AUTHOR(S): Ueyama, Naoto; Wakabayashi, Shuichi; Tomiyama, Tsuyoshi
CORPORATE SOURCE: Kotobuki Res. Laboratories, Kotobuki Pharmaceutical Company Ltd., Nagano, 389-06, Japan
SOURCE: Yakugaku Zasshi (1996), 116(2), 106-24
CODEN: YKKZAJ; ISSN: 0031-6903
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB A series of 2,3,4,5-tetrahydro-1,5-benzothiazepine and related compds. were prepared, and the intracellular Ca2+ inhibitory effects were examined using methoxamine- or caffeine-induced contraction of isolated rabbit arteries. Structure-activity relation studies of these compds. are discussed and the results suggest that novel 5-[3-[2-(3,4-dimethoxyphenyl)ethyl]aminopropionyl]-2,3,4,5-tetrahydro-1,5-benzothiazepine fumarate (20d) showed the most potent inhibitory action on the intracellular Ca2+ release.
IT **93393-01-2P 93393-02-3P 104065-41-0P**
174658-35-6P 174658-36-7P 174658-37-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(new intracellular calcium antagonists. I. Synthesis and pharmacol. evaluation of 2,3,4,5-tetrahydro-1,5-benzothiazepine analogs)
RN 93393-01-2 CAPLUS
CN 2-Propanol, 1-[[2-(3,4-dihydro-1,5-benzothiazepin-5(2H)-yl)ethyl]amino]- (9CI) (CA INDEX NAME)

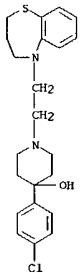


RN 93393-02-3 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-ethanamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-3,4-dihydro- (9CI) (CA INDEX NAME)

L60 ANSWER 67 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



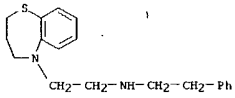
RN 104065-41-0 CAPLUS
CN 4-Piperidinol, 4-(4-chlorophenyl)-1-[2-(3,4-dihydro-1,5-benzothiazepin-5(2H)-yl)ethyl]- (9CI) (CA INDEX NAME)



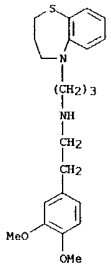
RN 174658-35-6 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-ethanamine, 3,4-dihydro-N-phenyl- (9CI) (CA INDEX NAME)

L60 ANSWER 67 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 174658-36-7 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-ethanamine, 3,4-dihydro-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 174658-37-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-propanamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



L60 ANSWER 68 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

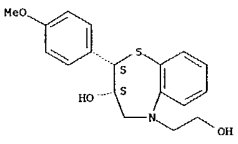
ACCESSION NUMBER: 1996:121430 CAPLUS
DOCUMENT NUMBER: 124:219867
TITLE: Endogenous natriuretic factors. 5. Synthesis and biological activity of a natriuretic metabolite of diltiazem and its derivatives
AUTHOR(S): Kantoci, Darko; Murray, E. David, Jr.; Quiggle, David D.; Wechter, William J.
CORPORATE SOURCE: Department of Medicine, Loma Linda University, Loma Linda, CA, 92350, USA
SOURCE: Journal of Medicinal Chemistry (1996), 39(6), 1196-200
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:219867

AB In the search for endogenous natriuretic factors from human uremic urine, the authors have previously identified a new metabolite of the drug diltiazem. The structure of this metabolite, (+)-(2S,3S)-3-hydroxy-5-(2-hydroxyethyl)-2,3-dihydro-2-(4-methoxyphenyl)-1,5-benzothiazepin-4(5H)-one (LLU-β1), was proved by unequivocal synthesis from a diltiazem synthon. The synthetic material also proved to be natriuretic as had the urinary isolate. Given the acetylation at C-3 in diltiazem, the 3-monoacetate diacetate derivs. of LLU-β1 were prepared. The 4-nor-keto derivative of was also synthesized. Only the parent LLU-β1 compound induced natriuresis over a range of doses without accompanying kaliuretic activity at some doses.

IT **174505-20-5P**
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and biol. activity of diltiazem natriuretic metabolite and derivs.)

RN 174505-20-5 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-ethanol, 3,4-dihydro-3-hydroxy-2-(4-methoxyphenyl)-, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

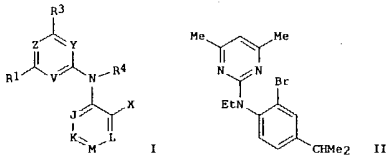


L60 ANSWER 69 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:898879 CAPLUS
DOCUMENT NUMBER: 123:313998
TITLE: Preparation of N-phenyl-2-pyrimidinamines and analogs as corticotropin releasing factor antagonists
INVENTOR(S): Aldrich, Paul Edward; Arvanitis, Argyrios Georgios; Cheeseman, Robert Scott; Chorvat, Robert John; Christos, Thomas Eugene; Gilligan, Paul Joseph; Grigoriadis, Dimitri Emil; Hodge, Carl Nicholas; Krenitsky, Paul John; et al.
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: PCT Int. Appl., 255 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9510506	A1	19950420	WO 1994-US11050	19941006
W: AU, BR, CA, CN, CZ, FI, HU, JP, KR, NO, NZ, PL, RU, SK				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2174080	AA	19950420	CA 1994-2174080	19941006
AU 9480122	A1	19950504	AU 1994-80122	19941006
AU 692484	B2	19980611		
EP 723533	A1	19960731	EP 1994-931298	19941006
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 74464	A2	19961230	HU 1996-932	19941006
CN 1142817	A	19970212	CN 1994-194465	19941006
BR 9407799	A	19970506	BR 1994-7799	19941006
JP 09504520	T2	19970506	JP 1995-511860	19941006
JP 3398152	B2	20030421		
RU 2153494	C2	20000727	RU 1996-109047	19941006
ZA 9407921	A	19960411	ZA 1994-7921	19941011
FI 9601599	A	19960607	FI 1996-1599	19960411
NO 9601425	A	19960612	NO 1996-1425	19960411
US 6342503	B1	20020129	US 1998-4150	19980107
PRIORITY APPLN. INFO.:			US 1993-134209 A	19931012
			US 1994-297274 A	19940826
			US 1994-315660	19940929
			WO 1994-US11050 W	19941006

OTHER SOURCE(S): MARPAT 123:313998
GI



I II

L60 ANSWER 69 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

AB Title compds. [I; J,K,L = N or (un)substituted CH; M = N or CR5; R1 = halo, (halo)alkyl, alkoxy, etc.; R3 = halo, alkyl, (hetero)aryl, etc.; R4 = (alkoxy)alkyl, alkanoyloxyalkyl, allyl, etc.; R5 = halo, (ar)alkyl, alkanoyl, etc.; V = CR1a or N; X = halo, alkyl, (hetero)aryl, alkanoyl, etc.; Y = N, CR3a, CR29; Z = N or CR2; R1a,R2,R3a = H, halo, alkyl, halomethyl, cyano; R4R29 = atoms to form a ring] were prepared Thus, 2-chloro-4,6-dimethylpyrimidine was aminated by 2-bromo-4-(1-methylethyl)aniline and the product N-alkylated to give title compound II which had Ki of <500nM against ACTH releasing factor binding at rat cortex preparation in vitro.

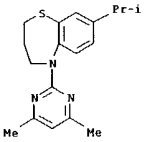
IT **169882-59-1P 169883-29-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-phenyl-2-pyrimidinamines and analogs as ACTH releasing factor antagonists)

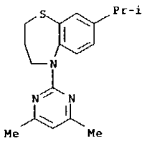
RN 169882-59-1 CAPLUS

CN 1,5-Benzothiazepine, 5-(4,6-dimethyl-2-pyrimidinyl)-2,3,4,5-tetrahydro-8-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 169883-29-8 CAPLUS

CN 1,5-Benzothiazepine, 5-(4,6-dimethyl-2-pyrimidinyl)-2,3,4,5-tetrahydro-8-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L60 ANSWER 69 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:807968 CAPLUS

DOCUMENT NUMBER: 123:228011

TITLE: Preparation of N-(benzazepinonyl)alkanamides as growth hormone release promoters

INVENTOR(S): Bochis, Richard J.; Hodges, Paul J.; Schoen, William R.; Wyvratt, Matthew J., Jr.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: PCT Int. Appl., 185 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

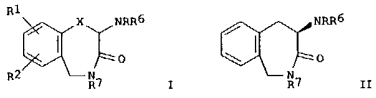
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9509633	A1	19950413	WO 1994-US11086	19940930
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5545735	A	19960813	US 1993-132074	19931004
AU 9479616	A1	19950501	AU 1994-79616	19940930
PRIORITY APPLN. INFO.:			US 1993-132074 A	19931004
			WO 1994-US11086 W	19940930

OTHER SOURCE(S): MARPAT 123:228011

GI



AB Title compds. [I; R = COANR4R5; A = (CH2)xCR8R8a(CH2)y; R1,R2 = H, halo, (prefluoro)alkyl(oxy), etc.; R4,R5 = H, alk(en)yl, Ph, etc.; R6 = H, alkyl, phenyl(alkyl); R7 = (CH2)qLwR9; L = (un)substituted phenylene; R8,R8a = H, alkyl, CF3, Ph, etc.; R9 = (un)substituted Ph; X = CH2, SO0-2; q = 0-4; x,y = 0-3; w = 0 or 1] were prepared as growth hormone release promoters (no data). Thus, tert-Bu (2S,3R)-6-oxo-2,3-diphenylmorpholine-4-carboxylate was alkylated with 2-(NC)C6H4CH2Br and the product treated with NaBH4/Co(NO3)2 to give benzazepine II [R = (1R,2S)-(CHPh)2OH, R6 = CO2CMe3, R7 = H] which was N-alkylated with 4-(BrH2C)C6H4C6H4NO2-2 (preparation given) and the product converted in 3 steps to II [R7 = CH2C6H4[C6H4(NHCONHMe)-4]-4] (III; R = R6 = H). The latter was amidated by Me3CO2CNHCMe2CH2CO2H to give, after deprotection, III [R7 = COCH2CMe2NH2].

IT **168058-13-7P 168058-14-8P 168058-15-9P**
168058-16-0P 168058-17-1P 168058-18-2P
168058-19-3P 168058-20-6P 168058-21-7P
168058-22-8P 168058-23-9P 168058-24-0P
168058-25-1P 168058-26-2P 168058-27-3P

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

168058-28-4P 168058-29-5P 168058-30-8P
168058-31-9P 168058-32-0P 168058-33-1P
168058-34-2P 168058-35-3P 168058-36-4P
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168058-43-3P 168058-44-4P 168058-45-5P
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168059-09-4P 168059-10-7P 168059-11-8P
168059-12-9P 168059-13-0P 168059-14-1P
168059-15-2P 168059-16-3P 168059-17-4P
168059-18-5P 168059-19-6P

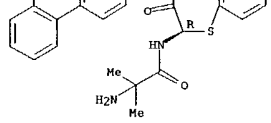
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-(benzazepinonyl)alkanamides as growth hormone release promoters)

RN 168058-13-7 CAPLUS

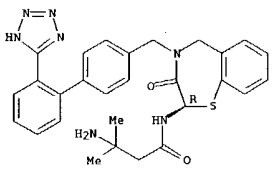
CN Propanamide, 2-amino-2-methyl-N-[2,3,4,5-tetrahydro-3-oxo-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1,4-benzothiazepin-2-yl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



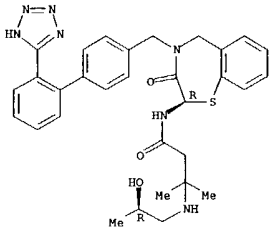
L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 168058-14-8 CAPLUS
CN Butanamide, 3-amino-3-methyl-N-[2,3,4,5-tetrahydro-3-oxo-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1,4-benzothiazepin-2-yl]-, (R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 168058-15-9 CAPLUS
CN Butanamide, 3-[(2-hydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-3-oxo-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1,4-benzothiazepin-2-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

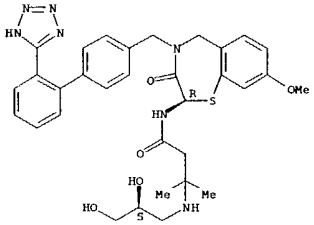
Absolute stereochemistry.



RN 168058-16-0 CAPLUS
CN Butanamide, 3-[(2,3-dihydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-3-oxo-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1,4-benzothiazepin-2-yl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

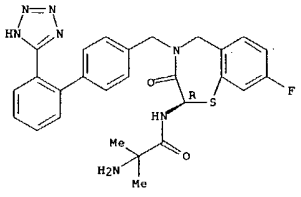
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-19-3 CAPLUS
CN Propanamide, 2-amino-N-[8-fluoro-2,3,4,5-tetrahydro-3-oxo-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1,4-benzothiazepin-2-yl]-2-methyl-, (R)- (9CI) (CA INDEX NAME)

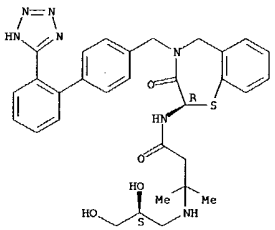
Absolute stereochemistry.



RN 168058-20-6 CAPLUS
CN Butanamide, 3-[(2,3-dihydroxypropyl)amino]-N-[8-fluoro-2,3,4,5-tetrahydro-3-oxo-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1,4-benzothiazepin-2-yl]-3-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

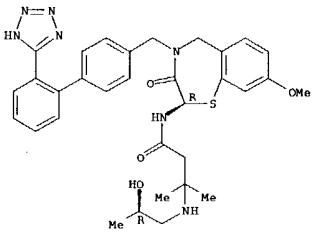
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-17-1 CAPLUS
CN Butanamide, 3-[(2-hydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-8-methoxy-3-oxo-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1,4-benzothiazepin-2-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

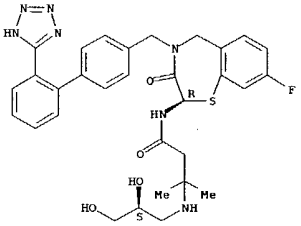
Absolute stereochemistry.



RN 168058-18-2 CAPLUS
CN Butanamide, 3-[(2,3-dihydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-8-methoxy-3-oxo-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1,4-benzothiazepin-2-yl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

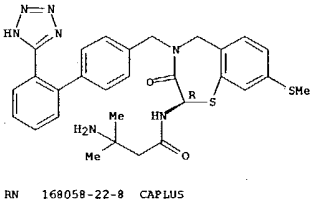
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-21-7 CAPLUS
CN Butanamide, 3-amino-3-methyl-N-[2,3,4,5-tetrahydro-8-(methylthio)-3-oxo-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1,4-benzothiazepin-2-yl]-, (R)- (9CI) (CA INDEX NAME)

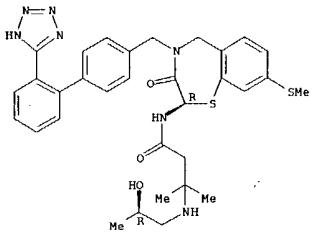
Absolute stereochemistry.



RN 168058-22-8 CAPLUS
CN Butanamide, 3-[(2-hydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-8-(methylthio)-3-oxo-4-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1,4-benzothiazepin-2-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

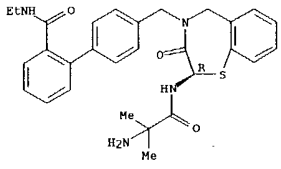
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-23-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-[(2-amino-2-methyl-1-oxopropyl)amino]-2,3-dihydro-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl]-N-ethyl-, (R)- (9CI) (CA INDEX NAME)

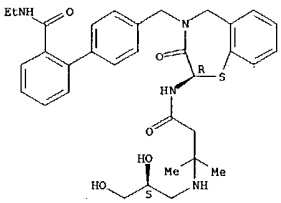
Absolute stereochemistry.



RN 168058-24-0 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-[[3-[(2,3-dihydroxypropyl)amino]-3-methyl-1-oxobutyl]amino]-2,3-dihydro-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl]-N-ethyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

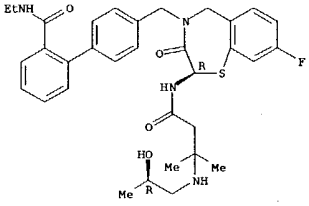
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-25-1 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-ethyl-4'-[[8-fluoro-2,3-dihydro-2-[[3-[(2-hydroxypropyl)amino]-3-methyl-1-oxobutyl]amino]-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

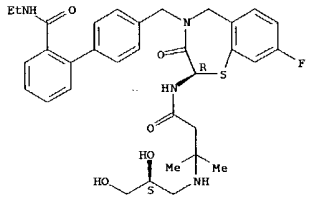
Absolute stereochemistry.



RN 168058-26-2 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-[[3-[(2,3-dihydroxypropyl)amino]-3-methyl-1-oxobutyl]amino]-8-fluoro-2,3-dihydro-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl]-N-ethyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

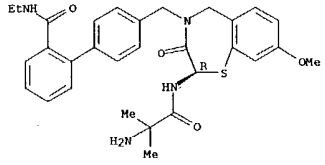
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-27-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-[(2-amino-2-methyl-1-oxopropyl)amino]-2,3-dihydro-8-methoxy-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl]-N-ethyl-, (R)- (9CI) (CA INDEX NAME)

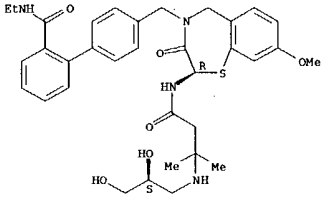
Absolute stereochemistry.



RN 168058-28-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-[[3-[(2,3-dihydroxypropyl)amino]-3-methyl-1-oxobutyl]amino]-2,3-dihydro-8-methoxy-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl]-N-ethyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

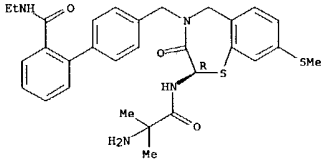
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-29-5 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-[(2-amino-2-methyl-1-oxopropyl)amino]-2,3-dihydro-8-(methylthio)-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl]-N-ethyl-, (R)- (9CI) (CA INDEX NAME)

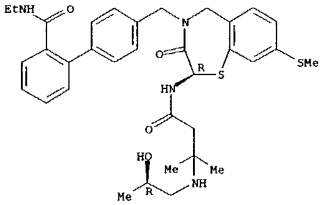
Absolute stereochemistry.



RN 168058-30-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2,3-dihydro-2-[[3-[(2-hydroxypropyl)amino]-3-methyl-1-oxobutyl]amino]-8-(methylthio)-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl]-N-ethyl-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

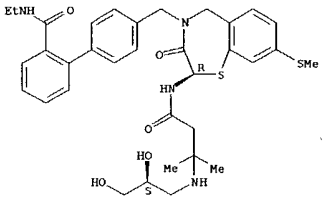
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-31-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-[[3-[(2,3-dihydroxypropyl)amino]-3-methyl-1-oxobutyl]amino]-2,3-dihydro-8-(methylthio)-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl]-N-ethyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

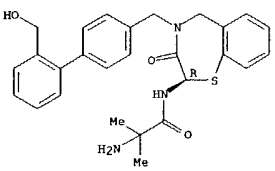
Absolute stereochemistry.



RN 168058-32-0 CAPLUS
CN Propanamide, 2-amino-2-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-(hydroxymethyl)[1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, (R)- (9CI) (CA INDEX NAME)

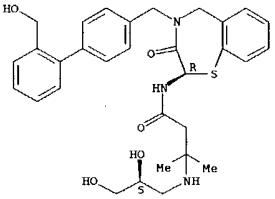
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-33-1 CAPLUS
CN Butanamide, 3-[(2,3-dihydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-(hydroxymethyl)[1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

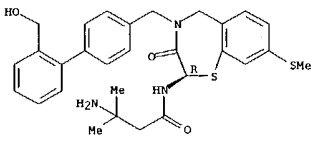
Absolute stereochemistry.



RN 168058-34-2 CAPLUS
CN Butanamide, 3-amino-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-(hydroxymethyl)[1,1'-biphenyl]-4-yl]methyl]-8-(methylthio)-3-oxo-1,4-benzothiazepin-2-yl]-, (R)- (9CI) (CA INDEX NAME)

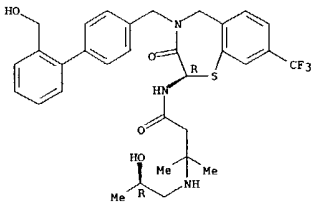
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



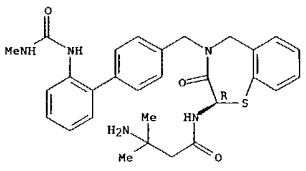
RN 168058-35-3 CAPLUS
CN Butanamide, 3-[(2-hydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-(hydroxymethyl)[1,1'-biphenyl]-4-yl]methyl]-3-oxo-8-(trifluoromethyl)-1,4-benzothiazepin-2-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



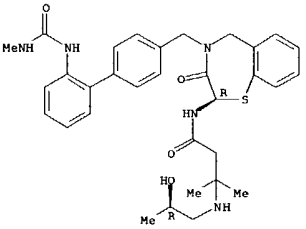
RN 168058-36-4 CAPLUS
CN Butanamide, 3-amino-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[(methylamino)carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



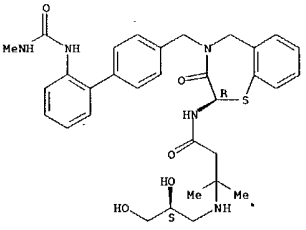
RN 168058-37-5 CAPLUS
CN Butanamide, 3-[(2-hydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[(methylamino)carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 168058-38-6 CAPLUS
CN Butanamide, 3-[(2,3-dihydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[(methylamino)carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

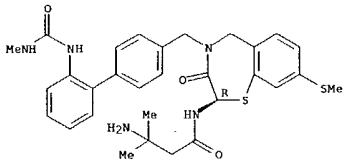
Absolute stereochemistry.



RN 168058-39-7 CAPLUS
CN Butanamide, 3-amino-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[(methylamino)carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-8-(methylthio)-3-oxo-1,4-benzothiazepin-2-yl]-, (R)- (9CI) (CA INDEX NAME)

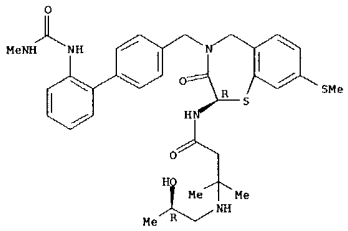
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-40-0 CAPLUS
CN Butanamide, 3-[(2-hydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[(methylamino)carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-8-(methylthio)-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

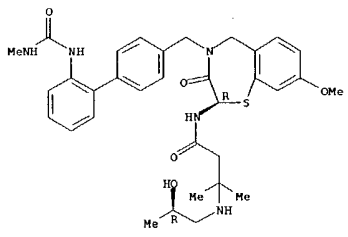
Absolute stereochemistry.



RN 168058-41-1 CAPLUS
CN Butanamide, 3-[(2,3-dihydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[(methylamino)carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-8-(methylthio)-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

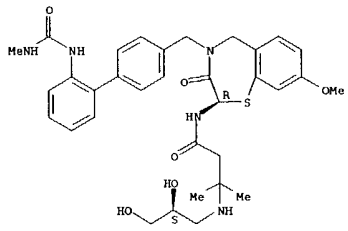
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-44-4 CAPLUS
CN Butanamide, 3-[(2,3-dihydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-8-methoxy-4-[[2'-[[(methylamino)carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

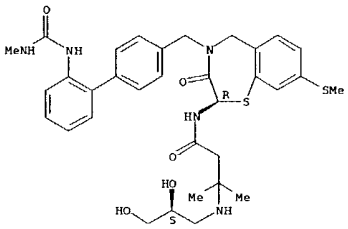
Absolute stereochemistry.



RN 168058-45-5 CAPLUS
CN Butanamide, 3-amino-N-[8-fluoro-2,3,4,5-tetrahydro-4-[[2'-[[(methylamino)carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-3-methyl-, (R)- (9CI) (CA INDEX NAME)

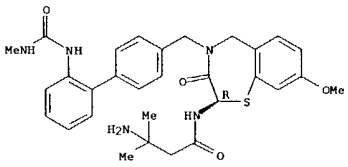
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-42-2 CAPLUS
CN Butanamide, 3-amino-3-methyl-N-[2,3,4,5-tetrahydro-8-methoxy-4-[[2'-[[(methylamino)carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, (R)- (9CI) (CA INDEX NAME)

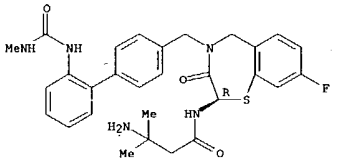
Absolute stereochemistry.



RN 168058-43-3 CAPLUS
CN Butanamide, 3-[(2-hydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-8-methoxy-4-[[2'-[[(methylamino)carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

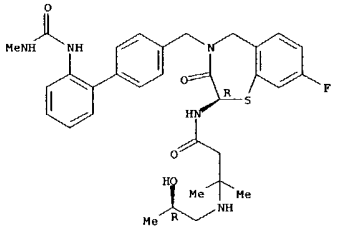
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-46-6 CAPLUS
CN Butanamide, N-[8-fluoro-2,3,4,5-tetrahydro-4-[[2'-[[(methylamino)carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-3-[(2-hydroxypropyl)amino]-3-methyl-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

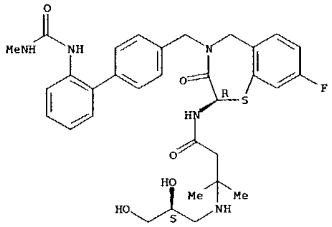
Absolute stereochemistry.



RN 168058-47-7 CAPLUS
CN Butanamide, 3-[(2,3-dihydroxypropyl)amino]-N-[8-fluoro-2,3,4,5-tetrahydro-4-[[2'-[[(methylamino)carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-3-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

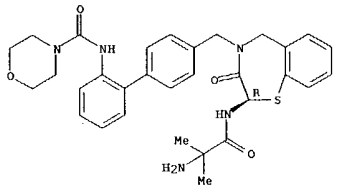
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-48-8 CAPLUS
CN 4-Morpholinecarboxamide, N-[4'-[[2-[(2-amino-2-methyl-1-oxopropyl)amino]-2,3-dihydro-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl][1,1'-biphenyl]-2-yl]-, (R)- (9CI) (CA INDEX NAME)

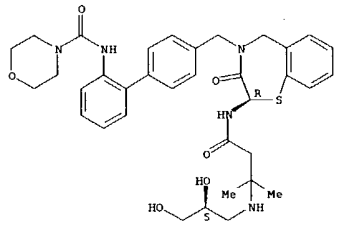
Absolute stereochemistry.



RN 168058-49-9 CAPLUS
CN 4-Morpholinecarboxamide, N-[4'-[[2-[(3-amino-3-methyl-1-oxobutyl)amino]-2,3-dihydro-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl][1,1'-biphenyl]-2-yl]-, (R)- (9CI) (CA INDEX NAME)

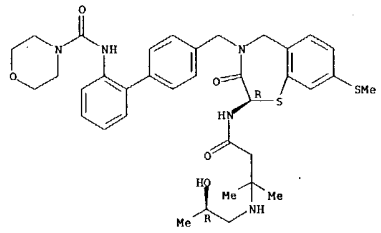
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-52-4 CAPLUS
CN 4-Morpholinecarboxamide, N-[4'-[[2,3-dihydro-2-[[3-[(2-hydroxypropyl)amino]-3-methyl-1-oxobutyl]amino]-8-(methylthio)-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl][1,1'-biphenyl]-2-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

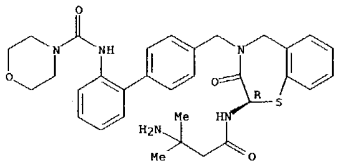
Absolute stereochemistry.



RN 168058-53-5 CAPLUS
CN 4-Morpholinecarboxamide, N-[4'-[[2-[[3-[(2,3-dihydroxypropyl)amino]-3-methyl-1-oxobutyl]amino]-2,3-dihydro-8-(methylthio)-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl][1,1'-biphenyl]-2-yl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

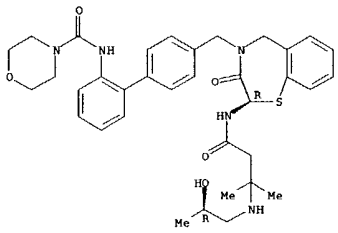
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-50-2 CAPLUS
CN 4-Morpholinecarboxamide, N-[4'-[[2,3-dihydro-2-[[3-[(2-hydroxypropyl)amino]-3-methyl-1-oxobutyl]amino]-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl][1,1'-biphenyl]-2-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

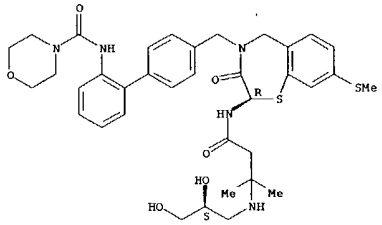
Absolute stereochemistry.



RN 168058-51-3 CAPLUS
CN 4-Morpholinecarboxamide, N-[4'-[[2-[[3-[(2,3-dihydroxypropyl)amino]-3-methyl-1-oxobutyl]amino]-2,3-dihydro-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl][1,1'-biphenyl]-2-yl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

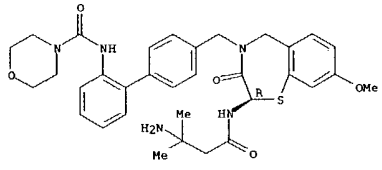
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-54-6 CAPLUS
CN 4-Morpholinecarboxamide, N-[4'-[[2-[(3-amino-3-methyl-1-oxobutyl)amino]-2,3-dihydro-8-methoxy-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl][1,1'-biphenyl]-2-yl]-, (R)- (9CI) (CA INDEX NAME)

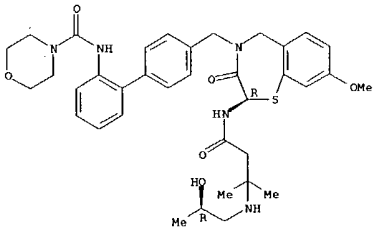
Absolute stereochemistry.



RN 168058-55-7 CAPLUS
CN 4-Morpholinecarboxamide, N-[4'-[[2,3-dihydro-2-[[3-[(2-hydroxypropyl)amino]-3-methyl-1-oxobutyl]amino]-8-methoxy-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl][1,1'-biphenyl]-2-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

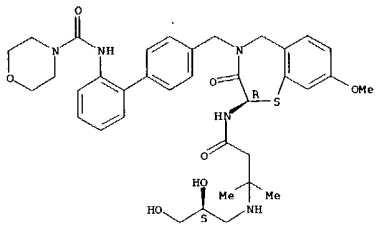
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-56-8 CAPLUS
CN 4-Morpholinecarboxamide, N-[4'-[[2-[[3-[(2,3-dihydroxypropyl)amino]-3-methyl-1-oxobutyl]amino]-2,3-dihydro-8-methoxy-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl][1,1'-biphenyl]-2-yl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

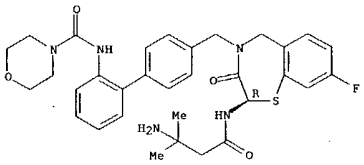
Absolute stereochemistry.



RN 168058-57-9 CAPLUS
CN 4-Morpholinecarboxamide, N-[4'-[[2-[(3-amino-3-methyl-1-oxobutyl)amino]-8-fluoro-2,3-dihydro-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl][1,1'-biphenyl]-2-yl]-, (R)- (9CI) (CA INDEX NAME)

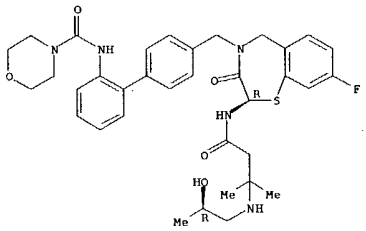
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-58-0 CAPLUS
CN 4-Morpholinecarboxamide, N-[4'-[[8-fluoro-2,3-dihydro-2-[[3-[(2-hydroxypropyl)amino]-3-methyl-1-oxobutyl]amino]-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl][1,1'-biphenyl]-2-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

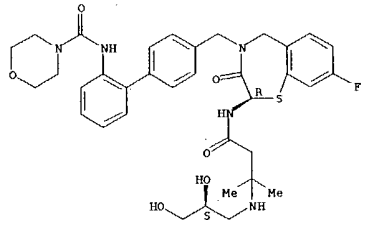
Absolute stereochemistry.



RN 168058-59-1 CAPLUS
CN 4-Morpholinecarboxamide, N-[4'-[[2-[[3-[(2,3-dihydroxypropyl)amino]-3-methyl-1-oxobutyl]amino]-8-fluoro-2,3-dihydro-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl][1,1'-biphenyl]-2-yl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

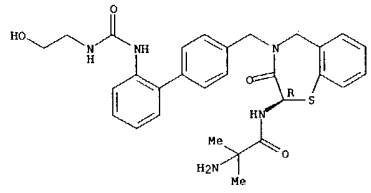
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-60-4 CAPLUS
CN Propanamide, 2-amino-2-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[(2-hydroxyethyl)amino]carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, (R)- (9CI) (CA INDEX NAME)

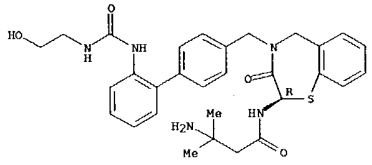
Absolute stereochemistry.



RN 168058-61-5 CAPLUS
CN Butanamide, 3-amino-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[(2-hydroxyethyl)amino]carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, (R)- (9CI) (CA INDEX NAME)

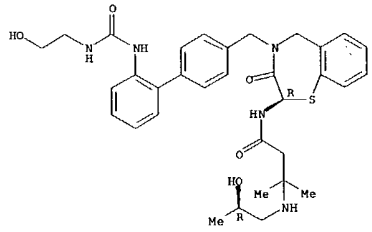
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-62-6 CAPLUS
CN Butanamide, 3-[(2-hydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[(2-hydroxyethyl)amino]carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

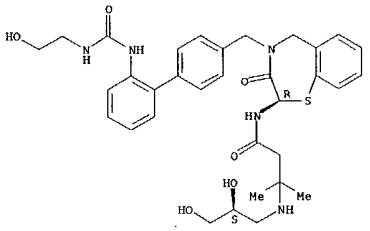
Absolute stereochemistry.



RN 168058-63-7 CAPLUS
CN Butanamide, 3-[(2,3-dihydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[(2-hydroxyethyl)amino]carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

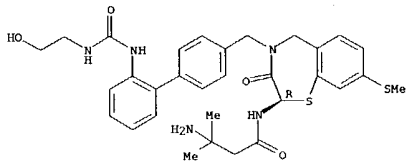
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-64-8 CAPLUS
CN Butanamide, 3-amino-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[(2-hydroxyethyl)amino]carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-8-(methylthio)-3-oxo-1,4-benzothiazepin-2-yl]-, (R)- (9CI) (CA INDEX NAME)

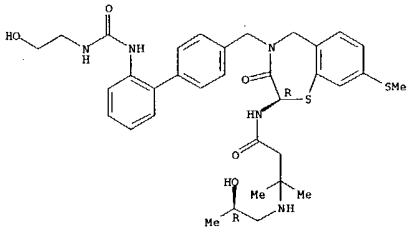
Absolute stereochemistry.



RN 168058-65-9 CAPLUS
CN Butanamide, 3-[(2-hydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[(2-hydroxyethyl)amino]carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-8-(methylthio)-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

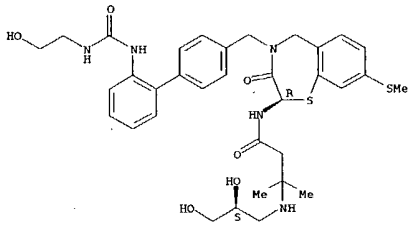
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-66-0 CAPLUS
CN Butanamide, 3-[(2,3-dihydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[(2-hydroxyethyl)amino]carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-8-(methylthio)-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

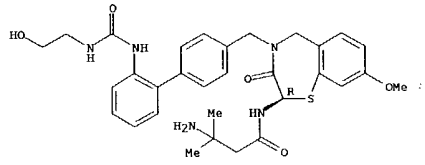
Absolute stereochemistry.



RN 168058-67-1 CAPLUS
CN Butanamide, 3-amino-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[(2-hydroxyethyl)amino]carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-8-methoxy-3-oxo-1,4-benzothiazepin-2-yl]-, (R)- (9CI) (CA INDEX NAME)

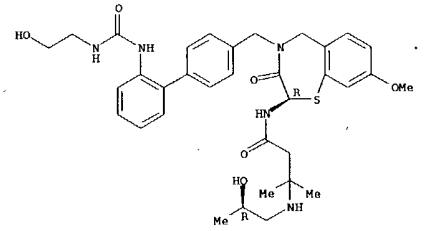
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-68-2 CAPLUS
CN Butanamide, 3-[(2-hydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[(2-hydroxyethyl)amino]carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-8-methoxy-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

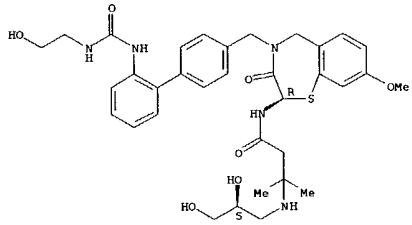
Absolute stereochemistry.



RN 168058-69-3 CAPLUS
CN Butanamide, 3-[(2,3-dihydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[(2-hydroxyethyl)amino]carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-8-methoxy-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

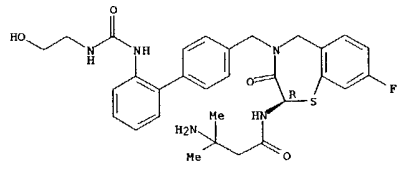
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-70-6 CAPLUS
CN Butanamide, 3-amino-N-[8-fluoro-2,3,4,5-tetrahydro-4-[[2'-[[[(2-hydroxyethyl)amino]carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-3-methyl-, (R)- (9CI) (CA INDEX NAME)

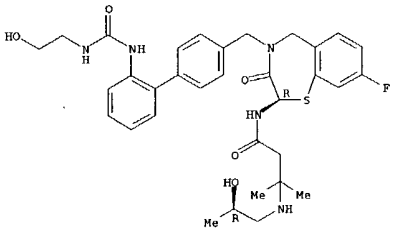
Absolute stereochemistry.



RN 168058-71-7 CAPLUS
CN Butanamide, N-[8-fluoro-2,3,4,5-tetrahydro-4-[[2'-[[[(2-hydroxyethyl)amino]carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-3-[(2-hydroxypropyl)amino]-3-methyl-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

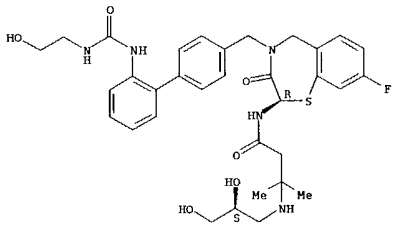
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-72-8 CAPLUS
CN Butanamide, 3-[(2,3-dihydroxypropyl)amino]-N-[8-fluoro-2,3,4,5-tetrahydro-4-[[2'-[[[(2-hydroxyethyl)amino]carbonyl]amino][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-3-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

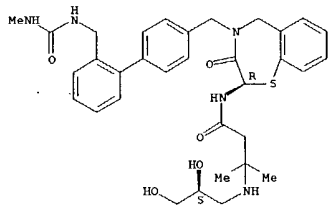
Absolute stereochemistry.



RN 168058-73-9 CAPLUS
CN Propanamide, 2-amino-2-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[(methylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, (R)- (9CI) (CA INDEX NAME)

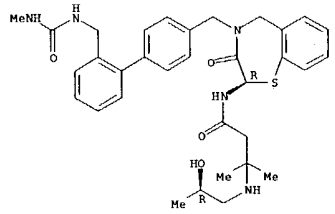
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-76-2 CAPLUS
CN Butanamide, 3-[(2-hydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[(methylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

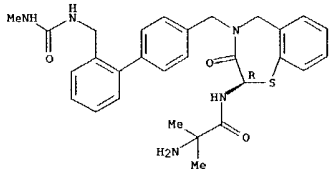
Absolute stereochemistry.



RN 168058-77-3 CAPLUS
CN Propanamide, 2-amino-N-[8-fluoro-2,3,4,5-tetrahydro-4-[[2'-[[[(methylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-2-methyl-, (R)- (9CI) (CA INDEX NAME)

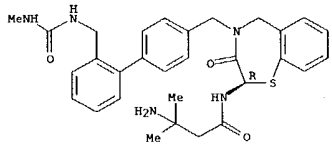
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-74-0 CAPLUS
CN Butanamide, 3-amino-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[(methylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, (R)- (9CI) (CA INDEX NAME)

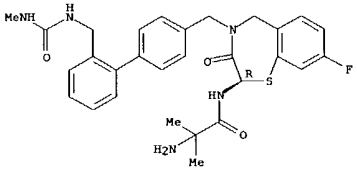
Absolute stereochemistry.



RN 168058-75-1 CAPLUS
CN Butanamide, 3-[(2,3-dihydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[(methylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

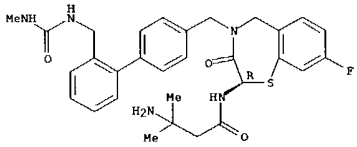
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



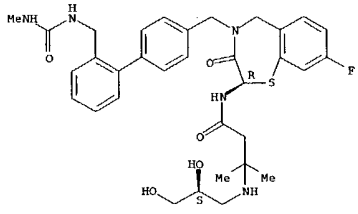
RN 168058-78-4 CAPLUS
CN Butanamide, 3-amino-N-[8-fluoro-2,3,4,5-tetrahydro-4-[[2'-[[[(methylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-3-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



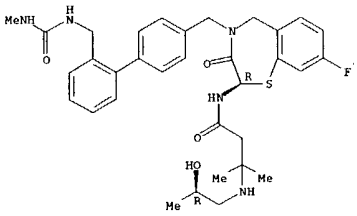
RN 168058-79-5 CAPLUS
CN Butanamide, 3-[(2,3-dihydroxypropyl)amino]-N-[8-fluoro-2,3,4,5-tetrahydro-4-[[2'-[[[(methylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-3-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



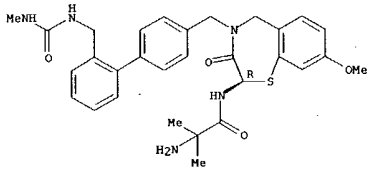
L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 168058-80-8 CAPLUS
CN Butanamide, N-[8-fluoro-2,3,4,5-tetrahydro-4-[[2'-[[[(methylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-3-[(2-hydroxypropyl)amino]-3-methyl-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 168058-81-9 CAPLUS
CN Propanamide, 2-amino-2-methyl-N-[2,3,4,5-tetrahydro-8-methoxy-4-[[2'-[[[(methylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, (R)- (9CI) (CA INDEX NAME)

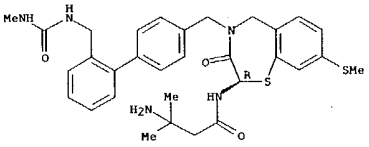
Absolute stereochemistry.



RN 168058-82-0 CAPLUS
CN Butanamide, 3-[(2-hydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-8-methoxy-4-[[2'-[[[(methylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

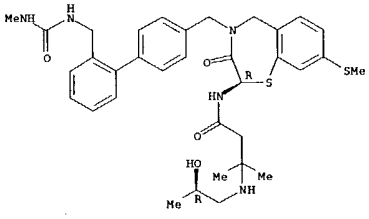
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



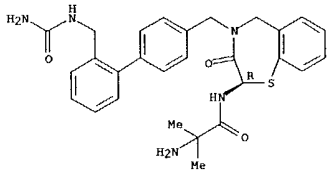
RN 168058-85-3 CAPLUS
CN Butanamide, 3-[(2-hydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[(methylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-8-(methylthio)-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

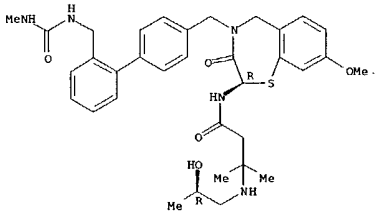


RN 168058-86-4 CAPLUS
CN Propanamide, 2-amino-N-[4-[[2'-[[(aminocarbonyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-3-oxo-1,4-benzothiazepin-2-yl]-2-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

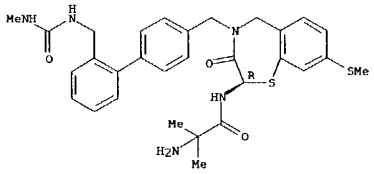


L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-83-1 CAPLUS
CN Propanamide, 2-amino-2-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[(methylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-8-(methylthio)-3-oxo-1,4-benzothiazepin-2-yl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

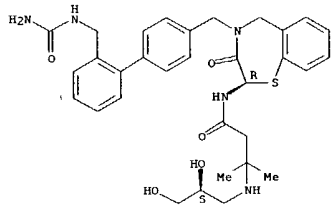


RN 168058-84-2 CAPLUS
CN Butanamide, 3-amino-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[(methylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-8-(methylthio)-3-oxo-1,4-benzothiazepin-2-yl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

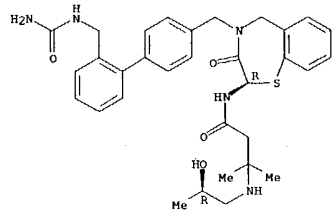
L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 168058-87-5 CAPLUS
CN Butanamide, N-[4-[[2'-[[(aminocarbonyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-3-oxo-1,4-benzothiazepin-2-yl]-3-[(2,3-dihydroxypropyl)amino]-3-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 168058-88-6 CAPLUS
CN Butanamide, N-[4-[[2'-[[(aminocarbonyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-3-oxo-1,4-benzothiazepin-2-yl]-3-[(2-hydroxypropyl)amino]-3-methyl-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

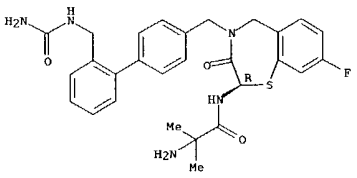
Absolute stereochemistry.



RN 168058-89-7 CAPLUS
CN Propanamide, 2-amino-N-[4-[[2'-[[(aminocarbonyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-8-fluoro-2,3,4,5-tetrahydro-3-oxo-1,4-benzothiazepin-2-yl]-2-methyl-, (R)- (9CI) (CA INDEX NAME)

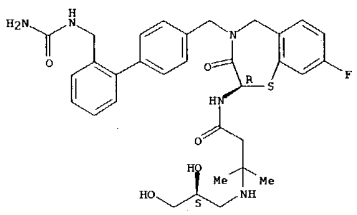
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-90-0 CAPLUS
CN Butanamide, N-[4-[[2'-[[(aminocarbonyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-8-fluoro-2,3,4,5-tetrahydro-3-oxo-1,4-benzothiazepin-2-yl]-3-[(2,3-dihydroxypropyl)amino]-3-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

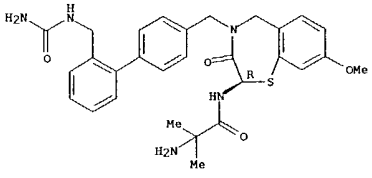
Absolute stereochemistry.



RN 168058-91-1 CAPLUS
CN Propanamide, 2-amino-N-[4-[[2'-[[(aminocarbonyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-8-methoxy-3-oxo-1,4-benzothiazepin-2-yl]-2-methyl-, (R)- (9CI) (CA INDEX NAME)

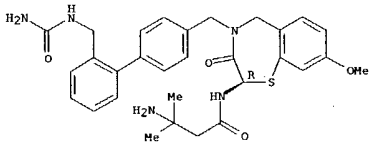
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-92-2 CAPLUS
CN Butanamide, 3-amino-N-[4-[[2'-[[(aminocarbonyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-8-methoxy-3-oxo-1,4-benzothiazepin-2-yl]-3-methyl-, (R)- (9CI) (CA INDEX NAME)

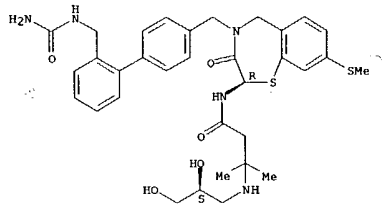
Absolute stereochemistry.



RN 168058-93-3 CAPLUS
CN Butanamide, N-[4-[[2'-[[(aminocarbonyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-8-(methylthio)-3-oxo-1,4-benzothiazepin-2-yl]-3-[(2,3-dihydroxypropyl)amino]-3-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

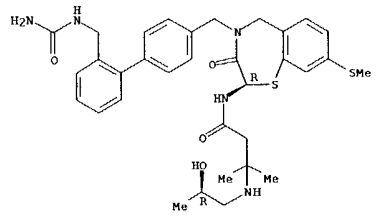
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-94-4 CAPLUS
CN Butanamide, N-[4-[[2'-[[(aminocarbonyl)amino]methyl][1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-8-(methylthio)-3-oxo-1,4-benzothiazepin-2-yl]-3-[(2-hydroxypropyl)amino]-3-methyl-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

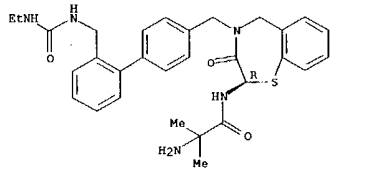
Absolute stereochemistry.



RN 168058-95-5 CAPLUS
CN Propanamide, 2-amino-N-[4-[[2'-[[[(ethylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-3-oxo-1,4-benzothiazepin-2-yl]-2-methyl-, (R)- (9CI) (CA INDEX NAME)

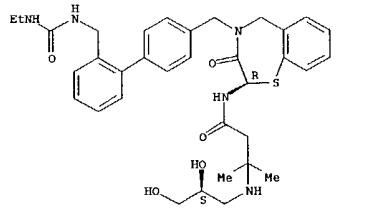
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-96-6 CAPLUS
CN Butanamide, 3-[(2,3-dihydroxypropyl)amino]-N-[4-[[2'-[[[(ethylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-3-oxo-1,4-benzothiazepin-2-yl]-3-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

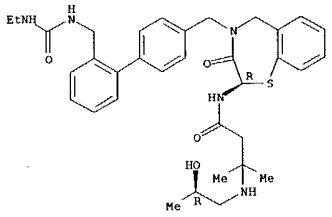
Absolute stereochemistry.



RN 168058-97-7 CAPLUS
CN Butanamide, N-[4-[[2'-[[[(ethylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-3-oxo-1,4-benzothiazepin-2-yl]-3-[(2-hydroxypropyl)amino]-3-methyl-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

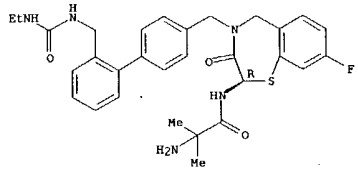
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168058-98-8 CAPLUS
CN Propanamide, 2-amino-N-[4-[[2'-[[[(ethylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-8-fluoro-2,3,4,5-tetrahydro-3-oxo-1,4-benzothiazepin-2-yl]-2-methyl-, (R)- (9CI) (CA INDEX NAME)

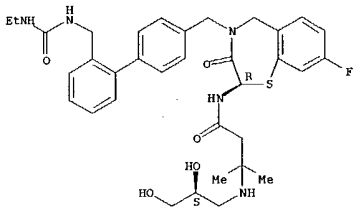
Absolute stereochemistry.



RN 168058-99-9 CAPLUS
CN Butanamide, 3-[(2,3-dihydroxypropyl)amino]-N-[4-[[2'-[[[(ethylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-8-fluoro-2,3,4,5-tetrahydro-3-oxo-1,4-benzothiazepin-2-yl]-3-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

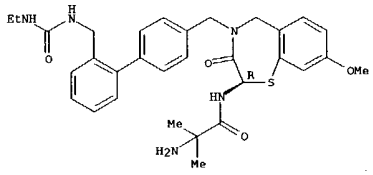
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168059-00-5 CAPLUS
CN Propanamide, 2-amino-N-[4-[[2'-[[[(ethylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-8-methoxy-3-oxo-1,4-benzothiazepin-2-yl]-2-methyl-, (R)- (9CI) (CA INDEX NAME)

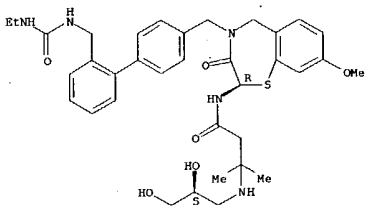
Absolute stereochemistry.



RN 168059-01-6 CAPLUS
CN Butanamide, 3-[(2,3-dihydroxypropyl)amino]-N-[4-[[2'-[[[(ethylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-8-methoxy-3-oxo-1,4-benzothiazepin-2-yl]-3-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

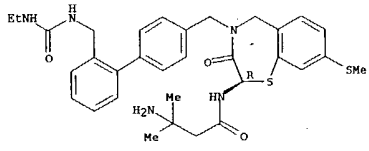
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168059-02-7 CAPLUS
CN Butanamide, 3-amino-N-[4-[[2'-[[[(ethylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-8-(methylthio)-3-oxo-1,4-benzothiazepin-2-yl]-3-methyl-, (R)- (9CI) (CA INDEX NAME)

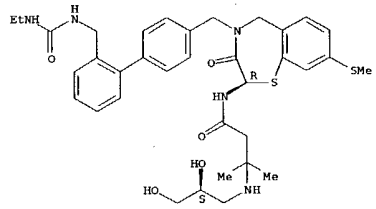
Absolute stereochemistry.



RN 168059-03-8 CAPLUS
CN Butanamide, 3-[(2,3-dihydroxypropyl)amino]-N-[4-[[2'-[[[(ethylamino)carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-2,3,4,5-tetrahydro-8-(methylthio)-3-oxo-1,4-benzothiazepin-2-yl]-3-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

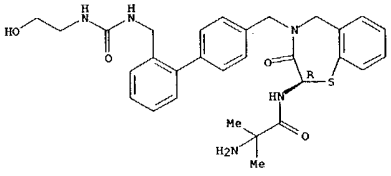
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



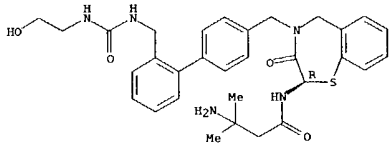
RN 168059-04-9 CAPLUS
CN Propanamide, 2-amino-2-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[[(2-hydroxyethyl)amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 168059-05-0 CAPLUS
CN Butanamide, 3-amino-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[[(2-hydroxyethyl)amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

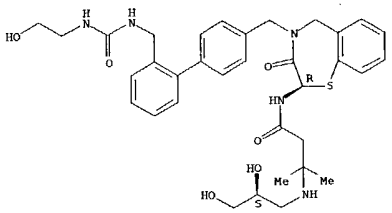


RN 168059-06-1 CAPLUS

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CN Butanamide, 3-[(2,3-dihydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[[(2-hydroxyethyl)amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

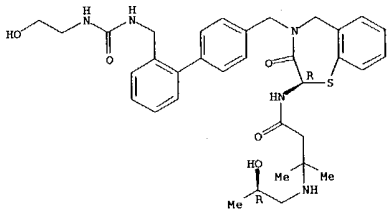
Absolute stereochemistry.



RN 168059-07-2 CAPLUS

CN Butanamide, 3-[(2-hydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[[(2-hydroxyethyl)amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

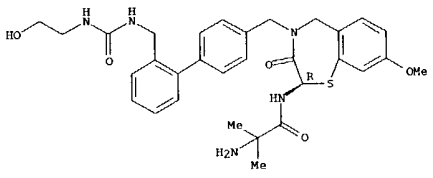


RN 168059-08-3 CAPLUS

CN Propanamide, 2-amino-N-[8-fluoro-2,3,4,5-tetrahydro-4-[[2'-[[[[(2-hydroxyethyl)amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-2-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

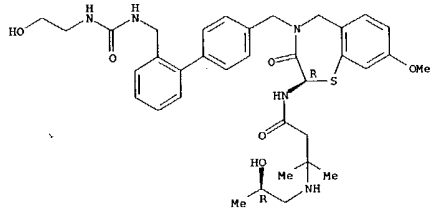
L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168059-11-8 CAPLUS

CN Butanamide, 3-[(2-hydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[[(2-hydroxyethyl)amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-8-methoxy-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

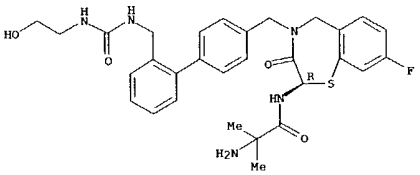


RN 168059-12-9 CAPLUS

CN Butanamide, 3-[(2,3-dihydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[[(2-hydroxyethyl)amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-8-(methylthio)-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

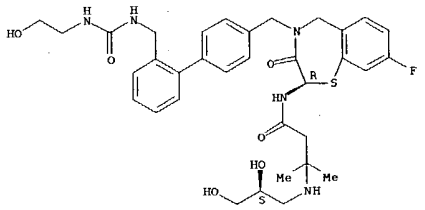
L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168059-09-4 CAPLUS

CN Butanamide, 3-[(2,3-dihydroxypropyl)amino]-N-[8-fluoro-2,3,4,5-tetrahydro-4-[[2'-[[[[(2-hydroxyethyl)amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-3-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

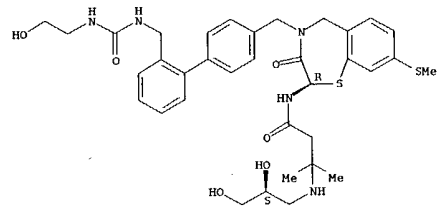


RN 168059-10-7 CAPLUS

CN Propanamide, 2-amino-2-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[[(2-hydroxyethyl)amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-8-methoxy-3-oxo-1,4-benzothiazepin-2-yl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

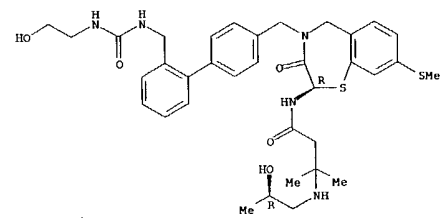
L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168059-13-0 CAPLUS

CN Butanamide, 3-[(2-hydroxypropyl)amino]-3-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[[[[(2-hydroxyethyl)amino]carbonyl]amino]methyl][1,1'-biphenyl]-4-yl]methyl]-8-(methylthio)-3-oxo-1,4-benzothiazepin-2-yl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

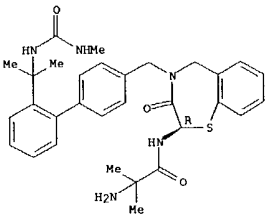


RN 168059-14-1 CAPLUS

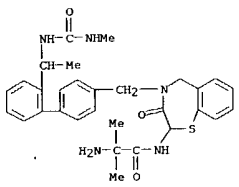
CN Propanamide, 2-amino-2-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[1-methyl-1-[[(methylamino)carbonyl]amino]ethyl][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



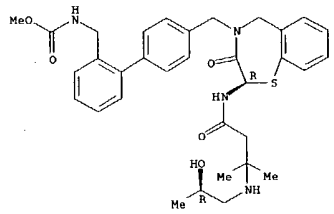
RN 168059-15-2 CAPLUS
CN Propanamide, 2-amino-2-methyl-N-[2,3,4,5-tetrahydro-4-[[2'-[1-[[(methylamino)carbonyl]amino]ethyl][1,1'-biphenyl]-4-yl]methyl]-3-oxo-1,4-benzothiazepin-2-yl]- (9CI) (CA INDEX NAME)



RN 168059-16-3 CAPLUS
CN Carbamic acid, [[4'-[[2-[(2-amino-2-methyl-1-oxopropyl)amino]-2,3-dihydro-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl][1,1'-biphenyl]-2-yl]methyl]-, methyl ester, (R)- (9CI) (CA INDEX NAME)

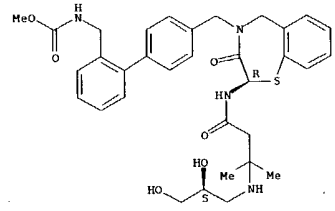
Absolute stereochemistry.

L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

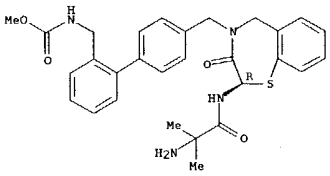


RN 168059-19-6 CAPLUS
CN Carbamic acid, [[4'-[[2-[[3-[(2,3-dihydroxypropyl)amino]-3-methyl-1-oxobutyl]amino]-2,3-dihydro-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl][1,1'-biphenyl]-2-yl]methyl]-, methyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

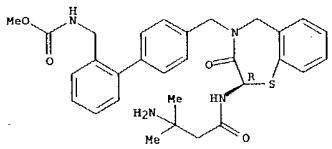


L60 ANSWER 70 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 168059-17-4 CAPLUS
CN Carbamic acid, [[4'-[[2-[(3-amino-3-methyl-1-oxobutyl)amino]-2,3-dihydro-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl][1,1'-biphenyl]-2-yl]methyl]-, methyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 168059-18-5 CAPLUS
CN Carbamic acid, [[4'-[[2,3-dihydro-2-[[3-[(2-hydroxypropyl)amino]-3-methyl-1-oxobutyl]amino]-3-oxo-1,4-benzothiazepin-4(5H)-yl]methyl][1,1'-biphenyl]-2-yl]methyl]-, methyl ester, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

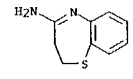
Absolute stereochemistry.

L60 ANSWER 71 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:656627 CAPLUS
DOCUMENT NUMBER: 123:256670
TITLE: Studies on annulated 1,4-benzothiazines and 1,5-benzothiazepines. IX. Imidazo[2,1-d][1,5]benzothiazepines: synthesis and in vitro benzodiazepine receptor affinity
AUTHOR(S): Ambrogi, V.; Grandolini, G.; Perioli, L.; Giusti, L.; Lucacchini, A.; Martini, C.
CORPORATE SOURCE: Ist. Chim. Farm. Tecnica Farm., Univ. Perugia, Perugia, 06123, Italy
SOURCE: European Journal of Medicinal Chemistry (1995), 30(5), 429-37
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

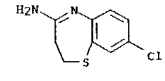
AB The synthesis of three series of 1- and 2-substituted imidazo[2,1-d][1,5]benzothiazepines is accomplished starting from 1,5-benzothiazepin-4-ones. All the synthesized compds. were evaluated for their affinity for the benzodiazepine receptor, testing their ability to displace [3H]Flunitrazepam from bovine brain membrane protein. A few of the tested compds. showed good affinity, in particular 4,5-dihydro-2-phenylimidazo[2,1-d][1,5]benzothiazepine (Ki = 43.00 nM). The GABA-ratio of the active compds. suggests an antagonist or partial agonist activity. The data obtained allow us to draw some comments on the structure-activity relationships.

IT **104004-37-7P**, 1,5-Benzothiazepin-4-amine, 2,3-dihydro
168697-03-8P 168697-16-3P 168697-17-4P
168697-18-5P 168697-19-6P 168697-20-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(imidazo[2,1-d][1,5]benzothiazepines as benzodiazepine receptor antagonists or agonists)

RN 104004-37-7 CAPLUS
CN 1,5-Benzothiazepin-4-amine, 2,3-dihydro- (9CI) (CA INDEX NAME)

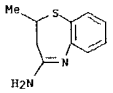


RN 168697-03-8 CAPLUS
CN 1,5-Benzothiazepin-4-amine, 8-chloro-2,3-dihydro- (9CI) (CA INDEX NAME)

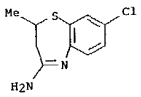


RN 168697-16-3 CAPLUS
CN 1,5-Benzothiazepin-4-amine, 2,3-dihydro-2-methyl- (9CI) (CA INDEX NAME)

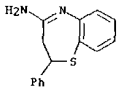
L60 ANSWER 71 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



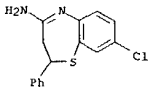
RN 168697-17-4 CAPLUS
CN 1,5-Benzothiazepin-4-amine, 8-chloro-2,3-dihydro-2-methyl- (9CI) (CA INDEX NAME)



RN 168697-18-5 CAPLUS
CN 1,5-Benzothiazepin-4-amine, 2,3-dihydro-2-phenyl- (9CI) (CA INDEX NAME)

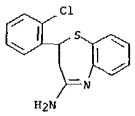


RN 168697-19-6 CAPLUS
CN 1,5-Benzothiazepin-4-amine, 8-chloro-2,3-dihydro-2-phenyl- (9CI) (CA INDEX NAME)



RN 168697-20-9 CAPLUS
CN 1,5-Benzothiazepin-4-amine, 2-(2-chlorophenyl)-2,3-dihydro- (9CI) (CA INDEX NAME)

L60 ANSWER 71 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L60 ANSWER 72 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

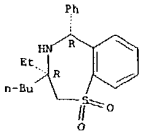
ACCESSION NUMBER: 1995:592561 CAPLUS
DOCUMENT NUMBER: 123:275689
TITLE: Inhibition of ileal sodium-dependent bile acid transport by 2164U90
AUTHOR(S): Root, Carolyn; Smith, Chari D.; Winegar, Deborah A.; Brieaddy, Lawrence E.; Lewis, Michael C.
CORPORATE SOURCE: Division of Pharmacology, Burroughs Wellcome Co., Research Triangle Park, NC, 27709, USA
SOURCE: Journal of Lipid Research (1995), 36(5), 1106-15
CODEN: JLPRAW; ISSN: 0022-2275
PUBLISHER: Lipid Research, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Inhibition of the ileal bile acid active transport system, previously shown to be the mechanism underlying the hypocholesterolemic activity of *2164U90* (a benzothiazepine dioxide derivative) in rodents, was further characterized in isolated intestinal prepns. from 3 species. 2164U90 inhibited Na+-dependent transport of taurocholic acid by Caco-2 cells and by monkey and human ileal brush border membrane vesicles in a concentration-dependent manner, with IC50 values of 7 μM, 5 μM, and 2 μM, resp. In rat ileal brush border membrane vesicles, 2164U90 was a competitive inhibitor of Na+-dependent taurocholic acid uptake, with an estimated Ki of 1.8 μM. In anesthetized rats, 5 μM 2164U90 placed in the isolated distal ileum with 3 mM [3H]taurocholic acid decreased taurocholate ileal uptake, transport into the bile, and transport rate by 31-35%. The stereospecificity of inhibition by 2164U90 was demonstrated *by the relative inactivity of 3 other possible stereoisomers in rat ileal* sacs and brush border membrane vesicles. 2164U90 did not inhibit Na+-dependent glucose transport by monkey jejunal brush border membrane vesicles, indicating that 2164U90 may be specific for the bile acid transporter. These results suggest that 2164U90 is a potent, selective, stereospecific, competitive inhibitor of the Na+-dependent bile acid transporter in the ileal mucosal cell brush border membrane.

IT **152802-07-8**, 2164U90
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(inhibition of ileal sodium-dependent bile acid transport by 2164U90)

RN 152802-07-8 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

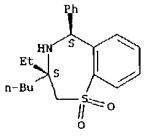


IT **152884-86-1**, 1357U88 **152884-91-8**, 1370U88
153060-69-6, *2163U90*
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

L60 ANSWER 72 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(inhibition of ileal sodium-dependent bile acid transport by 2164U90 and its stereoisomers, including)

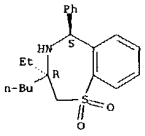
RN 152884-86-1 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



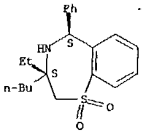
RN 152884-91-8 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 153060-69-6 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



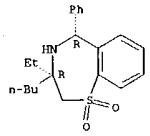
L60 ANSWER 73 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:592560 CAPLUS
DOCUMENT NUMBER: 123:47682
TITLE: Effects of 2164U90 on ileal bile acid absorption and serum cholesterol in rats and mice
AUTHOR(S): Lewis, Michael C.; Brieaddy, Lawrence E.; Root, Carolyn
CORPORATE SOURCE: Division of Pharmacology and Organic Chemistry, Burroughs Wellcome Co., Research Triangle Park, NC, 27709, USA
SOURCE: Journal of Lipid Research (1995), 36(5), 1098-105
CODEN: JLPRAW; ISSN: 0022-2275
PUBLISHER: Lipid Research, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 2164U90, [(3R,5R)-trans-3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-1,4-benzothiazepine 1,1-dioxide], was a potent inhibitor of the ileal bile acid active transport system. In vitro, 2164U90 decreased uptake and active transport of taurocholic acid by rat everted ileal sacs with IC50s of 4.0 μM and 1.5 μM, resp. In vivo, 2164U90 produced dose-dependent increase in 23,25-75Se-labeled homocholic acid taurine (SeHCAT) fecal excretion in rats and mice at doses of 3-30 mg/kg and 1-10 mg/kg, resp. In rats, 30 mg/kg 2164U90 was equivalent to 500 mg/kg cholestyramine. Two days oral administration of 10 mg/kg 2164U90 to rats decreased the bile concns. of total bile acids 42%, orally administered [3H]taurocholic acid ([3H]TC) 82%, and cholesterol 35%. Cholestyramine (500 mg/kg) had effects similar to 2164U90 on total bile acid and orally administered [3H]TC concns. but had no effect on biliary cholesterol. The hypocholesterolemic activity of 2164U90 was determined in cholesterol-cholic acid-fed rats and cholesterol-cholic acid-coconut oil-fed mice. 2164U90 inhibited the dietary-induced increase in dextran sulfate-precipitable lipoprotein cholesterol (VLDL + LDL) at doses comparable to doses needed to increase the fecal excretion of bile acids.

IT **152802-07-8**, 2164U90
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effects of benzothiazepine 2164U90 on ileal bile acid absorption and serum cholesterol in rats and mice)

RN 152802-07-8 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

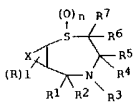


L60 ANSWER 74 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:580486 CAPLUS
DOCUMENT NUMBER: 122:314587
TITLE: Preparation of thiazepine hypolipidemic and antiatherosclerotic compounds
INVENTOR(S): Brieaddy, Lawrence Edward; Hodgson, Gordon Lewis, Jr.
PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9418184	A1	19940818	WO 1994-GB314	19940215
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9401003	A	19950814	ZA 1994-1003	19940214
IL 108633	A1	19980715	IL 1994-108633	19940214
CA 2156183	AA	19940818	CA 1994-2156183	19940215
AU 9460088	A1	19940829	AU 1994-60088	19940215
EP 683774	A1	19951129	EP 1994-906338	19940215
EP 683774	B1	20021009		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 71610	A2	19960129	HU 1995-1818	19940215
JP 08506576	T2	19960716	JP 1994-517847	19940215
JP 2886341	B2	19990426		
AT 225778	E	20021015	AT 1994-906338	19940215
PT 683774	T	20030228	PT 1994-906338	19940215
ES 2184758	T3	20030416	ES 1994-906338	19940215
US 5723458	A	19980303	US 1995-501132	19950815
HK 1003939	A1	20030207	HK 1998-103182	19980416

PRIORITY APPLN. INFO.: GB 1993-3013 A 19930215
GB 1993-15155 A 19930722
WO 1994-GB314 W 19940215

OTHER SOURCE(S): MARPAT 122:314587
GI



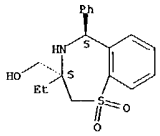
AB The title compds. [I; R = halogen, CN, OH, NO2, (un)substituted alkyl, (un)substituted alkoxy, aryl, heteroaryl aryloxy, etc.; R1, R6, R7 = H, C1-6 alkyl; R2 = H, (un)substituted alkyl, alkoxy, pyrryl, thienyl, etc.; R3 = H, OH, C1-6 alkyl, alkoxy, acyl; R4, R5 = (un)substituted alkyl,

(un)substituted alkenyl, (un)substituted alkynyl, etc.; X = arom. or nonarom. mono- or bicyclic ring; l = 0-4; n = 0-2], useful in reducing bile acid uptake as hypolipidemics and antiatherosclerotics, are prepd. and I-contg. formulations presented. Thus, (±)-trans-1-(3-ethyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-1,4-benzothiazepin-3-yl)-4,4,4-trifluoro-(2S)-2-butanol-5,5-dioxide, m.p. 168-170°, which was prepd. in 4 steps from 2-(2-phenyl-1,3-dioxolan-2-yl)-4-methoxythiophenol, demonstrated 72% inhibition of bile acid uptake at 1μM.

IT **162632-75-9P 163445-12-3P 163445-13-4P**
163445-14-5P 163445-16-7P 163445-17-8P
163445-18-9P 163445-19-0P 163445-20-3P
163445-22-5P 163445-23-6P 163445-24-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazepine bile acid uptake-inhibiting hypolipidemics and antiatherosclerotics)

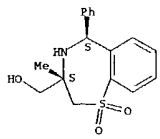
RN 162632-75-9 CAPLUS
CN 1,4-Benzothiazepine-3-methanol, 3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 163445-12-3 CAPLUS
CN 1,4-Benzothiazepine-3-methanol, 2,3,4,5-tetrahydro-3-methyl-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

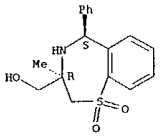
Relative stereochemistry.



RN 163445-13-4 CAPLUS
CN 1,4-Benzothiazepine-3-methanol, 2,3,4,5-tetrahydro-3-methyl-5-phenyl-, 1,1-dioxide, hydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

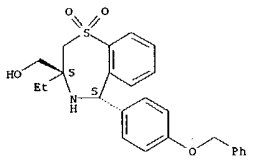
L60 ANSWER 74 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

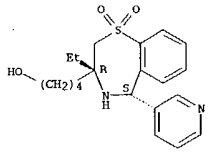
RN 163445-14-5 CAPLUS
CN 1,4-Benzothiazepine-3-methanol, 3-ethyl-2,3,4,5-tetrahydro-5-[4-(phenylmethoxy)phenyl]-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

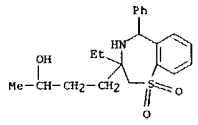


RN 163445-16-7 CAPLUS
CN 1,4-Benzothiazepine-3-butanol, 3-ethyl-2,3,4,5-tetrahydro-5-(3-pyridinyl)-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



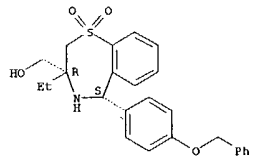
L60 ANSWER 74 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



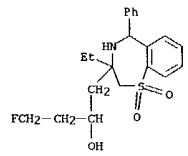
● HCl

RN 163445-20-3 CAPLUS
CN 1,4-Benzothiazepine-3-methanol, 3-ethyl-2,3,4,5-tetrahydro-5-[4-(phenylmethoxy)phenyl]-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 163445-22-5 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, 3-ethyl-α-(2-fluoroethyl)-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

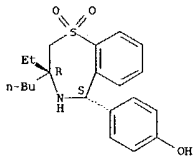


RN 163445-23-6 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, 3-ethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-α-(2,2,2-trifluoroethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 74 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 163445-17-8 CAPLUS
CN Phenol, 4-(3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl)-, hydrochloride, cis- (9CI) (CA INDEX NAME)

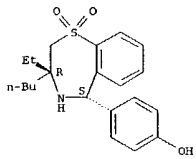
Relative stereochemistry.



● HCl

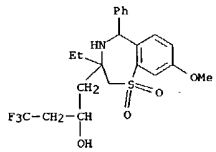
RN 163445-18-9 CAPLUS
CN Phenol, 4-(3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

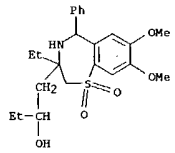


RN 163445-19-0 CAPLUS
CN 1,4-Benzothiazepine-3-propanol, 3-ethyl-2,3,4,5-tetrahydro-α-methyl-5-phenyl-, 1,1-dioxide, hydrochloride (9CI) (CA INDEX NAME)

L60 ANSWER 74 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



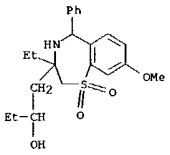
RN 163445-24-7 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, α,3-diethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



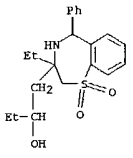
IT **162632-57-7 162632-58-8 163445-02-1**
163445-03-2 163445-04-3 163445-05-4
163445-06-5 163445-07-6 163445-08-7
163445-09-8 163445-10-1 163445-11-2
163445-15-6 163445-21-4 163445-25-8
163445-26-9 163445-27-0 163445-28-1
163445-29-2 163445-30-5 163445-31-6
163445-32-7 163445-33-8 163445-34-9
163445-35-0 163445-36-1 163445-37-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of thiazepine bile acid uptake-inhibiting hypolipidemics and antiatherosclerotics)

RN 162632-57-7 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, α,3-diethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

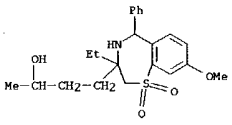
L60 ANSWER 74 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 162632-58-8 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, α,3-diethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



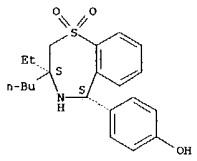
RN 163445-02-1 CAPLUS
CN 1,4-Benzothiazepine-3-propanol, 3-ethyl-2,3,4,5-tetrahydro-8-methoxy-α-methyl-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 163445-03-2 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, α,3-diethyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 74 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

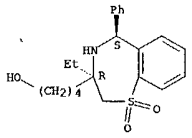
Relative stereochemistry.



● HCl

RN 163445-07-6 CAPLUS
CN 1,4-Benzothiazepine-3-butanol, 3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, hydrochloride, cis- (9CI) (CA INDEX NAME)

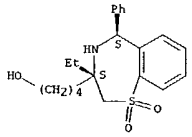
Relative stereochemistry.



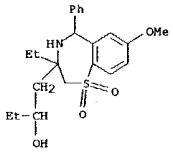
● HCl

RN 163445-08-7 CAPLUS
CN 1,4-Benzothiazepine-3-butanol, 3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

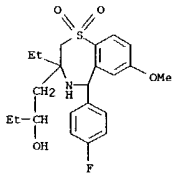
Relative stereochemistry.



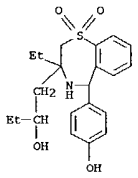
L60 ANSWER 74 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 163445-04-3 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, α,3-diethyl-5-(4-fluorophenyl)-2,3,4,5-tetrahydro-7-methoxy-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 163445-05-4 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, α,3-diethyl-2,3,4,5-tetrahydro-5-(4-hydroxyphenyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

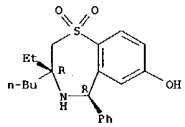


RN 163445-06-5 CAPLUS
CN Phenol, 4-(3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl)-, hydrochloride, trans- (9CI) (CA INDEX NAME)

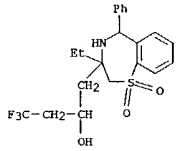
L60 ANSWER 74 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 163445-09-8 CAPLUS
CN 1,4-Benzothiazepin-7-ol, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

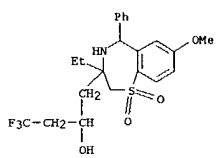
Relative stereochemistry.



RN 163445-10-1 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, 3-ethyl-2,3,4,5-tetrahydro-5-phenyl-α-(2,2,2-trifluoroethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

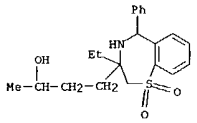


RN 163445-11-2 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, 3-ethyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-α-(2,2,2-trifluoroethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

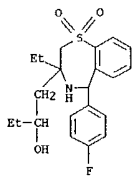


RN 163445-15-6 CAPLUS
CN 1,4-Benzothiazepine-3-propanol, 3-ethyl-2,3,4,5-tetrahydro-α-methyl-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

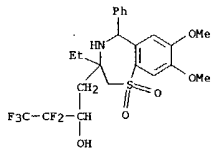
L60 ANSWER 74 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 163445-21-4 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, α,3-diethyl-5-(4-fluorophenyl)-2,3,4,5-tetrahydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



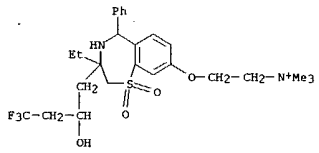
RN 163445-25-8 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, 3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-α-(pentafluoroethyl)-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 163445-26-9 CAPLUS
CN 1-Propanesulfonic acid, 3-[[3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-3-(4,4,4-trifluoro-2-hydroxybutyl)-1,4-benzothiazepin-8-yl]oxy]- (9CI) (CA INDEX NAME)

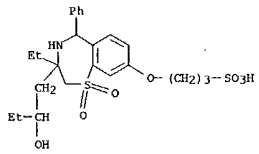
L60 ANSWER 74 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 163445-29-2 CAPLUS
CN Ethanaminium, 2-[[3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-3-(4,4,4-trifluoro-2-hydroxybutyl)-1,4-benzothiazepin-8-yl]oxy]-N,N,N-trimethyl-, iodide (9CI) (CA INDEX NAME)

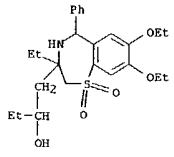


● I⁻

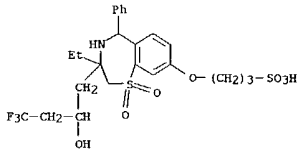
RN 163445-30-5 CAPLUS
CN 1-Propanesulfonic acid, 3-[[3-ethyl-2,3,4,5-tetrahydro-3-(2-hydroxybutyl)-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl]oxy]- (9CI) (CA INDEX NAME)



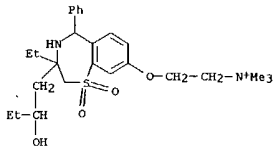
RN 163445-31-6 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, 7,8-diethoxy-α,3-diethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



L60 ANSWER 74 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

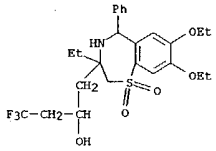


RN 163445-27-0 CAPLUS
CN Ethanaminium, 2-[[3-ethyl-2,3,4,5-tetrahydro-3-(2-hydroxybutyl)-1,1-dioxido-5-phenyl-1,4-benzothiazepin-8-yl]oxy]-N,N,N-trimethyl-, iodide (9CI) (CA INDEX NAME)



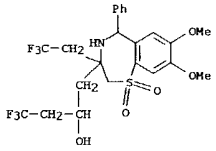
● I⁻

RN 163445-28-1 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, 7,8-diethoxy-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-α-(2,2,2-trifluoroethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

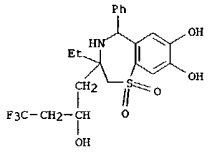


L60 ANSWER 74 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

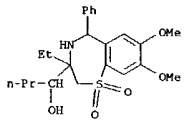
RN 163445-32-7 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-α,3-bis(2,2,2-trifluoroethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 163445-33-8 CAPLUS
CN 1,4-Benzothiazepine-7,8-diol, 3-ethyl-2,3,4,5-tetrahydro-5-phenyl-3-(4,4,4-trifluoro-2-hydroxybutyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)

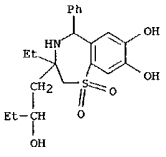


RN 163445-34-9 CAPLUS
CN 1,4-Benzothiazepine-3-methanol, 3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-α-propyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

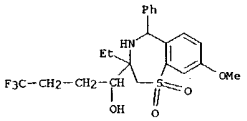


RN 163445-35-0 CAPLUS
CN 1,4-Benzothiazepine-7,8-diol, 3-ethyl-2,3,4,5-tetrahydro-3-(2-hydroxybutyl)-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 74 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

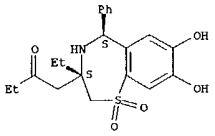


RN 163445-36-1 CAPLUS
CN 1,4-Benzothiazepine-3-methanol, 3-ethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-α-(3,3,3-trifluoropropyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 163445-37-2 CAPLUS
CN 2-Butanone, 1-(3-ethyl-2,3,4,5-tetrahydro-7,8-dihydroxy-1,1-dioxido-5-phenyl-1,4-benzothiazepin-3-yl)-, trans- (9CI) (CA INDEX NAME)

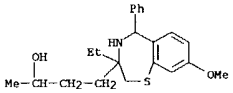
Relative stereochemistry.



IT **152802-50-1P 162632-50-0P 162632-56-6P 163445-42-9P 163445-54-3P 163445-55-4P 163445-57-6P 163445-60-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiazepine bile acid uptake-inhibiting hypolipidemics and

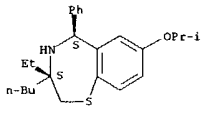
L60 ANSWER 74 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 163445-42-9 CAPLUS
CN 1,4-Benzothiazepine-3-propanol, 3-ethyl-2,3,4,5-tetrahydro-8-methoxy-α-methyl-5-phenyl- (9CI) (CA INDEX NAME)



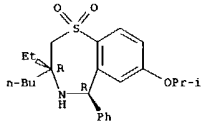
RN 163445-54-3 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(1-methylethoxy)-5-phenyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 163445-55-4 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-(1-methylethoxy)-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

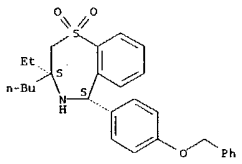
Relative stereochemistry.



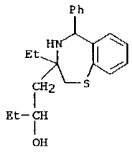
RN 163445-57-6 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, 3-ethyl-2,3,4,5-tetrahydro-5-phenyl-α-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

L60 ANSWER 74 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
antiatherosclerotics)
RN 152802-50-1 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-[4-(phenylmethoxy)phenyl]-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

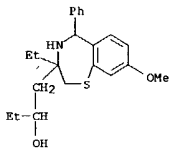
Relative stereochemistry.



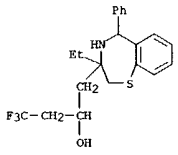
RN 162632-50-0 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, α,3-diethyl-2,3,4,5-tetrahydro-5-phenyl- (9CI) (CA INDEX NAME)



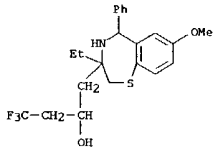
RN 162632-56-6 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, α,3-diethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl- (9CI) (CA INDEX NAME)



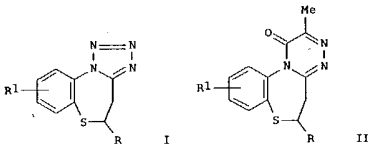
L60 ANSWER 74 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 163445-60-1 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, 3-ethyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-α-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)



L60 ANSWER 75 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:561190 CAPLUS
DOCUMENT NUMBER: 123:55843
TITLE: Synthesis and biological activity of new derivatives of tetrazolo- and triazino-1,5-benzothiazepines
AUTHOR(S): Ambrogi, V.; Grandolini, G.; Lucacchini, A.; Perioli, L.
CORPORATE SOURCE: Inst. Quim. Farmaceutica Tecnica Farmaceutica, Univ. Perugia, Perugia, 06100, Italy
SOURCE: Ars Pharmaceutica (1992), 33(1-4, Vol. 2), 1091-8
CODEN: APHRAN; ISSN: 0004-2927
PUBLISHER: Universidad de Granada, Facultad de Farmacia
DOCUMENT TYPE: Journal
LANGUAGE: Spanish
GI



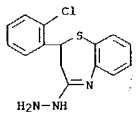
I II

AB New tricyclic 1,5-benzothiazepine derivs. I and II (R = H, Me, Ph, 2- or 4-ClC6H4, R1 = H, Cl) containing a tetrazole or triazine nucleus were prepared from hydrazinobenzothiazepines by reaction with NaNO2 or Et pyruvate. With the exception of II (R = R1 = H), their antimicrobial activity was not significant. Among the tetrazole derivs., only compound I (R = H, R1 = 9-Cl) showed a moderate affinity for the benzodiazepine receptor.

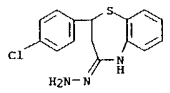
IT **129118-59-8 149492-94-4 149492-95-5 149492-96-6 149492-97-7 149492-98-8 149493-14-1 149493-15-2 149493-16-3 149493-17-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and antimicrobial activity of tetrazolo- and triazinobenzothiazepines)

RN 129118-59-8 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2-phenyl-, hydrazone (9CI) (CA INDEX NAME)

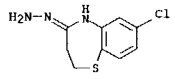
L60 ANSWER 75 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



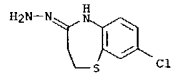
RN 149492-98-8 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2-(4-chlorophenyl)-2,3-dihydro-, hydrazone (9CI) (CA INDEX NAME)



RN 149493-14-1 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 7-chloro-2,3-dihydro-, hydrazone (9CI) (CA INDEX NAME)

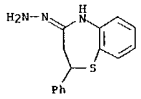


RN 149493-15-2 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 8-chloro-2,3-dihydro-, hydrazone (9CI) (CA INDEX NAME)

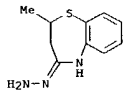


RN 149493-16-3 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 7-chloro-2,3-dihydro-2-methyl-, hydrazone (9CI) (CA INDEX NAME)

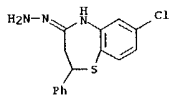
L60 ANSWER 75 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



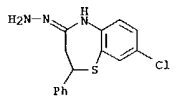
RN 149492-94-4 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2-methyl-, hydrazone (9CI) (CA INDEX NAME)



RN 149492-95-5 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 7-chloro-2,3-dihydro-2-phenyl-, hydrazone (9CI) (CA INDEX NAME)

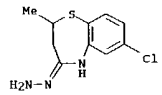


RN 149492-96-6 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 8-chloro-2,3-dihydro-2-phenyl-, hydrazone (9CI) (CA INDEX NAME)

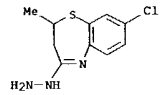


RN 149492-97-7 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2-(2-chlorophenyl)-2,3-dihydro-, hydrazone (9CI) (CA INDEX NAME)

L60 ANSWER 75 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 149493-17-4 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 8-chloro-2,3-dihydro-2-methyl-, hydrazone (9CI) (CA INDEX NAME)



L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1995:518574 CAPLUS
DOCUMENT NUMBER:	122:265408
TITLE:	Preparation of hypolipidemic condensed 1,4-thiazepines
INVENTOR(S):	Brieaddy, Lawrence Edward; Hodgson, Gordon Lewis, Jr.
PATENT ASSIGNEE(S):	Wellcome Foundation Ltd., UK
SOURCE:	PCT Int. Appl., 89 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

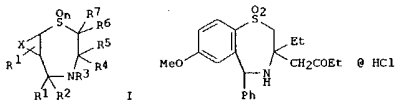
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9418183	A1	19940818	WO 1994-GB299	19940215
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9401000	A	19950815	ZA 1994-1000	19940214
CA 2156184	AA	19940818	CA 1994-2156184	19940215
AU 9460077	A1	19940829	AU 1994-60077	19940215
EP 683773	A1	19951129	EP 1994-906323	19940215
EP 683773	B1	19970917		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 71613	A2	19960129	HU 1995-1808	19940215
JP 08507049	T2	19960730	JP 1994-517844	19940215
AT 158283	E	19971015	AT 1994-906323	19940215
ES 2109672	T3	19980116	ES 1994-906323	19940215
US 5817652	A	19981006	US 1995-505232	19950815

PRIORITY APPLN. INFO.:		GB 1993-3014	A 19930215
		GB 1993-15154	A 19930722
		WO 1994-GB299	W 19940215

OTHER SOURCE(S): MARPAT 122:265408

GI



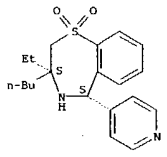
I II

AB Title compds. I (l = 0-4; n = 0-2; R = halo, NC, O2N, alkyl, alkoxy, aryl, heteroaryl, aryloxy, acylalkoxy, etc.; R1 = H, C1-6 alkyl; R2 = 1-6 alkyl, -cycloalkyl, -cycloalkylalkyl, heterocyclyl, Ph, naphthyl, which groups are optionally substituted; R3 = H, HO, C1-6 alkyl, C1-6 alkoxy, C1-6 acyloxy; R4 = C1-6alkyl (including cycloalkyl and cycloalkylalkyl), C2-6 alkenyl, C2-6 alkynyl which groups are optionally substituted; R5 = C2-6 alkyl (including cycloalkyl and cycloalkylalkyl), C2-6 alkenyl, C2-6

L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

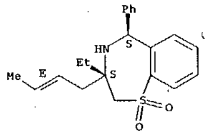
RN 152802-57-8 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(4-pyridinyl)-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



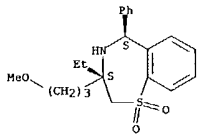
RN 162631-80-3 CAPLUS
CN 1,4-Benzothiazepine, 3-(2-butenyl)-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, [3α(E),5β]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



RN 162631-81-4 CAPLUS
CN 1,4-Benzothiazepine, 3-ethyl-2,3,4,5-tetrahydro-3-(3-methoxypropyl)-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 162631-82-5 CAPLUS
CN 2-Butanone, 1-(3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,4-

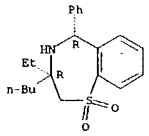
L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

alkynyl, which groups are optionally substituted; R4R5 together with the C to which they are attached, form a C3-7 spirocycloalkyl which is optionally substituted; R6, R7 = H, C1-6alkyl; X = C5-10 arom. or non-arom. monocyclyl or bicyclyl (including the two C forming part of the thiazepine ring) wherein optionally one or more of the C's is/are replaced by heteroatom(s) N, O, S) and salts thereof, are prepd. 2-Aminobutyric acid in EtOH and SOCl2 were reacted to give Et 2-aminobutyrate-HCl which in 8 steps was converted to (±)-3-butyl-3-ethyl-5-phenyl-2,3-dihydrobenzothiazepine which was treated with diborane and HCl to give (±)-trans-3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl1,4-benzothiazepine, which was treated with aq. H2O2 to give (±)-trans I (R1 = R3 = R6 = R7 = H, R2 = Ph, R4 = Et, R5 = Bu, XR1 completes a Ph ring, n = 2). Hypolipidemic activity was measured by in vitro inhibition of bile acid uptake in which a similar prepd. title compd. II, showed 100% inhibition at 3 μM. Pharmaceutical formulations comprising I are given.

IT **152802-07-8P 152802-57-8P 162631-80-3P**
162631-81-4P 162631-82-5P 162631-83-6P
162631-84-7P 162631-85-8P 162631-86-9P
162631-87-0P 162631-88-1P 162631-91-6P
162631-92-7P 162631-96-1P 162631-98-3P
162631-99-4P 162632-00-0P 162632-01-1P
162632-05-5P 162632-06-6P 162632-07-7P
162632-09-9P 162632-10-2P 162632-11-3P
162632-12-4P 162632-13-5P 162632-14-6P
162632-15-7P 162632-16-8P 162632-17-9P
162632-18-0P 162632-19-1P 162632-21-5P
162632-24-8P 162632-26-0P 162632-27-1P
162632-28-2P 162632-29-3P 162632-30-6P
162632-31-7P 162632-32-8P 162632-33-9P
162632-34-0P 162632-35-1P 162632-36-2P
162632-37-3P 162632-38-4P 162632-39-5P
162632-40-8P 162632-41-9P 162632-42-0P
162632-67-9P 162808-51-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hypolipidemic condensed 1,4-thiazepines)

RN 152802-07-8 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3R,5R)- (9CI) (CA INDEX NAME)

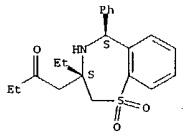
Absolute stereochemistry.



L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

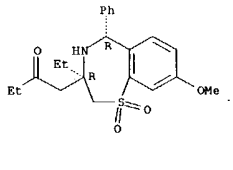
benzothiazepin-3-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 162631-83-6 CAPLUS
CN 2-Butanone, 1-(3-ethyl-2,3,4,5-tetrahydro-8-methoxy-1,1-dioxido-5-phenyl-1,4-benzothiazepin-3-yl)-, hydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

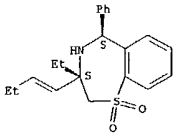


● HCl

RN 162631-84-7 CAPLUS
CN 1,4-Benzothiazepine, 3-(1-butenyl)-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, hydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

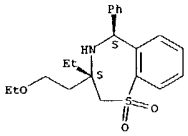
L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

RN 162631-85-8 CAPLUS
CN 1,4-Benzothiazepine, 3-(2-ethoxyethyl)-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, hydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



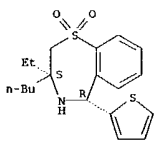
● HCl

RN 162631-86-9 CAPLUS
CN 1,4-Benzothiazepine, 3-(ethoxymethyl)-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, hydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

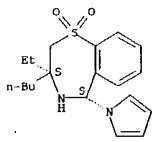
L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(2-thienyl)-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



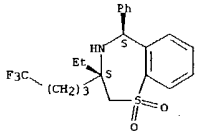
RN 162631-92-7 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(1H-pyrrol-1-yl)-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 162631-96-1 CAPLUS
CN 1,4-Benzothiazepine, 3-ethyl-2,3,4,5-tetrahydro-5-phenyl-3-(4,4,4-trifluorobutyl)-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

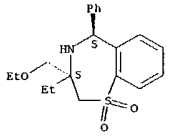
Relative stereochemistry.



RN 162631-98-3 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-methyl-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

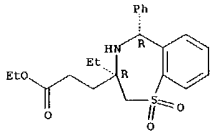
L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

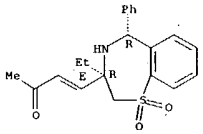
RN 162631-87-0 CAPLUS
CN 1,4-Benzothiazepine-3-propanoic acid, 3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, ethyl ester, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



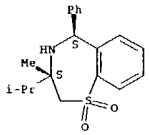
RN 162631-88-1 CAPLUS
CN 3-Buten-2-one, 4-(3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,4-benzothiazepin-3-yl)-, [3α(E),5β]- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



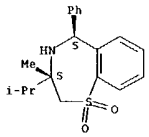
RN 162631-91-6 CAPLUS

L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 162631-99-4 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-methyl-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, hydrochloride, trans- (9CI) (CA INDEX NAME)

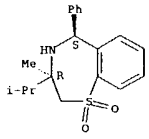
Relative stereochemistry.



● HCl

RN 162632-00-0 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-methyl-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, hydrochloride, cis- (9CI) (CA INDEX NAME)

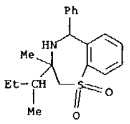
Relative stereochemistry.



● HCl

RN 162632-01-1 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-methyl-3-(1-methylpropyl)-5-phenyl-, 1,1-dioxide, hydrochloride (9CI) (CA INDEX NAME)

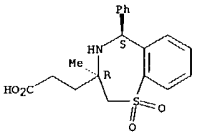
L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

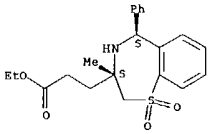
RN 162632-05-5 CAPLUS
CN 1,4-Benzothiazepine-3-propanoic acid, 2,3,4,5-tetrahydro-3-methyl-5-phenyl-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 162632-06-6 CAPLUS
CN 1,4-Benzothiazepine-3-propanoic acid, 2,3,4,5-tetrahydro-3-methyl-5-phenyl-, ethyl ester, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

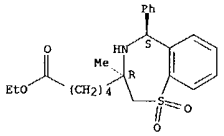
Relative stereochemistry.



RN 162632-07-7 CAPLUS
CN 1,4-Benzothiazepine-3-pentanoic acid, 2,3,4,5-tetrahydro-3-methyl-5-phenyl-

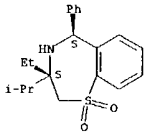
L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
, ethyl ester, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



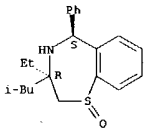
RN 162632-09-9 CAPLUS
CN 1,4-Benzothiazepine, 3-ethyl-2,3,4,5-tetrahydro-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



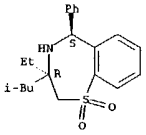
RN 162632-10-2 CAPLUS
CN 1,4-Benzothiazepine, 3-ethyl-2,3,4,5-tetrahydro-3-(2-methylpropyl)-5-phenyl-, 1-oxide, (3α,5α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



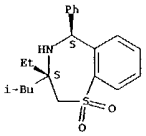
RN 162632-11-3 CAPLUS
CN 1,4-Benzothiazepine, 3-ethyl-2,3,4,5-tetrahydro-3-(2-methylpropyl)-5-phenyl-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Relative stereochemistry.



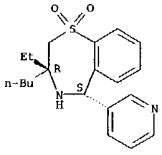
RN 162632-12-4 CAPLUS
CN 1,4-Benzothiazepine, 3-ethyl-2,3,4,5-tetrahydro-3-(2-methylpropyl)-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 162632-13-5 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(3-pyridinyl)-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

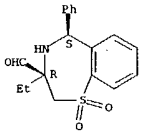
Relative stereochemistry.



RN 162632-14-6 CAPLUS
CN 1,4-Benzothiazepine-3-carboxaldehyde, 3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

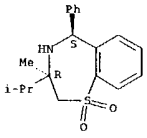
Relative stereochemistry.

L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



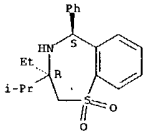
RN 162632-15-7 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-methyl-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 162632-16-8 CAPLUS
CN 1,4-Benzothiazepine, 3-ethyl-2,3,4,5-tetrahydro-3-(1-methylethyl)-5-phenyl-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

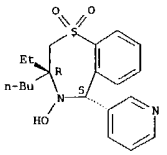
Relative stereochemistry.



RN 162632-17-9 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-5-(3-pyridinyl)-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

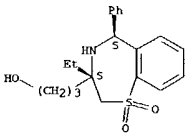
Relative stereochemistry.

L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



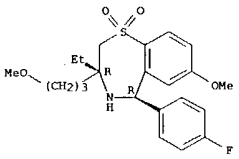
RN 162632-18-0 CAPLUS
CN 1,4-Benzothiazepine-3-propanol, 3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



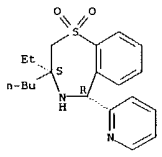
RN 162632-19-1 CAPLUS
CN 1,4-Benzothiazepine, 3-ethyl-5-(4-fluorophenyl)-2,3,4,5-tetrahydro-7-methoxy-3-(3-methoxypropyl)-, 1,1-dioxide, hydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



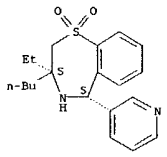
● HCl

L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



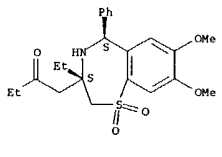
RN 162632-27-1 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(3-pyridinyl)-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 162632-28-2 CAPLUS
CN 2-Butanone, 1-(3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-1,1-dioxido-5-phenyl-1,4-benzothiazepin-3-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 162632-29-3 CAPLUS
CN 1,4-Benzothiazepine, 3-ethyl-2,3,4,5-tetrahydro-5-phenyl-3-(4,4,4-trifluorobutyl)-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

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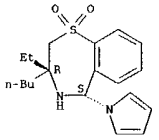
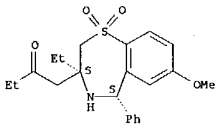
RN 162632-21-5 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(1H-pyrrol-1-yl)-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 162632-24-8 CAPLUS
CN 2-Butanone, 1-(3-ethyl-2,3,4,5-tetrahydro-7-methoxy-1,1-dioxido-5-phenyl-1,4-benzothiazepin-3-yl)-, hydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

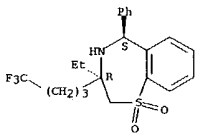


● HCl

RN 162632-26-0 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(2-pyridinyl)-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

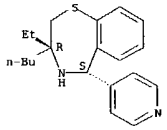
Relative stereochemistry.

L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



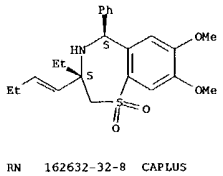
RN 162632-30-6 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(4-pyridinyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 162632-31-7 CAPLUS
CN 1,4-Benzothiazepine, 3-(1-butenyl)-3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

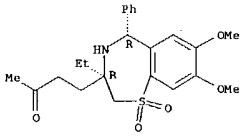
Relative stereochemistry.
Double bond geometry unknown.



RN 162632-32-8 CAPLUS
CN 2-Butanone, 4-(3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-1,1-dioxido-5-phenyl-1,4-benzothiazepin-3-yl)-, trans- (9CI) (CA INDEX NAME)

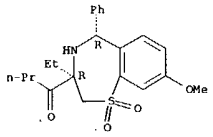
Relative stereochemistry.

L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



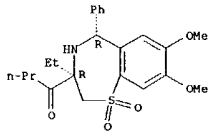
RN 162632-33-9 CAPLUS
CN 1-Butanone, 1-(3-ethyl-2,3,4,5-tetrahydro-8-methoxy-1,1-dioxido-5-phenyl-1,4-benzothiazepin-3-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 162632-34-0 CAPLUS
CN 1-Butanone, 1-(3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-1,1-dioxido-5-phenyl-1,4-benzothiazepin-3-yl)-, trans- (9CI) (CA INDEX NAME)

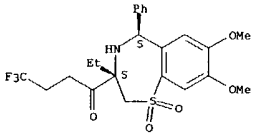
Relative stereochemistry.



RN 162632-35-1 CAPLUS
CN 1-Butanone, 1-(3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-1,1-dioxido-5-phenyl-1,4-benzothiazepin-3-yl)-4,4,4-trifluoro-, trans- (9CI) (CA INDEX NAME)

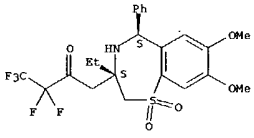
Relative stereochemistry.

L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



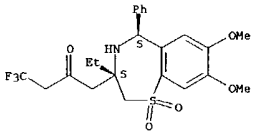
RN 162632-36-2 CAPLUS
CN 2-Butanone, 1-(3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-1,1-dioxido-5-phenyl-1,4-benzothiazepin-3-yl)-3,3,4,4,4-pentafluoro-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



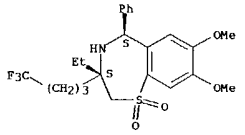
RN 162632-37-3 CAPLUS
CN 2-Butanone, 1-(3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-1,1-dioxido-5-phenyl-1,4-benzothiazepin-3-yl)-4,4,4-trifluoro-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



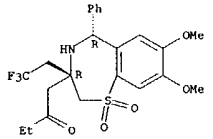
RN 162632-38-4 CAPLUS
CN 1,4-Benzothiazepine, 3-ethyl-2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-3-(4,4,4-trifluorobutyl)-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Relative stereochemistry.



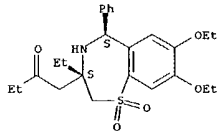
RN 162632-39-5 CAPLUS
CN 2-Butanone, 1-[2,3,4,5-tetrahydro-7,8-dimethoxy-1,1-dioxido-5-phenyl-3-(2,2,2-trifluoroethyl)-1,4-benzothiazepin-3-yl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 162632-40-8 CAPLUS
CN 2-Butanone, 1-(7,8-diethoxy-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-5-phenyl-1,4-benzothiazepin-3-yl)-, trans- (9CI) (CA INDEX NAME)

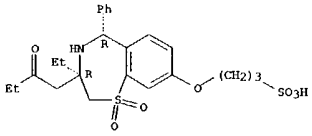
Relative stereochemistry.



RN 162632-41-9 CAPLUS
CN 1-Propanesulfonic acid, 3-[[3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-3-(2-oxobutyl)-5-phenyl-1,4-benzothiazepin-8-yl]oxy]-, trans- (9CI) (CA INDEX NAME)

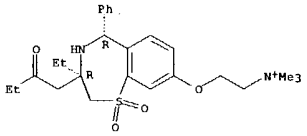
Relative stereochemistry.

L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 162632-42-0 CAPLUS
CN Ethanaminium, 2-[[3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-3-(2-oxobutyl)-5-phenyl-1,4-benzothiazepin-8-yl]oxy]-N,N,N-trimethyl-, iodide, trans- (9CI) (CA INDEX NAME)

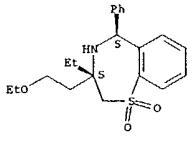
Relative stereochemistry.



● I⁻

RN 162632-67-9 CAPLUS
CN 1,4-Benzothiazepine, 3-(2-ethoxyethyl)-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

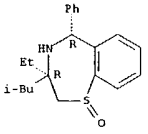
Relative stereochemistry.



RN 162808-51-7 CAPLUS
CN 1,4-Benzothiazepine, 3-ethyl-2,3,4,5-tetrahydro-3-(2-methylpropyl)-5-phenyl-, 1-oxide, (3α,5β)- (9CI) (CA INDEX NAME)

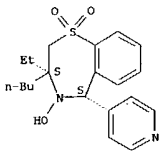
Relative stereochemistry.

L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **162631-90-5P**
RL: BYP (Byproduct); PREP (Preparation)
(preparation of hypolipidemic condensed 1,4-thiazepines)
RN 162631-90-5 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-4-hydroxy-5-(4-pyridinyl)-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

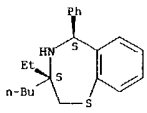
Relative stereochemistry.



IT **152802-69-2P 152884-86-1P 162632-08-8P**
162632-48-6P 162632-49-7P 162632-50-0P
162632-51-1P 162632-56-6P 162632-57-7P
162632-58-8P 162632-65-7P 162632-66-8P
162632-68-0P 162632-69-1P 162632-75-9P
162632-76-0P 162632-82-8P 162632-84-0P
162632-86-2P 162632-87-3P 162632-88-4P
162632-89-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of hypolipidemic condensed 1,4-thiazepines)
RN 152802-69-2 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, trans- (9CI) (CA INDEX NAME)

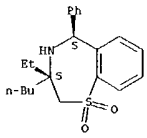
Relative stereochemistry.

L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



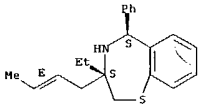
RN 152884-86-1 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 162632-08-8 CAPLUS
CN 1,4-Benzothiazepine, 3-(2-butenyl)-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, [3α(E),5β]- (9CI) (CA INDEX NAME)

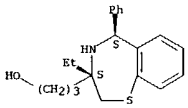
Relative stereochemistry.
Double bond geometry as shown.



RN 162632-48-6 CAPLUS
CN 1,4-Benzothiazepine-3-propanol, 3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, trans- (9CI) (CA INDEX NAME)

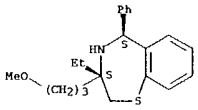
Relative stereochemistry.

L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

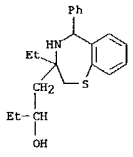


RN 162632-49-7 CAPLUS
CN 1,4-Benzothiazepine, 3-ethyl-2,3,4,5-tetrahydro-3-(3-methoxypropyl)-5-phenyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



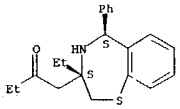
RN 162632-50-0 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, α,3-diethyl-2,3,4,5-tetrahydro-5-phenyl- (9CI) (CA INDEX NAME)



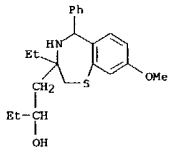
RN 162632-51-1 CAPLUS
CN 2-Butanone, 1-(3-ethyl-2,3,4,5-tetrahydro-5-phenyl-1,4-benzothiazepin-3-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

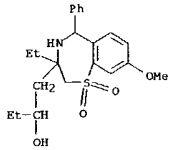
L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 162632-56-6 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, α,3-diethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl- (9CI) (CA INDEX NAME)

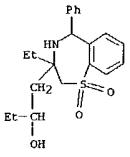


RN 162632-57-7 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, α,3-diethyl-2,3,4,5-tetrahydro-8-methoxy-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



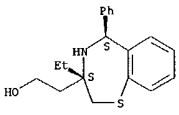
RN 162632-58-8 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, α,3-diethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



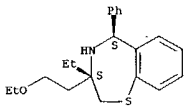
RN 162632-65-7 CAPLUS
CN 1,4-Benzothiazepine-3-ethanol, 3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 162632-66-8 CAPLUS
CN 1,4-Benzothiazepine, 3-(2-ethoxyethyl)-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, trans- (9CI) (CA INDEX NAME)

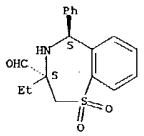
Relative stereochemistry.



RN 162632-68-0 CAPLUS
CN 1,4-Benzothiazepine-3-methanol, 3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, trans- (9CI) (CA INDEX NAME)

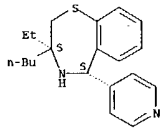
Relative stereochemistry.

L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

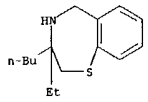


RN 162632-82-8 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(4-pyridinyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

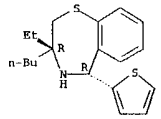


RN 162632-84-0 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)

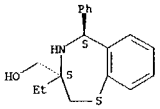


RN 162632-86-2 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(2-thienyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

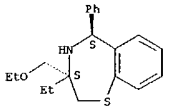


L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



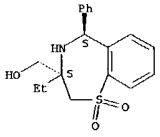
RN 162632-69-1 CAPLUS
CN 1,4-Benzothiazepine, 3-(ethoxymethyl)-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 162632-75-9 CAPLUS
CN 1,4-Benzothiazepine-3-methanol, 3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



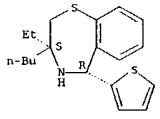
RN 162632-76-0 CAPLUS
CN 1,4-Benzothiazepine-3-carboxaldehyde, 3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L60 ANSWER 76 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

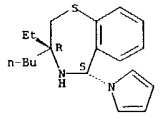
RN 162632-87-3 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(2-thienyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



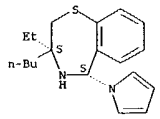
RN 162632-88-4 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(1H-pyrrol-1-yl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

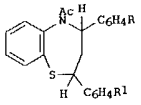


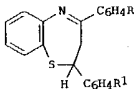
RN 162632-89-5 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(1H-pyrrol-1-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L60 ANSWER 77 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:455833 CAPLUS
DOCUMENT NUMBER: 123:143856
TITLE: A novel approach to tetrahydrobenzothiazepines from chalcones using o-aminothiophenol
AUTHOR(S): Khanna, Mahavir S.; Kumar, Dalip; Garg, Chandra P.; Kapoor, Ram P.
CORPORATE SOURCE: Dep. Chem., Kurukshetra Univ., Kurukshetra, 132 119, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1995), 34B(4), 333-5
CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: Publications & Information Directorate, CSIR
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:143856
GI

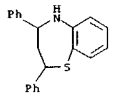

I


II

AB Reaction of chalcones RC6H4COCH:CHC6H4R1 (R = H, Me; R1 = H, Cl, OMe) and o-aminothiophenol gave tetrahydrobenzothiazepines I. The reaction proceeded via intermediacy of benzothiazepines II. O-aminothiophenol catalyzed the reduction of II to I.

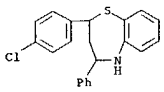
IT **78031-25-1P 166273-69-4P 166273-70-7P**
166273-71-8P 166273-72-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tetrahydrobenzothiazepines from chalcones and aminothiophenol)

RN 78031-25-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2,4-diphenyl- (9CI) (CA INDEX NAME)

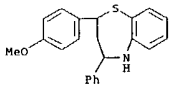


RN 166273-69-4 CAPLUS
CN 1,5-Benzothiazepine, 2-(4-chlorophenyl)-2,3,4,5-tetrahydro-4-phenyl- (9CI)

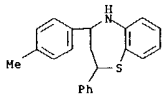
(CA INDEX NAME)



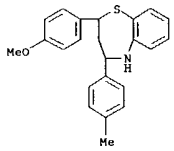
RN 166273-70-7 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-(4-methoxyphenyl)-4-phenyl- (9CI) (CA INDEX NAME)



RN 166273-71-8 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-(4-methylphenyl)-2-phenyl- (9CI) (CA INDEX NAME)



RN 166273-72-9 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-(4-methoxyphenyl)-4-(4-methylphenyl)- (9CI) (CA INDEX NAME)



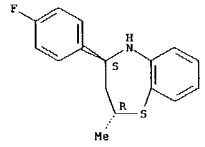
L60 ANSWER 78 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:419757 CAPLUS
DOCUMENT NUMBER: 122:239087
TITLE: 19F NMR studies of 2,3-dihydro-1,5-benzodiazepines and 2,3-dihydro-1,5-benzothiazepines
AUTHOR(S): Lu, Yingchao; Lu, Mujian; Jin, Sheng; Xing, Qiyi
CORPORATE SOURCE: Department Chemistry, Peking University, Beijing, 100871, Peop. Rep. China
SOURCE: Beijing Daxue Xuebao, Ziran Kexueban (1994), 30(6), 659-64
CODEN: PCTHAP; ISSN: 0479-8023
PUBLISHER: Beijing Daxue Chubanshe
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

AB The 19F NMR spectra of 2-methyl-4-(p-fluorophenyl)-2,3-dihydro-1,5-benzodiazepine, 2-methyl-4-(p-fluorophenyl)-2,3-dihydro-1,5-benzothiazepine, and related compds. are reported. The changes of their δ 19F are quite obvious and show linear correlation with their corresponding δ 13C. The results show that there is a certain conjugation between the 4-Ph and C:N of 1,5-dihydrobenzodiazepines and 1,5-dihydrobenzothiazepines. This is supported by the UV and IR spectra data. In the course of experiment, no coupling between 19F and 1H on the benzo ring is observed from the 19F NMR spectra.

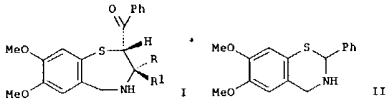
IT **105555-74-6**
RL: PRP (Properties)
(19F NMR of dihydrobenzodiazepines and dihydrobenzothiazepines)

RN 105555-74-6 CAPLUS
CN 1,5-Benzothiazepine, 4-(4-fluorophenyl)-2,3,4,5-tetrahydro-2-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L60 ANSWER 79 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:356652 CAPLUS
DOCUMENT NUMBER: 122:214047
TITLE: New convenient synthesis of 1,4-benzothiazepines
AUTHOR(S): Fodor, Lajos; Szabo, Janos; Bernath, Gabor; Sohar, Pal
CORPORATE SOURCE: Central Laboratory, County Hospital, Gyula, H-5701, Hung.
SOURCE: Tetrahedron Letters (1995), 36(5), 753-6
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:214047
GI



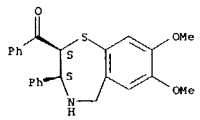
I II

AB New 1,4-benzothiazepine diastereomers I (R = Ph, R1 = H; R = H, R1 = Ph) were prepared by ring expansion of the 1,3-benzothiazine derivative II and from 2-benzoylmethylthio-4,5-dimethoxybenzylamine hydrochloride with benzaldehyde.

IT **161989-11-3P 161989-12-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of benzothiazepines)

RN 161989-11-3 CAPLUS
CN Methanone, phenyl(2,3,4,5-tetrahydro-7,8-dimethoxy-3-phenyl-1,4-benzothiazepin-2-yl)-, cis- (9CI) (CA INDEX NAME)

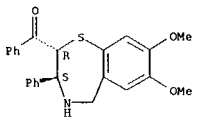
Relative stereochemistry.



RN 161989-12-4 CAPLUS
CN Methanone, phenyl(2,3,4,5-tetrahydro-7,8-dimethoxy-3-phenyl-1,4-benzothiazepin-2-yl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

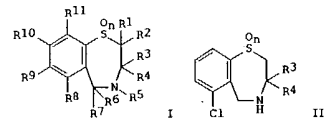
L60 ANSWER 79 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L60 ANSWER 80 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:534167 CAPLUS
DOCUMENT NUMBER: 121:134167
TITLE: Preparation of 1,4-benzothiazepines as neurological agents
INVENTOR(S): Housley, John Rosindale; Jeffery, James Edward; Nichol, Kenneth John; Sargent, Bruce Jeremy
PATENT ASSIGNEE(S): Boots Co. PLC, UK
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9411360	A1	19940526	WO 1993-EP3123	19931106
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2148584	AA	19940526	CA 1993-2148584	19931106
AU 9454644	A1	19940608	AU 1994-54644	19931106
AU 680639	B2	19970807		
EP 667866	A1	19950823	EP 1994-900113	19931106
EP 667866	B1	19980311		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 71819	A2	19960228	HU 1995-1352	19931106
JP 08503202	T2	19960409	JP 1993-511695	19931106
AT 163927	E	19980315	AT 1994-900113	19931106
ES 2113081	T3	19980416	ES 1994-900113	19931106
RU 2115650	C1	19980720	RU 1995-112484	19931106
BR 9307387	A	19990831	BR 1993-7387	19931106
SK 280522	B6	20000313	SK 1995-588	19931106
PL 179401	B1	20000831	PL 1993-308757	19931106
CZ 288595	B6	20010711	CZ 1995-1176	19931106
US 5580866	A	19961203	US 1995-424464	19950503
FI 9502204	A	19950508	FI 1995-2204	19950508
NO 9501797	A	19950524	NO 1995-1797	19950508
PRIORITY APPLN. INFO.:			GB 1992-23441 A	19921109
			GB 1992-23443 A	19921109
			WO 1993-EP3123 W	19931106

OTHER SOURCE(S): MARPAT 121:134167
GI



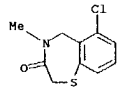
I II

AB Title compds.[I; R1,R2,R6,R7 = H, (halo)alkyl; R3,R4 = H, alkyl; R3R4 =

L60 ANSWER 80 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
NR12; R5 = H, alkyl, alkanoyl, Bz, etc.; R8-R11 = H, halo, cyano, alkyl, alkoxy, etc.; R12 = H, OH, alkyl, Ph, aloxy; n = 0-2] were prepd. Thus, benzothiazepinone II (R3R4 = O, n = 0) was treated with LAH to give II (R3 = R4 = H)(III; n = 0) which was treated with NaIO3 to give III (n = 1). The latter had ED50 of 2.7mg/kg orally against (+)-bicuculline-induced seizures in mice.

IT **157100-69-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of neurol. agent)

RN 157100-69-1 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 6-chloro-4,5-dihydro-4-methyl- (9CI) (CA INDEX NAME)



IT **157100-34-0P 157100-35-1P 157100-36-2P**
157100-37-3P 157100-38-4P 157100-39-5P
157100-44-2P 157100-46-4P 157100-51-1P
157100-52-2P 157100-53-3P 157100-54-4P
157100-55-5P 157100-56-6P 157100-57-7P
157100-58-8P 157100-59-9P 157100-60-2P
157100-61-3P 157100-62-4P 157100-63-5P
157100-64-6P 157100-65-7P 157100-66-8P
157100-67-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as neurol. agent)

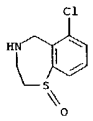
RN 157100-34-0 CAPLUS
CN 1,4-Benzothiazepine, 6-chloro-2,3,4,5-tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 157100-35-1 CAPLUS
CN 1,4-Benzothiazepine, 6-chloro-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)

L60 ANSWER 80 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 157100-36-2 CAPLUS
CN 1,4-Benzothiazepine, 6-chloro-2,3,4,5-tetrahydro-, 1-oxide (9CI) (CA INDEX NAME)



RN 157100-37-3 CAPLUS
CN 1,4-Benzothiazepine, 6-fluoro-2,3,4,5-tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)

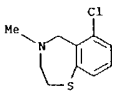
● HCl

RN 157100-38-4 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-6-methyl-, hydrochloride (9CI) (CA INDEX NAME)

L60 ANSWER 80 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

● HCl

RN 157100-39-5 CAPLUS
CN 1,4-Benzothiazepine, 6-chloro-2,3,4,5-tetrahydro-4-methyl-, hydrochloride (9CI) (CA INDEX NAME)



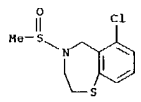
● HCl

RN 157100-44-2 CAPLUS
CN 1,4-Benzothiazepine, 6-fluoro-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)

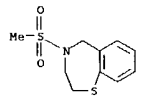
RN 157100-46-4 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-6-methyl- (9CI) (CA INDEX NAME)

RN 157100-51-1 CAPLUS

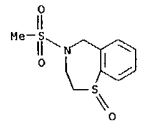
L60 ANSWER 80 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1,4-Benzothiazepine, 6-chloro-2,3,4,5-tetrahydro-4-(methylsulfinyl)- (9CI) (CA INDEX NAME)



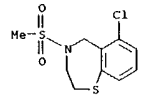
RN 157100-52-2 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RN 157100-53-3 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-4-(methylsulfonyl)-, 1-oxide (9CI) (CA INDEX NAME)

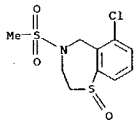


RN 157100-54-4 CAPLUS
CN 1,4-Benzothiazepine, 6-chloro-2,3,4,5-tetrahydro-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

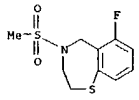


RN 157100-55-5 CAPLUS
CN 1,4-Benzothiazepine, 6-chloro-2,3,4,5-tetrahydro-4-(methylsulfonyl)-,

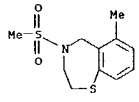
L60 ANSWER 80 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
1-oxide (9CI) (CA INDEX NAME)



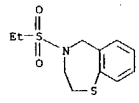
RN 157100-56-6 CAPLUS
CN 1,4-Benzothiazepine, 6-fluoro-2,3,4,5-tetrahydro-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RN 157100-57-7 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-6-methyl-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

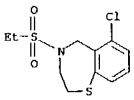


RN 157100-58-8 CAPLUS
CN 1,4-Benzothiazepine, 4-(ethylsulfonyl)-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)

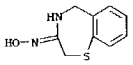


RN 157100-59-9 CAPLUS
CN 1,4-Benzothiazepine, 6-chloro-4-(ethylsulfonyl)-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)

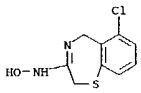
L60 ANSWER 80 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



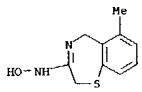
RN 157100-60-2 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-, oxime (9CI) (CA INDEX NAME)



RN 157100-61-3 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 6-chloro-4,5-dihydro-, oxime (9CI) (CA INDEX NAME)



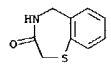
RN 157100-62-4 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-6-methyl-, oxime (9CI) (CA INDEX NAME)



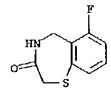
RN 157100-63-5 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-, O-methyloxime (9CI) (CA INDEX NAME)

L60 ANSWER 80 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

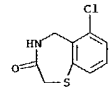
IT **103693-32-9 147027-46-1 147027-52-9,**
6-Chloro-4,5-dihydro-1,4-benzothiazepin-3(2H)-one **147027-54-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of neurol. agent)
RN 103693-32-9 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro- (9CI) (CA INDEX NAME)



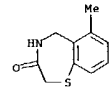
RN 147027-46-1 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 6-fluoro-4,5-dihydro- (9CI) (CA INDEX NAME)



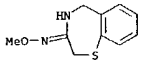
RN 147027-52-9 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 6-chloro-4,5-dihydro- (9CI) (CA INDEX NAME)



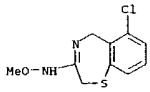
RN 147027-54-1 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-6-methyl- (9CI) (CA INDEX NAME)



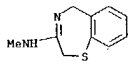
L60 ANSWER 80 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



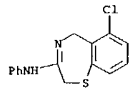
RN 157100-64-6 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 6-chloro-4,5-dihydro-, O-methyloxime (9CI) (CA INDEX NAME)



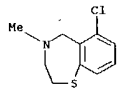
RN 157100-65-7 CAPLUS
CN 1,4-Benzothiazepin-3-amine, 2,5-dihydro-N-methyl- (9CI) (CA INDEX NAME)



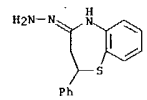
RN 157100-66-8 CAPLUS
CN 1,4-Benzothiazepin-3-amine, 6-chloro-2,5-dihydro-N-phenyl- (9CI) (CA INDEX NAME)



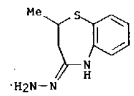
RN 157100-67-9 CAPLUS
CN 1,4-Benzothiazepine, 6-chloro-2,3,4,5-tetrahydro-4-methyl- (9CI) (CA INDEX NAME)



L60 ANSWER 81 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:533991 CAPLUS
DOCUMENT NUMBER: 121:133991
TITLE: Studies on annelated 1,4-benzothiazines and 1,5-benzothiazepines. VIII. Synthesis and inhibition of benzodiazepine receptor binding of some derivatives of triazino[3,4-c]-1,4-benzothiazine and triazino[3,4-d]-1,5-benzothiazepine, two new heterocyclic ring systems
AUTHOR(S): Perioli, Luana; Ambrogi, Valeria; Grandolini, Giulliano; Giusti, Laura; Lucacchini, Antonio; Martini, Claudia
CORPORATE SOURCE: Ist. Chim. Farm. Tec. Farm., Univ. Perugia, Perugia, 06123, Italy
SOURCE: Farmaco (1994), 49(4), 245-51
CODEN: FRMCE8; ISSN: 0014-827X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Several series of triazino[3,4-c]-1,4-benzothiazines and triazino[3,4-d]-1,5-benzothiazepines were synthesized. Tentative syntheses performed to obtain 5H-as-triazino[3,4-c]-1,4-benzothiazin-1,2-diones and 5,6-dihydro-as-triazino[3,4-d]-1,5-benzothiazepin-1,2-diones gave rise to s-triazolo derivs. Only in one case was the reaction successful, affording 3,5-dihydro-as-triazino[3,4-c]-1,4-benzothiazin-1,2-dione. All the final compds. were tested for their ability to displace [3H]flunitrazepam from bovine brain membranes.
IT **129118-59-8 149492-94-4 149492-95-5**
149493-14-1 149493-15-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactions of)
RN 129118-59-8 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2-phenyl-, hydrazone (9CI) (CA INDEX NAME)

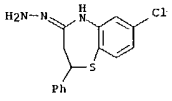


RN 149492-94-4 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2-methyl-, hydrazone (9CI) (CA INDEX NAME)

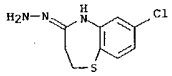


RN 149492-95-5 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 7-chloro-2,3-dihydro-2-phenyl-, hydrazone

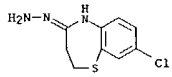
L60 ANSWER 81 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(9CI) (CA INDEX NAME)



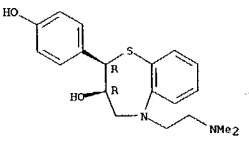
RN 149493-14-1 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 7-chloro-2,3-dihydro-, hydrazone (9CI) (CA INDEX NAME)



RN 149493-15-2 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 8-chloro-2,3-dihydro-, hydrazone (9CI) (CA INDEX NAME)

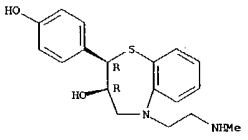


L60 ANSWER 82 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 155238-47-4 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 2,3,4,5-tetrahydro-2-(4-hydroxyphenyl)-5-[2-(methylamino)ethyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L60 ANSWER 82 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:315450 CAPLUS
DOCUMENT NUMBER: 120:315450
TITLE: Erythrocyte adenosine transport a rapid screening test for cardiovascular drugs
AUTHOR(S): Yeung, Pollen K. F.; Mosher, Susan J.; Li, Rongshi; Facmer, Patrick S.; Klassen, Gerald A.; Pollak, P. Timothy; McMullen, Mark; Ferrier, Greg
CORPORATE SOURCE: Coll. Pharm., Dalhousie Univ., Halifax, NS, Can.
SOURCE: Journal of Pharmacological and Toxicological Methods (1993), 30(3), 163-7
CODEN: JPTMEZ; ISSN: 1056-8719
DOCUMENT TYPE: Journal
LANGUAGE: English

AB An erythrocyte (RBC) model based on whole blood was used to investigate the effect of cardiovascular drugs on the uptake of adenosine in vitro. Fresh whole blood obtained from healthy volunteers was allowed to equilibrate with various concns. (5-1000 μM) of a tested agent. (2-3H)-Adenosine was used as a substrate, and the reaction was terminated after 2 s of incubation at room temperature by rapid addition of a "Stopping Solution" which was a mixture of erythro-9-(2-hydroxy-3-nonyl)adenine, dipyridamole, and EDTA. The mixture was centrifuged (1760 g, 4°C, 10 min), and the radioactivity of an aliquot of the supernatant was determined by a scintillation counter. The results showed that dipyridamole was the most potent agent tested (IC50 = 0.2 μM). Amongst the calcium antagonists studied, isradipine was most potent, followed by verapamil, clentiazem, diltiazem, and then nifedipine. The racemates of two metabolites of diltiazem, Mx and MB, were more potent than the parent drug. The antiarrhythmic agents, amiodarone and sotalol, the two new lipid peroxidn. inhibitors, U-74389F and U-78517F, and the anxiolytic agent, alprazolam, were as active as verapamil. The β-receptor antagonist propranolol and the angiotensin converting enzyme (ACE) inhibitor, enalapril, were practically inactive. In addition, the model was stereoselective such that the S(-)-enantiomer of verapamil was considerably more potent than the R(+)-antipode, whereas d(+)-sotalol was practically inactive compared to racemic sotalol.

IT **155138-96-8 155238-47-4**
RL: BIOL (Biological study)
(erythrocyte adenosine transport response to, screening test for cardiovascular drugs in relation to)

RN 155138-96-8 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 5-[2-(dimethylamino)ethyl]-2,3,4,5-tetrahydro-2-(4-hydroxyphenyl)-, cis- (9CI) (CA INDEX NAME)

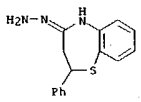
Relative stereochemistry.

L60 ANSWER 83 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:260525 CAPLUS
DOCUMENT NUMBER: 120:260525
TITLE: Studies on annelated 1,4-benzothiazines and 1,5-benzothiazepines. VI. Synthesis and preliminary pharmacological evaluation of 1-alkylaminomethyl-4,5-dihydro-s-triazolo[3,4-d]-1,5-benzothiazepines
AUTHOR(S): Ambrogi, Valeria; Grandolini, Giuliano; Perioli, Luana; Giampietri, Antonio
CORPORATE SOURCE: Ist. Chim. Farm. Tec. Farm., Univ. Perugia, Perugia, 06123, Italy
SOURCE: Farmaco (1993), 48(5), 653-64
CODEN: FRMCE8; ISSN: 0014-827X
DOCUMENT TYPE: Journal
LANGUAGE: English

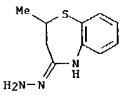
AB A series of new 1-alkylaminomethyl derivs. of 4,5-dihydro-s-triazolo[3,4-d]-1,5-benzothiazepines has been prepared using chloromethyltriazolobenzothiazepines as key intermediates. The 1-alkylaminomethyl derivs. were tested for CNS activity on mice and some of them caused a marked decrease of spontaneous motor activity.

IT **129118-59-8P 149492-94-4P 149492-95-5P**
149492-96-6P 149492-97-7P 149492-98-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with chloroacetylchloride and cyclization of)

RN 129118-59-8 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2-phenyl-, hydrazone (9CI) (CA INDEX NAME)

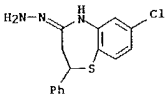


RN 149492-94-4 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2-methyl-, hydrazone (9CI) (CA INDEX NAME)

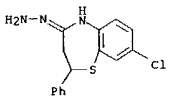


RN 149492-95-5 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 7-chloro-2,3-dihydro-2-phenyl-, hydrazone (9CI) (CA INDEX NAME)

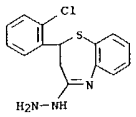
L60 ANSWER 83 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



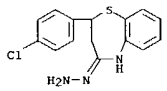
RN 149492-96-6 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 8-chloro-2,3-dihydro-2-phenyl-, hydrazone (9CI) (CA INDEX NAME)



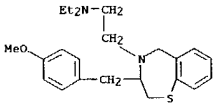
RN 149492-97-7 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2-(2-chlorophenyl)-2,3-dihydro-, hydrazone (9CI) (CA INDEX NAME)



RN 149492-98-8 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2-(4-chlorophenyl)-2,3-dihydro-, hydrazone (9CI) (CA INDEX NAME)

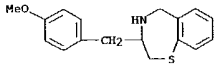


L60 ANSWER 84 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

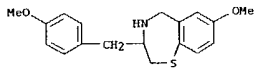


IT **153809-92-8P**, (±)-3-(4-Methoxy)benzyl-2,3,4,5-tetrahydro-1,4-benzothiazepine **153809-93-9P**, (±)-7-Methoxy-3-(4-methoxy)benzyl-2,3,4,5-tetrahydro-1,4-benzothiazepine **153809-94-0P**, (±)-3-Benzyl-2,3,4,5-tetrahydro-1,4-benzothiazepine **153809-95-1P**, (±)-3-(4-Methoxy)phenyl-2,3,4,5-tetrahydro-1,4-benzothiazepine **153923-53-6P**, (S)-3-(4-Methoxy)benzyl-2,3,4,5-tetrahydro-1,4-benzothiazepine **153923-54-7P**, (R)-3-(4-Methoxy)benzyl-2,3,4,5-tetrahydro-1,4-benzothiazepine **153923-55-8P**, (S)-3-Benzyl-2,3,4,5-tetrahydro-1,4-benzothiazepine **153923-56-9P**, (R)-3-Benzyl-2,3,4,5-tetrahydro-1,4-benzothiazepine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of)

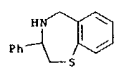
RN 153809-92-8 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 153809-93-9 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7-methoxy-3-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 153809-94-0 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-phenyl- (9CI) (CA INDEX NAME)

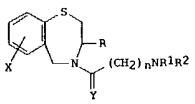


RN 153809-95-1 CAPLUS

L60 ANSWER 84 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:245182 CAPLUS
DOCUMENT NUMBER: 120:245182
TITLE: Preparation of 1,4-benzothiazepines and antiarrhythmics containing them
INVENTOR(S): Oosawa, Tateshi; Murooka, Hideko; Miwa, Atsushi
PATENT ASSIGNEE(S): Kirin Brewery, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05271208	A2	19931019	JP 1992-74606	19920330
JP 3093419	B2	20001003		
PRIORITY APPLN. INFO.:			JP 1992-74606	19920330

OTHER SOURCE(S): MARPAT 120:245182
GI



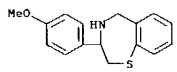
I

AB (Optically active) 1,4-benzothiazepines I [R = (OH- or C1-3 alkoxy-substituted) Ph, benzyl; R1, R2 = H, C1-5 alkyl; NR1R2 may form piperidino, piperazino; X = H, OH, C1-3 alkoxy; Y = O, 2H; n = 1, 2] are prepared Refluxing 530 mg (±)-4-bromoacetyl-3-(4-methoxy)benzyl-2,3,4,5-tetrahydro-1,4-benzothiazepine (preparation given) with K2CO3 and 290 mg diethylamine in MeCN for 2 h gave 460 mg (±)-4-diethylaminoacetyl-3-(4-methoxy)benzyl-2,3,4,5-tetrahydro-1,4-benzothiazepine, which at 1 mg/kg i.v. showed stronger antiarrhythmic activity in rats than lidocaine.

IT **153810-07-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antiarrhythmic activity of)

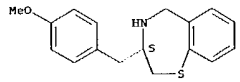
RN 153810-07-2 CAPLUS
CN 1,4-Benzothiazepine-4(5H)-ethanamine, N,N-diethyl-2,3-dihydro-3-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

L60 ANSWER 84 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



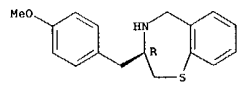
RN 153923-53-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-[(4-methoxyphenyl)methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



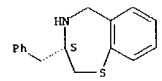
RN 153923-54-7 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-[(4-methoxyphenyl)methyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 153923-55-8 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

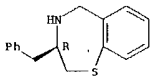
Absolute stereochemistry.



RN 153923-56-9 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-(phenylmethyl)-, (R)- (9CI) (CA INDEX NAME)

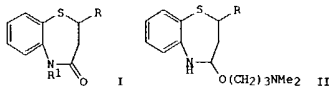
Absolute stereochemistry.

L60 ANSWER 84 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L60 ANSWER 85 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

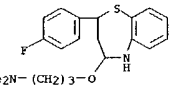
ACCESSION NUMBER: 1994:245025 CAPLUS
DOCUMENT NUMBER: 120:245025
TITLE: Synthesis of [1,5]benzothiazepine derivatives
AUTHOR(S): Letois, Bertrand; Lancelot, Jean Charles; Saturnino, Carmela; Robba, Max; De Caprariis, Paolo
CORPORATE SOURCE: CERMN, UFR Sci. Pharm. 1, Caen, 14032, Fr.
SOURCE: Journal of Heterocyclic Chemistry (1993), 30(6), 1525-7
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:245025
GI



AB Treating benzothiazepinone I (R = 3-thienyl, 4-FC6H4, 3-pyridyl; R1 = H) with Me2NCH2CH2Cl and K2CO3 in acetone gave 40-70% I (R1 = Me2NCH2CH2); treating the same initial I with NaOPr in PrOH and then with Me2N(CH2)3Cl gave instead 38-67% the O-alkylation products II.

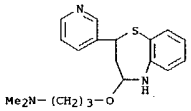
IT **154120-01-1P 154120-02-2P 154120-03-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and oxalate formation from)

RN 154120-01-1 CAPLUS
CN 1-Propanamine, 3-[[2-(4-fluorophenyl)-2,3,4,5-tetrahydro-1,5-benzothiazepin-4-yl]oxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)

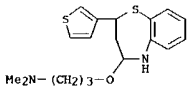


RN 154120-02-2 CAPLUS
CN 1-Propanamine, N,N-dimethyl-3-[[2,3,4,5-tetrahydro-2-(3-pyridinyl)-1,5-benzothiazepin-4-yl]oxy]- (9CI) (CA INDEX NAME)

L60 ANSWER 85 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 154120-03-3 CAPLUS
CN 1-Propanamine, N,N-dimethyl-3-[[2,3,4,5-tetrahydro-2-(3-thienyl)-1,5-benzothiazepin-4-yl]oxy]- (9CI) (CA INDEX NAME)

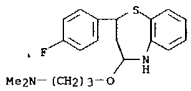


IT **154120-06-6P 154120-07-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 154120-06-6 CAPLUS
CN 1-Propanamine, 3-[[2-(4-fluorophenyl)-2,3,4,5-tetrahydro-1,5-benzothiazepin-4-yl]oxy]-N,N-dimethyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 154120-01-1
CMF C20 H25 F N2 O S



CM 2

CRN 144-62-7
CMF C2 H2 O4

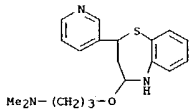
RN 154120-07-7 CAPLUS

L60 ANSWER 85 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CN 1-Propanamine, N,N-dimethyl-3-[[2,3,4,5-tetrahydro-2-(3-pyridinyl)-1,5-benzothiazepin-4-yl]oxy]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 154120-02-2
CMF C19 H25 N3 O S



CM 2

CRN 144-62-7
CMF C2 H2 O4

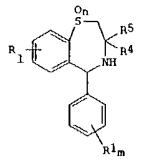
L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:164244 CAPLUS
DOCUMENT NUMBER: 120:164244
TITLE: Preparation of hypolipidemic benzothiazepine compounds
INVENTOR(S): Brieaddy, Lawrence Edward
PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9316055	A1	19930819	WO 1993-GB328	19930216
W: AU, BR, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, RU, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9335082	A1	19930903	AU 1993-35082	19930216
AU 675419	B2	19970206		
ZA 9301073	A	19940816	ZA 1993-1073	19930216
EP 626952	A1	19941207	EP 1993-904212	19930216
EP 626952	B1	19990414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 07503724	T2	19950420	JP 1993-513928	19930216
JP 2904926	B2	19990614		
HU 71487	A2	19951128	HU 1994-2365	19930216
IL 104740	A1	19960912	IL 1993-104740	19930216
AT 178897	E	19990415	AT 1993-904212	19930216
ES 2131106	T3	19990716	ES 1993-904212	19930216
FI 9403775	A	19940816	FI 1994-3775	19940816
US 5663165	A	19970902	US 1994-290805	19941205
US 5859240	A	19990112	US 1997-919980	19970828
HK 1004217	A1	20000407	HK 1998-103413	19980423

PRIORITY APPLN. INFO.: GB 1992-3347 A 19920217
WO 1993-GB328 A 19930216
US 1994-290805 A3 19941205

OTHER SOURCE(S): MARPAT 120:164244
GI



I

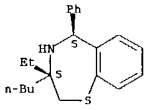
L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

AB Title compds. I [l = 0-4; m = 0-5; n = 0-2; R,R' = halo, O2N, (substituted) PhO, C1-4 alkoxy, C1-6 alkyl, R''O3S(CH2)pO wherein p = 1-4, R'' = H, C1-6 alkyl; R4 = C1-6 alkyl; R5 = C2-6 alkyl], salts, solvates and physiol. functional derivs. thereof, are prepared MeCH2CH(NH2)CO2H in EtOH was added to SOCl2 to give MeCH2CH(NH2)COEt.HCl was converted in 9 steps to (±)-trans-I (Rl = R'm = n = 0, R4 = Bu, R5 = Et) converted to the 1,1-dioxide and treated with (-)-Di-p-toluoyl-L-tartaric acid to give (-)-(R,R)-I (Rl = R'm = 0, n = 2, R4 = Bu, R5 = Et) (II). In vitro inhibition of bile acid uptake at II 10, 3, 1 and 0.3 μM was 96, 85, 69 and 55%, resp. Pharmaceutical formulations of I are given.

IT **152802-69-2P 152802-70-5P 152884-91-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of hypolipidemics)

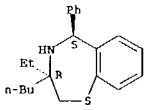
RN 152802-69-2 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 152802-70-5 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, cis- (9CI) (CA INDEX NAME)

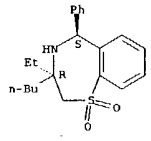
Relative stereochemistry.



RN 152884-91-8 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

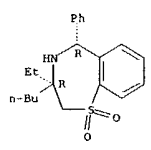
L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **152802-07-8P 152802-08-9P 152802-09-0P**
152802-10-3P 152802-11-4P 152802-12-5P
152802-13-6P 152802-14-7P 152802-15-8P
152802-16-9P 152802-17-0P 152802-18-1P
152802-19-2P 152802-20-5P 152802-21-6P
152802-22-7P 152802-23-8P 152802-24-9P
152802-25-0P 152802-26-1P 152802-27-2P
152802-29-4P 152802-30-7P 152802-31-8P
152802-32-9P 152802-33-0P 152802-34-1P
152802-35-2P 152802-36-3P 152802-37-4P
152802-38-5P 152802-39-6P 152802-40-9P
152802-41-0P 152802-42-1P 152802-43-2P
152802-44-3P 152802-45-4P 152802-46-5P
152802-47-6P 152802-48-7P 152802-49-8P
152802-50-1P 152802-51-2P 152802-52-3P
152802-53-4P 152802-54-5P 152802-55-6P
152802-56-7P 152802-57-8P 152802-58-9P
152802-59-0P 152802-60-3P 152802-61-4P
152802-62-5P 152802-63-6P 152884-85-0P
152884-86-1P 152884-87-2P 152884-88-3P
153060-69-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as hypolipidemic)

RN 152802-07-8 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3R,5R)- (9CI) (CA INDEX NAME)

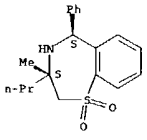
Absolute stereochemistry.



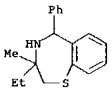
RN 152802-08-9 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-methyl-5-phenyl-3-propyl-, 1,1-dioxide, trans-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

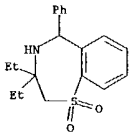


RN 152802-09-0 CAPLUS
CN 1,4-Benzothiazepine, 3-ethyl-2,3,4,5-tetrahydro-3-methyl-5-phenyl- (9CI) (CA INDEX NAME)

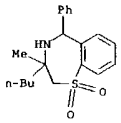


RN 152802-10-3 CAPLUS
CN 1,4-Benzothiazepine, 3,3-diethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

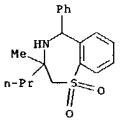


RN 152802-11-4 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-2,3,4,5-tetrahydro-3-methyl-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

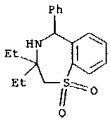


L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 152802-12-5 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-methyl-5-phenyl-3-propyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

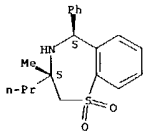


RN 152802-13-6 CAPLUS
CN 1,4-Benzothiazepine, 3,3-diethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



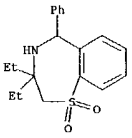
RN 152802-14-7 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-methyl-5-phenyl-3-propyl-, 1,1-dioxide, trans-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

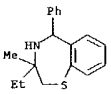


RN 152802-15-8 CAPLUS
CN 1,4-Benzothiazepine, 3,3-diethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (-)- (9CI) (CA INDEX NAME)

L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Rotation (-).

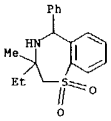


RN 152802-16-9 CAPLUS
CN 1,4-Benzothiazepine, 3-ethyl-2,3,4,5-tetrahydro-3-methyl-5-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



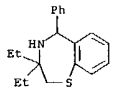
● HCl

RN 152802-17-0 CAPLUS
CN 1,4-Benzothiazepine, 3-ethyl-2,3,4,5-tetrahydro-3-methyl-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



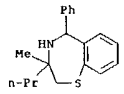
RN 152802-18-1 CAPLUS
CN 1,4-Benzothiazepine, 3,3-diethyl-2,3,4,5-tetrahydro-5-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

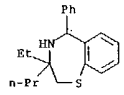


● HCl

RN 152802-19-2 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-methyl-5-phenyl-3-propyl- (9CI) (CA INDEX NAME)

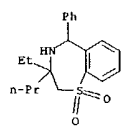


RN 152802-20-5 CAPLUS
CN 1,4-Benzothiazepine, 3-ethyl-2,3,4,5-tetrahydro-5-phenyl-3-propyl-, hydrochloride (9CI) (CA INDEX NAME)

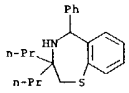


● HCl

RN 152802-21-6 CAPLUS
CN 1,4-Benzothiazepine, 3-ethyl-2,3,4,5-tetrahydro-5-phenyl-3-propyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

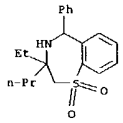


L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 152802-22-7 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-phenyl-3,3-dipropyl-, hydrochloride (9CI) (CA INDEX NAME)



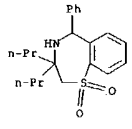
● HCl

RN 152802-23-8 CAPLUS
CN 1,4-Benzothiazepine, 3-ethyl-2,3,4,5-tetrahydro-5-phenyl-3-propyl-, 1,1-dioxide, hydrochloride (9CI) (CA INDEX NAME)



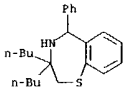
● HCl

RN 152802-24-9 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-phenyl-3,3-dipropyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



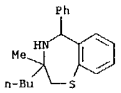
RN 152802-25-0 CAPLUS
CN 1,4-Benzothiazepine, 3,3-dibutyl-2,3,4,5-tetrahydro-5-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



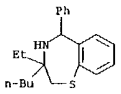
● HCl

RN 152802-26-1 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-2,3,4,5-tetrahydro-3-methyl-5-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 152802-27-2 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



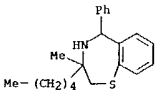
● HCl

RN 152802-29-4 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3-methyl-3-pentyl-5-phenyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 152802-28-3
CMF C21 H27 N S

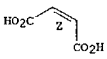
L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



CM 2

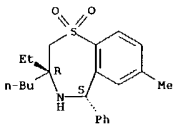
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



RN 152802-30-7 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methyl-5-phenyl-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

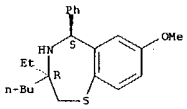
Relative stereochemistry.



RN 152802-31-8 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-, cis- (9CI) (CA INDEX NAME)

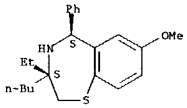
Relative stereochemistry.

L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



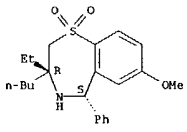
RN 152802-32-9 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 152802-33-0 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

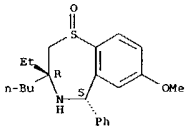
Relative stereochemistry.



RN 152802-34-1 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-, 1-oxide, (3α,5α)- (9CI) (CA INDEX NAME)

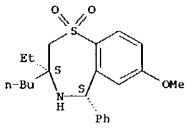
Relative stereochemistry.

L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 152802-35-2 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-, 1,1-dioxide, hydrochloride, trans- (9CI) (CA INDEX NAME)

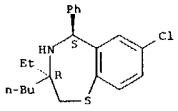
Relative stereochemistry.



● HCl

RN 152802-36-3 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-7-chloro-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, cis- (9CI) (CA INDEX NAME)

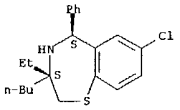
Relative stereochemistry.



RN 152802-37-4 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-7-chloro-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, trans- (9CI) (CA INDEX NAME)

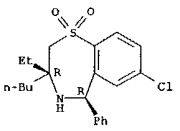
Relative stereochemistry.

L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



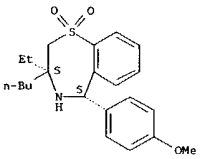
RN 152802-38-5 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-7-chloro-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 152802-39-6 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(4-methoxyphenyl)-, 1,1-dioxide, hydrochloride, trans- (9CI) (CA INDEX NAME)

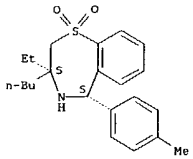
Relative stereochemistry.



● HCl

RN 152802-40-9 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(4-methylphenyl)-, 1,1-dioxide, hydrochloride, trans- (9CI) (CA INDEX NAME)

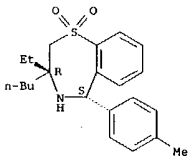
L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Relative stereochemistry.



● HCl

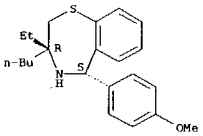
RN 152802-41-0 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(4-methylphenyl)-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 152802-42-1 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(4-methoxyphenyl)-, cis- (9CI) (CA INDEX NAME)

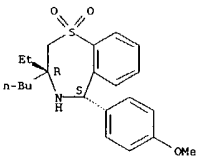
Relative stereochemistry.



L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

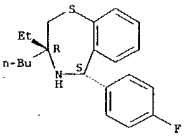
RN 152802-43-2 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(4-methoxyphenyl)-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



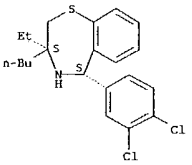
RN 152802-44-3 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-5-(4-fluorophenyl)-2,3,4,5-tetrahydro-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 152802-45-4 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-5-(3,4-dichlorophenyl)-3-ethyl-2,3,4,5-tetrahydro-, trans- (9CI) (CA INDEX NAME)

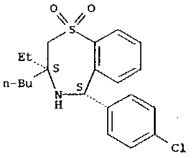
Relative stereochemistry.



RN 152802-46-5 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-5-(4-chlorophenyl)-3-ethyl-2,3,4,5-tetrahydro-

L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
, 1,1-dioxide, hydrochloride, trans- (9CI) (CA INDEX NAME)

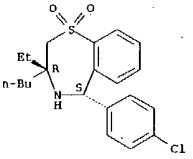
Relative stereochemistry.



● HCl

RN 152802-47-6 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-5-(4-chlorophenyl)-3-ethyl-2,3,4,5-tetrahydro-, 1,1-dioxide, hydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

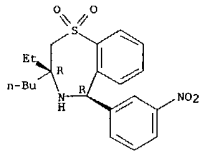


● HCl

RN 152802-48-7 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(3-nitrophenyl)-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

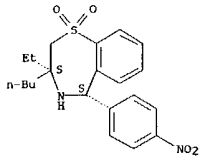
Relative stereochemistry.

L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



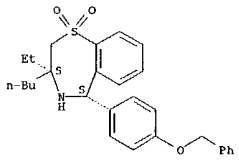
RN 152802-49-8 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(4-nitrophenyl)-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 152802-50-1 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-[4-(phenylmethoxy)phenyl]-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

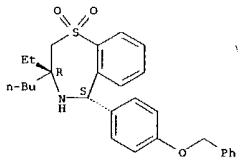
Relative stereochemistry.



RN 152802-51-2 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-[4-(phenylmethoxy)phenyl]-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

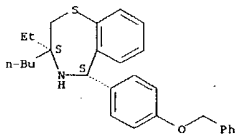
L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Relative stereochemistry.



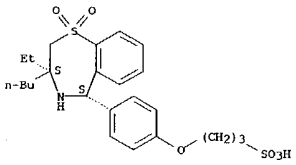
RN 152802-52-3 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-[4-(phenylmethoxy)phenyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 152802-53-4 CAPLUS
CN 1-Propanesulfonic acid, 3-[4-(3-butyl-3-ethyl-2,3,4,5-tetrahydro-1,1-dioxido-1,4-benzothiazepin-5-yl)phenoxy]-, trans- (9CI) (CA INDEX NAME)

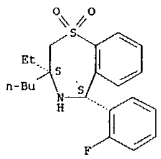
Relative stereochemistry.



RN 152802-54-5 CAPLUS

L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-5-(2-fluorophenyl)-2,3,4,5-tetrahydro-, 1,1-dioxide, hydrochloride, trans- (9CI) (CA INDEX NAME)

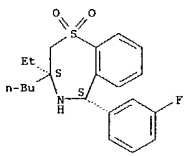
Relative stereochemistry.



● HCl

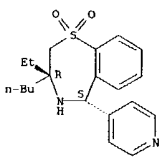
RN 152802-55-6 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-5-(3-fluorophenyl)-2,3,4,5-tetrahydro-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 152802-56-7 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(4-pyridinyl)-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

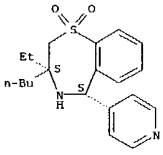
Relative stereochemistry.



L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

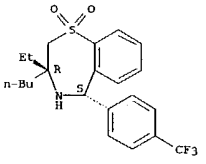
RN 152802-57-8 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-(4-pyridinyl)-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



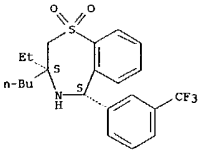
RN 152802-58-9 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-[4-(trifluoromethyl)phenyl]-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 152802-59-0 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-[3-(trifluoromethyl)phenyl]-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

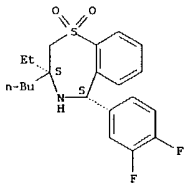
Relative stereochemistry.



RN 152802-60-3 CAPLUS

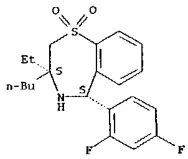
L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1,4-Benzothiazepine, 3-butyl-5-(3,4-difluorophenyl)-3-ethyl-2,3,4,5-tetrahydro-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 152802-61-4 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-5-(2,4-difluorophenyl)-3-ethyl-2,3,4,5-tetrahydro-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

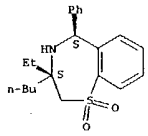


RN 152802-62-5 CAPLUS
CN 1,4-Benzothiazepine, 3-ethyl-2,3,4,5-tetrahydro-3-(3-methylbutyl)-5-phenyl-, 1,1-dioxide, trans- (9CI) (CA INDEX NAME)

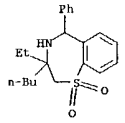
Relative stereochemistry.

L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
1,1-dioxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



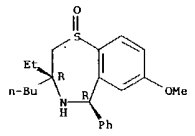
RN 152884-87-2 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 152884-88-3 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-7-methoxy-5-phenyl-, 1-oxide, (3α,5β)- (9CI) (CA INDEX NAME)

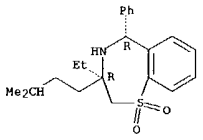
Relative stereochemistry.



RN 153060-69-6 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, (3S-trans)- (9CI) (CA INDEX NAME)

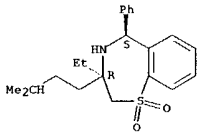
Absolute stereochemistry.

L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



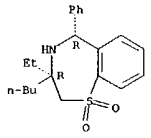
RN 152802-63-6 CAPLUS
CN 1,4-Benzothiazepine, 3-ethyl-2,3,4,5-tetrahydro-3-(3-methylbutyl)-5-phenyl-, 1,1-dioxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 152884-85-0 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide, hydrochloride, (3R-trans)- (9CI) (CA INDEX NAME)

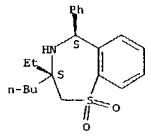
Absolute stereochemistry.



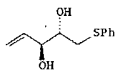
● HCl

RN 152884-86-1 CAPLUS
CN 1,4-Benzothiazepine, 3-butyl-3-ethyl-2,3,4,5-tetrahydro-5-phenyl-,

L60 ANSWER 86 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



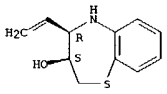
L60 ANSWER 87 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:54253 CAPLUS
DOCUMENT NUMBER: 120:54253
TITLE: One-pot synthesis of α,β-dihydroxy sulfides via titanium-promoted oxirane ring opening
AUTHOR(S): Lin, Guoqiang; Shi, Zhicai; Zeng, Chunming
CORPORATE SOURCE: Shanghai Inst. Org. Chem., Chin. Acad. Sci., Shanghai, 200032, Peop. Rep. China
SOURCE: Tetrahedron: Asymmetry (1993), 4(7), 1533-6
CODEN: TASYE3; ISSN: 0957-4166
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:54253
GI



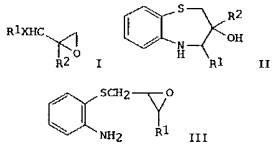
I

AB The one-pot synthesis of α,β-dihydroxy sulfides, e.g., I, via titanium-promoted oxirane ring opening of (2R,3S)-1,2-epoxy-4-penten-3-ol by various thiols is described.
IT **152009-58-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 152009-58-0 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 4-ethenyl-2,3,4,5-tetrahydro-, (3S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

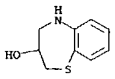


L60 ANSWER 88 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:30749 CAPLUS
DOCUMENT NUMBER: 120:30749
TITLE: Synthesis of 3-hydroxy-2,3,4,5-tetrahydro-1,5-benzothiazepines
AUTHOR(S): Karikomi, Michinori; Yamori, Shouzou; Toda, Takashi
CORPORATE SOURCE: Fac. Eng., Utsunomiya Univ., Utsunomiya, 321, Japan
SOURCE: Heterocycles (1993), 35(2), 619-22
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:30749
GI



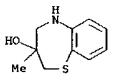
I II III

AB New general synthetic methods for the preparation of benzothiazepine derivs. were studied. Treatment of 2-(1-haloalkyl)oxiranes I (R1 = H, Me, Pr, Ph; R2 = H, Me; X = Cl, Br) with 2-aminothiophenol in the presence of a base provides benzothiazepine derivs. II in 51-90% yields. The reaction is assumed to proceed through cyclization of an oxirane intermediates III.
IT **151749-12-1P 151749-14-3P 151749-15-4P**
151749-16-5P 151749-17-6P 151749-18-7P
151749-19-8P 151749-20-1P 151749-22-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 151749-12-1 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)



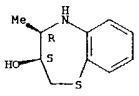
RN 151749-14-3 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 2,3,4,5-tetrahydro-3-methyl- (9CI) (CA INDEX NAME)

L60 ANSWER 88 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



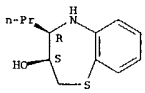
RN 151749-15-4 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 2,3,4,5-tetrahydro-4-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



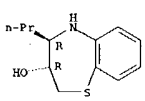
RN 151749-16-5 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 2,3,4,5-tetrahydro-4-propyl-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 151749-17-6 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 2,3,4,5-tetrahydro-4-propyl-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

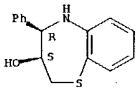
Relative stereochemistry.



RN 151749-18-7 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 2,3,4,5-tetrahydro-4-phenyl-, cis- (9CI) (CA INDEX NAME)

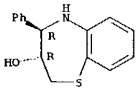
Relative stereochemistry.

L60 ANSWER 88 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



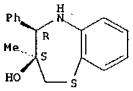
RN 151749-19-8 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 2,3,4,5-tetrahydro-4-phenyl-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

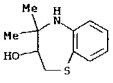


RN 151749-20-1 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 2,3,4,5-tetrahydro-3-methyl-4-phenyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



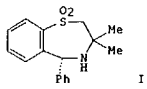
RN 151749-22-3 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 2,3,4,5-tetrahydro-4,4-dimethyl- (9CI) (CA INDEX NAME)



L60 ANSWER 89 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:14728 CAPLUS
DOCUMENT NUMBER: 120:14728
TITLE: Benzothiazepines as hypolipidemics
AUTHOR(S): Anon.
CORPORATE SOURCE: UK
SOURCE: Research Disclosure (1993), 354, 691-3 (No. 35450)
CODEN: RSDSBB; ISSN: 0374-4353
DOCUMENT TYPE: Journal; Patent
LANGUAGE: English
PATENT INFORMATION:

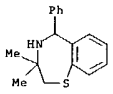
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RD 354050		19931010		
PRIORITY APPLN. INFO.:			RD 1993-354050	19931010

OTHER SOURCE(S): MARPAT 120:14728
GI



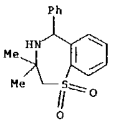
I

AB Benzothiazepines, e.g. I, were prepared as hypolipidemics.
IT **151726-36-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and S oxidation of)
RN 151726-36-2 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3,3-dimethyl-5-phenyl- (9CI) (CA INDEX NAME)



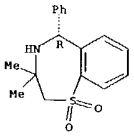
IT **151726-37-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and resolution of)
RN 151726-37-3 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3,3-dimethyl-5-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

L60 ANSWER 89 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **151767-15-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as hypolipidemic)
RN 151767-15-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-3,3-dimethyl-5-phenyl-, 1,1-dioxide, (R)- (9CI) (CA INDEX NAME)

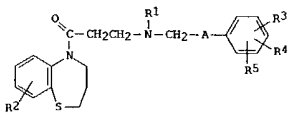
Absolute stereochemistry.



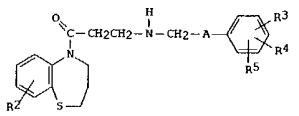
L60 ANSWER 90 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:580836 CAPLUS
DOCUMENT NUMBER: 119:180836
TITLE: Preparation of benzothiazepines as cardiotonics
INVENTOR(S): Tomyama, Takeshi; Tomyama, Itaru; Wakabayashi, Shuichi; Kosakai, Kazuhiro; Kamyama, Naoto; Sekiguchi, Junko
PATENT ASSIGNEE(S): Kotobuki Seiyaku Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05065278	A2	19930319	JP 1991-305759	19910906
PRIORITY APPLN. INFO.:			JP 1991-305759	19910906

OTHER SOURCE(S): CASREACT 119:180836; MARPAT 119:180836
GI



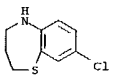
I



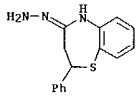
II

AB The title compds. [I; R1 = alkyl, cycloalkyl; R2 = H, halo; R3, R4, R5 = H, alkoxy, halo, amino, alkylamino, etc.; or R3R4 = part of a ring] are prepared via, e.g., reaction of the aminopropionylbenzothiazepines II with R6-X (R6 = alkyl, cycloalkyl; X = halo). 8-Chloro-2,3,4,5-tetrahydro-1,5-benzothiazepine (preparation given) in CHCl3 containing Et3N was treated with
3-chloropropionyl chloride, the product was treated with homoveratrylamine in xylene, and the product was treated with formalin in MeOH to give, after treatment with an alc. solution of fumaric acid, the title compound 8-chloro-5-[3-[2-(3,4-dimethoxyphenyl)ethyl]methylamino]propionyl-2,3,4,5-tetrahydro-1,5-benzothiazepine fumarate. In an in vitro study this at 2.3 + 10-7 M effected 50% vasoconstriction compared with 40 mM KCl.
IT **150395-08-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for cardiotonics)
RN 150395-08-7 CAPLUS
CN 1,5-Benzothiazepine, 8-chloro-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)

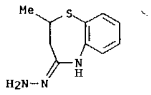
L60 ANSWER 90 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L60 ANSWER 91 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:508408 CAPLUS
DOCUMENT NUMBER: 119:108408
TITLE: Studies on annelated 1,4-benzothiazines and 1,5-benzothiazepines. VII. Synthesis and inhibition of benzodiazepine receptor binding of some 4,5-dihydrotetrazolo[5,1-d]-1,5-benzothiazepines and 5-phenyl-s-triazolo[3,4-d]-1,5-benzothiazepines
AUTHOR(S): Ambrogi, Valeria; Grandolini, Giuliano; Perioli, Luana; Giusti, Laura; Lucacchini, Antonio; Martini, Claudia
CORPORATE SOURCE: Ist. Chim. Farm. Tec. Farm., Univ. Perugia, Perugia, 06123, Italy
SOURCE: Farmaco (1993), 48(5), 665-76
CODEN: FRMCE8; ISSN: 0014-827X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The 4,5-dihydrotetrazolo[5,1-d]-1,5-benzothiazepines and the 5-phenyl-s-triazolo[3,4-d]-1,5-benzothiazepines have been prepared and tested for their ability to displace [3H]flunitrazepam binding from bovine brain membranes. Some of the triazolo derivs. showed moderate binding affinity for the benzodiazepine receptor. Structure-activity relations are discussed.
IT **129118-59-8 149492-94-4 149492-95-5 149492-96-6 149492-97-7 149492-98-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with nitrous acid)
RN 129118-59-8 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2-phenyl-, hydrazone (9CI) (CA INDEX NAME)

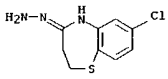


RN 149492-94-4 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2-methyl-, hydrazone (9CI) (CA INDEX NAME)

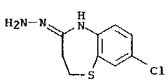


RN 149492-95-5 CAPLUS

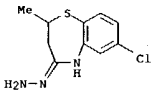
L60 ANSWER 91 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
IT **149493-14-1P 149493-15-2P 149493-16-3P 149493-17-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cyclization with nitrous acid of)
RN 149493-14-1 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 7-chloro-2,3-dihydro-, hydrazone (9CI) (CA INDEX NAME)



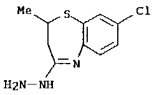
RN 149493-15-2 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 8-chloro-2,3-dihydro-, hydrazone (9CI) (CA INDEX NAME)



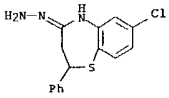
RN 149493-16-3 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 7-chloro-2,3-dihydro-2-methyl-, hydrazone (9CI) (CA INDEX NAME)



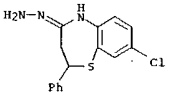
RN 149493-17-4 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 8-chloro-2,3-dihydro-2-methyl-, hydrazone (9CI) (CA INDEX NAME)



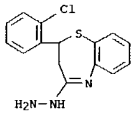
L60 ANSWER 91 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1,5-Benzothiazepin-4(5H)-one, 7-chloro-2,3-dihydro-2-phenyl-, hydrazone (9CI) (CA INDEX NAME)



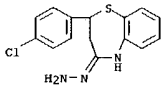
RN 149492-96-6 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 8-chloro-2,3-dihydro-2-phenyl-, hydrazone (9CI) (CA INDEX NAME)



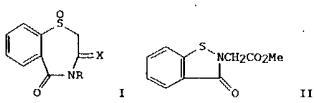
RN 149492-97-7 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2-(2-chlorophenyl)-2,3-dihydro-, hydrazone (9CI) (CA INDEX NAME)



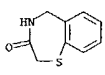
RN 149492-98-8 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2-(4-chlorophenyl)-2,3-dihydro-, hydrazone (9CI) (CA INDEX NAME)



L60 ANSWER 92 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:495491 CAPLUS
DOCUMENT NUMBER: 119:95491
TITLE: Reactivity of some benzothiazepine derivatives
AUTHOR(S): Hirai, Koichi; Iwano, Yuji; Mikoshiba, Isamu; Homma, Hiroshi
CORPORATE SOURCE: New Lead Res. Lab., Sankyo Co., Ltd., Tokyo, 140, Japan
SOURCE: Sankyo Kenkyusho Nenpo (1992), 44, 141-50
CODEN: SKKNAJ; ISSN: 0080-6064
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 119:95491
GI

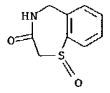


AB Several benzothiazepine S-oxides including optically active compds., e.g., I (X = H2, R = H; CH2CO3Me), were prepared, and a number of them, including I (X = O, R = CH2CO2Me), were submitted to a Pummerer-type reaction. As expected, p-MeO-Ph or thiophenyl groups were introduced at the position next to the sulfur in I (X = O, R = H) to give the coupled products. The reaction of the sulfoxide I (X = O, R = CH2CO2Me with trimethylsilyl azide gave the unexpected benzoisothiazolone II. A mechanism involving a nitrene intermediate is proposed for the formation of II.
IT **103693-32-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)
RN 103693-32-9 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro- (9CI) (CA INDEX NAME)



IT **147027-44-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 147027-44-9 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-, 1-oxide (9CI) (CA INDEX NAME)

L60 ANSWER 92 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



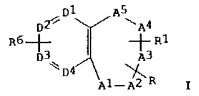
L60 ANSWER 93 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:449416 CAPLUS
DOCUMENT NUMBER: 119:49416
TITLE: Preparation of 2H-1,4-benzodiazepines as fibrinogen antagonists
INVENTOR(S): Bondinell, William Edward; Callahan, James Francis; Huffman, William Francis; Keenan, Richard McCulloch; Ku, Thomas Wen Fu; Newlander, Kenneth Allen
PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

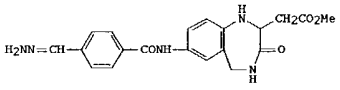
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9300095	A2	19930107	WO 1992-US5463	19920626
WO 9300095	A3	19930218		
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
AU 9222711	A1	19930125	AU 1992-22711	19920626
AU 666318	B2	19960208		
ZA 9204760	A	19930331	ZA 1992-4760	19920626
EP 593603	A1	19940427	EP 1992-914832	19920626
EP 593603	B1	20021120		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
JP 06509074	T2	19941013	JP 1992-501702	19920626
US 5693636	A	19971202	US 1992-923794	19920626
AT 228115	E	20021215	AT 1992-914832	19920626
ES 2190428	T3	20030801	ES 1992-914832	19920626
JP 3497164	B2	20040216	JP 1993-501702	19920626

PRIORITY APPLN. INFO.: US 1991-723009 A2 19910628
WO 1992-US5463 A 19920626

OTHER SOURCE(S): MARPAT 119:49416
GI



I



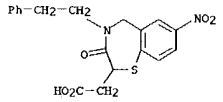
II

L60 ANSWER 93 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

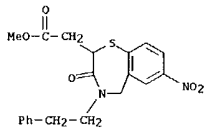
AB Title compds. I (A1-A5 form a substituted 7-membered ring optionally containing >2 O, S, (oxidized) N; D1-D4 form substituted 6-membered ring optionally containing >2 N atoms; R = R7, Q-C1-4 alkyl, Q-C2-4 C alkenyl, Q-C2-4 alkynyl, optionally substituted by 1 or more O, R11, R7 wherein R7 = R8CO, R8CS, O2N, etc., Q = H, C3-6 cycloalkyl, heterocyclyl, aryl, R8 = HO, alkoxy, (substituted) amino, etc.; R1 = substituted Q, aryl, heterocyclyl, etc.; R11 = H, halo, alkoxy, cyano, (substituted) amino, nitro, etc.; R6 = substituted amino, -amidino, -guanidino) or a salt thereof, are prepared 2,5-F(O2N)C6H3CN, di-Me L-aspartate and Et3N in DMSO were stirred at room temperature for 18 h to give di-Me N-[(2-cyano-4-nitrophenyl)-L-aspartate which in 6 steps was converted to the title compound (S)-II. I inhibit aggregation of human platelets stimulated by ADP with IC50 of 0.1-150 μM. Pharmaceutical formulations comprising I are given.

IT **147291-29-0P 147291-30-3P 147291-31-4P**
147291-32-5P 147291-33-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of fibrinogen antagonist)

RN 147291-29-0 CAPLUS
CN 1,4-Benzothiazepine-2-acetic acid, 2,3,4,5-tetrahydro-7-nitro-3-oxo-4-(2-phenylethyl)- (9CI) (CA INDEX NAME)

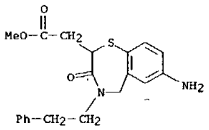


RN 147291-30-3 CAPLUS
CN 1,4-Benzothiazepine-2-acetic acid, 2,3,4,5-tetrahydro-7-nitro-3-oxo-4-(2-phenylethyl)-, methyl ester (9CI) (CA INDEX NAME)

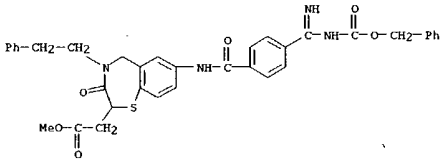


RN 147291-31-4 CAPLUS
CN 1,4-Benzothiazepine-2-acetic acid, 7-amino-2,3,4,5-tetrahydro-3-oxo-4-(2-phenylethyl)-, methyl ester (9CI) (CA INDEX NAME)

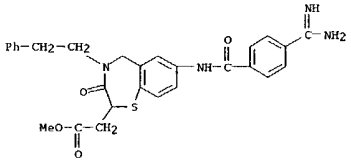
L60 ANSWER 93 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 147291-32-5 CAPLUS
CN 1,4-Benzothiazepine-2-acetic acid, 2,3,4,5-tetrahydro-7-[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]benzoyl]amino]-3-oxo-4-(2-phenylethyl)-, methyl ester (9CI) (CA INDEX NAME)



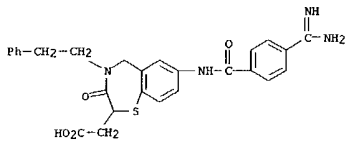
RN 147291-33-6 CAPLUS
CN 1,4-Benzothiazepine-2-acetic acid, 7-[[4-(aminoiminomethyl)benzoyl]amino]-2,3,4,5-tetrahydro-3-oxo-4-(2-phenylethyl)-, methyl ester (9CI) (CA INDEX NAME)



IT **147290-28-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as fibrinogen antagonist)

RN 147290-28-6 CAPLUS
CN 1,4-Benzothiazepine-2-acetic acid, 7-[[4-(aminoiminomethyl)benzoyl]amino]-2,3,4,5-tetrahydro-3-oxo-4-(2-phenylethyl)- (9CI) (CA INDEX NAME)

L60 ANSWER 93 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

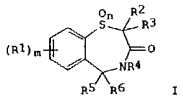


L60 ANSWER 94 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:191773 CAPLUS
DOCUMENT NUMBER: 118:191773
TITLE: Preparation of benzothiazepinones and their use as anticonvulsants
INVENTOR(S): Buckett, William Roger; Harris, Paul John; Housley, John Rosindale; Jeffery, James Edward; Nichol, Kenneth John; Fernandez Navarro, Enriqueta
PATENT ASSIGNEE(S): Boots Co. PLC, UK
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9221668	A1	19921210	WO 1992-EP1221	19920525
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MW, NL, NO, PL, RO, RU, SD, SE, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
AU 9218807	A1	19930108	AU 1992-18807	19920525
CN 1087338	A	19940601	CN 1992-113490	19921124
PRIORITY APPLN. INFO.:			GB 1991-11376	19910525
			WO 1992-EP1221	19920525

OTHER SOURCE(S): MARPAT 118:191773
GI



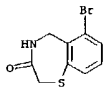
I

AB Title compds. I (n = 0-2; R1 = halo, C1-4 alkoxy, C1-4 haloalkyl, O2N, cyano, HO2C, C1-4 alkanoyl (alkylated) H2NCO, H2NSO2; R2-R6 = H, C1-4 alkyl; m = 0-4), are prepared A stirred suspension of 2,2'-dithiobis(6-chlorobenzonitrile) in Et2O was treated with LiAlH4; refluxed for 5 h, alkalinized, treated with NaOH and PhCOCl to give S,N-dibenzoyl-6-chloro-2-mercaptobenzylamine which was refluxed with aqueous NaOH for 5 h to give 6,2-Cl(HS)C6H3NH2.HCl. To this was added BrCH2CO2Et to give I [R1 = 6-Cl, R2-R6 = H, m = 1, n = 0], which showed ED50 at 0.2 mg/kg.

IT **147027-63-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(anticonvulsant)

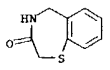
RN 147027-63-2 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 6-bromo-4,5-dihydro- (9CI) (CA INDEX NAME)

L60 ANSWER 94 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

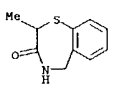


IT **103693-32-9P 103693-41-0P 147027-44-9P**
147027-45-0P 147027-46-1P 147027-47-2P
147027-48-3P 147027-49-4P 147027-50-7P
147027-51-8P 147027-52-9P 147027-53-0P
147027-54-1P 147027-55-2P 147027-56-3P
147027-57-4P 147027-58-5P 147027-60-9P
147027-61-0P 147027-62-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as anticonvulsant)

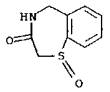
RN 103693-32-9 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro- (9CI) (CA INDEX NAME)



RN 103693-41-0 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-2-methyl- (9CI) (CA INDEX NAME)

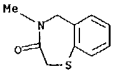


RN 147027-44-9 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-, 1-oxide (9CI) (CA INDEX NAME)

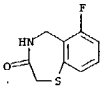


RN 147027-45-0 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-4-methyl- (9CI) (CA INDEX NAME)

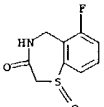
L60 ANSWER 94 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



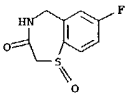
RN 147027-46-1 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 6-fluoro-4,5-dihydro- (9CI) (CA INDEX NAME)



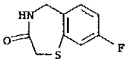
RN 147027-47-2 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 6-fluoro-4,5-dihydro-, 1-oxide (9CI) (CA INDEX NAME)



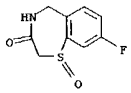
RN 147027-48-3 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 7-fluoro-4,5-dihydro-, 1-oxide (9CI) (CA INDEX NAME)



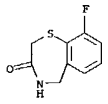
RN 147027-49-4 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 8-fluoro-4,5-dihydro- (9CI) (CA INDEX NAME)



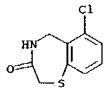
L60 ANSWER 94 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 147027-50-7 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 8-fluoro-4,5-dihydro-, 1-oxide (9CI) (CA INDEX NAME)



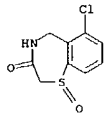
RN 147027-51-8 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 9-fluoro-4,5-dihydro- (9CI) (CA INDEX NAME)



RN 147027-52-9 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 6-chloro-4,5-dihydro- (9CI) (CA INDEX NAME)

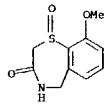


RN 147027-53-0 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 6-chloro-4,5-dihydro-, 1-oxide (9CI) (CA INDEX NAME)



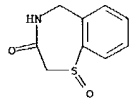
RN 147027-54-1 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-6-methyl- (9CI) (CA INDEX NAME)

L60 ANSWER 94 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



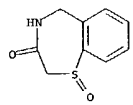
RN 147027-60-9 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-, 1-oxide, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

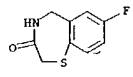


RN 147027-61-0 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-, 1-oxide, (+)- (9CI) (CA INDEX NAME)

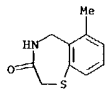
Rotation (+).



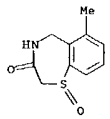
RN 147027-62-1 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 7-fluoro-4,5-dihydro- (9CI) (CA INDEX NAME)



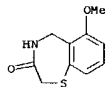
L60 ANSWER 94 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



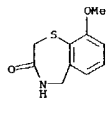
RN 147027-55-2 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-6-methyl-, 1-oxide (9CI) (CA INDEX NAME)



RN 147027-56-3 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-6-methoxy- (9CI) (CA INDEX NAME)

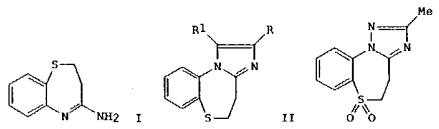


RN 147027-57-4 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-9-methoxy- (9CI) (CA INDEX NAME)

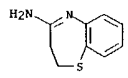


RN 147027-58-5 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-9-methoxy-, 1-oxide (9CI) (CA INDEX NAME)

L60 ANSWER 95 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
AN ACCESSION NUMBER: 1993:124504 CAPLUS
DOCUMENT NUMBER: 118:124504
TITLE: Studies on the chemistry of oxygen, nitrogen- and sulfur, nitrogen-containing heterocycles. 14. Tricyclic benzothiazepines from 2,3-dihydro-1,5-benzothiazepin-4-amine
AUTHOR(S): Erker, Thomas; Bartsch, Herbert
CORPORATE SOURCE: Inst. Pharm. Chem., Univ. Wien, Vienna, A-1090, Austria
SOURCE: Monatshefte fuer Chemie (1992), 123(11), 1023-6
CODEN: MOCMB7; ISSN: 0026-9247
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 118:124504
GI

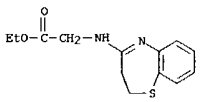


AB Starting from 2,3-dihydro-1,5-benzothiazepin-4-amine (I), tricyclic 1,5-benzothiazepines were obtained. Reaction of I with Et bromopyruvate and Et aminoacetate hydrochloride led to the imidazo[2,1-d][1,5]benzothiazepines II (R = CO2Et, R1 = H) and II (R = H, R1 = OH), resp. The triazolo derivative III was prepared by treatment of I with tri-Et orthoacetate/ammonia, followed by oxidative cyclization with sodium hypochlorite.
IT **104004-37-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization reactions of)
RN 104004-37-7 CAPLUS
CN 1,5-Benzothiazepin-4-amine, 2,3-dihydro- (9CI) (CA INDEX NAME)

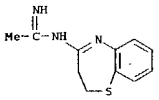


IT **146040-92-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
RN 146040-92-8 CAPLUS
CN Glycine, N-(2,3-dihydro-1,5-benzothiazepin-4-yl)-, ethyl ester (9CI) (CA

L60 ANSWER 95 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
INDEX NAME)



IT **146040-94-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidative cyclization of)
RN 146040-94-0 CAPLUS
CN Ethanimidamide, N-(2,3-dihydro-1,5-benzothiazepin-4-yl)- (9CI) (CA INDEX NAME)

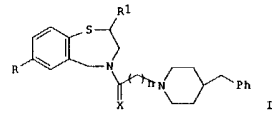


L60 ANSWER 96 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:102000 CAPLUS
DOCUMENT NUMBER: 118:102000
TITLE: Preparation of 4-[(4-benzylpiperidinyl)alkanoyl]-2,3,4,5-tetrahydro-1,4-benzothiazepine derivatives for inhibiting the kinetic cell death of cardiac muscles without inhibiting cardiac functions
INVENTOR(S): Kaneko, Noboru; Oosawa, Tatsushi; Sakai, Teruyuki; Oota, Hideo
PATENT ASSIGNEE(S): Japan
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

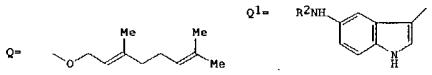
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9212148	A1	19920723	WO 1991-JP1804	19911227
W: AU, BG, BR, CA, CS, FI, HU, KR, LK, NO, PL, RO, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
JP 04230681	A2	19920819	JP 1990-416066	19901228
JP 2703408	B2	19980126		
CA 2098495	AA	19920629	CA 1991-2098495	19911227
AU 9191074	A1	19920817	AU 1991-91074	19911227
ZA 9110166	A	19920930	ZA 1991-10166	19911227
EP 565721	A1	19931020	EP 1992-901899	19911227
EP 565721	B1	19950726		
R: AT, BE, CH, DE, DK, FR, GB, IT, LI, NL, SE				
RU 2089550	C1	19970910	RU 1993-43527	19911227
CN 1063491	A	19920812	CN 1991-112839	19911228
CN 1028992	B	19950621		
US 5416066	A	19950516	US 1993-81254	19930625

PRIORITY APPLN. INFO.: JP 1990-416066 19901228
WO 1991-JP1804 19911227
OTHER SOURCE(S): MARPAT 118:102000
GI

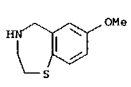
L60 ANSWER 96 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I

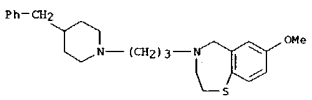


AB The title compds. (I; R = H, C1-3 alkoxy; R1 = H, Ph substituted with OH or C1-3 alkoxy, Q, Q1; R2 = C1-3 acyl; X = O, H2; n = 1,2), useful for preventing necrosis of heart muscles and myocardial infarction, are prepared Thus, cyclocondensation of Me 2-mercapto-5-methoxybenzoic acid (preparation given) with ClCH2CH2NH2.HCl in DMF containing MeONa and reduction of the resulting 7-methoxy-5-oxo-2,3,4,5-tetrahydro-1,4-benzothiazepine with LiAlH4 in refluxing THF gave 7-methoxy-2,3,4,5-tetrahydro-1,4-benzothiazepine. Acylation of the latter compound with acryloyl chloride in THF containing Et3N and addition reaction of the resulting 4-acryloyl-7-methoxy-2,3,4,5-tetrahydro-1,4-benzothiazepine with 4-benzylpiperidine in CHCl3 gave I (R = OMe; R1 = H, X = O, n = 2). This at 10-6 M in vitro inhibited the myocardial necrosis induced by adrenaline and caffeine in the left ventricle of a rat heart without affecting the heart rate and the left ventricular pressure. Addnl. 10 I were prepared
IT **145903-31-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for benzothiazepine heart muscle drug)
RN 145903-31-7 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7-methoxy- (9CI) (CA INDEX NAME)

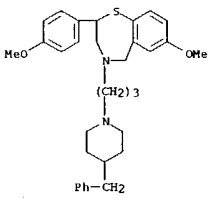


IT **145903-14-6P 145903-15-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for prevention of heart muscle necrosis and myocardial infarction)
RN 145903-14-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7-methoxy-4-[3-[4-(phenylmethyl)-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)

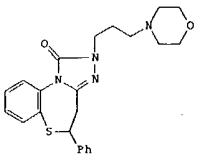
L60 ANSWER 96 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 145903-15-7 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7-methoxy-2-(4-methoxyphenyl)-4-[3-[4-(phenylmethyl)-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



L60 ANSWER 97 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:22212 CAPLUS
DOCUMENT NUMBER: 118:22212
TITLE: Synthesis and biological activity of N-2 alkylamino derivatives of 4,5-dihydro-s-triazolo[3,4-d]-1,5-benzothiazepine
AUTHOR(S): Ambrogi, Valeria; Giampietri, Antonio; Grandolini, Giuliano; Perioli, Luana; Ricci, Maurizio; Tuttobello, Lorenzo
CORPORATE SOURCE: Ist. Chim. Farm. Tec. Farm., Univ. Perugia, Perugia, 06100, Italy
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1992), 325(9), 569-77
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 118:22212
GI



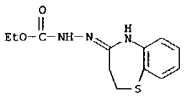
I

AB The synthesis of a new series of N-2 alkylamino derivs. of 4,5-dihydro-s-triazolo[3,4-d]-1,5-benzothiazepine was accomplished starting from 2,3-dihydro-1,5-benzothiazepin-4(5H)ones and their 2-Me and 2-aryl derivs. All the compds. were tested in vitro for their antimicrobial activity, but none of them showed remarkable activity. The tricyclic compds. were converted to the resp. amines, e.g. I, and some were screened for their activity as central nervous system agents in mice and several of them showed interesting activity. All compds. were devoid of analgesic, bactericidal or fungicidal activity.

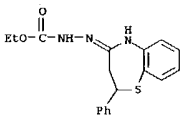
IT **118971-11-2P 118971-13-4P 144935-04-6P**
144935-05-7P 144935-06-8P 144935-07-9P
144935-08-0P 144935-09-1P 144935-10-4P
144935-11-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation reaction of)

RN 118971-11-2 CAPLUS
CN Hydrazinecarboxylic acid, 2-(2,3-dihydro-1,5-benzothiazepin-4-yl)-, ethyl ester (9CI) (CA INDEX NAME)

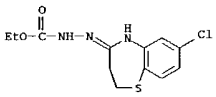
L60 ANSWER 97 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



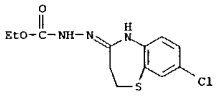
RN 118971-13-4 CAPLUS
CN Hydrazinecarboxylic acid, 2-(2,3-dihydro-2-phenyl-1,5-benzothiazepin-4-yl)-, ethyl ester (9CI) (CA INDEX NAME)



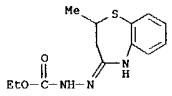
RN 144935-04-6 CAPLUS
CN Hydrazinecarboxylic acid, 2-(7-chloro-2,3-dihydro-1,5-benzothiazepin-4-yl)-, ethyl ester (9CI) (CA INDEX NAME)



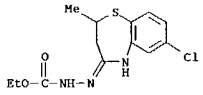
RN 144935-05-7 CAPLUS
CN Hydrazinecarboxylic acid, 2-(8-chloro-2,3-dihydro-1,5-benzothiazepin-4-yl)-, ethyl ester (9CI) (CA INDEX NAME)



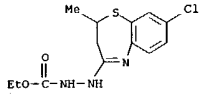
RN 144935-06-8 CAPLUS
CN Hydrazinecarboxylic acid, 2-(2,3-dihydro-2-methyl-1,5-benzothiazepin-4-yl)-, ethyl ester (9CI) (CA INDEX NAME)



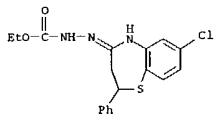
RN 144935-07-9 CAPLUS
CN Hydrazinecarboxylic acid, 2-(7-chloro-2,3-dihydro-2-methyl-1,5-benzothiazepin-4-yl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 144935-08-0 CAPLUS
CN Hydrazinecarboxylic acid, 2-(8-chloro-2,3-dihydro-2-methyl-1,5-benzothiazepin-4-yl)-, ethyl ester (9CI) (CA INDEX NAME)

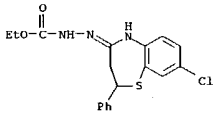


RN 144935-09-1 CAPLUS
CN Hydrazinecarboxylic acid, 2-(7-chloro-2,3-dihydro-2-phenyl-1,5-benzothiazepin-4-yl)-, ethyl ester (9CI) (CA INDEX NAME)

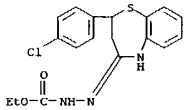


RN 144935-10-4 CAPLUS
CN Hydrazinecarboxylic acid, 2-(8-chloro-2,3-dihydro-2-phenyl-1,5-benzothiazepin-4-yl)-, ethyl ester (9CI) (CA INDEX NAME)

L60 ANSWER 97 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



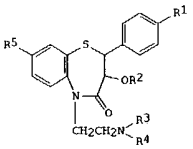
RN 144935-11-5 CAPLUS
CN Hydrazinecarboxylic acid, 2-[2-(4-chlorophenyl)-2,3-dihydro-1,5-benzothiazepin-4-yl]-, ethyl ester (9CI) (CA INDEX NAME)



L60 ANSWER 98 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:414427 CAPLUS
DOCUMENT NUMBER: 117:14427
TITLE: Pharmaceutical composition for inhibiting platelet aggregation
INVENTOR(S): Odawara, Akio; Sasaki, Yasuhiko; Murata, Sakae; Narita, Hiroshi
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 6 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 476854	A1	19920325	EP 1991-307773	19910823
EP 476854	B1	19940608		
R: AT, BE, CH, DE, DK, ES, GB, GR, IT, LI, LU, NL, SE				
JP 04128232	A2	19920428	JP 1990-243728	19900917
JP 07008798	B4	19950201		
JP 04128233	A2	19920428	JP 1990-243729	19900917
JP 07008799	B4	19950201		
CA 2049655	AA	19920318	CA 1991-2049655	19910821
CA 2049655	C	19961112		
AT 106734	E	19940615	AT 1991-307773	19910823
ES 2057777	T3	19941016	ES 1991-307773	19910823
FR 2666741	A1	19920320	FR 1991-11435	19910917
FR 2666741	B1	19930108		
US 5387581	A	19950207	US 1993-35895	19930323
PRIORITY APPLN. INFO.:			JP 1990-243728	19900917
			JP 1990-243729	19900917
			EP 1991-307773	19910823
			US 1991-748965	19910823

OTHER SOURCE(S): MARPAT 117:14427
GI

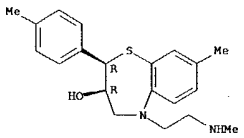


II

AB The title composition comprise acetylsalicylic acid (I) and salts thereof and a

L60 ANSWER 98 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
1,5-benzothiazepine deriv. (II; R1=lower alkyl, lower alkoxy; R2=H, lower alkanoyl; R3=lower alkyl; R4=H, lower alkyl; R5= lower alkyl, halogen) or salts thereof. The platelet aggregation inhibiting activity of a mixt. of I and II (R1=MeO, R2=H, R3, R4=Me, R5=Cl) (10μg/mL each) was shown.
IT **141967-94-4D**, mixture with acetylsalicylic acid
RL: BIOL (Biological study)
(pharmaceutical composition containing, blood platelet aggregation inhibition with)
RN 141967-94-4 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 2,3,4,5-tetrahydro-8-methyl-5-[2-(methylamino)ethyl]-2-(4-methylphenyl)-, hydrochloride, cis-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



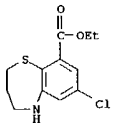
●x HCl

L60 ANSWER 99 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:151808 CAPLUS
DOCUMENT NUMBER: 116:151808
TITLE: Preparation of benzothiazepines as serotonin 3 (5-HT3) receptor antagonists
INVENTOR(S): Kawakita, Takeshi; Kuroita, Takanobu; Fukuda, Takemi; Ikezawa, Ryuhei
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

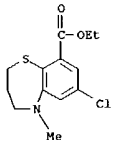
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03264584	A2	19911125	JP 1990-65085	19900314
PRIORITY APPLN. INFO.:			JP 1990-65085	19900314

OTHER SOURCE(S): MARPAT 116:151808
GI For diagram(s), see printed CA Issue.
AB (Optically active) benzothiazepines I [R1, R2 = H, alkyl; R3 = H, alkyl, (un)substituted phenylalkyl; R4, R5 = H, halo, alkyl, alkoxy, (alkylated) NH2 acylamino, OH, NO2; X = O, NH; R6 = Q1- Q4, (CH2)vNR10R11; R7 = alkyl, (un)substituted phenylalkyl, (un)substituted phenoxyalkyl; R8 = H, alkoxy; R9 = alkyl, (un)substituted phenylalkyl; R10, R11 = H, alkyl, (un)substituted phenylalkyl; NR10R11 may form heterocyclyl; ring A = (un)condensed N-containing heterocyclyl containing O, S, or NR13; R12 = H, alkyl, (un)substituted phenylalkyl, (alkylated) NH2, acylamino; R13 = H, alkyl; m, n, p, q = 0, 1; v = 1-8; w = 1-4] and their pharmacol. acceptable salts, useful as 5-HT3 receptor antagonists, antiemetics, gastrointestinal movement improvers, analgesics, anxiolytics, central nervous system agents, etc. (no data), are prepared Refluxing I (R1 = R2 = R4 = H, R3 = Me, R5 = 7-Cl, XR6 = OEt) (preparation given) with aqueous NaOH in MeOH for 2.5 h gave I (R1 = R2 = R4 = H, R3 = Me, R5 = 7-Cl, XR6 = OH), which was treated with pivaloyl chloride and Me3N in AcOEt at -10 to -5° for 15 min, treated with 3-aminoquinuclidine at room temperature for 1 h, and treated with HCl/EtOH to afford I.2HCl (R1 = R2 = R4 = H, R3 = Me, R5 = 7-Cl, XR6 = 3-quinuclidinylamino).
IT **139776-41-3P 139776-42-4P 139776-43-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of)
RN 139776-41-3 CAPLUS
CN 1,5-Benzothiazepine-9-carboxylic acid, 7-chloro-2,3,4,5-tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)

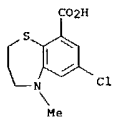
L60 ANSWER 99 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 139776-42-4 CAPLUS
CN 1,5-Benzothiazepine-9-carboxylic acid, 7-chloro-2,3,4,5-tetrahydro-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)

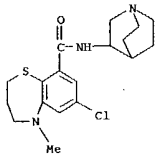


RN 139776-43-5 CAPLUS
CN 1,5-Benzothiazepine-9-carboxylic acid, 7-chloro-2,3,4,5-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)



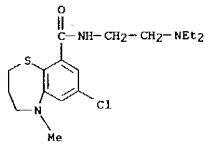
IT **139776-44-6P 139776-45-7P 139776-46-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as serotonin receptor antagonist)
RN 139776-44-6 CAPLUS
CN 1,5-Benzothiazepine-9-carboxamide, N-1-azabicyclo[2.2.2]oct-3-yl-7-chloro-2,3,4,5-tetrahydro-5-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

L60 ANSWER 99 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



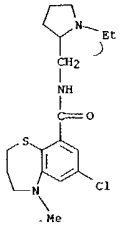
●2 HCl

RN 139776-45-7 CAPLUS
CN 1,5-Benzothiazepine-9-carboxamide, 7-chloro-N-[2-(diethylamino)ethyl]-2,3,4,5-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)



RN 139776-46-8 CAPLUS
CN 1,5-Benzothiazepine-9-carboxamide, 7-chloro-N-[(1-ethyl-2-pyrrolidinyl)methyl]-2,3,4,5-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)

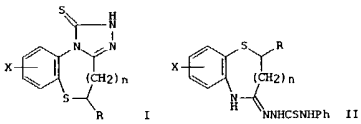
L60 ANSWER 99 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L60 ANSWER 100 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1992:106250 CAPLUS
DOCUMENT NUMBER:	116:106250
TITLE:	Studies on annelated 1,4-benzothiazines and 1,5-benzothiazepines. IV. Synthesis and biological activity of new 1-substituted derivatives of 4H-s-triazolo[3,4-c]-1,4-benzothiazine and 4,5-dihydro-s-triazolo[3,4-d]-1,5-benzothiazepine
AUTHOR(S):	Ambrogi, V.; Grandolini, G.; Perioli, L.; DeMia, G. M.; Ricci, M.; Tuttobello, L.
CORPORATE SOURCE:	Ist. Chim. Farm. Tec. Farm., Univ. Perugia, Perugia, 06100, Italy
SOURCE:	European Journal of Medicinal Chemistry (1991), 26(8), 835-8 CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE:	Journal
LANGUAGE:	English

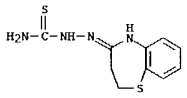
GI



AB Triazolobenzothiaz(ep)inthiones I (R = H, Ph, Me, X = 7-Cl, 7-NO2, 8-Cl, 8-CF3, H, 8-NO2, 9-Cl, n = 0, 1) were prepared by cyclizing the corresponding phenylthiosemicarbazides II. I (R = H, Ph, Me, X = H, 7-Cl, 7-NO2, 8-Cl, 8-NO2, n = 0, 1) react with Me iodide, ClCO2Et, or ClCH2CO2H to give the S-Me, S-CO2Et, or S-CH2CO2H derivs. Many of the compds. were cytotoxic; others were tested for antibacterial, antimycotic, and antiviral activities.

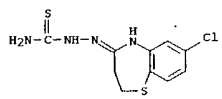
IT **129118-53-2 130336-83-3 130336-84-4 130336-86-6 130336-94-6**
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (cytotoxicity of)

RN 129118-53-2 CAPLUS
CN Hydrazinecarbothioamide, 2-(2,3-dihydro-1,5-benzothiazepin-4-yl)- (9CI) (CA INDEX NAME)

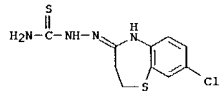


RN 130336-83-3 CAPLUS
CN Hydrazinecarbothioamide, 2-(7-chloro-2,3-dihydro-1,5-benzothiazepin-4(5H)-ylidene)- (9CI) (CA INDEX NAME)

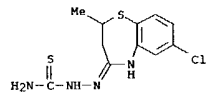
L60 ANSWER 100 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



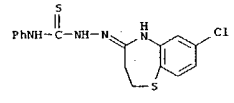
RN 130336-84-4 CAPLUS
CN Hydrazinecarbothioamide, 2-(8-chloro-2,3-dihydro-1,5-benzothiazepin-4(5H)-ylidene)- (9CI) (CA INDEX NAME)



RN 130336-86-6 CAPLUS
CN Hydrazinecarbothioamide, 2-(7-chloro-2,3-dihydro-2-methyl-1,5-benzothiazepin-4(5H)-ylidene)- (9CI) (CA INDEX NAME)



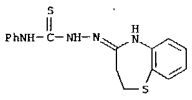
RN 130336-94-6 CAPLUS
CN Hydrazinecarbothioamide, 2-(7-chloro-2,3-dihydro-1,5-benzothiazepin-4(5H)-ylidene)-N-phenyl- (9CI) (CA INDEX NAME)



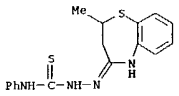
IT **130336-93-5 130962-59-1 130962-60-4**
RL: RCT (Reactant); RACT (Reactant or reagent) (intramol. cyclization of)

RN 130336-93-5 CAPLUS
CN Hydrazinecarbothioamide, 2-(2,3-dihydro-1,5-benzothiazepin-4(5H)-ylidene)-N-phenyl- (9CI) (CA INDEX NAME)

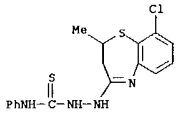
L60 ANSWER 100 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 138962-59-1 CAPLUS
CN Hydrazinecarbothioamide, 2-(2,3-dihydro-2-methyl-1,5-benzothiazepin-4-yl)-N-phenyl- (9CI) (CA INDEX NAME)

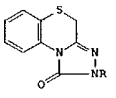


RN 138962-60-4 CAPLUS
CN Hydrazinecarbothioamide, 2-(9-chloro-2,3-dihydro-2-methyl-1,5-benzothiazepin-4-yl)-N-phenyl- (9CI) (CA INDEX NAME)



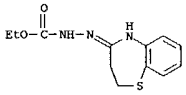
L60 ANSWER 101 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L60 ANSWER 101 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:679931 CAPLUS
DOCUMENT NUMBER: 115:279931
TITLE: Synthesis and pharmacology of new triazolobenzothiazine analogs as pro-drugs of IDPH-791 - a potent centrally acting muscle relaxant
AUTHOR(S): Sastry, C. V. Reddy; Narayan, G. K. A. S. S.; Krishnan, V. S. H.; Vemana, K.; Shridhar, D. R.; Singh, P. P.; Junnarkar, A. Y.
CORPORATE SOURCE: IDPL Res. Cent., Indian Drugs and Pharm. Ltd., Hyderabad, 500 037, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1991), 30B(10), 953-61
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

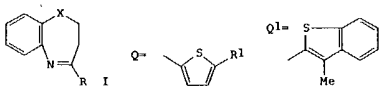


I

AB Title compds., e.g. I (R = CH2OH, COR1, CH2O2CR2, CH2CH2R3, R1 = Me, OEt, CH2Cl, etc., R2 = Ph, 3-pyridyl, OCH2Ph, etc., R3 = CO2Me, cyano), were prepared and evaluated as sedatives and muscle relaxants, inhibitors of pinnal reflex, and for their ability to induce ataxia. Thus, acylation of I (R = H) with R1COCl gave I (R = COR1). Alkylation of I (R = H) with H2C:CHR3 gave I (R = CH2CH2R3). Several compds., I (R = CH2OH, COMe, CO2Et, CH2CH2CO2Me, 1-piperidinyl, CH2CHR4CH2R5, R4R5 = O), had moderate central muscle relaxing activity in 60-80% of the animals, whereas I (R = H) produced this effect in all the animals.
IT **118971-11-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and intramol. cyclocondensation of)
RN 118971-11-2 CAPLUS
CN Hydrazinecarboxylic acid, 2-(2,3-dihydro-1,5-benzothiazepin-4-yl)-, ethyl ester (9CI) (CA INDEX NAME)

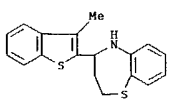


L60 ANSWER 102 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:656129 CAPLUS
DOCUMENT NUMBER: 115:256129
TITLE: Preparation of 2,3-dihydro[1,5]benzothiazepines and 2,3-dihydro[1,5]benzodiazepines
AUTHOR(S): Lancelot, J. C.; Letois, B.; Saturnino, C.; Robba, M.
CORPORATE SOURCE: Lab. Chim. Ther., UFR Sci. Pharm., Caen, 14032, Fr.
SOURCE: Synthetic Communications (1991), 21(18-19), 1901-8
CODEN: SYNCAV; ISSN: 0039-7911
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB 2,3-Dihydro[1,5]benzothiazepines and -diazepines, e.g. I (R = Q, Q1, R1 = Cl, Me, Br, Et, X = S; R = Q, Q1, R1 = Me, X = NH) were prepared by condensation of o-aminothiophenol (II) or o-phenylenediamine with a variety of 3-chlorothieno- or benzothienopropanones. Thus, reacting II with 3-chloro-1-(2-thienyl-5-chloro)-1-propanone in EtOH gave I (R = Q, R1 = Cl, X = S) in 57% yield.
IT **137213-84-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acetylation of)
RN 137213-84-4 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-(3-methylbenzo[b]thien-2-yl)- (9CI) (CA INDEX NAME)



L60 ANSWER 103 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:655774 CAPLUS
DOCUMENT NUMBER: 115:255774
TITLE: Ring chlorination of aromatic hydrocarbons
INVENTOR(S): Mais, Franz Josef; Fiege, Helmut
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

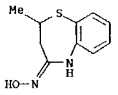
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 442115	A1	19910821	EP 1990-124847	19901220
EP 442115	B1	19931124		
R: BE, CH, DE, FR, GB, IT, LI				
DE 4004821	A1	19910822	DE 1990-4004821	19900216
DD 297953	A5	19920130	DD 1990-339482	19900405
US 5105036	A	19920414	US 1991-651715	19910206
JP 04211029	A2	19920803	JP 1991-42507	19910214
JP 2853352	B2	19990203		
PRIORITY APPLN. INFO.:			DE 1990-4004821	19900216

OTHER SOURCE(S): CASREACT 115:255774; MARPAT 115:255774

AB Chlorination of aromatic hydrocarbons PhR (R = C1-C12 straight- or branched-chain alkyl or C3-C8 cycloalkyl) to give ring-substituted products was carried out in the presence of a Friedel-Crafts catalyst and a 2,3-dihydro-1,5-benzothiazepine (I) derivative as cocatalyst. Thus, toluene was treated with 94 mol % Cl2 at 50° in the presence 0.0175 weight % FeCl3 and 0.0043 weight% I 4-hydroxylamine derivative to give o- and p-chlorotoluene (o/p ratio = 0.66) along with small amts. m-chloro- and dichlorotoluene.

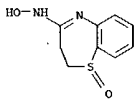
IT **130337-07-4 130337-10-9 130337-40-5**
137346-75-9 137346-77-1 137346-81-7
137346-83-9 137346-85-1 137346-87-3
137346-89-5 137346-93-1 137346-94-2
137346-95-3
RL: CAT (Catalyst use); USES (Uses)
(catalysts from ferric chloride and, for chlorination of alkylbenzene)

RN 130337-07-4 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2-methyl-, oxime (9CI) (CA INDEX NAME)

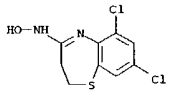


RN 130337-10-9 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2-phenyl-, oxime (9CI) (CA

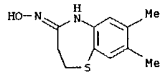
L60 ANSWER 103 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



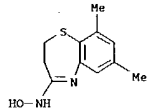
RN 137346-83-9 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 6,8-dichloro-2,3-dihydro-, oxime (9CI) (CA INDEX NAME)



RN 137346-85-1 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-7,8-dimethyl-, oxime (9CI) (CA INDEX NAME)

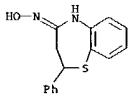


RN 137346-87-3 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-7,9-dimethyl-, oxime (9CI) (CA INDEX NAME)

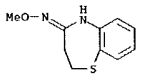


RN 137346-89-5 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2,3,7,9-tetramethyl-, oxime (9CI) (CA INDEX NAME)

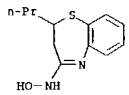
L60 ANSWER 103 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
INDEX NAME)



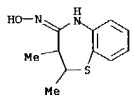
RN 130337-40-5 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-, O-methyloxime (9CI) (CA INDEX NAME)



RN 137346-75-9 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2-propyl-, oxime (9CI) (CA INDEX NAME)

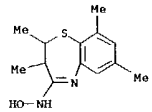


RN 137346-77-1 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2,3-dimethyl-, oxime (9CI) (CA INDEX NAME)

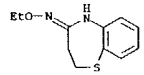


RN 137346-81-7 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-, oxime, 1-oxide (9CI) (CA INDEX NAME)

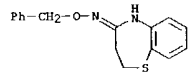
L60 ANSWER 103 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



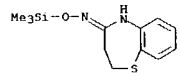
RN 137346-93-1 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-, O-ethyloxime (9CI) (CA INDEX NAME)



RN 137346-94-2 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-, O-(phenylmethyl)oxime (9CI) (CA INDEX NAME)



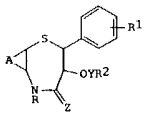
RN 137346-95-3 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-, O-(trimethylsilyl)oxime (9CI) (CA INDEX NAME)



L60 ANSWER 104 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:608031 CAPLUS
DOCUMENT NUMBER: 115:208031
TITLE: Preparation of 1,5-benzothiazepine derivatives as antihypertensives
INVENTOR(S): Inoue, Hirozumi; Gaino, Mitsunori; Nagao, Hiroshi; Murata, Sakae
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03090072	A2	19910416	JP 1989-226503	19890831
PRIORITY APPLN. INFO.:			JP 1989-226503	19890831
OTHER SOURCE(S):	MARPAT 115:208031			

GI

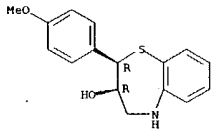


I

AB Title compds. I and their acid salts [A = (substituted) benzene or heterocyclic ring; R1 = lower alkyl, lower alkoxy; R2 = Ph which may be substituted, lower alkoxy, lower alkenyl, mono- or di-lower-alkylamino, mono- or di-lower-alkylaminocarbonyl, aralkylamino, OH, nitroxy, lower alkyl, NO2, and halo; Y = halophenyl, (OH-substituted) lower alkylene; Z = O, 2H; R = XR3 when Z = O; R = XR3 or H when Z = 2H; X = ethylene, trimethylene; R3 = N-heterocycle bonded to X through (lower alkyl- or aralkyl-substituted) amino or N; A is not substituted benzene ring when R2 is unsubstituted Ph], useful for antihypertensive calcium antagonists, are prepared Thus, (±)-cis-2-(4-methoxyphenyl)-3-hydroxy-5-[2-(dimethylamino)ethyl]-2,3-dihydro-1,5-benzothiazepine-4(5H)-one was treated with 4-methylbenzyl chloride in THF at 50° in the presence of NaH and the product was purified by chromatog. and treated with HCl to give (±)-cis-2-(4-methoxyphenyl)-3-(4-methylbenzyl)oxy-5-[2-(dimethylamino)ethyl]-2,3-dihydro-1,5-benzothiazepine-4(5H)-one-HCl.

IT **136352-36-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and ring closure of)
RN 136352-36-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-acetyl chloride, 3,4-dihydro-3-hydroxy-2-(4-methoxyphenyl)-, cis- (9CI) (CA INDEX NAME)

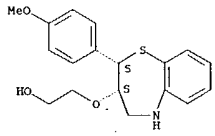
L60 ANSWER 104 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

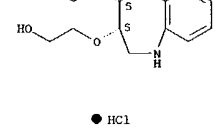
IT **136352-00-6P 136352-01-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antihypertensive calcium antagonist)
RN 136352-00-6 CAPLUS
CN Ethanol, 2-[[2,3,4,5-tetrahydro-2-(4-methoxyphenyl)-1,5-benzothiazepin-3-yl]oxy]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 136352-01-7 CAPLUS
CN Ethanol, 2-[[2,3,4,5-tetrahydro-2-(4-methoxyphenyl)-1,5-benzothiazepin-3-yl]oxy]-, hydrochloride, cis- (9CI) (CA INDEX NAME)

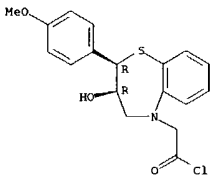
Relative stereochemistry.



● HCl

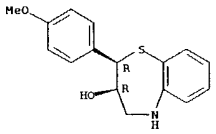
L60 ANSWER 104 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Relative stereochemistry.



IT **136380-40-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and N-chloroacetylation of)
RN 136380-40-0 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 2,3,4,5-tetrahydro-2-(4-methoxyphenyl)-, cis- (9CI) (CA INDEX NAME)

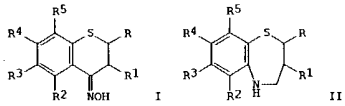
Relative stereochemistry.



IT **136352-35-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 136352-35-7 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 2,3,4,5-tetrahydro-2-(4-methoxyphenyl)-, hydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L60 ANSWER 105 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:185448 CAPLUS
DOCUMENT NUMBER: 114:185448
TITLE: Reduction of 4-thiochromanone oximes with lithium aluminum hydride and related reactions
AUTHOR(S): Ito, Shoei; Tomiyama, Rumiko
CORPORATE SOURCE: Fac. Sci., Hirosaki Univ., Hirosaki, 036, Japan
SOURCE: Science Reports of the Hirosaki University (1990), 37(1), 16-22
CODEN: HUSRAK; ISSN: 0367-6439
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

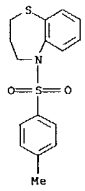


I II

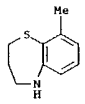
AB The LiAlH4 reduction of thiochromanone oximes I (R = H, Me, Ph; R1, R2, R4 = H, Me; R3, R5 = H, Me, MeO) gave a mixture of the normal reduction product (primary amine) and the rearrangement product II. The main conclusions to be drawn from the results are as follows: 1) The presence of an electron-releasing group in the 6-position (para to 1-S) of thiochromanone oxime increases the reduction rate. 2) The presence of an electron-releasing group in the 7-position (para to the hydroximino group) of thiochromanone oxime decreases the rate of the reduction 3) The presence of an electron-releasing group in the benzene ring of thiochromanone oxime increases the rearranged amine, and in the 7-position (para to the hydroximino) especially 4) The presence of a substituent at C-3 decrease the rate of the reaction. 5) The presence of a substituent at C-2 exerts a slight influence of the rate on the reduction 6) The presence of the substituent at C-2 increases the normal reduction product, and the more bulky substituent at C-2 gives the more normal reduction product. 7) The presence of the substituent at C-3 decreases the normal reduction product, and the more bulky substituent at C-3 gives the more rearranged amine. The mechanism of the reduction is discussed.

IT **93009-01-9P 133087-89-5P 133289-28-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 93009-01-9 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L60 ANSWER 105 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



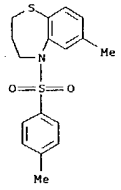
RN 133087-89-5 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-9-methyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 133289-28-8 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-7-methyl-5-[(4-methylphenyl)sulfonyl]-, hydrochloride (9CI) (CA INDEX NAME)

L60 ANSWER 105 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

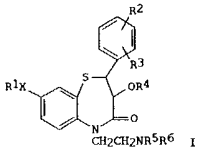
L60 ANSWER 106 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1991:122434 CAPLUS
DOCUMENT NUMBER:	114:122434
TITLE:	Preparation of 1,5-benzothiazepines and their use in the treatment of cardiovascular disorders
INVENTOR(S):	Yanagisawa, Hiroaki; Fujimoto, Koichi; Shimoji, Yasuo; Kanazaki, Takuro; Koike, Hiroyuki; Nishino, Hiroshi
PATENT ASSIGNEE(S):	Sankyo Co., Ltd., Japan
SOURCE:	Eur. Pat. Appl., 67 pp. CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 353032	A1	19900131	EP 1989-307564	19890725
EP 353032	B1	19920226		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5002942	A	19910326	US 1989-384261	19890721
CN 1040195	A	19900307	CN 1989-107043	19890725
CN 1021047	B	19930602		
JP 02191266	A2	19900727	JP 1989-191990	19890725
AT 72813	E	19920315	AT 1989-307564	19890725
ES 2034642	T3	19930401	ES 1989-307564	19890725
CA 1336712	A1	19950815	CA 1989-606525	19890725
JP 02289558	A2	19901129	JP 1990-34802	19900215
JP 2954962	B2	19990927		
PRIORITY APPLN. INFO.:			JP 1988-185097	19880725
			JP 1988-267540	19881024
			JP 1989-41024	19890221
			EP 1989-307564	19890725

OTHER SOURCE(S): MARPAT 114:122434
GI



I

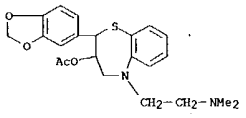
AB The title compds. [I; R1 = (un)substituted C6-10 aryl, (un)substituted aromatic 5-6-membered heterocyclyl with 1-3 N, and/or O, and/or S, or the heterocyclyl fused to a benzene ring; R2, R3 = H, C1-6 (halo)alkyl, C1-6 alkoxy, halo, Ph, PhO, C1-6 alkylthio, PhS, cyano, O2N, R2 R3 = aliphatic containing 1-3 C and 0-2 O; R4 = H, C1-6 acyl; C3-6 cycloalkylcarbonyl, C3-6 cycloalkoxycarbonyl, C7-11 carbocyclyl, etc., R5, R6 = C1-6 alkyl; X = O, S, CH2] and their pharmaceutically acceptable salts, were prepared

L60 ANSWER 106 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

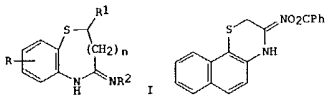
(2S,3S)-I (R1 = 4-FC6H4O; R2 = 3-F; R3 = 4-MeO; R4 = H; R5 = R6 = Me) (II) (prepn. given) was acetylated and the acetyl deriv. (II; R4 = Ac) converted to its hydrochloride. The latter at 10-8 g/mL in vitro completely inhibited contraction of a guinea pig muscle and at 10 mg/kg lowered 41% the blood pressure in male spontaneously hypertensive rats.

IT **129136-63-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)

RN 129136-63-6 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 2-(1,3-benzodioxol-5-yl)-5-[2-(dimethylamino)ethyl]-2,3,4,5-tetrahydro-, acetate (ester), (2S-cis)- (9CI) (CA INDEX NAME)



L60 ANSWER 107 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:611955 CAPLUS
DOCUMENT NUMBER: 113:211955
TITLE: Synthesis, antibacterial and antifungal activities of several new benzo-, naphtho- and quinolino-1,4-thiazine and 1,5-thiazepine derivatives
AUTHOR(S): Ambrogi, V.; Grandolini, G.; Perioli, L.; Ricci, M.; Rossi, C.; Tuttobello, L.
CORPORATE SOURCE: Ist. Chim. Farm. Tec. Farm., Univ. Perugia, Perugia, 06100, Italy
SOURCE: European Journal of Medicinal Chemistry (1990), 25(5), 403-11
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:211955
GI

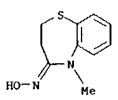


I II

AB The synthesis of thiosemicarbazone, phenylthiosemicarbazone, oxime and oxime O-ester derivs. of benzo- naphtho- and quinolino-1,4-thiazines and 1,5-thiazepines is described. All the compds. were tested in vitro for their antimicrobial activity. A number of compds. including benzothiazines I (R = H, 6-Cl; R1 = H, Ph; R2 = H, Ac, n = 0), benzothiazepine I (R = 8-Cl; R = H, R2 = Ac, n = 1) and naphthothiazine II showed interesting antifungal activity.

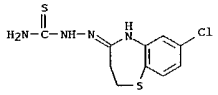
IT **130337-46-1P 130337-47-2P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and NMR of)

RN 130337-46-1 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-5-methyl-, oxime (9CI) (CA INDEX NAME)

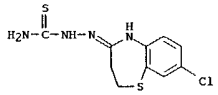


RN 130337-47-2 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-5-methyl-2-phenyl-, oxime (9CI)

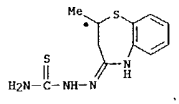
L60 ANSWER 107 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
ylidene)- (9CI) (CA INDEX NAME)



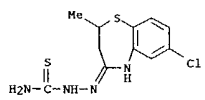
RN 130336-84-4 CAPLUS
CN Hydrazinecarbothioamide, 2-(8-chloro-2,3-dihydro-1,5-benzothiazepin-4(5H)-ylidene)- (9CI) (CA INDEX NAME)



RN 130336-85-5 CAPLUS
CN Hydrazinecarbothioamide, 2-(2,3-dihydro-2-methyl-1,5-benzothiazepin-4(5H)-ylidene)- (9CI) (CA INDEX NAME)

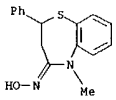


RN 130336-86-6 CAPLUS
CN Hydrazinecarbothioamide, 2-(7-chloro-2,3-dihydro-2-methyl-1,5-benzothiazepin-4(5H)-ylidene)- (9CI) (CA INDEX NAME)



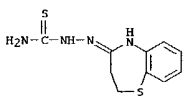
RN 130336-93-5 CAPLUS
CN Hydrazinecarbothioamide, 2-(2,3-dihydro-1,5-benzothiazepin-4(5H)-ylidene)-N-phenyl- (9CI) (CA INDEX NAME)

L60 ANSWER 107 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(CA INDEX NAME)

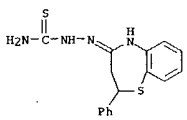


IT **129118-53-2P 129118-54-3P 130336-83-3P**
130336-84-4P 130336-85-5P 130336-86-6P
130336-93-5P 130336-94-6P 130336-95-7P
130337-04-1P 130337-06-3P 130337-09-6P
130337-14-3P 130337-15-4P 130337-17-6P
130337-18-7P 130337-19-8P 130337-22-3P
130337-26-7P 130337-29-0P 130337-30-3P
130337-40-5P 130337-41-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)

RN 129118-53-2 CAPLUS
CN Hydrazinecarbothioamide, 2-(2,3-dihydro-1,5-benzothiazepin-4-yl)- (9CI) (CA INDEX NAME)

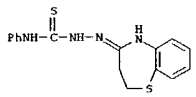


RN 129118-54-3 CAPLUS
CN Hydrazinecarbothioamide, 2-(2,3-dihydro-2-phenyl-1,5-benzothiazepin-4-yl)- (9CI) (CA INDEX NAME)

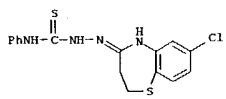


RN 130336-83-3 CAPLUS
CN Hydrazinecarbothioamide, 2-(7-chloro-2,3-dihydro-1,5-benzothiazepin-4(5H)-

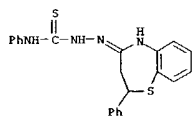
L60 ANSWER 107 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



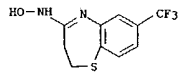
RN 130336-94-6 CAPLUS
CN Hydrazinecarbothioamide, 2-(7-chloro-2,3-dihydro-1,5-benzothiazepin-4(5H)-ylidene)-N-phenyl- (9CI) (CA INDEX NAME)



RN 130336-95-7 CAPLUS
CN Hydrazinecarbothioamide, 2-(2,3-dihydro-2-phenyl-1,5-benzothiazepin-4(5H)-ylidene)-N-phenyl- (9CI) (CA INDEX NAME)

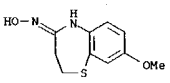


RN 130337-04-1 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-7-(trifluoromethyl)-, oxime (9CI) (CA INDEX NAME)

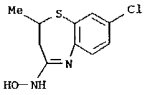


RN 130337-06-3 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-8-methoxy-, oxime (9CI) (CA INDEX NAME)

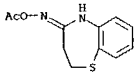
L60 ANSWER 107 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



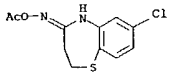
RN 130337-09-6 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 8-chloro-2,3-dihydro-2-methyl-, oxime (9CI) (CA INDEX NAME)



RN 130337-14-3 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-, O-acetyloxime (9CI) (CA INDEX NAME)

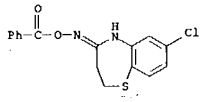


RN 130337-15-4 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 7-chloro-2,3-dihydro-, O-acetyloxime (9CI) (CA INDEX NAME)

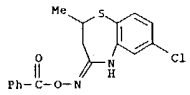


RN 130337-17-6 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2-methyl-, O-acetyloxime (9CI) (CA INDEX NAME)

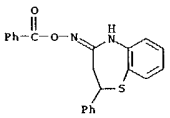
L60 ANSWER 107 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



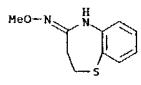
RN 130337-29-0 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 7-chloro-2,3-dihydro-2-methyl-, O-benzoyloxime (9CI) (CA INDEX NAME)



RN 130337-30-3 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2-phenyl-, O-benzoyloxime (9CI) (CA INDEX NAME)

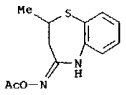


RN 130337-40-5 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-, O-methyloxime (9CI) (CA INDEX NAME)

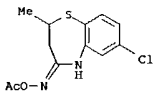


RN 130337-41-6 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2-phenyl-, O-methyloxime (9CI) (CA INDEX NAME)

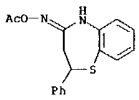
L60 ANSWER 107 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



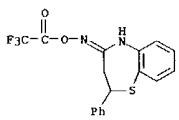
RN 130337-18-7 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 7-chloro-2,3-dihydro-2-methyl-, O-acetyloxime (9CI) (CA INDEX NAME)



RN 130337-19-8 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2-phenyl-, O-acetyloxime (9CI) (CA INDEX NAME)

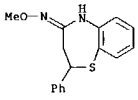


RN 130337-22-3 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2-phenyl-, O-(trifluoroacetyl)oxime (9CI) (CA INDEX NAME)



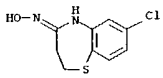
RN 130337-26-7 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 7-chloro-2,3-dihydro-, O-benzoyloxime (9CI) (CA INDEX NAME)

L60 ANSWER 107 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

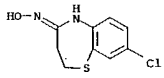


IT **130337-03-0P 130337-05-2P 130337-07-4P 130337-08-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, acetylation and bactericidal activity of)

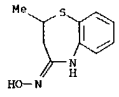
RN 130337-03-0 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 7-chloro-2,3-dihydro-, oxime (9CI) (CA INDEX NAME)



RN 130337-05-2 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 8-chloro-2,3-dihydro-, oxime (9CI) (CA INDEX NAME)

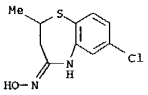


RN 130337-07-4 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2-methyl-, oxime (9CI) (CA INDEX NAME)

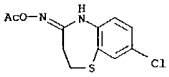


RN 130337-08-5 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 7-chloro-2,3-dihydro-2-methyl-, oxime (9CI) (CA INDEX NAME)

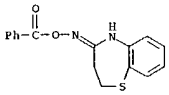
L60 ANSWER 107 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



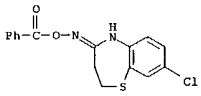
IT **130337-16-5P 130337-25-6P 130337-27-8P**
130337-28-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, bactericidal and antimycotic activity of)
RN 130337-16-5 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 8-chloro-2,3-dihydro-, O-acetyloxime (9CI) (CA INDEX NAME)



RN 130337-25-6 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-, O-benzoyloxime (9CI) (CA INDEX NAME)

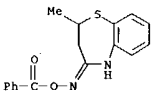


RN 130337-27-8 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 8-chloro-2,3-dihydro-, O-benzoyloxime (9CI) (CA INDEX NAME)

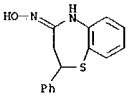


RN 130337-28-9 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2-methyl-, O-benzoyloxime (9CI)

L60 ANSWER 107 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(CA INDEX NAME)



IT **130337-10-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, reactions, and bactericidal and antimycotic activity of)
RN 130337-10-9 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2-phenyl-, oxime (9CI) (CA INDEX NAME)

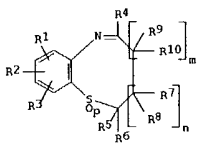


L60 ANSWER 108 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1990:590877 CAPLUS
DOCUMENT NUMBER: 113:190877
TITLE: Chlorination of alkylbenzene in the presence of benzothiazine, -thiazepine, and -thiazocine cocatalysts to increase the para/ortho ratio
INVENTOR(S): Mais, Franz Josef; Fiege, Helmut
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 15 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3837574	A1	19900510	DE 1988-3837574	19881105
EP 368063	A1	19900516	EP 1989-119556	19891021
EP 368063	B1	19920610		
R: BE, DE, FR, GB, IT				
US 4990707	A	19910205	US 1989-427264	19891026
JP 02178241	A2	19900711	JP 1989-287775	19891104
PRIORITY APPLN. INFO.:			DE 1988-3837574	19881105
			DE 1988-3837575	19881105

OTHER SOURCE(S): CASREACT 113:190877; MARPAT 113:190877
GI

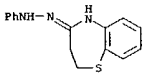


I

AB RPh (R = C1-12 alkyl, C3-8 cycloalkyl) were chlorinated in the presence of Friedel-Crafts catalysts and benzothiazine, -thiazepine and -thiazocine cocatalysts [I; R1, R2 = H, OH, amino, cyano, NO2, CO2H, halo(carbonyl), alkoxy(carbonyl), aryl(oxy), acyloxy, alkyl(thio), arylthio, acylamino, etc.; R3 = H, Cl; R1R3, R2R3 = atoms to complete a ring; R4 = H, halo, alkyl(thio), aryl(thio), alkoxy, aryloxy, amino, (phenyl)hydrazino, alkylhydrazino; R5, R7, R9 = H, alkyl, alkoxy(carbonyl), acyl(oxy), cyano, halo, CO2H, Ph, PhO; R5R7, R7R9 = atoms to complete a ring; R6, R8, R10 = H, alkyl, halo; m, n, p = 0, 1], and their derivs. Thus, a mixture of PhMe 100, FeCl3 0.0047, and 4-methylthio-2,3-dihydro-1,5-benzothiazepine 0.0047 weight parts at 50° was treated with Cl over 5 h to give a mixture containing 2-ClC6H4Me/4-ClC6H4Me in a 0.71:1 ratio and 3-8% unreacted PhMe.

IT **130110-41-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(use of, as cocatalyst for chlorination of alkylbenzenes)
RN 130110-41-7 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-, phenylhydrazone (9CI) (CA INDEX NAME)

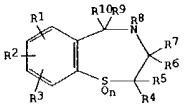
L60 ANSWER 108 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L60 ANSWER 109 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:590876 CAPLUS
DOCUMENT NUMBER: 113:190876
TITLE: Friedel-Crafts chlorination of alkylbenzenes in the presence of benzothiazepine cocatalysts to give increased yields of para isomers
INVENTOR(S): Mais, Franz Josef; Fiege, Helmut
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 16 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3837575	A1	19900510	DE 1988-3837575	19881105
EP 368063	A1	19900516	EP 1989-119556	19891021
EP 368063	B1	19920610		
R: BE, DE, FR, GB, IT				
US 4990707	A	19910205	US 1989-427264	19891026
JP 02178241	A2	19900711	JP 1989-287775	19891104
PRIORITY APPLN. INFO.:			DE 1988-3837574	19881105
			DE 1988-3837575	19881105

OTHER SOURCE(S): CASREACT 113:190876; MARPAT 113:190876
GI



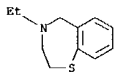
I

AB Alkylbenzenes RPh (R = C1-12 alkyl, C3-8 cycloalkyl) were chlorinated in the presence of Friedel-Crafts catalysts and benzothiazepine cocatalysts [I; R1, R2 = H, OH, amino, CN, halo, NO2, alkyl, (substituted) Ph, alkoxy, PhO, acyloxy, acyl, alkoxycarbonyl; R3 = H, Cl; R1R3, R2R3 = atoms to complete a 5-8 membered ring; R4, R6, R10 = H, alkyl, (substituted) Ph, acyl, alkoxycarbonyl, CN, halo, CO2H, alkoxy, alkylthio, PhS, PhCH2S, PhO, acyloxy; R5, R7, R9 = H, alkyl, halo, alkoxy, alkylthio; R8 = H, alkyl, (substituted) Ph, acyl, thioacyl, halocarbonyl, alkoxycarbonyl; n = 0, 1] and derivs. thereof. Thus, a mixture of PhMe 100, FeCl3 0.017, and 4-acetyl-2,3-dihydro-1,4-benzothiazepin-5(4H)-one 0.0050 weight parts at 50° was treated with Cl over 5 h to give a mixture containing 3 weight% unreacted PhMe, and 2- and 4-ClC6H4Me in a 0.71:1 ratio.

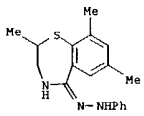
IT **23483-17-2 130000-24-7**, 4-Ethyl-2,3,4,5-tetrahydro-1,4-benzothiazepine **130000-31-6**
RL: CAT (Catalyst use); USES (Uses)
(cocatalyst, for Friedel-Crafts chlorination of alkylbenzenes)

L60 ANSWER 109 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 23483-17-2 CAPLUS
CN 1,4-Benzothiazepin-5(2H)-one, 3,4-dihydro-, phenylhydrazone (9CI) (CA INDEX NAME)

RN 130000-24-7 CAPLUS
CN 1,4-Benzothiazepine, 4-ethyl-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)



RN 130000-31-6 CAPLUS
CN 1,4-Benzothiazepin-5(2H)-one, 3,4-dihydro-2,7,9-trimethyl-, phenylhydrazone (9CI) (CA INDEX NAME)

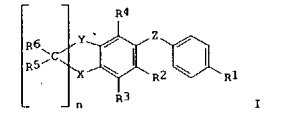


L60 ANSWER 110 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:572059 CAPLUS
DOCUMENT NUMBER: 113:172059
TITLE: Preparation of (condensed heterocyclyl)propenylbenzoates and analogs as drugs
INVENTOR(S): Klaus, Michael; Mohr, Peter; Weiss, Ekkehard
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

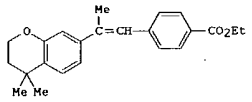
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 350846	A2	19900117	EP 1989-112607	19890710
EP 350846	A3	19901107		
EP 350846	B1	19951011		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AU 8937097	A1	19900118	AU 1989-37097	19890627
AU 626881	B2	19920813		
ZA 8905191	A	19900328	ZA 1989-5191	19890707
HU 50786	A2	19900328	HU 1989-3454	19890710
HU 205084	B	19920330		
US 5037825	A	19910806	US 1989-377510	19890710
CA 1319364	A1	19930622	CA 1989-605266	19890710
AT 128974	E	19951015	AT 1989-112607	19890710
ES 2078905	T3	19960101	ES 1989-112607	19890710
DK 8903481	A	19900115	DK 1989-3481	19890713
NO 8902894	A	19900115	NO 1989-2894	19890713
NO 172644	B	19930510		
NO 172644	C	19930818		
JP 02076862	A2	19900316	JP 1989-181650	19890713
JP 07086094	B4	19950920		
FI 8903433	A	19900115	FI 1989-3433	19890714
FI 96204	B	19960215		
FI 96204	C	19960527		
US 5164387	A	19921117	US 1991-696812	19910528
US 5300522	A	19940405	US 1992-931612	19920818
NO 9300427	A	19900115	NO 1993-427	19930208
US 5420273	A	19950530	US 1993-173796	19931223
PRIORITY APPLN. INFO.:			CH 1988-2694	19880714
			CH 1989-1994	19890526
			US 1989-377510	19890710
			NO 1989-2894	19890713
			US 1991-696812	19910528
			US 1992-931612	19920818

OTHER SOURCE(S): MARPAT 113:172059
GI

L60 ANSWER 110 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I



II

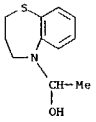
AB The title compds. (I; R1 = H, acyl, C1-6 alkyl, CHO, CH2OR10, COR7, OR13; R2, R3, R4 = H, C1-6 alkyl, C1-6 alkoxy, halo; R5, R6 = H, C1-6 alkyl; R7 = OH, C1-6 alkoxy, NR8R9; R8, R9 = H, C1-6 alkyl; X, Y = CR12R13, O, S, SO, etc., with provisos; Z = CR10:CR11, CONH, NHCO; R10-R13 = H, C1-6 alkyl; n = 1-4), and their salts (when R1 = CO2H), useful for treatment and prophylaxis of neoplasia, dermatosis, skin aging, acne, psoriasis, and for inflammatory, rheumatic, allergic, and immunol. diseases, were prepared A suspension of NaH in DMSO was heated 20 min at 40° with di-Et 4-(carbethoxy)benzylphosphonate, treated with 3,4-dihydro-4,4-dimethyl-7-acetyl-2H-1-benzopyran (preparation given) at room temperature, and the mixture was heated 1 h at 40° to give the title compound II. The latter at 6 mg/kg/wk in a papilloma test (Europ. J. Cancer 10, 731-737, 1974) gave a papilloma regression of 66%. Tablet, capsule, and lotion formulations were given.

IT **129791-03-3P 129791-04-4P 129791-05-5P 129791-08-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of drug)

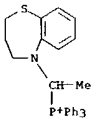
RN 129791-03-3 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-methyl- (9CI) (CA INDEX NAME)

RN 129791-04-4 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-methanol, 3,4-dihydro-α-methyl- (9CI) (CA INDEX NAME)

L60 ANSWER 110 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

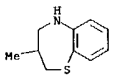


RN 129791-05-5 CAPLUS
CN Phosphonium, [1-(3,4-dihydro-1,5-benzothiazepin-5(2H)-yl)ethyl]triphenyl-, bromide (9CI) (CA INDEX NAME)



● Br⁻

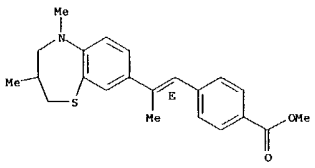
RN 129791-08-8 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-3-methyl- (9CI) (CA INDEX NAME)



IT **129791-06-6P 129791-17-9P 129791-19-1P 129791-88-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as drug)
RN 129791-06-6 CAPLUS
CN Benzoic acid, 4-[2-(2,3,4,5-tetrahydro-5-methyl-1,5-benzothiazepin-8-yl)-1-propenyl]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

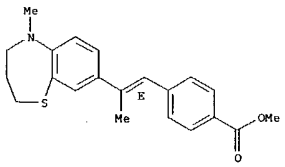
L60 ANSWER 110 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Double bond geometry as shown.



IT **129791-02-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of drug)
RN 129791-02-2 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-, hydrobromide (9CI) (CA INDEX NAME)

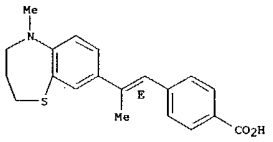
● HBr

L60 ANSWER 110 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



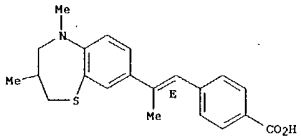
RN 129791-17-9 CAPLUS
CN Benzoic acid, 4-[2-(2,3,4,5-tetrahydro-5-methyl-1,5-benzothiazepin-8-yl)-1-propenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



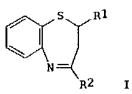
RN 129791-19-1 CAPLUS
CN Benzoic acid, 4-[2-(2,3,4,5-tetrahydro-3,5-dimethyl-1,5-benzothiazepin-8-yl)-1-propenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

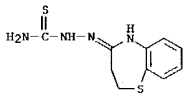


RN 129791-88-4 CAPLUS
CN Benzoic acid, 4-[2-(2,3,4,5-tetrahydro-3,5-dimethyl-1,5-benzothiazepin-8-yl)-1-propenyl]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

L60 ANSWER 111 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:515190 CAPLUS
DOCUMENT NUMBER: 113:115190
TITLE: Studies on the chemistry of O,N- and S,N-containing heterocycles. Part 11. Investigations on the synthesis and biological activity of tricyclic 1,5-benzothiazepines
AUTHOR(S): Bartsch, H.; Erker, T.
CORPORATE SOURCE: Inst. Pharm. Chem., Univ. Wien, Vienna, A-1090, Austria
SOURCE: Scientia Pharmaceutica (1989), 57(4), 325-31
CODEN: SCPHA4; ISSN: 0036-8709
DOCUMENT TYPE: Journal
LANGUAGE: German
GI

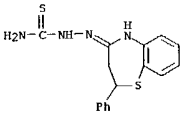


AB Electrophilic substitution of benzothiazepinethiones with bromides gave (alkylthio)dihydrobenzothiazepines I (R1 = H, Ph; R2 = SCH2CH:CH2, SCH2Ph, SCH2CO2Et, SCH2CO2Ph, SCH2CO2C6H4Br-4). The reaction of (methylthio)dihydrobenzothiazepines with semicarbazide or thiosemicarbazide gave I (R1 = H, Ph; R2 = NHNHC(:X)NH2; X = O, S); the latter (X = O) was converted to aminotriazolobenzothiazepines. The compds. were tested for herbicidal or fungicidal and anticonvulsant activity.
IT **129118-53-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion to (dihydrobenzothiazepinyl)-S-methylisothiosemicarbazide and herbicidal and fungicidal activity of)
RN 129118-53-2 CAPLUS
CN Hydrazinecarbothioamide, 2-(2,3-dihydro-1,5-benzothiazepin-4-yl)- (9CI) (CA INDEX NAME)

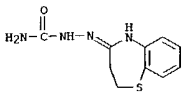


IT **129118-54-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion to (dihydrophenylbenzothiazepinyl)-S-methylisothiosemicarbazide, and herbicidal and fungicidal activity of)
RN 129118-54-3 CAPLUS
CN Hydrazinecarbothioamide, 2-(2,3-dihydro-2-phenyl-1,5-benzothiazepin-4-yl)- (9CI) (CA INDEX NAME)

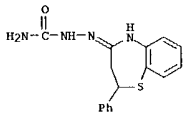
L60 ANSWER 111 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **129118-51-0P 129118-52-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cyclization and herbicidal and fungicidal activity of)
RN 129118-51-0 CAPLUS
CN Hydrazinecarboxamide, 2-(2,3-dihydro-1,5-benzothiazepin-4-yl)- (9CI) (CA INDEX NAME)

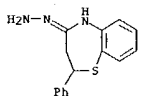


RN 129118-52-1 CAPLUS
CN Hydrazinecarboxamide, 2-(2,3-dihydro-2-phenyl-1,5-benzothiazepin-4-yl)- (9CI) (CA INDEX NAME)

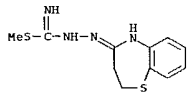


IT **129118-59-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reductive cyclization of, dihydrophenyltetrazolobenzothiaze pinone from)
RN 129118-59-8 CAPLUS
CN 1,5-Benzothiazepin-4(5H)-one, 2,3-dihydro-2-phenyl-, hydrazone (9CI) (CA INDEX NAME)

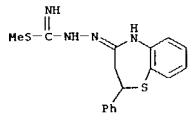
L60 ANSWER 111 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **129118-57-6P 129118-58-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 129118-57-6 CAPLUS
CN Hydrazinecarboximidothioic acid, 2-(2,3-dihydro-1,5-benzothiazepin-4-yl)-, methyl ester (9CI) (CA INDEX NAME)



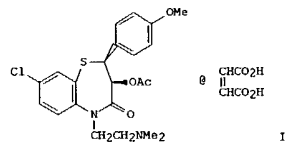
RN 129118-58-7 CAPLUS
CN Hydrazinecarboximidothioic acid, 2-(2,3-dihydro-2-phenyl-1,5-benzothiazepin-4-yl)-, methyl ester (9CI) (CA INDEX NAME)



L60 ANSWER 112 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1990:470715 CAPLUS
DOCUMENT NUMBER:	113:70715
TITLE:	[3H]TA-3090, a selective benzothiazepine-type calcium channel receptor antagonist: in vitro characterization
AUTHOR(S):	Zobrist, Ray H.; Mecca, Thomas E.
CORPORATE SOURCE:	Dep. Cardiovasc. Pharmacol., Marion Merrell Dow Inc., Kansas City, MO, USA
SOURCE:	Journal of Pharmacology and Experimental Therapeutics (1990), 253(2), 461-5 CODEN: JPETAB; ISSN: 0022-3565
DOCUMENT TYPE:	Journal
LANGUAGE:	English

GI



I

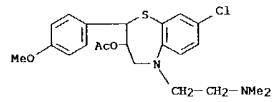
AB Binding of the new benzothiazepine calcium channel blocker TA-3090 (I) was characterized and its specificity for rat myocardial benzothiazepine receptors described. Scatchard plots and nonlinear regression anal. of specific [3H]I binding best fit a one-site binding model (Kd = 8.8 nM, Bmax = 132 fmol/mg protein). Kinetically derived affinity consts. were in close agreement (Kd = 7.86 nM) with those obtained from anal. of equilibrium binding data. In comparison, under identical conditions [3H]diltiazem exhibited a Kd of 38 nM and Bmax 106 fmol/mg protein. Specific binding was saturable, reversible and stereoselective (d-cis-I Ki = 14 nM; l-cis-I Ki = 2700 nM). Competitions for [3H]I binding were conducted with nifedipine, propranolol, prazosin, quinuclidinyl benzilate, verapamil, and yohimbine. Only the calcium channel blockers nifedipine, verapamil, and yohimbine inhibited specific [3H]I binding. Nifedipine could maximally inhibit only 52% of specifically bound [3H]I at 10 μM. In contrast, however, 10 μM verapamil completely inhibited specific radioligand binding (Ki = 93 nM) but with six times less efficiency than I. Thus, these data demonstrate that [3H]I is a potent radioligand selective for the benzothiazepine binding site and is consistent with the hypothesis that [3H]I interacts with a myocardial benzothiazepine receptor site.

IT **128531-61-3**
RL: BIOL (Biological study)
(as benzothiazepine-type calcium channel receptor antagonist, structure in relation to)
RN 128531-61-3 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 8-chloro-5-[2-(dimethylamino)ethyl]-2,3,4,5-tetrahydro-2-(4-methoxyphenyl)-, acetate (ester), (2R,3R)-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

L60 ANSWER 112 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

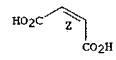
CRN 128531-60-2
CMF C22 H27 Cl N2 O3 S



CM 2

CRN 110-16-7
CMF C4 H4 O4

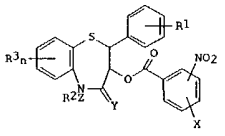
Double bond geometry as shown.



L60 ANSWER 113 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:534126 CAPLUS
DOCUMENT NUMBER: 111:134126
TITLE: Preparation of benzothiazepinone derivatives as antihypertensives and blood platelet aggregation inhibitors
INVENTOR(S): Inoue, Hirozumi; Gaino, Mitsunori; Nagao, Hiroshi; Murata, Sakae
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01009981	A2	19890113	JP 1987-164203	19870629
PRIORITY APPLN. INFO.:			JP 1987-164203	19870629

OTHER SOURCE(S): MARPAT 111:134126
GI



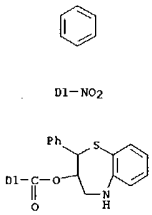
I

AB Title compds. I [R1 = alkyl, alkoxy; R2 = dialkylamino; Z = alkylene; R3 = H, halo, OH, (halo-substituted) alkyl or alkoxy; n = 1, 2; X = H, halo; Y = O, S; when R3 = H, Y = S or R1 = alkyl, 2-alkoxy], useful as antihypertensives, cerebral or coronary vasodilators, blood platelet aggregation inhibitors, and/or calmodulin antagonists (no data), are prepared Esterification of (±)-cis-2-(4-methoxyphenyl)-3-hydroxy-5-[2-(dimethylamino)ethyl]-7-methoxy-2,3-dihydro-1,5-benzothiazepine-4(5H)-one (preparation given) with 4-O2NC6H4COCl in pyridine gave the corresponding 3-(4-nitrobenzoyl)oxy analog in a quant. yield.

IT **122742-26-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive, vasodilator, and blood platelet aggregation inhibitor)

RN 122742-26-1 CAPLUS
CN Benzoic acid, 2-chloro-4-nitro-, 5-[2-(dimethylamino)ethyl]-2,3,4,5-tetrahydro-2-(4-methoxyphenyl)-4-thioxo-1,5-benzothiazepin-3-yl ester, (2S-cis)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

L60 ANSWER 113 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

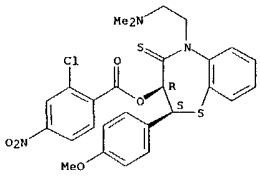


D1—NO2

L60 ANSWER 113 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CM 1

CRN 122666-69-7
CMF C27 H26 Cl N3 O5 S2

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

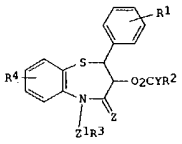
IT **122694-50-2DP**, derivs.
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antihypertensives, vasodilators, and blood platelet aggregation inhibitors)

RN 122694-50-2 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 2,3,4,5-tetrahydro-2-phenyl-, nitrobenzoate (ester) (9CI) (CA INDEX NAME)

L60 ANSWER 114 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:231671 CAPLUS
DOCUMENT NUMBER: 110:231671
TITLE: Preparation of 2-phenyl-1,5-benzothiazepine derivatives having antihypertensive, vasodilating activity and the like
INVENTOR(S): Inoue, Hirozumi; Gaino, Mitsunori; Nagao, Hiroshi; Murata, Sakae
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63275572	A2	19881114	JP 1987-109232	19870501
PRIORITY APPLN. INFO.:			JP 1987-109232	19870501

OTHER SOURCE(S): MARPAT 110:231671
GI



I

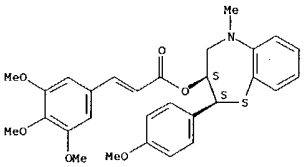
AB The title compds. [I; R1 = lower alkyl, lower alkoxy; R2 = cycloalkyl, lower alkoxycarbonyl, CO2H, lower alkanoyl, mono-, di-, or trihaloalkyl, heterocyclyl, Ph optionally substituted by 1-3 halo, lower alkyl, lower alkoxy, etc.; Y = bond, lower alkylene, lower alkenylene, lower alkyleneoxy; R3 = H, NH2 substituted by 1-3 of lower alkyl, (un)substituted aralkyl and arylcarbonyl; Z = H2, O, S; Z1 = straight- or branched chain-alkylene optionally interrupted with O; R4 = H, halo, lower alkyl, lower alkoxy; provided that when R2 = Ph or heterocyclyl, Y = bond] having antihypertensive, cerebral- or coronary-vasodilating activity, etc. (no data), were prepared Et3N (1 mL) followed by 0.78 g 4-MeSC6H4COCl (II) was added under ice-cooling to a solution of 1.53 g (+)-cis-2-(4-methoxyphenyl)-3-hydroxy-5-[2-(diethylamino)ethyl]-2,3-dihydro-1,5-benzothiazepin-4(5H)-one-HCl in CH2Cl2. After stirring 3 h at room temperature, Et3N and 0.05 g II were added and stirred at room temperature for a
total of 10 h to give 71.5% I.HCl (R1 = 4-MeO, YR2 = C6H4SMe-4, Z = O, Z1R3 = CH2CH2NEt2, R4 = H).

IT **120723-03-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antihypertensive and vasodilator)

RN 120723-03-7 CAPLUS
CN 2-Propenoic acid, 3-(3,4,5-trimethoxyphenyl)-, 2,3,4,5-tetrahydro-2-(4-methoxyphenyl)-5-methyl-1,5-benzothiazepin-3-yl ester, hydrochloride, cis-

L60 ANSWER 114 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(9CI) (CA INDEX NAME)

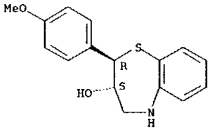
Relative stereochemistry.
Double bond geometry unknown.



● HCl

IT **120787-27-1P 120787-28-2P 120924-83-6P**
120924-84-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for antihypertensive and vasodilator)
RN 120787-27-1 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 2,3,4,5-tetrahydro-2-(4-methoxyphenyl)-, trans-
(9CI) (CA INDEX NAME)

Relative stereochemistry.



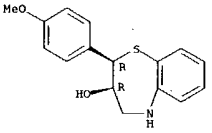
RN 120787-28-2 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 2,3,4,5-tetrahydro-2-(4-methoxyphenyl)-, trans-,
methanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

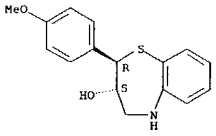
CRN 120787-27-1
CMF C16 H17 N O2 S

Relative stereochemistry.

L60 ANSWER 114 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L60 ANSWER 114 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

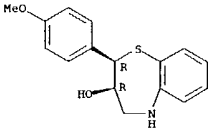


CM 2

CRN 75-75-2
CMF C H4 O3 S

RN 120924-83-6 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 2,3,4,5-tetrahydro-2-(4-methoxyphenyl)-, cis-(+)-
(9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



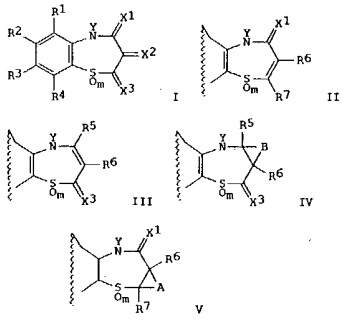
RN 120924-84-7 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 2,3,4,5-tetrahydro-2-(4-methoxyphenyl)-, cis-(-)-
(9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

L60 ANSWER 115 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:212331 CAPLUS
DOCUMENT NUMBER: 110:212331
TITLE: Process for nuclear chlorination of aromatic hydrocarbons using Friedel-Crafts reaction catalysts and benzothiazepine cocatalysts
INVENTOR(S): Mais, Franz Josef; Fiege, Helmut; Roehlk, Kai; Wedemeyer, Karlfried
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 292824	A1	19881130	EP 1988-107804	19880516
EP 292824	B1	19900801		
R: BE, CH, DE, FR, GB, IT, LI				
DE 3718060	A1	19881208	DE 1987-3718060	19870528
US 4851596	A	19890725	US 1988-192739	19880511
JP 63307831	A2	19881215	JP 1988-126025	19880525
JP 06053690	B4	19940720		
PRIORITY APPLN. INFO.:			DE 1987-3718060	19870528

OTHER SOURCE(S): CASREACT 110:212331; MARPAT 110:212331
GI



AB Aromatic hydrocarbons PhR (R = C1-12 alkyl, cycloalkyl) are chlorinated in the aromatic nucleus in the liquid phase using Friedel-Crafts reaction catalysts and, as cocatalysts, benzo[b][1,4]thiazepines I-V [A, B = atoms

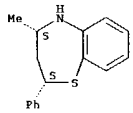
L60 ANSWER 115 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
to complete fused, (un)satd., (un)substituted C≤8 carbocycle or heterocycle; R1-R7 = H, OH, halo, cyano, NH2, SH, (un)modified CO2H, (un)substituted alkyl(oxy), aryl(oxy), heteroaryl(oxy), alkylthio, arylthio, etc.; adjacent pairs of R1-R4 = atoms to complete fused, (un)satd., (un)substituted C≤8 carbocycle or heterocycle; X1-X3 = O, S, R8N, CH2, CHR5, CR5R6, H2, H and R5, H and R6; R8 = (un)substituted alkyl, aryl, heteroaryl, (thio)acyl(oxy), acylamino, arylamino; Y = H, R8; m = 0-1]. Preferred reaction conditions are: liq. phase, 40-60°, 1 atm, 0.001-0.01 wt. % cocatalyst based on arom. hydrocarbon, and use of gaseous Cl. A mixt. of PhMe 100, FeCl3 0.0175, and I (R1-R4 = Y = H, X1 = X3 = O, X2 = H2, m = 0) 0.004 wt. parts was heated at 55° while 94 mol % gaseous Cl was introduced over 5 h to give a product contg. 3.3 wt. % PhMe, with a ratio of 2-ClC6H4Me to 4-ClC6H4Me of 0.75.

IT **120425-70-9**
RL: CAT (Catalyst use); USES (Uses)
(catalysts from ferric chloride and, for chlorination of aromatic hydrocarbons)

RN 120425-70-9 CAPLUS

CN 1,5-Benzothiazepine, 5-ethyl-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)

L60 ANSWER 116 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L60 ANSWER 116 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:113942 CAPLUS

DOCUMENT NUMBER: 110:113942

TITLE: Theoretical conformational analysis of 1,5-benzodiazepines and benzothiazepines

AUTHOR(S): Lu, Yingchao; Jin, Sheng; Xing, Qiyi

CORPORATE SOURCE: Dep. Chem., Peking Univ., Beijing, 100871, Peop. Rep. China

SOURCE: THEOCHEM (1988), 44(3-4), 253-67
CODEN: THEODJ; ISSN: 0166-1280

DOCUMENT TYPE: Journal

LANGUAGE: English

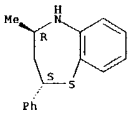
AB The conformations of a series of dihydro and tetrahydro 2,4-disubstituted 1,5-benzoheteroazepine have been studied by MMPM and MNDO. The two boat-like conformations of dihydro compds. arising from the orientations of 2-substituents toward the boat are calculated to be very close in energy; the difference is <2 kcal mol-1 and both are therefore stable. This result has been confirmed by x-ray diffraction. The inversion barrier of the two conformations is estimated to be 6-7 kcal mol-1 by MMPM for 2,4-di-Me
compds. The conjugation of Ar-N:C-Ar is discussed on the basis of the MNDO calcns. and the INDO localized orbitals. A nonplanar conjugated system has been established. The twist-boat conformation of tetrahydro compds. is more stable than the chair conformation, especially for benzothiazepines; this differs from the analogous benzocycloheptanes.

IT **102836-86-2 102836-87-3**
RL: PRP (Properties)
(conformation energy of)

RN 102836-86-2 CAPLUS

CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-methyl-2-phenyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 102836-87-3 CAPLUS

CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-methyl-2-phenyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L60 ANSWER 117 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:95179 CAPLUS

DOCUMENT NUMBER: 110:95179

TITLE: Studies on the chemistry of O,N- and S,N-containing heterocycles. 3. Synthesis of 1,5-benzothiazepines with potential CNS activity

AUTHOR(S): Bartsch, Herbert; Erker, Thomas

CORPORATE SOURCE: Inst. Pharm. Chem., Univ. Vienna, Vienna, A-1090, Austria

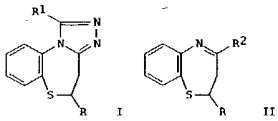
SOURCE: Journal of Heterocyclic Chemistry (1988), 25(4), 1151-4
CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 110:95179

GI

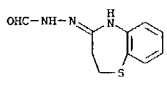


AB The synthesis of a series of novel triazolo[3,4-d][1,5]benzothiazepines, e.g. I (R = H, Ph; R1 = H, Me, Ph, 4-pyridyl, 3-pyridyl) obtained from the activated 1,5-benzothiazepine derivs. II (R = H, Ph; R2 = SMe) and carbohydrazides R1CONHNH2 is described. Under mild reaction conditions, some intermediates II (R = H, Ph; R2 = NHNHCOR1 can be isolated.

IT **118971-07-6P 118971-08-7P 118971-09-8P**
118971-10-1P 118971-11-2P 118971-12-3P
118971-13-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

RN 118971-07-6 CAPLUS

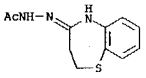
CN Hydrazinecarboxaldehyde, 2-(2,3-dihydro-1,5-benzothiazepin-4-yl)- (9CI) (CA INDEX NAME)



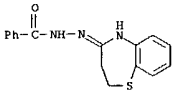
RN 118971-08-7 CAPLUS

CN Acetic acid, 2-(2,3-dihydro-1,5-benzothiazepin-4-yl)hydrazide (9CI) (CA INDEX NAME)

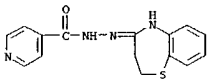
L60 ANSWER 117 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



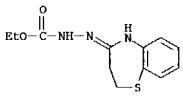
RN 118971-09-8 CAPLUS
CN Benzoic acid, 2-(2,3-dihydro-1,5-benzothiazepin-4-yl)hydrazide (9CI) (CA INDEX NAME)



RN 118971-10-1 CAPLUS
CN 4-Pyridinecarboxylic acid, 2-(2,3-dihydro-1,5-benzothiazepin-4-yl)hydrazide (9CI) (CA INDEX NAME)

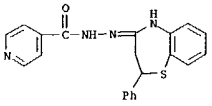


RN 118971-11-2 CAPLUS
CN Hydrazinecarboxylic acid, 2-(2,3-dihydro-1,5-benzothiazepin-4-yl)-, ethyl ester (9CI) (CA INDEX NAME)

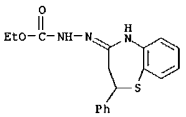


RN 118971-12-3 CAPLUS
CN 4-Pyridinecarboxylic acid, 2-(2,3-dihydro-2-phenyl-1,5-benzothiazepin-4-yl)hydrazide (9CI) (CA INDEX NAME)

L60 ANSWER 117 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 118971-13-4 CAPLUS
CN Hydrazinecarboxylic acid, 2-(2,3-dihydro-2-phenyl-1,5-benzothiazepin-4-yl)-, ethyl ester (9CI) (CA INDEX NAME)



L60 ANSWER 118 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1988:560501 CAPLUS
DOCUMENT NUMBER:	109:160501
TITLE:	Silver halide photographic material with improved fastness
INVENTOR(S):	Kaneko, Yutaka
PATENT ASSIGNEE(S):	Konica Co., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 16 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

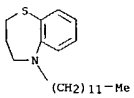
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63095442	A2	19880426	JP 1986-240552	19861009
PRIORITY APPLN. INFO.:			JP 1986-240552	19861009

GI For diagram(s), see printed CA Issue.

AB The title material contains I as magenta coupler and compd(s). II, III, IV as color stabilizer (A = N-containing heterocyclic ring that may be substituted; X = leaving group; R = H, substituent; R3 = H, alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, aryl, heterocyclyl, acyl, sulfonyl, phosphonyl, carbamoyl, sulfamoyl, alkoxycarbonyl, aryloxycarbonyl; R4 = substituent; m = 0 - 6; Y = O, S, NR5; R5 = R3). This coupler-stabilizer combination provides good coloration and especially high fastness and prevention of yellow staining. Thus, polyethylene-coated paper was coated with a Ag(Br,Cl) emulsion containing equimol. amts. of magenta coupler V and stabilizer VI, and with a UV-absorbing layer and a protective layer to obtain a color paper. Sensitometrically exposed and processed paper produced color image which showed high resistivity to light and moisture and free from yellow stain.

IT **116801-11-7**
RL: USES (Uses)
(photog. stabilizer, photog. paper containing combination of magenta coupler and)

RN 116801-11-7 CAPLUS
CN 1,5-Benzothiazepine, 5-dodecyl-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)



L60 ANSWER 119 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

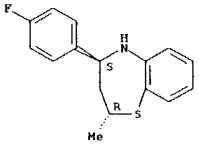
ACCESSION NUMBER:	1988:422368 CAPLUS
DOCUMENT NUMBER:	109:22368
TITLE:	Interaction between π-orbitals of noncoplanar conjugated rings through bonds in the C16H14SNF molecule
AUTHOR(S):	Zhou, Gongdu; Jin, Sheng; Li, Qi; Liu, Rouzhuang
CORPORATE SOURCE:	Dep. Chem., Peking Univ., Beijing, Peop. Rep. China
SOURCE:	Huaxue Xuebao (1987), 45(11), 1053-60 CODEN: HHHPA4; ISSN: 0567-7351
DOCUMENT TYPE:	Journal
LANGUAGE:	Chinese

AB Quantum chemical calcns. on 2-methyl-4-(p-fluorophenyl)-2,3-dihydrobenzo[1,5]thiaazatropolone and 2-methyl-4-(p-fluorophenyl)-2,3,4,5-tetrahydrobenzo[1,5]thiaazatropolone were performed by means of the MNDO/2 method. The geometries of the compds. were taken from crystal structure data. Although the geometries of I and II are similar, the MO's of the 2 mols. differ significantly with respect to the coeffs. of AO's in the MO's. There is no interaction between the π-orbitals of the 2 benzene rings in mol. II, but there is interaction between the π-orbitals (HOMO and MO's closed to HOMO) of the 2 aromatic rings in mol. I The interaction is through the C:N bond. The result is consistent with NMR measurements.

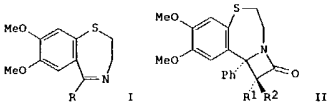
IT **105555-74-6**
RL: PROC (Process)
(MNDO/2 calcn. of)

RN 105555-74-6 CAPLUS
CN 1,5-Benzothiazepine, 4-(4-fluorophenyl)-2,3,4,5-tetrahydro-2-methyl-, trans- (9CI) (CA INDEX NAME)

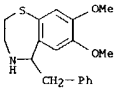
Relative stereochemistry.



L60 ANSWER 120 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:221680 CAPLUS
DOCUMENT NUMBER: 108:221680
TITLE: Synthesis and spectroscopic investigation of 1,4-benzothiazepine derivatives
AUTHOR(S): Szabo, Janos; Bernath, Gabor; Katocs, Agnes; Fodor, Lajos; Sohar, Pal
CORPORATE SOURCE: Gyogyszereszi Vegytani Intez., SZOTE, Szeged, 6701, Hung.
SOURCE: Magyar Kemiai Folyoirat (1987), 93(6), 269-76
CODEN: MGKFA3; ISSN: 0025-0155
DOCUMENT TYPE: Journal
LANGUAGE: Hungarian
OTHER SOURCE(S): CASREACT 108:221680
GI

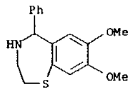


AB 3,4-(MeO)2C6H3SNa was treated with BrCH2CH2NH2 and the product acylated to give 3,4-(MeO)2C6H3SCH2CH2NHCOR (R = Me, PhCH2, Ph), which were cyclized by POCl3 to give benzothiazepines I. I (R = Ph) was cyclized with R1R2CHCOCl (R1 = H ,R2 = Ph, PhO, Cl; R1 = Cl, Ph, R2 = Cl) to give β-lactams II.
IT **111708-76-0P 111708-77-1P 111708-94-2P 111708-95-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 111708-76-0 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-(phenylmethyl)- (9CI) (CA INDEX NAME)

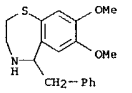


RN 111708-77-1 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl- (9CI) (CA INDEX NAME)

L60 ANSWER 120 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

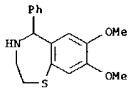


RN 111708-94-2 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



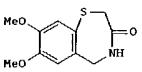
● HCl

RN 111708-95-3 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

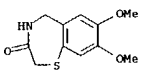


● HCl

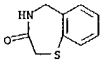
L60 ANSWER 121 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:167438 CAPLUS
DOCUMENT NUMBER: 108:167438
TITLE: Synthesis and transformation of 4,5-dihydro-1,4-benzothiazepin-3(2H)-one derivatives
AUTHOR(S): Szabo, Janos; Fodor, Lajos; Katocs, Agnes; Bernath, Gabor; Sohar, Pal
CORPORATE SOURCE: Gyogyszereszi Vegy. Intez., SZOTE, Szeged, 6701, Hung.
SOURCE: Magyar Kemiai Folyoirat (1987), 93(3), 139-44
CODEN: MGKFA3; ISSN: 0025-0155
DOCUMENT TYPE: Journal
LANGUAGE: Hungarian
OTHER SOURCE(S): CASREACT 108:167438
GI



AB Treatment of 3,4-(MeO)2C6H3SCH2CONHCH2OH with POCl3 gave 3,4-(MeO)2C6H3SCH2CN rather than the benzothiazepinone I, but I was obtained by cyclizing 2,4,5-H2N(MeO)2C6H2SCH2CO2Et.HCl with Na2CO3. Several reactions of I were described.
IT **103693-30-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactions of)
RN 103693-30-7 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-7,8-dimethoxy- (9CI) (CA INDEX NAME)

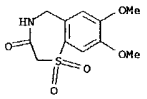


IT **103693-32-9P 103693-37-4P 103693-38-5P 103693-39-6P 103693-41-0P 103693-42-1P 103693-43-2P 103693-44-3P 103693-45-4P 103693-46-5P 103693-47-6P 103693-49-8P 103693-51-2P 103693-52-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 103693-32-9 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro- (9CI) (CA INDEX NAME)

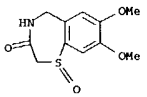


L60 ANSWER 121 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

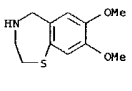
RN 103693-37-4 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-7,8-dimethoxy-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 103693-38-5 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-7,8-dimethoxy-, 1-oxide (9CI) (CA INDEX NAME)

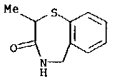


RN 103693-39-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)



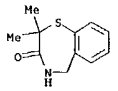
● HCl

RN 103693-41-0 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-2-methyl- (9CI) (CA INDEX NAME)

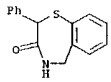


RN 103693-42-1 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-2,2-dimethyl- (9CI) (CA INDEX

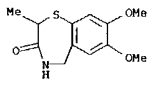
L60 ANSWER 121 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
NAME)



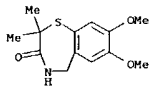
RN 103693-43-2 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-2-phenyl- (9CI) (CA INDEX NAME)



RN 103693-44-3 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-7,8-dimethoxy-2-methyl- (9CI) (CA INDEX NAME)

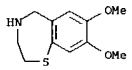


RN 103693-45-4 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-7,8-dimethoxy-2,2-dimethyl- (9CI) (CA INDEX NAME)



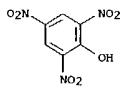
RN 103693-46-5 CAPLUS
CN 1,4-Benzothiazepine-2-carboxylic acid, 2,3,4,5-tetrahydro-7,8-dimethoxy-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)

L60 ANSWER 121 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CRN 103693-51-2
CMF C11 H15 N O2 S

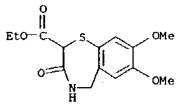


CM 2

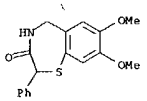
CRN 88-89-1
CMF C6 H3 N3 O7



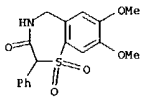
L60 ANSWER 121 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



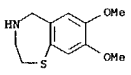
RN 103693-47-6 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-7,8-dimethoxy-2-phenyl- (9CI) (CA INDEX NAME)



RN 103693-49-8 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-7,8-dimethoxy-2-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 103693-51-2 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy- (9CI) (CA INDEX NAME)



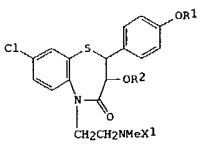
RN 103693-52-3 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

CM 1

L60 ANSWER 122 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:56129 CAPLUS
DOCUMENT NUMBER: 108:56129
TITLE: Preparation of 8-chloro-2,3-dihydro-3-hydroxy-2-(4-alkoxyphenyl)-5-[2-(methylamino)ethyl]-1,5-benzothiazepin-4(5H)-ones as cardiovascular agents
INVENTOR(S): Inoue, Hirozumi; Otsuka, Hisao
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62161776	A2	19870717	JP 1986-1845	19860107
JP 05073749	B4	19931015		
IL 81039	A1	19910718	IL 1986-81039	19861219
ES 2003642	A6	19881101	ES 1986-3613	19861229
FI 8605343	A	19870708	FI 1986-5343	19861230
DD 257426	A5	19880615	DD 1987-299117	19870106
SU 1544187	A3	19900215	SU 1987-4028773	19870106
CA 1291134	A1	19911022	CA 1987-526793	19870106
CN 87100139	A	19870812	CN 1987-100139	19870107
CN 1030388	B	19951129		
HU 45242	A2	19880628	HU 1987-52	19870107
HU 198031	B	19890728		
AT 8700016	A	19910915	AT 1987-16	19870107
AT 394367	B	19920325		
FI 9201803	A	19920423	FI 1992-1803	19920423
FI 91965	B	19940531		
FI 91965	C	19940912		
PRIORITY APPLN. INFO.:			JP 1986-1845	19860107
			FI 1986-5343	19861230

GI

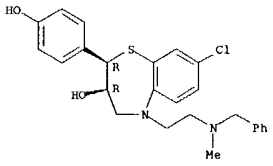


I

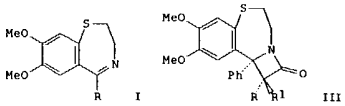
AB The title compds. (I; R1 = alkyl; R2 = H, alkanoyl; X1 = H, alkyl) were prepared as cardiovascular agents (no data) by alkylation of I (R1 = H; R2 = H, alkanoyl; X1 = H, alkyl, protecting group) followed by deprotection, optional removal of alkanoyl group R2, or salification. NaH (78 mg) was added to a solution of 690 mg (+)-cis-I (R1 = R2 = H, X1 = Me) in THF and the

L60 ANSWER 122 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
mixt. was stirred for 30 min. Me2SO4 (245 mg) in DMF was added and the mixt. was stirred for 1 h to give 509 mg (+)-cis-I (R1 = X1 = Me, R2 = H).
IT **112544-51-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for cardiovascular agent)
RN 112544-51-1 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 8-chloro-2,3,4,5-tetrahydro-2-(4-hydroxyphenyl)-5-[2-[methyl(phenylmethyl)amino]ethyl]-, cis-(-)- (9CI) (CA INDEX NAME)

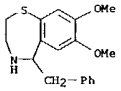
Rotation (-). Absolute stereochemistry unknown.



L60 ANSWER 123 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:5984 CAPLUS
DOCUMENT NUMBER: 108:5984
TITLE: Saturated heterocycles. Part 116. Synthesis and spectroscopic investigations of 1,4-benzothiazepine derivatives
AUTHOR(S): Szabo, Janos; Bernath, Gabor; Katocs, Agnes; Fodor, Lajos; Sohar, Pal
CORPORATE SOURCE: Med. Sch., Univ. Szeged, Szeged, H-6701, Hung.
SOURCE: Canadian Journal of Chemistry (1987), 65(1), 175-81
CODEN: CJCHAG; ISSN: 0008-4042
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:5984
GI

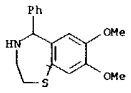


AB Cyclization of 3,4-(MeO)2C6H3SCH2CH2NHCOR with POCl3 gave benzothiazepines I [R = Me, PhCH2, Ph (II)]. Several reactions of these, especially II, were described. II and RR1CHCOCl gave lactams III (R, R1, and % yield = Ph, H, 57; PhO, H, 89; Cl, H, 92; Cl, Cl, 95; Ph, Cl, 88), in most cases diastereomerically pure.
IT **111708-76-0P 111708-77-1P 111708-94-2P 111708-95-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 111708-76-0 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-(phenylmethyl)- (9CI) (CA INDEX NAME)

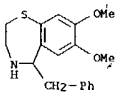


RN 111708-77-1 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl- (9CI) (CA INDEX NAME)

L60 ANSWER 123 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

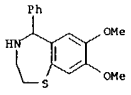


RN 111708-94-2 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 111708-95-3 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

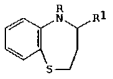


● HCl

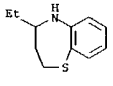
L60 ANSWER 124 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:636752 CAPLUS
DOCUMENT NUMBER: 107:236752
TITLE: Preparation of 4-alkyl-2,3,4,5-tetrahydro-1,5-benzothiazepine derivatives as antihypertensives and antiarrhythmics
INVENTOR(S): Tomiyama, Takeshi; Kamiyama, Naoto; Ichikawa, Yumiko
PATENT ASSIGNEE(S): Kotobuki Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62158267	A2	19870714	JP 1986-1119	19860106
PRIORITY APPLN. INFO.:			JP 1986-1119	19860106

OTHER SOURCE(S): CASREACT 107:236752
GI



AB The title compds. [I; R = COCH2CH2A; A = (substituted)piperidino, (substituted) PhCH2CH2NH, N-substituted piperazinyl; R1 = alkyl], useful as antihypertensives and antiarrhythmics (no data), were prepared ClCOCH2CH2Cl (1.6 g) was added dropwise to a mixture of I (R = H, R1 = Et) and pyridine in toluene and the mixture was stirred for 2 h to give 3.0 g I (R = COCH2CH2Cl, R1 = Et) which (0.64 g) was condensed with homoveratrylamine in EtOH under reflux to give 0.6 g I [R = 3-(homoveratrylamino)propionyl; R1 = Et].
IT **111604-40-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of, by chloropropionyl chloride)
RN 111604-40-1 CAPLUS
CN 1,5-Benzothiazepine, 4-ethyl-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)



L60 ANSWER 125 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1987:598368 CAPLUS
DOCUMENT NUMBER: 107:198368
TITLE: Process for the preparation of (aminopropionyl)tetrahydrobenzothiazepine derivatives as antihypertensives and antiarrhythmics
INVENTOR(S): Tomiyama, Takeshi; Kamiyama, Naoto; Ichikawa, Yumiko
PATENT ASSIGNEE(S): Kotobuki Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62158266	A2	19870714	JP 1986-1118	19860106
JP 07042278	B4	19950510		
PRIORITY APPLN. INFO.:			JP 1986-1118	19860106

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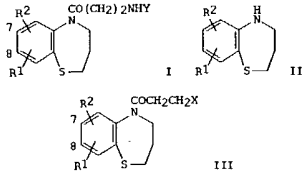


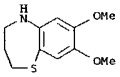
I II III

AB The title compds. [I: R1 = H, halo, alkoxy, sulfonamide, carboxylic acid esters, cyano, NO2, CHO; R2 = H, alkoxy; Y = (un)substituted phenethyl], useful as antihypertensives and antiarrhythmics (no data) were prepared, e.g. by acylation of tetrahydrobenzothiazepine derivs. II with XCO(CH2)2NHY (X = halo) or amination of the appropriate halo derivs. III with YNH2. A mixture of 1.56 g chloro derivative III [R1, R2 = 7,8-(MeO)2, X = Cl] and 2.7 g 3,4-(MeO)2C6H3(CH)2NH2 in 40 mL EtOH was refluxed for 15 h to give I [R1, R2 = 7,8-(MeO)2, Y = 3,4-(MeO)2C6H3(CH2)2] as the fumarate.

IT **110978-60-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of, with chloropropionyl bromide)

RN 110978-60-4 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy- (9CI) (CA INDEX NAME)

L60 ANSWER 125 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L60 ANSWER 126 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1987:102330 CAPLUS
DOCUMENT NUMBER: 106:102330
TITLE: Antihypertensive 1,5-benzothiazepine derivatives
INVENTOR(S): Tomiyama, Tsuyoshi
PATENT ASSIGNEE(S): Kotobuki Seiyaku K. K., Japan
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4584292	A	19860422	US 1984-662840	19841019
PRIORITY APPLN. INFO.:			US 1984-662840	19841019
OTHER SOURCE(S):	CASREACT 106:102330			

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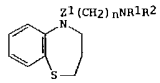
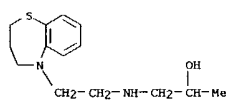


I

AB Title compds. I (Z1 = CO, CH2; n = 1,2,3; R1 = H, alkyl, alkanoyl; R2 = Ph, pyridyl, alkylpyridyl, hydroxyalkyl, aminoalkyl, PhCH2CH2), which exhibited antihypertensive activity, were prepared Thus, 2,3,4,5-tetrahydro-1,5-benzothiazepine was treated with BrCH2COBr and pyridine, and the product was heated with H2NCH2CH(OH)Me in CH2Cl2 to give I [Z1 = CO, n = 1, R1 = H, R2 = CH2CH(OH)Me].

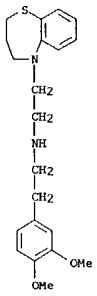
IT **93393-01-2P 93393-02-3P 104065-41-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)

RN 93393-01-2 CAPLUS
CN 2-Propanol, 1-[[2-(3,4-dihydro-1,5-benzothiazepin-5(2H)-yl)ethyl]amino]- (9CI) (CA INDEX NAME)

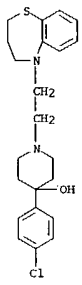


RN 93393-02-3 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-ethanamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-3,4-dihydro- (9CI) (CA INDEX NAME)

L60 ANSWER 126 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 104065-41-0 CAPLUS
CN 4-Piperidinol, 4-(4-chlorophenyl)-1-[2-(3,4-dihydro-1,5-benzothiazepin-5(2H)-yl)ethyl]- (9CI) (CA INDEX NAME)



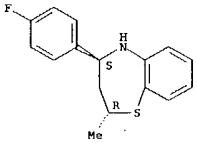
L60 ANSWER 127 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1986:636200 CAPLUS
DOCUMENT NUMBER: 105:236200
TITLE: Crystal structure of 2-methyl-4-(p-)fluorophenyl-2,3,4,5-tetrahydrobenzo-1,5-thiazepine (C16H16SNF)
AUTHOR(S): Zhou, Gongdu; Li, Qi; Jin, Sheng
CORPORATE SOURCE: Inst. Phys. Chem., Beijing Univ., Peop. Rep. China
SOURCE: Jiegou Huaxue (1985), 4(3), 191-4
CODEN: JHUADF; ISSN: 0254-5861
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The title compound is orthorhombic, space group Pbca, with a 6.214(4), b 16.776(9), and c 26.864(12) Å; dc = 1.30 for Z = 8. The final R = 0.062. Atomic coordinates are given. The 7-membered ring has boat form. The angle between the Ph ring and the plane of the benzo ring is .apprx.79.1°. There is no H bonding.

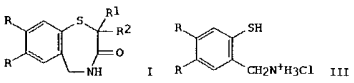
IT **105555-74-6**
RL: PRP (Properties)
(crystal structure of)

RN 105555-74-6 CAPLUS
CN 1,5-Benzothiazepine, 4-(4-fluorophenyl)-2,3,4,5-tetrahydro-2-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L60 ANSWER 128 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1986:572426 CAPLUS
DOCUMENT NUMBER: 105:172426
TITLE: Saturated heterocycles. 98. Synthesis and transformations of 4,5-dihydro-1,4-benzothiazepin-3(2H)-one derivatives
AUTHOR(S): Szabo, Janos; Fodor, Lajos; Katocs, Agnes; Bernath, Gabor; Sohar, Pal
CORPORATE SOURCE: Inst. Pharm. Chem., Univ. Med. Sch., Szeged, H-6701, Hung.
SOURCE: Chemische Berichte (1986), 119(9), 2904-13
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:172426
GI

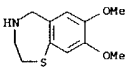


I III

AB The attempted cyclization of N-(hydroxymethyl)-S-(3,4-dimethoxyphenyl)thioglycolamide with POCl3 gave, instead of the expected benzothiazepinone I (R = MeO, R1 = R2 = H) (II), (3,4-dimethoxyphenylthio)acetonitrile. The product of ring closure of N-[[2-(benzoylthio)-4,5-dimethoxyphenyl]methyl]-2-chloroacetamide with NaOEt was II. II can also be prepared in good yield from Et S-[2-(aminomethyl)-4,5-dimethoxyphenyl]thioglycolate in alkaline solution The thiophenols III (R = H, MeO) reacted with halocarboxylates XCR1R2CO2Et (R1 = H, Me; R2 = H, Me, Ph, CO2Et) in the presence of NaOMe to furnish the corresponding 4,5-dihydro-1,4-benzothiazepin-3(2H)-ones I in high yields in one step. LiAlH4 reduction of II gave 2,3,4,5-tetrahydro-7,8-dimethoxy-1,4-benzothiazepine.

IT **103693-51-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(acetylation of)

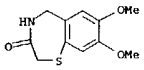
RN 103693-51-2 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy- (9CI) (CA INDEX NAME)



IT **103693-30-7P**

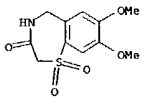
L60 ANSWER 128 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reactions of)

RN 103693-30-7 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-7,8-dimethoxy- (9CI) (CA INDEX NAME)

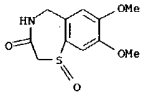


IT **103693-37-4P 103693-38-5P 103693-39-6P**
103693-41-0P 103693-42-1P 103693-43-2P
103693-44-3P 103693-45-4P 103693-46-5P
103693-49-8P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and spectra of)

RN 103693-37-4 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-7,8-dimethoxy-, 1,1-dioxide (9CI) (CA INDEX NAME)

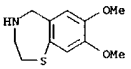


RN 103693-38-5 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-7,8-dimethoxy-, 1-oxide (9CI) (CA INDEX NAME)



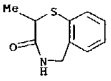
RN 103693-39-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)

L60 ANSWER 128 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

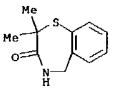


● HCl

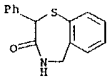
RN 103693-41-0 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-2-methyl- (9CI) (CA INDEX NAME)



RN 103693-42-1 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-2,2-dimethyl- (9CI) (CA INDEX NAME)

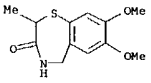


RN 103693-43-2 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-2-phenyl- (9CI) (CA INDEX NAME)

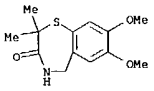


RN 103693-44-3 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-7,8-dimethoxy-2-methyl- (9CI) (CA INDEX NAME)

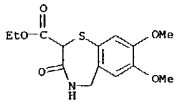
L60 ANSWER 128 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



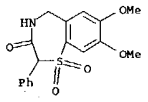
RN 103693-45-4 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-7,8-dimethoxy-2,2-dimethyl- (9CI) (CA INDEX NAME)



RN 103693-46-5 CAPLUS
CN 1,4-Benzothiazepine-2-carboxylic acid, 2,3,4,5-tetrahydro-7,8-dimethoxy-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)

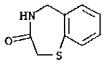


RN 103693-49-8 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-7,8-dimethoxy-2-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)

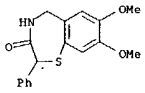


IT **103693-32-9P 103693-47-6P 103693-52-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 103693-32-9 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro- (9CI) (CA INDEX NAME)

L60 ANSWER 128 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



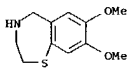
RN 103693-47-6 CAPLUS
CN 1,4-Benzothiazepin-3(2H)-one, 4,5-dihydro-7,8-dimethoxy-2-phenyl- (9CI) (CA INDEX NAME)



RN 103693-52-3 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

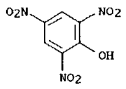
CM 1

CRN 103693-51-2
CMF C11 H15 N O2 S



CM 2

CRN 88-89-1
CMF C6 H3 N3 O7



L60 ANSWER 129 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

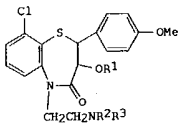
ACCESSION NUMBER:	1986:533916 CAPLUS
DOCUMENT NUMBER:	105:133916
TITLE:	1,5-Benzothiazepine derivatives and their use as vasodilators and hypotensive agents
INVENTOR(S):	Takeda, Mikio; Ohishi, Tokuro; Nakajima, Hiromichi; Nagao, Taku
PATENT ASSIGNEE(S):	Tanabe Seiyaku Co., Ltd. , Japan
SOURCE:	Eur. Pat. Appl., 41 pp. CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 182273	A1	19860528	EP 1985-114422	19851113
EP 182273	B1	19890301		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
GB 2167063	A1	19860521	GB 1984-29102	19841117
CN 85101892	A	19870117	CN 1985-101892	19850401
CN 85101892	B	19880302		
US 4665068	A	19870512	US 1985-793628	19851031
AU 8549331	A1	19860522	AU 1985-49331	19851104
AU 578755	B2	19881103		
CN 85108458	A	19860730	CN 1985-108458	19851113
CN 85108458	B	19880525		
AT 40997	E	19890315	AT 1985-114422	19851113
ES 548898	A1	19870416	ES 1985-548898	19851114
DK 8505306	A	19860518	DK 1985-5306	19851115
DK 171821	B1	19970623		
NO 8504565	A	19860520	NO 1985-4565	19851115
NO 163488	B	19900226		
NO 163488	C	19900613		
JP 61122281	A2	19860610	JP 1985-257448	19851115
JP 04059313	B4	19920921		
DD 239202	A5	19860917	DD 1985-282915	19851115
HU 41804	A2	19870528	HU 1985-4366	19851115
HU 193834	B	19871228		
DD 249271	A5	19870902	DD 1985-294053	19851115
CA 1226281	A1	19870901	CA 1985-495576	19851118
ES 557079	A1	19870816	ES 1986-557079	19860922
CA 1239400	A2	19880719	CA 1986-523173	19861117
PRIORITY APPLN. INFO.:			GB 1984-29102	19841117
			EP 1985-114422	19851113
			CA 1985-495576	19851118

OTHER SOURCE(S): CASREACT 105:133916
GI

L60 ANSWER 129 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



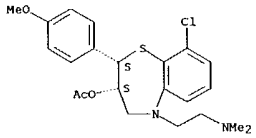
I

AB The title compds. (I; R1 = H, alkanoyl; R2, R3 = alkyl) and their acid addition salts, useful as hypotensive agents, cerebral and coronary vasodilators, and platelet aggregation inhibitors, are prepared Thus, 2-chloro-6-nitrothiophenol and Me trans-3-(4-methoxyphenyl)glycidate were reacted to give Me threo-2-hydroxy-3-(2-chloro-6-nitrophenylthio)-3-(4-methoxyphenyl)propionate, which was hydrogenated and cyclized to give (±)-cis-2-(4-methoxyphenyl)-3-hydroxy-9-chloro-2,3-dihydro-1,5-benzothiazepin-4(5H)-one (II). II was reacted with Me2NCH2CH2Cl-HCl to give (±)-cis-I (R1 = H, R2 = R3 = Me) (III). The hypotensive activity, cerebral and coronary vasodilating activity, and platelet aggregation-inhibiting activity of III were demonstrated.

IT **104380-42-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as hypotensive agent)

RN 104380-42-9 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 9-chloro-5-[2-(dimethylamino)ethyl]-2,3,4,5-tetrahydro-2-(4-methoxyphenyl)-, acetate (ester), cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L60 ANSWER 130 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

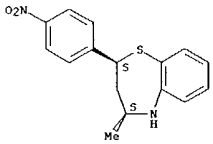
ACCESSION NUMBER: 1986:526465 CAPLUS
DOCUMENT NUMBER: 105:126465
TITLE: HPLC separation of isomers of tetrahydro-1,5-benzothiazepines and tetrahydro-1,5-benzodiazepines
AUTHOR(S): Jin, Heng Liang; Zhang, Yang Liang; Guo, Yan; Jin, Sheng
CORPORATE SOURCE: Dep. Chem., Peking Univ., Beijing, Peop. Rep. China
SOURCE: Chromatographia (1986), 22(1-6), 153-6
CODEN: CHRGB7; ISSN: 0009-5893
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Separation of positional and cis-trans isomers of tetrahydro-1,5-benzothiazepine and tetrahydro-1,5-benzodiazepines was studied using reversed-phase chromatog. and liquid-solid chromatog. The selection of solvent was based on the selective triangle for the solvents. Systems of separation consisted of C18 columns and MeOH, THF or MeCN in H2O for the reversed-phase method; it was suitable for the separation of positional isomers
only but the liquid-solid method was suitable for separation of cis-trans isomers
as well as positional isomers using a silica column and Et ether, CHCl3, or Et acetate as the mobile phase.

IT **96426-37-8 96426-38-9 102836-76-0**
102836-77-1 102836-78-2 102836-79-3
102836-80-6 102836-81-7 102836-82-8
102836-83-9 102836-84-0 102836-85-1
102836-86-2 102836-87-3 104124-66-5
104124-67-6 104124-68-7 104124-69-8
104124-70-1 104124-71-2
RL: ANST (Analytical study)
(separation of, from isomers, high-performance liquid chromatog.)

RN 96426-37-8 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-methyl-2-(4-nitrophenyl)-, cis- (9CI) (CA INDEX NAME)

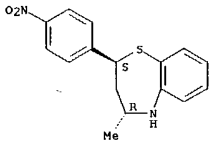
Relative stereochemistry.



RN 96426-38-9 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-methyl-2-(4-nitrophenyl)-, trans- (9CI) (CA INDEX NAME)

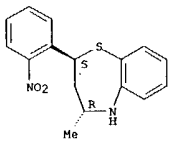
Relative stereochemistry.

L60 ANSWER 130 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



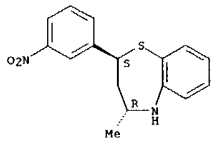
RN 102836-76-0 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-methyl-2-(2-nitrophenyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 102836-77-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-methyl-2-(3-nitrophenyl)-, trans- (9CI) (CA INDEX NAME)

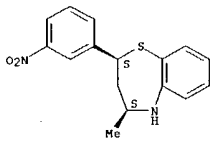
Relative stereochemistry.



RN 102836-78-2 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-methyl-2-(3-nitrophenyl)-, cis- (9CI) (CA INDEX NAME)

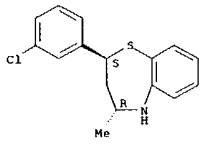
Relative stereochemistry.

L60 ANSWER 130 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



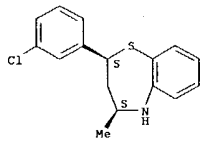
RN 102836-79-3 CAPLUS
CN 1,5-Benzothiazepine, 2-(3-chlorophenyl)-2,3,4,5-tetrahydro-4-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 102836-80-6 CAPLUS
CN 1,5-Benzothiazepine, 2-(3-chlorophenyl)-2,3,4,5-tetrahydro-4-methyl-, cis- (9CI) (CA INDEX NAME)

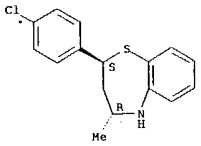
Relative stereochemistry.



RN 102836-81-7 CAPLUS
CN 1,5-Benzothiazepine, 2-(4-chlorophenyl)-2,3,4,5-tetrahydro-4-methyl-, trans- (9CI) (CA INDEX NAME)

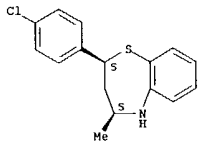
Relative stereochemistry.

L60 ANSWER 130 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



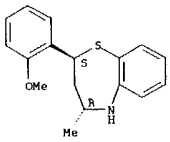
RN 102836-82-8 CAPLUS
CN 1,5-Benzothiazepine, 2-(4-chlorophenyl)-2,3,4,5-tetrahydro-4-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 102836-83-9 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-(2-methoxyphenyl)-4-methyl-, trans- (9CI) (CA INDEX NAME)

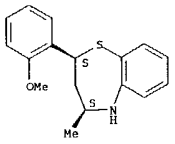
Relative stereochemistry.



RN 102836-84-0 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-(2-methoxyphenyl)-4-methyl-, cis- (9CI) (CA INDEX NAME)

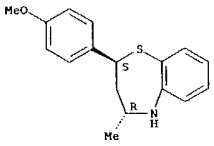
Relative stereochemistry.

L60 ANSWER 130 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



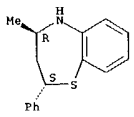
RN 102836-85-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-(4-methoxyphenyl)-4-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 102836-86-2 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-methyl-2-phenyl-, trans- (9CI) (CA INDEX NAME)

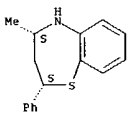
Relative stereochemistry.



RN 102836-87-3 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-methyl-2-phenyl-, cis- (9CI) (CA INDEX NAME)

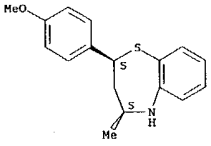
Relative stereochemistry.

L60 ANSWER 130 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



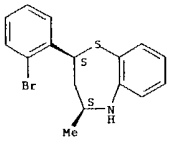
RN 104124-66-5 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-(4-methoxyphenyl)-4-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 104124-67-6 CAPLUS
CN 1,5-Benzothiazepine, 2-(2-bromophenyl)-2,3,4,5-tetrahydro-4-methyl-, cis- (9CI) (CA INDEX NAME)

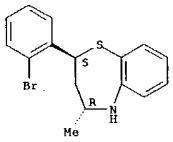
Relative stereochemistry.



RN 104124-68-7 CAPLUS
CN 1,5-Benzothiazepine, 2-(2-bromophenyl)-2,3,4,5-tetrahydro-4-methyl-, trans- (9CI) (CA INDEX NAME)

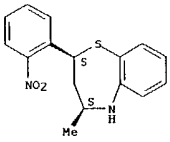
Relative stereochemistry.

L60 ANSWER 130 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



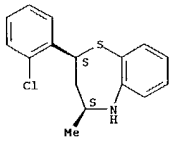
RN 104124-69-8 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-methyl-2-(2-nitrophenyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 104124-70-1 CAPLUS
CN 1,5-Benzothiazepine, 2-(2-chlorophenyl)-2,3,4,5-tetrahydro-4-methyl-, cis- (9CI) (CA INDEX NAME)

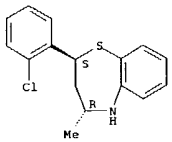
Relative stereochemistry.



RN 104124-71-2 CAPLUS
CN 1,5-Benzothiazepine, 2-(2-chlorophenyl)-2,3,4,5-tetrahydro-4-methyl-, trans- (9CI) (CA INDEX NAME)

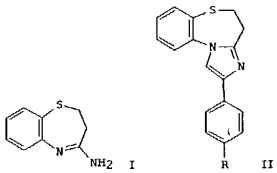
Relative stereochemistry.

L60 ANSWER 130 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L60 ANSWER 131 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

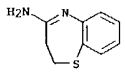
ACCESSION NUMBER: 1986:497437 CAPLUS
DOCUMENT NUMBER: 105:97437
TITLE: A new heterocyclic system: imidazo[2,1-d][1,5]benzothiazepine. Its synthesis from 4-amino-2,3-dihydro-1,5-benzothiazepine
AUTHOR(S): Walia, Jasjit S.; Walia, Amrik S.; Lankin, David C.; Petterson, Robert C.; Singh, Janak
CORPORATE SOURCE: Chem. Dep., Loyola Univ., New Orleans, LA, 70118, USA
SOURCE: Journal of Heterocyclic Chemistry (1985), 22(4), 1117-19
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:97437
GI



AB Cyclocondensation of 2-H2NC6H4SCH2CH2CN in PhMe containing CF3CO2H gave 77% benzothiazepine I which cyclized with 4-RC6H4COCH2Br (R = H, Br, Ph) in EtOH containing NaHCO3 to give title imidazobenzothiazepines II.

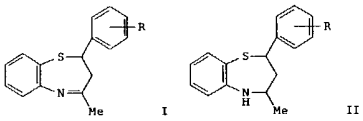
IT **104004-37-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation reactions of, with phenacyl bromides)

RN 104004-37-7 CAPLUS
CN 1,5-Benzothiazepin-4-amine, 2,3-dihydro- (9CI) (CA INDEX NAME)



L60 ANSWER 132 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1986:424236 CAPLUS
DOCUMENT NUMBER: 105:24236
TITLE: Conformational analysis of dihydro- and tetrahydro-2-acyl-4-methylbenzo[1,5]thiazepines. II
AUTHOR(S): Yang, Fude; Jin, Sheng; Xing, Qiyi
CORPORATE SOURCE: Dep. Chem., Peking Univ., Beijing, Peop. Rep. China
SOURCE: Youji Huaxue (1985), (3), 212-17
CODEN: YCHHDX; ISSN: 0253-2786
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 105:24236
GI

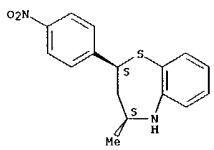


AB Dihydrobenzothiazepines I (R = H, o-, m-, p-NO2, m-, p-Cl, o-, p-OMe) were prepared by cyclocondensation of RC6H4CH:CHCOMe with o-H2NC6H4SH. Reduction of I with NaBH4 gave mixts. of trans- and cis-II (approx. 15:1). IR and NMR data showed that the trans-II had a twist boat conformation while the cis-II had a chair conformation.

IT **96426-37-8P 96426-38-9P 102836-76-0P**
102836-77-1P 102836-78-2P 102836-79-3P
102836-80-6P 102836-81-7P 102836-82-8P
102836-83-9P 102836-84-0P 102836-85-1P
102836-86-2P 102836-87-3P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and conformation of)

RN 96426-37-8 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-methyl-2-(4-nitrophenyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

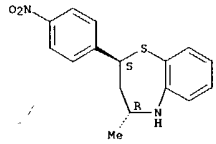


RN 96426-38-9 CAPLUS

L60 ANSWER 132 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

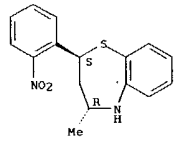
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-methyl-2-(4-nitrophenyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



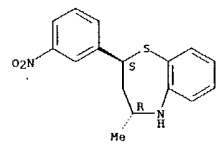
RN 102836-76-0 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-methyl-2-(2-nitrophenyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 102836-77-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-methyl-2-(3-nitrophenyl)-, trans- (9CI) (CA INDEX NAME)

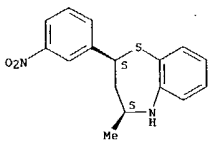
Relative stereochemistry.



RN 102836-78-2 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-methyl-2-(3-nitrophenyl)-, cis- (9CI) (CA INDEX NAME)

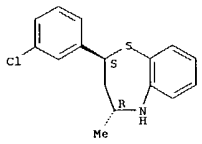
Relative stereochemistry.

L60 ANSWER 132 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



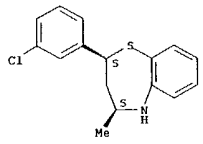
RN 102836-79-3 CAPLUS
CN 1,5-Benzothiazepine, 2-(3-chlorophenyl)-2,3,4,5-tetrahydro-4-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 102836-80-6 CAPLUS
CN 1,5-Benzothiazepine, 2-(3-chlorophenyl)-2,3,4,5-tetrahydro-4-methyl-, cis- (9CI) (CA INDEX NAME)

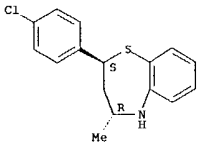
Relative stereochemistry.



RN 102836-81-7 CAPLUS
CN 1,5-Benzothiazepine, 2-(4-chlorophenyl)-2,3,4,5-tetrahydro-4-methyl-, trans- (9CI) (CA INDEX NAME)

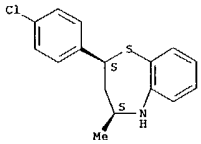
Relative stereochemistry.

L60 ANSWER 132 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



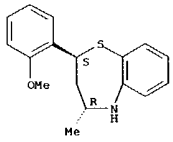
RN 102836-82-8 CAPLUS
CN 1,5-Benzothiazepine, 2-(4-chlorophenyl)-2,3,4,5-tetrahydro-4-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 102836-83-9 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-(2-methoxyphenyl)-4-methyl-, trans- (9CI) (CA INDEX NAME)

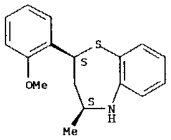
Relative stereochemistry.



RN 102836-84-0 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-(2-methoxyphenyl)-4-methyl-, cis- (9CI) (CA INDEX NAME)

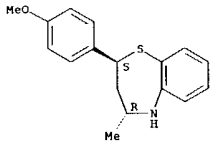
Relative stereochemistry.

L60 ANSWER 132 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



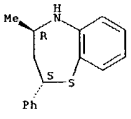
RN 102836-85-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-(4-methoxyphenyl)-4-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 102836-86-2 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-methyl-2-phenyl-, trans- (9CI) (CA INDEX NAME)

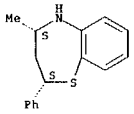
Relative stereochemistry.



RN 102836-87-3 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-methyl-2-phenyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L60 ANSWER 132 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



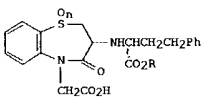
L60 ANSWER 133 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1986:82046 CAPLUS
DOCUMENT NUMBER: 104:82046
TITLE: Benzothiazocines and benzothiazepines as antiulcer agents
INVENTOR(S): Tomiyama, Takeshi; Tomiyama, Itaru
PATENT ASSIGNEE(S): Kotobuki Seiyaku K. K., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 2 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60202818	A2	19851014	JP 1984-59751	19840327

PRIORITY APPLN. INFO.: JP 1984-59751 19840327
GI For diagram(s), see printed CA Issue.
AB Benzothiazepines or benzothiazocines I (R = H, CHO; n = 3 or 4) or their pharmacol. permissible salts are antiulcer agents. Duodenal administration of 1,5-benzothiazepine-HCl (50 mg/kg) in 1% CM-cellulose to fasted rats markedly inhibited the gastric acid secretion (total acidity inhibition = 58.3%).
IT **58121-91-8**
RL: BIOL (Biological study)
(gastric acid secretion inhibition by)
RN 58121-91-8 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-, hydrochloride (7CI, 9CI) (CA INDEX NAME)

● HCl

L60 ANSWER 134 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:560478 CAPLUS
DOCUMENT NUMBER: 103:160478
TITLE: Angiotensin converting enzyme inhibitors: 1,5-benzothiazepine derivatives
AUTHOR(S): Slade, Joel; Stanton, James L.; Ben-David, Daniel; Mazzenga, Gerard C.
CORPORATE SOURCE: Res. Dep., CIBA-GEIGY Corp., Ardsley, NY, 10502, USA
SOURCE: Journal of Medicinal Chemistry (1985), 28(10), 1517-21
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 103:160478
GI

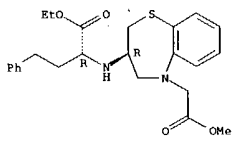


I

AB The synthesis of chiral 1,5-benzothiazepines I [R = H, Et, n = 0, mixture of diastereoisomers, (R,R), (R,S) isomers; R = H, n = 1, (R,S) isomer; R = H, n = 2, mixture of diastereoisomers], prepared from cysteine, is described. In vitro inhibition of angiotensin-converting enzyme is reported for each compound (R,S)-I (R = H, n = 0)(II) was the most potent in vitro having an IC50 of 2.95 nM. The ester of II, i.e. (R,S)-I (R = Et, n = 0)(III) inhibited the angiotensin I pressor response by 75% at a dose of 0.05 mg/kg i.v. and by 39% at 1.0 mg/kg orally. Addnl., III lowered blood pressure in the spontaneous hypertensive rat by 35 mm, at 10 mg/kg orally.
IT **97277-69-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and saponification of)
RN 97277-69-5 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-acetic acid, 3-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]-3,4-dihydro-, methyl ester, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

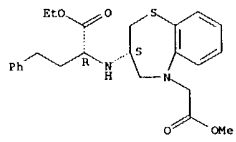
Absolute stereochemistry.

L60 ANSWER 134 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



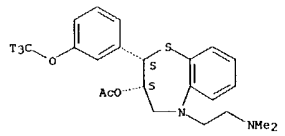
IT **97277-70-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and saponification or oxidation of)
RN 97277-70-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-acetic acid, 3-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]-3,4-dihydro-, methyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L60 ANSWER 135 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:484482 CAPLUS
DOCUMENT NUMBER: 103:84482
TITLE: Assay for calcium channels
AUTHOR(S): Glossmann, Hartmut; Ferry, David R.
CORPORATE SOURCE: Inst. Biochem. Pharmakol., Innsbruck, 6020, Austria
SOURCE: Methods in Enzymology (1985), 109(Horm. Action, Pt. 1), 513-50
CODEN: MENZAU; ISSN: 0076-6879
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Biochem. assays for Ca2+-selective channels are described in elec. excitable membranes which are blocked with radiolabeled 1,4-dihydropyridine derivs. A comparison of tritiated 1,4-dihydropyridine ligands is given and the autoradiog. localization of ligand binding sites in brain is described. Procedures are also presented for solubilization and purification of skeletal muscle Ca channels.
IT **97729-65-2**
RL: ANST (Analytical study)
(in calcium channel study by autoradiog.)
RN 97729-65-2 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 5-[2-(dimethylamino)ethyl]-2,3,4,5-tetrahydro-2-[3-(methoxy-t3)phenyl]-, acetate (ester), cis-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



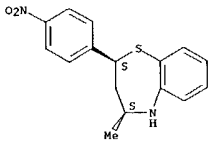
L60 ANSWER 136 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:213013 CAPLUS
DOCUMENT NUMBER: 102:213013
TITLE: Crystal structure study on 2-aryl-4-methyl-2,3,4,5-tetrahydro-(1,5)-benzothiazepines
AUTHOR(S): Pan, Zuohua; Jin, Xianglin; Tang, Youqi; Jin, Sheng; Yang, Fude; Xing, Qiyi
CORPORATE SOURCE: Dep. Chem., Peking Univ., Beijing, Peop. Rep. China
SOURCE: Huaxue Xuebao (1985), 43(3), 207-11
CODEN: HHHPA4; ISSN: 0567-7351
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

AB The title cis isomer is orthorhombic, space group Pbnb, with a 8.326(1), b 14.865(5), and c 24.533(4) Å, dc = 1.31 for Z = 8. The final R = Rw = 0.0661. The trans isomer is monoclinic, space group C2/c, with a 22.366(3), b 12.488(2), c 12.483(2) Å, and β 121.01°(1); dc = 1.34 for Z = 8. The final R = 0.0705 and Rw = 0.0571. Atomic coordinates are given. The cis isomer has a quasi chair conformation whereas the trans has a quasi-twisted boat conformation.

IT **96426-37-8 96426-38-9**
RL: PRP (Properties)
(structure of)

RN 96426-37-8 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-methyl-2-(4-nitrophenyl)-, cis- (9CI) (CA INDEX NAME)

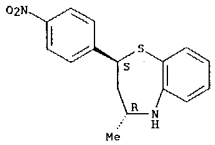
Relative stereochemistry.



RN 96426-38-9 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-methyl-2-(4-nitrophenyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

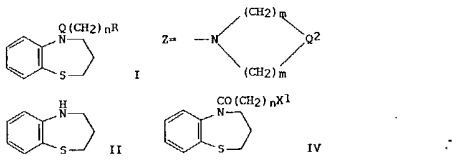
L60 ANSWER 136 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L60 ANSWER 137 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:6563 CAPLUS
DOCUMENT NUMBER: 102:6563
TITLE: 1,5-Benzothiazepine derivatives
PATENT ASSIGNEE(S): Kotobuki Seiyaku K. K., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59104371	A2	19840616	JP 1982-211289	19821203
JP 04024352	B4	19920424		
PRIORITY APPLN. INFO.:			JP 1982-211289	19821203

GI

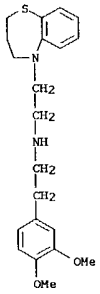


AB Twenty-one 1,5-benzothiazepine derivs. I [Q = CO, CH2; n = 1, 2; R = NR1R2 (R1 = H, acyl; R2 = hydroxyalkyl, etc.), Z (m = 1, 2; Q2 = CH2, NMe), etc.] were prepared by reaction of II with XCO(CH2)nX1 (III; X, X1 = halo), reaction of the resulting IV with RH (V), and optional reduction Thus, 11.2 g III (X = X1 = Br, n = 1) in PhMe was added to a mixture of 7.63 g II and 4.34 g pyridine in PhMe with ice cooling and the whole stirred 30 min at 3-5° and 2 h at room temperature to give 10.98 g IV (X1 = Br, n = 1) (VI). Refluxing 0.5 g VI with 0.29 g V (R = NHCH2CHMeOH) in CH2Cl2 8 h gave 0.33 g I (R = NHCH2CHMeOH, Q = CO, n = 1) (VII). Hypotensive test data of I were shown in guinea pigs (isolated organs) and rats; LD50 of VII was 868 mg/kg in mice.

IT **93393-02-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antihypertensive activity of)

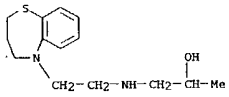
RN 93393-02-3 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-ethanamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-3,4-dihydro- (9CI) (CA INDEX NAME)

L60 ANSWER 137 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

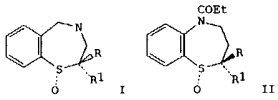


IT **93393-01-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 93393-01-2 CAPLUS
CN 2-Propanol, 1-[[2-(3,4-dihydro-1,5-benzothiazepin-5(2H)-yl)ethyl]amino]- (9CI) (CA INDEX NAME)



L60 ANSWER 138 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:472699 CAPLUS
DOCUMENT NUMBER: 101:72699
TITLE: Ring transformation of seven-membered heterocyclic sulfoxides, 2,2-disubstituted 1,4- and 1,5-benzothiazepine sulfoxides
AUTHOR(S): Shimizu, Hiroshi; Ueda, Norihiro; Kataoka, Tadashi; Hori, Mikio
CORPORATE SOURCE: Gifu Coll. Pharm., Gifu, 502, Japan
SOURCE: Heterocycles (1984), 22(5), 1025-30
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 101:72699
GI

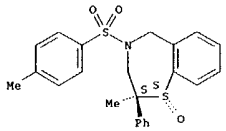


AB Thermal ring transformations of 1,4- and 1,5-benzothiazepine 1-oxides I and II (R, R1 = Me, Ph) in the presence of catalytic amount of 4-MeC6H4SO3H were described. trans-Sulfoxides, having the Me group cis to the sulfoxide O, underwent ring expansion to benzothiazocine derivs., whereas the cis isomers afforded ring contraction products, indicating that the ring transformations proceeded stereospecifically.

IT **90982-40-4P 90982-44-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and thermal rearrangements of)

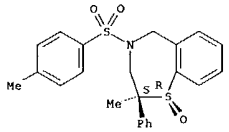
RN 90982-40-4 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-2-methyl-4-[(4-methylphenyl)sulfonyl]-2-phenyl-, 1-oxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L60 ANSWER 138 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 90982-44-8 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-2-methyl-4-[(4-methylphenyl)sulfonyl]-2-phenyl-, 1-oxide, cis- (9CI) (CA INDEX NAME)

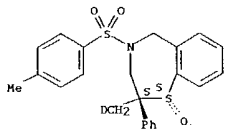
Relative stereochemistry.



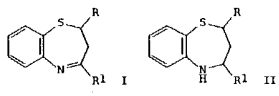
IT **90982-42-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 90982-42-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-2-(methyl-d)-4-[(4-methylphenyl)sulfonyl]-2-phenyl-, 1-oxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



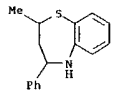
L60 ANSWER 139 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1983:487365 CAPLUS
DOCUMENT NUMBER: 99:87365
TITLE: Conformational analysis of dihydro- and tetrahydro-2-methyl-4-aryl-1,5-benzothiazepines. I
AUTHOR(S): Xing, Qiyi; Jin, Sheng; Ma, Jinshi; Qi, Dayong
CORPORATE SOURCE: Dep. Chem., Peking Univ., Beijing, Peop. Rep. China
SOURCE: Youji Huaxue (1983), (2), 92-6
CODEN: YCHHDX; ISSN: 0253-2786
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
GI



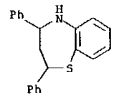
AB The conformation of I and II (R = Me, Ph; R1 = Ph, p-tolyl, p-anisyl, p-FC6H4, p-ClC6H4) was studied by IR, NMR, and UV spectra. I assumed a boat-like conformation. Stereospecific reduction of I gave II, which assumed a twist-boat conformation. The angle between the CR1:N plane and the benzo ring was .apprx.50°.

IT **13338-10-8P 78031-25-1P 78031-26-2P 78031-27-3P 78031-28-4P 78734-05-1P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and conformation of)

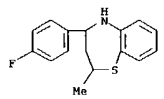
RN 13338-10-8 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-methyl-4-phenyl- (8CI, 9CI) (CA INDEX NAME)



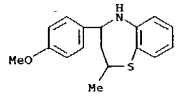
RN 78031-25-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2,4-diphenyl- (9CI) (CA INDEX NAME)



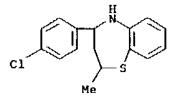
L60 ANSWER 139 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 78031-26-2 CAPLUS
CN 1,5-Benzothiazepine, 4-(4-fluorophenyl)-2,3,4,5-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



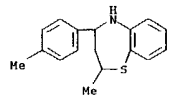
RN 78031-27-3 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-(4-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)



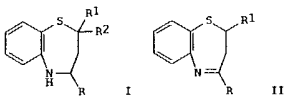
RN 78031-28-4 CAPLUS
CN 1,5-Benzothiazepine, 4-(4-chlorophenyl)-2,3,4,5-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



RN 78734-05-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-methyl-4-(4-methylphenyl)- (9CI) (CA INDEX NAME)

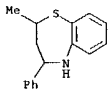


L60 ANSWER 140 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:567934 CAPLUS
DOCUMENT NUMBER: 95:167934
TITLE: NMR study of some substituted benzothiazepines. (1). Proton NMR spectra
AUTHOR(S): Su, Bang-Ying; Sun, Xian-Yu; Wu, Guo-Jing; Jiang, Li-Jin
CORPORATE SOURCE: Inst. Photogr. Chem., Acad. Sinica, Peop. Rep. China
SOURCE: Fenxi Huaxue (1981), 9(1), 30-3
CODEN: FHHHDT; ISSN: 0253-3820
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
GI



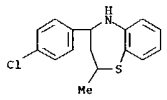
I II

AB The 1H NMR spectra of 7 tetrahydrobenzothiazepine derivs. (I; R = Me, aryl; R1 = Me, H; R2 = Me, Ph) and 6 dihydro derivs. (II; R = aryl; R1 = Ph, Me) were studied by proton-proton decoupling, INDOR, spin simulation, the empirical additivity rule, and Karplus equation. The chemical shifts were discussed in terms of inductive, conjugative, and shielding effects, and long-range coupling between F and H.
IT **13338-10-8 13338-13-1 78031-25-1 78031-26-2 78031-27-3 78031-28-4 78734-05-1**
RL: PRP (Properties)
(NMR spectra of)
RN 13338-10-8 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-methyl-4-phenyl- (8CI, 9CI) (CA INDEX NAME)

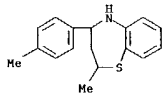


RN 13338-13-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2,2,4-trimethyl- (8CI, 9CI) (CA INDEX NAME)

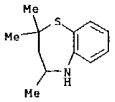
L60 ANSWER 140 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



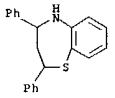
RN 78734-05-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-methyl-4-(4-methylphenyl)- (9CI) (CA INDEX NAME)



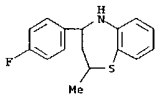
L60 ANSWER 140 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



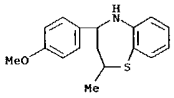
RN 78031-25-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2,4-diphenyl- (9CI) (CA INDEX NAME)



RN 78031-26-2 CAPLUS
CN 1,5-Benzothiazepine, 4-(4-fluorophenyl)-2,3,4,5-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

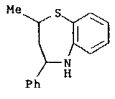


RN 78031-27-3 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-(4-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)

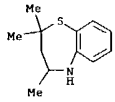


RN 78031-28-4 CAPLUS
CN 1,5-Benzothiazepine, 4-(4-chlorophenyl)-2,3,4,5-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

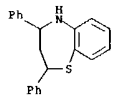
L60 ANSWER 141 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:496422 CAPLUS
DOCUMENT NUMBER: 95:96422
TITLE: Nuclear magnetic resonance study of some substituted benzothiazepines. II. Carbon-13 nuclear magnetic resonance spectra
AUTHOR(S): Sun, Xian-Yu; Su, Bang-Ying; Jiang, Li-Jin; Wu, Guo-Jing; Zhang, Shui-Zhen
CORPORATE SOURCE: Inst. Photogr. Chem., Acad. Sin., Peop. Rep. China
SOURCE: Fenxi Huaxue (1981), 9(2), 136-42
CODEN: FHHHDT; ISSN: 0253-3820
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
AB 13C NMR spectra of 7 tetrahydro derivs. and 6 dihydro derivs. of benzothiazepines were given and discussed.
IT **13338-10-8 13338-13-1 78031-25-1 78031-26-2 78031-27-3 78031-28-4 78734-05-1**
RL: PRP (Properties)
(carbon-13 NMR of)
RN 13338-10-8 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-methyl-4-phenyl- (8CI, 9CI) (CA INDEX NAME)



RN 13338-13-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2,2,4-trimethyl- (8CI, 9CI) (CA INDEX NAME)

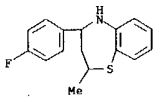


RN 78031-25-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2,4-diphenyl- (9CI) (CA INDEX NAME)

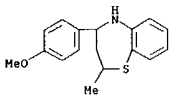


L60 ANSWER 141 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

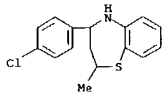
RN 78031-26-2 CAPLUS
CN 1,5-Benzothiazepine, 4-(4-fluorophenyl)-2,3,4,5-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



RN 78031-27-3 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-(4-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)

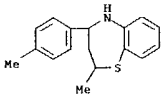


RN 78031-28-4 CAPLUS
CN 1,5-Benzothiazepine, 4-(4-chlorophenyl)-2,3,4,5-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



RN 78734-05-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-methyl-4-(4-methylphenyl)- (9CI) (CA INDEX NAME)

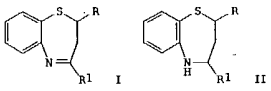
L60 ANSWER 141 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L60 ANSWER 142 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1981:441670 CAPLUS
DOCUMENT NUMBER:	95:41670
TITLE:	Fragmentation of dihydro- and tetrahydro-1,5-benzothiazepines under electron impact
AUTHOR(S):	Wen-Gang, Chai; Guang-Hui, Wang; Sheng, Jin; Heng-Liang, Jin
CORPORATE SOURCE:	Inst. Chem., Chinese Acad. Sci., Beijing, Peop. Rep. China
SOURCE:	Organic Mass Spectrometry (1980), 15(12), 643-50 CODEN: ORMSBG; ISSN: 0030-493X
DOCUMENT TYPE:	Journal
LANGUAGE:	English

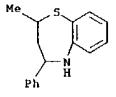
GI



AB The fragmentation mechanisms of the benzothiazepines I (R = R1 = Ph; R = Me, R1 = Ph, p-FC6H4, p-MeOC6H4, p-ClC6H4) and II (same R, R1) under electron impact were studied using high-resolution mass spectrometry, metastable decompns. and D-labeling techniques. Both I and II showed high stability. The (M-SH)+ (M = mol. ion) and the cyclic benzothiazole ions derived from the fragmentation and skeletal rearrangement of the mol. ion were the main spectral features. Some doubly charged ions were observed in the low-resolution spectra.

IT **13338-10-8**
RL: PRP (Properties)
(deuteration and electron-impact mass spectrum of, fragmentation mechanism of)

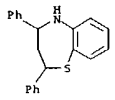
RN 13338-10-8 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-methyl-4-phenyl- (8CI, 9CI) (CA INDEX NAME)



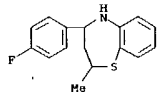
IT **78031-25-1 78031-26-2 78031-27-3 78031-28-4**
RL: PRP (Properties)
(mass spectrum of, fragmentation mechanism of electron-impact)

RN 78031-25-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2,4-diphenyl- (9CI) (CA INDEX NAME)

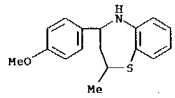
L60 ANSWER 142 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



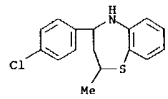
RN 78031-26-2 CAPLUS
CN 1,5-Benzothiazepine, 4-(4-fluorophenyl)-2,3,4,5-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



RN 78031-27-3 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-(4-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)



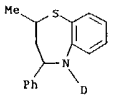
RN 78031-28-4 CAPLUS
CN 1,5-Benzothiazepine, 4-(4-chlorophenyl)-2,3,4,5-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



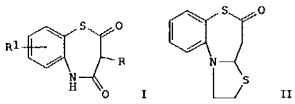
IT **78031-29-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and electron-impact mass spectrum of, fragmentation mechanism of)

RN 78031-29-5 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-d-2-methyl-4-phenyl- (9CI) (CA

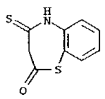
L60 ANSWER 142 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
INDEX NAME)



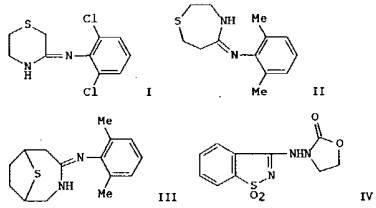
L60 ANSWER 143 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:495255 CAPLUS
DOCUMENT NUMBER: 93:95255
TITLE: Preparation of 1,5-benzothiazepine-2,4(3H,5H)-diones
AUTHOR(S): Ried, Walter; Sell, Gunther
CORPORATE SOURCE: Inst. Org. Chem., Univ. Frankfurt, Frankfurt/Main, D-6000/70, Fed. Rep. Ger.
SOURCE: Chemische Berichte (1980), 113(6), 2314-17
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 93:95255
GI



AB Benzothiazepinediones I (R = H, Me, Ph; R1 = H, 7-Cl, 7-Me, 8-Cl) were obtained by condensing o-aminothiophenols with RCH(CO2H)2 in the presence of dicyclohexylcarbodiimide or RCH(COSPh)2. Treatment of I (R = R1 = H) with P2S5 gave the 4-thione which cyclized with BrCH2CH2Br to give II.
IT **74569-13-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with dibromoethane)
RN 74569-13-4 CAPLUS
CN 1,5-Benzothiazepin-2(3H)-one, 4,5-dihydro-4-thioxo- (9CI) (CA INDEX NAME)

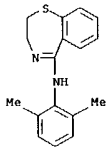


L60 ANSWER 144 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:152525 CAPLUS
DOCUMENT NUMBER: 88:152525
TITLE: Antihypertensive agents: Part V. Synthesis and antihypertensive activity of 3-arylimino-2,3,5,6-tetrahydro-4H-1,4-thiazines and related cyclic amidines
AUTHOR(S): Arya, V. P.; Kaul, C. L.; Grewal, R. S.; David, J.; Talwalker, P. K.; Shenoy, S. J.
CORPORATE SOURCE: Res. Cent., Ciba-Geigy, Bombay, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1977), 15(8), 720-6
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 88:152525
GI



AB 3-Arylimino-2,3,5,6-tetrahydro-4H-1,4-thiazines, e.g., I, were prepared from thiamorpholin-3-one, POCl3, and anilines for antihypertensive screening. The corresponding 1,4-oxazines were prepared from substituted morpholin-3-ones, POCl3, and substituted anilines. Seven- and eight-membered cyclic amidines, e.g., II, were prepared by treating the corresponding lactams with POCl3 and substituted anilines. A novel heterocyclic amidine III, was prepared from tropinone; IV was also prepared The structure-activity relationship of the cyclic amidines was reported.
IT **65923-14-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, nervous system, and blood sugar activity of)
RN 65923-14-0 CAPLUS
CN 1,4-Benzothiazepin-5-amine, N-(2,6-dimethylphenyl)-2,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

L60 ANSWER 144 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



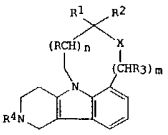
● HCl

L60 ANSWER 145 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:439554 CAPLUS
DOCUMENT NUMBER: 87:39554
TITLE: Pyridopyrrolobenzoxazine
INVENTOR(S): Rajagopalan, Parthasarathi
PATENT ASSIGNEE(S): Endo Laboratories, Inc., USA
SOURCE: U.S., 15 pp. Division of U.S. 3,914,421.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4013652	A	19770322	US 1975-586746	19750613
US 3914421	A	19751021	US 1973-357528	19730507
ZA 7304068	A	19740529	ZA 1973-4068	19730615
BE 801108	A1	19731015	BE 1973-132425	19730619
NL 7308525	A	19731221	NL 1973-8525	19730619
US 4115577	A	19780919	US 1976-755121	19761228
US 4183936	A	19800115	US 1978-916846	19780616
US 4238607	A	19801209	US 1979-55701	19790709

PRIORITY APPLN. INFO.:
US 1972-263766 19720619
US 1973-357528 19730507
US 1975-586746 19750713
US 1976-755121 19761228
US 1978-916846 19780616

GI



I

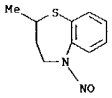
AB Condensed pyridoindoles I (R, R1, R3 = H, Me; R2 = H, alkyl, phenylalkyl, furyl, thienyl; R4 = H, alkyl, cycloalkyl, CF3CO; X = O, S; m, n = 0, 1) were prepared Thus, 2,3,4,5-tetrahydrobenzothiazepine was nitrosated, and the 5-nitroso derivative condensed with 4-piperidinone-HCl and cyclized with acid to give I (R-R4 = H, n = 1, m = 0, X = S), which was sedative at 10 mg/kg orally in mice.

IT **51511-27-4P 51511-28-5P 51511-29-6P 51511-30-9P 51511-31-0P 51511-32-1P 51511-33-2P 51511-36-5P 51511-37-6P 51511-38-7P 51511-39-8P 51511-40-1P 63169-05-1P**

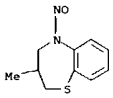
L60 ANSWER 145 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and condensation with piperidinone)

RN 51511-27-4 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-nitroso- (9CI) (CA INDEX NAME)

RN 51511-28-5 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-methyl-5-nitroso- (9CI) (CA INDEX NAME)

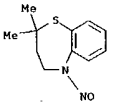


RN 51511-29-6 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-3-methyl-5-nitroso- (9CI) (CA INDEX NAME)

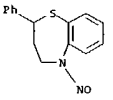


RN 51511-30-9 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2,2-dimethyl-5-nitroso- (9CI) (CA INDEX NAME)

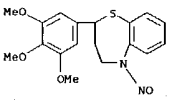
L60 ANSWER 145 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



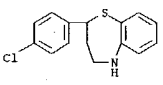
RN 51511-31-0 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-nitroso-2-phenyl- (9CI) (CA INDEX NAME)



RN 51511-32-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-nitroso-2-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

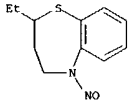


RN 51511-33-2 CAPLUS
CN 1,5-Benzothiazepine, 2-(4-chlorophenyl)-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)

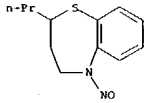


RN 51511-36-5 CAPLUS
CN 1,5-Benzothiazepine, 2-ethyl-2,3,4,5-tetrahydro-5-nitroso- (9CI) (CA INDEX NAME)

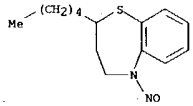
L60 ANSWER 145 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



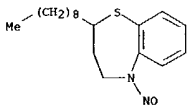
RN 51511-37-6 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-nitroso-2-propyl- (9CI) (CA INDEX NAME)



RN 51511-38-7 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-nitroso-2-pentyl- (9CI) (CA INDEX NAME)

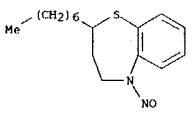


RN 51511-39-8 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-nitroso-2-nonyl- (9CI) (CA INDEX NAME)

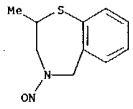


RN 51511-40-1 CAPLUS
CN 1,5-Benzothiazepine, 2-heptyl-2,3,4,5-tetrahydro-5-nitroso- (9CI) (CA INDEX NAME)

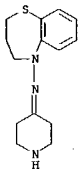
L60 ANSWER 145 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 63169-05-1 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-2-methyl-4-nitroso- (9CI) (CA INDEX NAME)

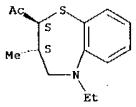


IT **51511-42-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
RN 51511-42-3 CAPLUS
CN 1,5-Benzothiazepin-5(2H)-amine, 3,4-dihydro-N-4-piperidinylidene- (9CI) (CA INDEX NAME)



L60 ANSWER 146 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:479658 CAPLUS
DOCUMENT NUMBER: 85:79658
TITLE: Direct and sensitized photooxidation of cyanine dyes
AUTHOR(S): Byers, G. W.; Gross, S.; Henrichs, P. M.
CORPORATE SOURCE: Res. Lab., Eastman Kodak Co., Rochester, NY, USA
SOURCE: Photochemistry and Photobiology (1976), 23(1), 37-43
CODEN: PHCBAP; ISSN: 0031-8655
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Direct photooxidn. of 20 cyanine dyes, e.g. pinacyanol chloride and cryptocyanine tosylate was markedly enhanced by formation of hypsochromically shifted aggregates. Attack by singlet O2 occurred in photosensitized oxidns., especially for cyanines with low oxidation potentials.
N,N'-diethyl-8,10-dimethylthiacarbocyanine tosylate reacted with singlet O2 to give carbonyl products consistent with 1,2-addition to the 2,8-bond of the methine chain.
IT **59735-95-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 59735-95-4 CAPLUS
CN Ethanone, 1-(5-ethyl-2,3,4,5-tetrahydro-3-methyl-1,5-benzothiazepin-2-yl)-, trans- (9CI) (CA INDEX NAME)

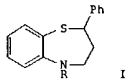
Relative stereochemistry.



L60 ANSWER 147 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:421503 CAPLUS
DOCUMENT NUMBER: 85:21503
TITLE: Benzoxozepine carboxamides and derivatives
INVENTOR(S): Krapcho, John
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

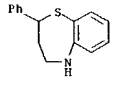
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3953469	A	19760427	US 1971-176750	19710831
US 3395150	A	19680730	US 1965-435677	19650226
PRIORITY APPLN. INFO.:			US 1965-435677	19650226
			US 1968-723892	19680424

GI



I

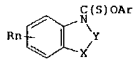
AB 2,3-Dihydro-2-phenyl-1,5-benzothiazepin-4-one was reduced with LiAlH4 and the benzothiazepine I (R = H) was treated with C12CO to give I (R = COCl), which was treated with amines to give I [R = Me2NCH2CH2NMeCO, Me2NCH2CH2NHCO, Me2N(CH2)3NMeCO]. I were tranquilizers (no data).
IT **6012-71-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with phosgene)
RN 6012-71-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-phenyl- (7CI, 8CI, 9CI) (CA INDEX NAME)



L60 ANSWER 148 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:155700 CAPLUS
DOCUMENT NUMBER: 84:155700
TITLE: Compositions comprising thiocarbamic acid derivatives
INVENTOR(S): Boeshagen, Horst; Plempel, Manfred
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

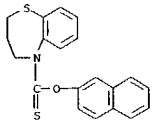
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3911126	A	19751007	US 1974-466719	19740503
DE 1917739	A	19701008	DE 1969-1917739	19690405
US 3729473	A	19730424	US 1970-25557	19700403
PRIORITY APPLN. INFO.:			DE 1969-1917739	19690405
			US 1970-25557	19700403
			US 1973-292484	19730927

GI



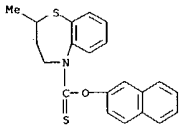
I

AB Compds. I, where X = S, O, NR1, CO, CHR1, or CR1, Y = C1-3 alkyl or alkyl linked to X by a double bond, R = H, halogen, lower alkyl, lower alkoxy, lower alkylmercapto, or trifluoromethyl, R1 = H or lower alkyl, Ar = substituted or unsubstituted aromatic moiety, and n = 1-3, were synthesized, their antimycotic effects demonstrated, and their pharmaceutical formulations claimed. E.g., 0.25 mole 2,3-dihydro-1,4-benzothiazine [3080-99-7] was reacted with 0.25 mole O-(β-naphthyl) thiocarbonic acid chloride [10506-37-3] to give 2,3-dihydro-N-[2-naphthyl)2oxythiocarbonyl]-1,4-benzothiazine (II) [29451-21-6], m.p. 133°. II (1%) reduced infection symptoms in guinea pigs infected with Trichophyton mentagrophytes.
IT **29584-07-4P 58959-79-8P**
RL: PREP (Preparation)
(preparation of, as antimycotic)
RN 29584-07-4 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-carbothioic acid, 3,4-dihydro-, O-2-naphthalenyl ester (9CI) (CA INDEX NAME)

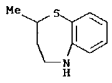


L60 ANSWER 148 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 58959-79-8 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-carbothioic acid, 3,4-dihydro-2-methyl-, O-2-naphthalenyl ester (9CI) (CA INDEX NAME)



IT **19197-44-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with thiocarbonic acid chloride)
RN 19197-44-5 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-methyl- (7CI, 8CI, 9CI) (CA INDEX NAME)



L60 ANSWER 149 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

● HCl

IT **51511-27-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 51511-27-4 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-nitroso- (9CI) (CA INDEX NAME)

L60 ANSWER 149 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:59411 CAPLUS
DOCUMENT NUMBER: 84:59411
TITLE: Synthesis of 2,3,4,5-tetrahydro-1,5-benzox(and thi)azepines and their use for synthesis of condensed indoles
AUTHOR(S): Orlova, E. K.; Sharkova, N. M.; Meshcheryakova, L. M.; Zagorevskii, V. A.; Kucherova, N. F.
CORPORATE SOURCE: Nauchno-Issled. Inst. Farmakol., Moscow, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1975), (9), 1262-6
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 84:59411
GI For diagram(s), see printed CA Issue.
AB Reduction of 4-chromanone oxime by LiAlH4-AlCl3 gave 2,3,4,5-tetrahydro-1,5-benzoxazepine (I); the N-nitroso derivative of I was reduced by Zn-HOAc to yield the N-amino derivative of I which underwent Fischer indole synthesis with MeCOEt, cyclohexanone, 1-methyl-4-piperidinone, and 4-piperidinone to give the indoles II [X = O; R = R1 = Me; RR1 = (CH2)4, CH2NMeCH2CH2, CH2NHCH2CH2, resp.]. II (X = S) were prepared similarly.
IT **58121-92-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and Fischer indole synthesis of)
RN 58121-92-9 CAPLUS
CN 1,5-Benzothiazepin-5(2H)-amine, 3,4-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

IT **58121-91-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with sodium nitrite)
RN 58121-91-8 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-, hydrochloride (7CI, 9CI) (CA INDEX NAME)

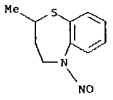
L60 ANSWER 150 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:96047 CAPLUS
DOCUMENT NUMBER: 80:96047
TITLE: Pyridopyrrolobenzo heterocyclic compounds
INVENTOR(S): Rajagopalan, Parthasarathi
PATENT ASSIGNEE(S): Endo Laboratories, Inc.
SOURCE: Ger. Offen., 63 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2330719	A1	19740110	DE 1973-2330719	19730616
US 3914421	A	19751021	US 1973-357528	19730507
ZA 7304068	A	19740529	ZA 1973-4068	19730615
BE 801108	A1	19731015	BE 1973-132425	19730619
NL 7308525	A	19731221	NL 1973-8525	19730619
PRIORITY APPLN. INFO.:			US 1972-263766	19720619
			US 1973-357528	19730507

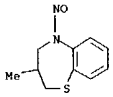
GI For diagram(s), see printed CA Issue.
AB Sedative, antidepressant, and antibacterial pyridopyrrolobenzothiazepines I (R = H, alkyl, aralkyl, substituted phenyl, Cl, OMe; R1 = H, alkyl, aralkyl, CO2Et, allyl, CF3CO) and related compds. II and III (X = O, S; n = 2, 3) were prepared Thus, 2,3,4,5-tetrahydro-1,5-benzothiazepine was nitrosated and treated with 4-piperidinone to give I (R = R1 = H), which had a sedative ED50 orally in mice of 7 mg/kg.
IT **51511-27-4P 51511-28-5P 51511-29-6P**
51511-30-9P 51511-31-0P 51511-32-1P
51511-33-2P 51511-36-5P 51511-37-6P
51511-38-7P 51511-39-8P 51511-40-1P
51511-42-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 51511-27-4 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-nitroso- (9CI) (CA INDEX NAME)

RN 51511-28-5 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-methyl-5-nitroso- (9CI) (CA INDEX NAME)

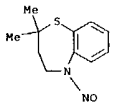
L60 ANSWER 150 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



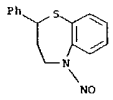
RN 51511-29-6 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-3-methyl-5-nitroso- (9CI) (CA INDEX NAME)



RN 51511-30-9 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2,2-dimethyl-5-nitroso- (9CI) (CA INDEX NAME)

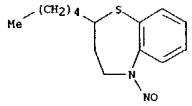


RN 51511-31-0 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-nitroso-2-phenyl- (9CI) (CA INDEX NAME)

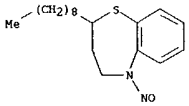


RN 51511-32-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-nitroso-2-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

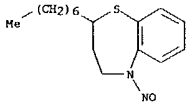
L60 ANSWER 150 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



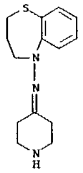
RN 51511-39-8 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-nitroso-2-nonyl- (9CI) (CA INDEX NAME)



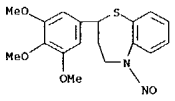
RN 51511-40-1 CAPLUS
CN 1,5-Benzothiazepine, 2-heptyl-2,3,4,5-tetrahydro-5-nitroso- (9CI) (CA INDEX NAME)



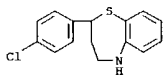
RN 51511-42-3 CAPLUS
CN 1,5-Benzothiazepin-5(2H)-amine, 3,4-dihydro-N-4-piperidinylidene- (9CI) (CA INDEX NAME)



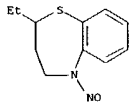
L60 ANSWER 150 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



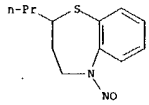
RN 51511-33-2 CAPLUS
CN 1,5-Benzothiazepine, 2-(4-chlorophenyl)-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)



RN 51511-36-5 CAPLUS
CN 1,5-Benzothiazepine, 2-ethyl-2,3,4,5-tetrahydro-5-nitroso- (9CI) (CA INDEX NAME)



RN 51511-37-6 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-nitroso-2-propyl- (9CI) (CA INDEX NAME)

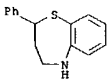


RN 51511-38-7 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-nitroso-2-pentyl- (9CI) (CA INDEX NAME)

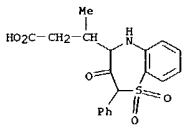
L60 ANSWER 151 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:492303 CAPLUS
DOCUMENT NUMBER: 79:92303
TITLE: N-(Aminoalkyl)benzalkylenimine carboxamides
INVENTOR(S): Krapcho, John
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc.
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3748321	A	19730724	US 1971-177144	19710901
US 3395150	A	19680730	US 1965-435677	19650226
PRIORITY APPLN. INFO.:			US 1965-435677	19650226
			US 1968-723892	19680424

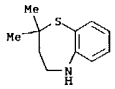
GI For diagram(s), see printed CA Issue.
AB Carboxamides (I, R = H, Me; X = CH2, O, S; n = 1, 2; m = 2, 3), useful as tranquilizers were prepared by treating II with COCl2 to give III followed by treatment with the diamine Me2N(CH2)mNHR.
IT **6012-71-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 6012-71-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-phenyl- (7CI, 8CI, 9CI) (CA INDEX NAME)



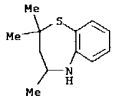
L60 ANSWER 152 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:478762 CAPLUS
DOCUMENT NUMBER: 79:78762
TITLE: Psychotropic compounds. II. Synthesis of derivatives of 5-phenylpyrrolo[2,1-d][1,5]benzothiazepine 6,6-dioxide and 1,2,3,3a,4,5-hexahydro-5-phenylpyrrolo[2,1-d][1,5]benzothiazepine-1,4-dione 6,6-dioxide and their pharmacological properties
AUTHOR(S): Nacci, V.; Filacchioni, G.; Porretta, G. C.; Stefancich, G.; Guaitani, A.
CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Roma, Rome, Italy
SOURCE: Farmaco, Edizione Scientifica (1973), 28(6), 494-510
CODEN: FRPSAX; ISSN: 0430-0920
DOCUMENT TYPE: Journal
LANGUAGE: Italian
OTHER SOURCE(S): CASREACT 79:78762
GI For diagram(s), see printed CA Issue.
AB 5-Phenylpyrrolo[2.1-d][1,5]benzothiazepine 6,6-dioxides (I) and 1,4-dioxo-1,2,3,3a,4,5-hexahydro-5-phenylpyrrolo[2,1-d][1,5]-benzothiazepine 6,6-dioxides were prepared and tested for psychotropic activity. Reaction between RC6H4CH2Cl (R = 2-NO2, 4-Cl, 3-CF3) or 4-O2NC6H4CH2Br and 2-H2NC6H4SH gave the corresponding substituted 2-benzylthioanilines, which, oxidized with H2O2, gave 2-benzylsulfonylanilines, directly or via the acetanilides. When these compds. were treated with 2,5-diethoxytetrahydrofuran 1-(2-benzylsulfonylphenyl)pyrroles were formed, which, when subjected to Vilsmeier Haack formylation and base-catalyzed intramol. cyclization, were converted into I. II and 3a-carbethoxy-1,4-dioxo-1,2,3,3a,4,5-hexahydro-3-methyl-5-phenylpyrrolo[2,1-d][1,5]benzothiazepine 6,6-dioxide (III) were prepared by cyclizing di-Et 1-(2-benzylsulfonylphenyl)-3-methylpyrrolidin-5-one-2,2-dicarboxylate and Et 1-(2-benzylsulfonylphenyl)-4-methylpyrrolidin-5-one-2-carboxylate in the presence of K. I (R = 4-O2N) showed anticonvulsant and sedative activity. Slight sedative activity was observed with III and 1-(2-p-chlorobenzylsulfonylphenyl)pyrrole.
IT **43093-09-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 43093-09-0 CAPLUS
CN 1,5-Benzothiazepine-4-propanoic acid, 2,3,4,5-tetrahydro-β-methyl-3-oxo-2-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



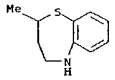
L60 ANSWER 153 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L60 ANSWER 153 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:123497 CAPLUS
DOCUMENT NUMBER: 78:123497
TITLE: NMR study of substituted 1,5-benzodiazepines and 1,5-benzothiazepines
AUTHOR(S): Hunter, P. W. W.; Webb, G. A.
CORPORATE SOURCE: Dep. Chem. Phys., Univ. Surrey, Guildford, UK
SOURCE: Tetrahedron (1973), 29(1), 147-53
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The PMR of substituted 1,5-benzodiazepines and -thiazepines were analyzed by the LAO-CN3 fitting program. The saturated mols. had puckered chair conformations. Increased Me substitution reduced the puckering and flattened the chair. Sym. substitution of the hereto rings allowed inversion at room temperature whereas asym. substitution stabilized the least
hindered conformation. The temperature dependence of the spectra was determined
IT **13338-13-1 19197-44-5 40359-00-0**
RL: PRP (Properties)
(conformation of, PMR in relation to)
RN 13338-13-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2,2,4-trimethyl- (8CI, 9CI) (CA INDEX NAME)

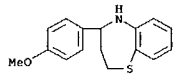


RN 19197-44-5 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-methyl- (7CI, 8CI, 9CI) (CA INDEX NAME)

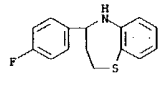


RN 40359-00-0 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2,2-dimethyl- (9CI) (CA INDEX NAME)

L60 ANSWER 154 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:111269 CAPLUS
DOCUMENT NUMBER: 78:111269
TITLE: Benzazepines. V. 2,3-Dihydro-1H-1,5-benzodiazepines and 2,3-dihydro-1,5-benzothiazepines
AUTHOR(S): Hideg, K.; Hideg-Hankovszky, O.
CORPORATE SOURCE: Pharmacol. Inst., Univ. Med. Sch., Pecs, Hung.
SOURCE: Acta Chimica Academiae Scientiarum Hungaricae (1973), 75(2), 137-60
CODEN: ACASA2; ISSN: 0001-5407
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Sixteen dihydrobenzodiazepines I (Z = NH; R = Ph, 4-FC6H4, 4-MeOC6H4, 2,4-(MeO)2C6H3, 3-HOC6H4, 2,4-(HO)2C6H3, 4-O2NC6H4, 1-C10H7, 2-C10H7, 2-thienyl; R1 = H, Me, AcNH; R2 = Me, CO2H, Cl; R3 = H, Me) were prepared by condensing phenylenediamines II (X = H2N; R2 = Cl, Me, CO2H; R3 = H, Me) with the appropriate β-amino ketone, β-chlorophenone, or β-hydroxy ketone. Ten dihydrobenzothiazepines I (Z = S; R = 4-FC6H4, 4-MeOC6H4, 3-MeOC6H4, 2-HOC6H4, 2,4-(HO)2C6H3, 3,4,5-(MeO)3C6H2, 4-O2NC6H4, 1-C10H7; R1 = H, Me, Ph, AcNH; R2 = R3 = H) were prepared by analogous condensations using II (X = SH; R2 = R3 = H). Seventeen dihydrobenzodiazepinones III (R = Ph, 4-MeOC6H4, 3,4,5-(MeO)3C6H2; R2 = H, Cl, Me, NO2; R3 = H, Me; R4 = Me2N(CH2)3, Me2N(CH2)2, 2-(2-pyridinyl)ethyl, 3-pyridinylmethyl] were obtained by condensation of the resp. RCOCH2CO2Et with the appropriate II (X = NH2); similarly, 2,3-pyridinediamine and 4,5-pyrimidinediamine yielded analogous pyrido- and pyrimidodiazepinones. I (Z = NH) and III were reduced to the analogous tetrahydrobenzodiazepines, which were acylated to the 1,5-diacyl derivs.
IT **26768-73-0P 40518-01-2P 40518-02-3P**
40518-04-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 26768-73-0 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

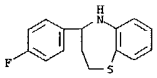


RN 40518-01-2 CAPLUS
CN 1,5-Benzothiazepine, 4-(4-fluorophenyl)-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)



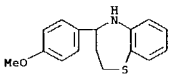
RN 40518-02-3 CAPLUS
CN 1,5-Benzothiazepine, 4-(4-fluorophenyl)-2,3,4,5-tetrahydro-, hydrochloride

L60 ANSWER 154 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(9CI) (CA INDEX NAME)



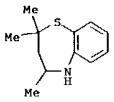
● HCl

RN 40518-04-5 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-(4-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

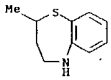


● HCl

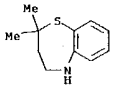
L60 ANSWER 155 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L60 ANSWER 155 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:70901 CAPLUS
DOCUMENT NUMBER: 78:70901
TITLE: Spectroscopic and mass spectral investigation of dihydro- and tetrahydro-1,5-benzodiazepines and thiazepines
AUTHOR(S): Hunter, P. W. W.; Webb, G. A.
CORPORATE SOURCE: Dep. Chem. Phys., Univ. Surrey, Guildford, UK
SOURCE: Tetrahedron (1972), 28(22), 5573-81
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The title compds. (I, X = NH, S, R = R2 = Me, Ph, R1 = Me, H; II, X = NH, S, R = H, Me, R1 = H, Me, R2 = H, Me) were characterized by uv and ir spectra. Mass spectral fragmentation paths were assigned.
IT **19197-44-5P 40359-00-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 19197-44-5 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-methyl- (7CI, 8CI, 9CI) (CA INDEX NAME)

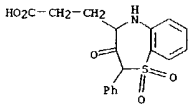


RN 40359-00-0 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2,2-dimethyl- (9CI) (CA INDEX NAME)



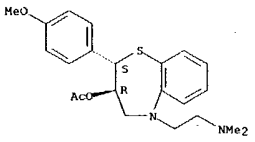
IT **13338-13-1**
RL: PRP (Properties)
(uv and mass spectra of)
RN 13338-13-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2,2,4-trimethyl- (8CI, 9CI) (CA INDEX NAME)

L60 ANSWER 156 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:43450 CAPLUS
DOCUMENT NUMBER: 78:43450
TITLE: Psychotropic-active compounds. I. Synthesis of 5-phenylpyrrolo[2,1-d][1,5]benzothiazepine 6,6-dioxide and 1,4 dioxo-1,2,3,3a,4,5-hexahydro-5-phenylpyrrolo[2,1-d][1,5]benzothiazepine 6,6-dioxide, derivatives of a new heterocyclic system
AUTHOR(S): Nacci, V.; Filacchioni, G.; Porretta, G. C.
CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Roma, Rome, Italy
SOURCE: Farmaco, Edizione Scientifica (1972), 27(11), 1003-17
CODEN: FRPSAX; ISSN: 0430-0920
DOCUMENT TYPE: Journal
LANGUAGE: Italian
OTHER SOURCE(S): CASREACT 78:43450
GI For diagram(s), see printed CA Issue.
AB The pyrrolobenzothiazepine dioxide I was prepared by oxidizing 2-PhCH2SC6H4NH2 with H2O2 and treating the 2-PhCH2SO2C6H4NH2 with 2,5-diethoxytetrahydrofuran to give 1-(2-benzylsulfonylphenyl)pyrrole. Vilsmeyer-Haack formylation of the latter, followed by intramol. cyclization gave I. II was prepared by condensing 2-PhCH2SC6H4NH2 with BrCH(CO2Et)2 to give 2-PhCH2SC6H4NHCH(CO2Et)2, which was treated with Et acrylate-EtONa to give Et 1-(2-benzylthiophenyl)-5-oxopyrrolidine-2-carboxylate. H2O2 oxidation to the sulfonyl analog and cyclization gave II.
IT **39231-72-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(dealkylation of)
RN 39231-72-6 CAPLUS
CN 1,5-Benzothiazepine-4-propanoic acid, 2,3,4,5-tetrahydro-3-oxo-2-phenyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



L60 ANSWER 157 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1972:522067 CAPLUS
DOCUMENT NUMBER: 77:122067
TITLE: New 1,5-benzothiazepine derivative (CRD-401). II. Vasodilator actions
AUTHOR(S): Nagao, Taku; Sato, Masanori; Nakajima, Hiromichi; Kiyomoto, Akio
CORPORATE SOURCE: Biol. Res. Lab., Tanabe Seiyaku Co., Ltd., Saitama, Japan
SOURCE: Japanese Journal of Pharmacology (1972), 22(1), 1-10
CODEN: JJPAAZ; ISSN: 0021-5198
DOCUMENT TYPE: Journal
LANGUAGE: English
AB D-cis-3-acetoxy-2,3-dihydro-5-[2-(diethylamino)ethyl]-2-(p-methoxyphenyl)-5H-1,5-benzothiazepin-4-one hydrochloride (I), its dl-cis-, l-cis-, and dl-trans-isomers had 10, 5, 1, and 0.3 times, resp., the vasodilatory effect of papaverine-HCl [61-25-6] in anesthetized dogs. With the exception of the dl-trans-isomer which caused vasoconstriction, similar potencies were also observed in the isolated guinea pig heart. The vasodilatory effects of the d- and l-cis isomers were not inhibited by propranolol [525-66-6], atropine [55-48-1], or diphenhydramine [147-24-0]. The benzothiazepine derivs. did not potentiate the coronary vasodilator action of adenosine [58-61-7].
IT **38363-45-0**
RL: BIOL (Biological study)
(blood vessel constriction by)
RN 38363-45-0 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 5-[2-(dimethylamino)ethyl]-2,3,4,5-tetrahydro-2-(4-methoxyphenyl)-, acetate (ester), monohydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

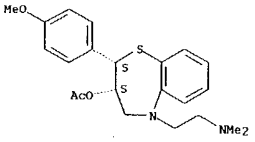


● HCl

IT **38363-44-9 38425-16-0**
RL: BIOL (Biological study)
(blood vessel dilation by)
RN 38363-44-9 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 5-[2-(dimethylamino)ethyl]-2,3,4,5-tetrahydro-2-(4-methoxyphenyl)-, acetate (ester), monohydrochloride, cis- (9CI) (CA INDEX NAME)

L60 ANSWER 157 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

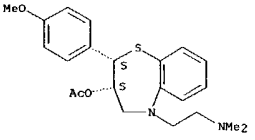
Relative stereochemistry.



● HCl

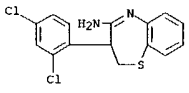
RN 38425-16-0 CAPLUS
CN 1,5-Benzothiazepin-3-ol, 5-[2-(dimethylamino)ethyl]-2,3,4,5-tetrahydro-2-(4-methoxyphenyl)-, acetate (ester), monohydrochloride, cis-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

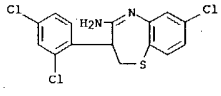


● HCl

L60 ANSWER 158 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1971:498547 CAPLUS
DOCUMENT NUMBER: 75:98547
TITLE: Synthesis of 4-amino-3-phenyl-2,3-dihydro-1,5-benzothiazepines and 3-phenyl-2,3-dihydro-1,5-benzothiazepin-4(5H)-ones
AUTHOR(S): Carr, J. B.
CORPORATE SOURCE: Biol. Sci. Res. Cent., Shell Dev. Co., Modesto, CA, USA
SOURCE: Journal of Heterocyclic Chemistry (1971), 8(3), 511-13
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB β-(2-Aminophenylthio)-2-chlorodihydroatroponitriles (I) and-dihydroatropic acids (II) are cyclized to 4-amino-3-(2-chlorophenyl)-2,3-dihydro-1,5-benzothiazepines (III) and 3-(2-chlorophenyl)-2,3-dihydro-1,5-benzothiazepin-4(5H)-ones (IV), resp. Thus, a mixture of 2-H2NC6H4SCH2CH(CN)C6H3Cl2-2,4 (V), HCl gas, and EtOH is refluxed to give 4-amino-3-(2,4-dichlorophenyl)-2,3-dihydro-1,5-benzothiazepine. 3-(2,4-Dichlorophenyl)-2,3-dihydro-1,5-benzothiazepin-4(5H)-one is prepared by the treatment of the acid analog of V with dicyclohexylcarbodiimide. Atroponitriles and atropic acids are treated with 2-aminothiophenols to give I and II.
IT **33316-91-5P 33316-92-6P 33316-93-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 33316-91-5 CAPLUS
CN 1,5-Benzothiazepine, 4-amino-3-(2,4-dichlorophenyl)-2,3-dihydro- (8CI) (CA INDEX NAME)

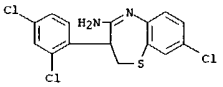


RN 33316-92-6 CAPLUS
CN 1,5-Benzothiazepine, 4-amino-7-chloro-3-(2,4-dichlorophenyl)-2,3-dihydro- (8CI) (CA INDEX NAME)

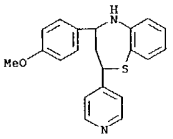


RN 33316-93-7 CAPLUS
CN 1,5-Benzothiazepine, 4-amino-8-chloro-3-(2,4-dichlorophenyl)-2,3-dihydro- (8CI) (CA INDEX NAME)

L60 ANSWER 158 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

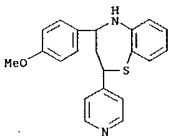


L60 ANSWER 159 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1971:449044 CAPLUS
DOCUMENT NUMBER: 75:49044
TITLE: Benzazepines. IV. Synthesis of dihydro-[1,5]benzothiazepines by the reaction of the o-aminobenzenethiol with α,β-unsaturated ketones
AUTHOR(S): Hideg-Hankovszky, O.; Hideg, K.
CORPORATE SOURCE: Pharmacol. Inst., Univ. Med. Sch., Pecs, Hung.
SOURCE: Acta Chimica Academiae Scientiarum Hungaricae (1971), 68(4), 403-10
CODEN: ACASA2; ISSN: 0001-5407
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB o-H2NC6H4SH (I) and equimolar amount of appropriate α,β-unsatd. ketones reacted to give dihydrobenzazepines (II), which on reduction with metal hydrides gave the tetrahydro derivative Thus, I and 4-methoxy-β-(4-pyridyl)-acrylophenone reacted in xylene to give 92% II (R1 = pyridyl, R2 = C6H4OMe), which on reduction with NaBH4 gave 2,3,4,5-tetrahydro-2-(4-pyridyl)-4-(4-methoxyphenyl)[1,5]benzothiazepine. (III) was prepared similarly in 65% yield from I and 2-(2,4-dichlorobenzylidene)-1-tetralone. Twenty two other benzothiazepine were prepared similarly.
IT **32713-02-3P 32713-03-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 32713-02-3 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-(p-methoxyphenyl)-2-(4-pyridyl)- (8CI) (CA INDEX NAME)



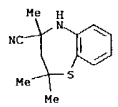
RN 32713-03-4 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-(p-methoxyphenyl)-2-(4-pyridyl)-, dihydrochloride (8CI) (CA INDEX NAME)

L60 ANSWER 159 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

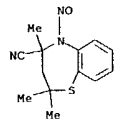


●2 HCl

L60 ANSWER 160 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1971:420346 CAPLUS
DOCUMENT NUMBER: 75:20346
TITLE: Addition of hydrocyanic acid to C N bonds
AUTHOR(S): Bodforss, Sven
CORPORATE SOURCE: Chem. Inst., Univ. Lund, Lund, Swed.
SOURCE: Justus Liebigs Annalen der Chemie (1971), 745, 99-108
CODEN: JLACBF; ISSN: 0075-4617
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB 2,3-Diphenyl-5,6-dihydropyrazine reacted with HCN or CH2(CN)2 to give 2,3-diphenyl-1,4-dicyanopiperazine (I; R = CN) or 1,4-bis(dicyanomethyl)-2,3-diphenylpiperazine [I; R = CH(CN)2], resp. Similarly, 2,4-dimethyl-3H-1,5-benzodiazepine, 2,2,4-trimethyl-1,2-dihydro-3H-1,5-benzodiazepine, and 2,2,4-trimethyl-2,3-dihydro-1,5-benzothiazepine added HCN to give 2,4-dimethyl-2,4-dicyano-1,2,4,5-tetrahydro-3H-1,5-benzodiazepine (II; X = NH, R = CN), 2,2,4-trimethyl-4-cyano-1,2,4,5-tetrahydro-3H-1,5-benzodiazepine (II; X = NH, R = Me), and 2,2,4-trimethyl-4-cyano-2,3,4,5-tetrahydro-1,5-benzothiazepine (II; X = S, R = Me), resp. The structure of I was proven by comparison with the 2,3-dicyano isomer in the reaction with HNO2 and followed by NH4SH. II reacted similarly.
IT **32723-94-7P 32723-95-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 32723-94-7 CAPLUS
CN 1,5-Benzothiazepine-4-carbonitrile, 2,3,4,5-tetrahydro-2,2,4-trimethyl- (8CI) (CA INDEX NAME)



RN 32723-95-8 CAPLUS
CN 1,5-Benzothiazepine-4-carbonitrile, 2,3,4,5-tetrahydro-2,2,4-trimethyl-5-nitroso- (8CI) (CA INDEX NAME)

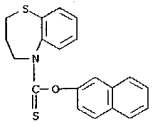


L60 ANSWER 161 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:531054 CAPLUS
DOCUMENT NUMBER: 73:131054
TITLE: Antimycotic cyclic thiocarbamic acid derivatives
INVENTOR(S): Boeshagen, Horst; Plempel, Manfred
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1917739	A	19701008	DE 1969-1917739	19690405
CH 533620	A	19730330	CH 1970-4655	19700326
IL 34195	A1	19730829	IL 1970-34195	19700330
FI 50418	B	19751201	FI 1970-910	19700401
BE 748481	A	19701005	BE 1970-748481	19700403
NL 7004842	A	19701007	NL 1970-4842	19700403
AT 297018	B	19720310	AT 1970-3075	19700403
US 3729473	A	19730424	US 1970-25557	19700403
JP 48020290	B4	19730620	JP 1970-27965	19700403
JP 48043907	B4	19731221	JP 1970-27964	19700403
NO 129044	B	19740218	NO 1970-1244	19700403
FR 2042307	B1	19760416	FR 1970-12224	19700403
FR 2042307	A1	19710212		
SE 387337	B	19760906	SE 1970-4624	19700403
ES 378247	A1	19720516	ES 1970-378247	19700404
GB 1271466	A	19720419	GB 1970-1271466	19700406
US 3821385	A	19740628	US 1972-279000	19720809
US 3880847	A	19750429	US 1972-292484	19720927
FR 2192844	A1	19740215	FR 1973-30100	19730817
FR 2192843	A1	19740215	FR 1973-30099	19730817
US 3911126	A	19751007	US 1974-466719	19740503
PRIORITY APPLN. INFO.:			DE 1969-1917739	19690405
			US 1970-25557	19700403
			US 1973-292484	19730927

GI For diagram(s), see printed CA Issue.
AB The title compds. (I) were prepared from II and ClCSOR. I had antimycotic effects, especially against Trichophyton species. Thus, NaH was added to II (R1 = R2 = H, X = S) in (Me2N)3PO. After 30 min ClCSOR (R = 2-naphthyl) was added to give the corresponding I. Among .apprx.30 compds. prepared were I (R = 2-naphthyl) (X, R1, and R2 given): S, H, 6-CF3; O, H, H; CH2, 2-Me, H; SCH2, H, H; NEtCHMe, H, H. Also prepared was I (X = CH2, R = p-FC6H4, R1 = H, R2 = 5-Me).
IT **29584-07-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 29584-07-4 CAPLUS
CN 1,5-Benzothiazepine-5(2H)-carbothioic acid, 3,4-dihydro-, O-2-naphthalenyl ester (9CI) (CA INDEX NAME)

L60 ANSWER 161 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L60 ANSWER 162 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1970:456142 CAPLUS
DOCUMENT NUMBER: 73:56142
TITLE: 2,3,4,5-Tetrahydro-1,5-benzothiazepines
INVENTOR(S): Krapcho, John
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc.
SOURCE: U.S., 5 pp. Division of U. S. 3455902
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3519647	A	19700707	US 1968-771661	19681029

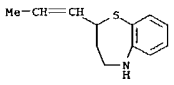
PRIORITY APPLN. INFO.: US 1968-771661 19681029

AB The disclosure is the same, but the claims are different.

IT **24083-97-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 24083-97-4 CAPLUS

CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-propenyl-, hydrochloride (8CI) (CA INDEX NAME)



● HCl

L60 ANSWER 163 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1970:100778 CAPLUS
DOCUMENT NUMBER: 72:100778
TITLE: Tranquilizing 3,4-dihydro-2-phenyl-2H-1,4-benzothiazepin-5-ones
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc.
SOURCE: Brit., 8 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1181571		19700218	GB	
DE 1695698			DE	
FR 1602881			FR	
FR 7504			FR	
US 3738999		19730000	US	
US 3763214		19730000	US	
US 3763215		19730000	US	
US 3794639		19740000	US	

PRIORITY APPLN. INFO.: US 19660310

GI For diagram(s), see printed CA Issue.

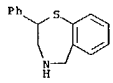
AB The subject compds. are prepared Thiosalicylic acid (100 g), 100 g nitrostyrene, and 300 ml EtOH is refluxed 3 hr to give 2-[[2-(nitromethyl)benzyl]thio]benzoic acid (I), m. 150-2°. SOCl2 (300 ml) is added to 141 g I in 300 ml CH13 to yield I acid chloride m. 89-91°, which is refluxed with 600 ml MeOH to yield I Me ester (II), m. 99-101°, II (130 g), 350 g SnCl2.2H2O, 1 l. MeOH, and 300 ml HOAc is refluxed 3 hr to yield 83.7 g Me 2-[[2-(aminomethyl)benzyl]thio]benzoate (III). III (83.7 g) is refluxed 8.5 hr with 900 ml xylene to yield 23.3 g 3,4-dihydro-2-phenyl-2H-1,4-benzothiazepin-5-one (IV), m. 183-5°. IV (11.3 g), 1.8 g NaNH2, and 300 ml PhMe is heated to 60°, and 25 ml 2.2N Et2NC2H4Cl in PhMe added to yeidl 9.0 g 4-(2-dimethylamino) adduct, (V), m. 213-15° (HCl salt) of IV. IV (7.0 g), 85 ml PhMe, and 4.4 g NaOH is stirred with 14 g 1-(3-bromopropyl)-4-methylpiperazine.2HBr to give III 4-[3-(4-methyl-1-piperazinyl)propyl] adduct, m. 263-7° (HCl salt) (MeOH). IV is reduced to 2,3,4,5-tetrahydro-2-phenyl-1,4-benzothiazepine-HCl, m. 275-7° with TiAlH4 in Et2O.

IT **26643-04-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 26643-04-9 CAPLUS

CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-2-phenyl-, hydrochloride (8CI) (CA INDEX NAME)

L60 ANSWER 163 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

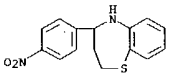


● HCl

L60 ANSWER 164 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:55533 CAPLUS
DOCUMENT NUMBER: 72:55533
TITLE: 2,3-Dihydro-1,5-benzothiazepines
INVENTOR(S): Hideg, Kalman; Hideg, Olga; Mehes, Gyula; Varga, Ferenc; Fischer, Emil
PATENT ASSIGNEE(S): Egyesult Gyogyszer- es Tapszergyar
SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

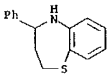
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1931096	A	19700102	DE 1969-1931096	19690619
FR 2011378	A1	19700227	FR 1969-20395	19690618
			HU 1968-EE1531	19680621

PRIORITY APPLN. INFO.:
GI For diagram(s), see printed CA Issue.
AB Condensation of 2-H2NC6H4SH (I) with β-aminoketone hydrochlorides gives the title compds. From 12.5 g I and 24.8 g 2-(piperidinomethyl)cyclohexanone-HCl in 100 ml xylene refluxed 8 hr was obtained 80% II (n = 4) (IIa) b0.4 220°. Similarly prepared was II (n = 5), b0.05 180-6°. I (12.5 g) and 24.3 g 4-MeOC6H4COCH2CH2NMe2.HCl in 250 ml re fluxing C6H6 gave 90% III (R1 = 4-MeOC6H4, R2 = H), m. 128-9° (C6H6). Also prepared were III (R1, R2, % yield, and m.p. given): 4-HOC6H4, H, 84, 151-2°; 3-MeOC6H4, H, - , 97-9°; 4-MeC6H4, Me, - , - (b0.4 220-6°); 3,4-(MeO)2C6H3, H, - , - . Reduction of II and III by LiAlH4 or NaBH4 gives IV and V. IIa thus gave IV (n = 4), b0.1 170-74°. From III were prepared V (R1, R2, % yield, b.p. (mm), and m.p. given): 4-MeC6H4, Me, 100, 250° (0.5), 60-2°; Ph, H, 90, 186-90° (0.4), - (C13CCO derivative m. 106-107°, 4-O2NC6H4CO derivative m. 146-7°); 4-O2NC6H4, H, - , - , 138-40°; 4-MeOC6H4, H, - , - , 77-8°; 3,4-(MeO)2C6H3CH2, H, - , - , 48-51°. The products are sedatives.
IT **20044-89-7P 20044-90-0P 20448-42-4P**
20448-43-5P 26768-73-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 20044-89-7 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-(p-nitrophenyl)- (8CI) (CA INDEX NAME)

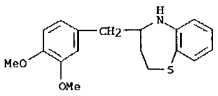


RN 20044-90-0 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-phenyl- (8CI) (CA INDEX NAME)

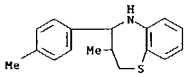
L60 ANSWER 164 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



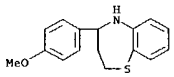
RN 20448-42-4 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-veratryl- (8CI) (CA INDEX NAME)



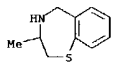
RN 20448-43-5 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-3-methyl-4-p-tolyl- (8CI) (CA INDEX NAME)



RN 26768-73-0 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

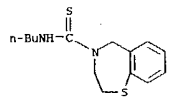


L60 ANSWER 165 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1969:524391 CAPLUS
DOCUMENT NUMBER: 71:124391
TITLE: Synthesis and reactions of 1,4-benzothiazepine derivatives
AUTHOR(S): Nair, Mohann D.; Kalbag, S. M.
CORPORATE SOURCE: CIBA Res. Centre, Goregaon, India
SOURCE: Indian Journal of Chemistry (1969), 7(9), 862-5
CODEN: IJOCAP; ISSN: 0019-5103
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Reaction of ethyleneimine or propyleneimine with Me thiosalicylate in the presence of Na alkoxide yields 5-oxo-2,3,4,5-tetrahydrobenzo[1,4]thiazepine (I) and 3-methyl-5-oxo-2,3,4,5-tetrahydrobenzo[1,4]thiazepine, resp. A number of reactions, viz. oxidation with H2O2 to sulfoxides of sulfones depending on the solvent employed, alkylation with 2-aminoethyl chloride to N-alkyl derivs. and reactions with chloroacetyl isocyanate, phenyl isocyanate, etc., with this ring system have also been studied.
IT **24187-67-5P 24187-80-2P 24187-83-5P**
24187-84-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 24187-67-5 CAPLUS
CN 1,4-Benzothiazepine, 4-[3-(2,3-dihydro-2,2-dimethyl-1,5-benzothiazepin-4-yl)allylidene]-2,3,4,5-tetrahydro-2,2-dimethyl-, hydrochloride (8CI) (CA INDEX NAME)



● HCl

RN 24187-80-2 CAPLUS
CN 1,4-Benzothiazepine-4(5H)-carboxamide, N-butyl-2,3-dihydrothio- (8CI) (CA INDEX NAME)



RN 24187-83-5 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-, 1,1-dioxide, hydrochloride (8CI, 9CI) (CA INDEX NAME)

L60 ANSWER 165 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

● HCl

RN 24187-84-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-, 1-oxide, hydrochloride (8CI) (CA INDEX NAME)

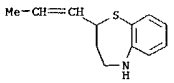
● HCl

L60 ANSWER 166 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1969:513001 CAPLUS
DOCUMENT NUMBER: 71:113001
TITLE: Tranquilizing and bactericidal 1,5-benzothiazepin-4(5H)-ones
INVENTOR(S): Krapcho, John
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc.
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3455902	A	19690715	US 1966-586040	19661012
PRIORITY APPLN. INFO.:			US 1966-586040	19661012

GI For diagram(s), see printed CA Issue.
AB The title compds. (I), that are useful tranquilizers and antibacterial agents, are prepared by reacting a sorbic acid RCH2CR2:CR2CR2:CR2CO2H (II) with a 2-aminobenzenethiol (III) to give I (R1 = H). This is treated in an inert solvent with either a halide or Me2SO4 in the presence of a base as NaNH2 or KOBu to yield I. The CO group in I can be reduced with LiAlH4. Thus, 125.0 g. III (X = H) and 112 g. II (R = R2 = H) in 1 l. MePh and 30 ml. HCONMe2 was refluxed 1 hr., 200 ml. Et2O and a solution of 100 ml. concentrated HCl in 300 ml. H2O was added and the separated organic layer of the filtrate was washed with 10% NaHCO3 to give 30 g. I (R = R1 = R2 = X = H), m. 135-7°. This was treated with 4 g. NaNH2 in 400 ml. toluene 30 min., a solution of 25 g. Me2NCH2CH2Br in toluene was added, stirring 7 hrs. and work up gave 22.5 g. crude I (R = R2 = X = H; R1 = Me2NCH2CH2) (Ia); pure oxalate m. 141-3°. Ia (22.0 g.) was refluxed with 5 g. LiAlH4 in 300 ml. dry tetrahydrofuran 8 hrs. to give 19.2 g. hydrogenation product, m. 207-10° (hydrochloride). The following I derivs. (where R = R2 = X = H) were prepared in a similar manner (R1 and phys. data given): Et2NCH2CH2, b0.3 175-80° (citrate m. 95-100°); 4-methyl-1-piperazinylpropyl, b0.2 214-8° (2HCl salt m. 176-8°); Me, b0.1 147-50°, m. 81-4°.
IT **24083-97-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 24083-97-4 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-propenyl-, hydrochloride (8CI) (CA INDEX NAME)

L60 ANSWER 166 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

L60 ANSWER 167 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1969:512992 CAPLUS
DOCUMENT NUMBER: 71:112992
TITLE: 1,4-Benzothiazepine derivatives useful in psychopharmacy
INVENTOR(S): Wuensch, Karl H.; Ehlers, Annerose
SOURCE: Ger. (East), 5 pp.
CODEN: GEXXAB
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 65402		19690205	DD	19680402

AB The title compds. are prepared by standard methods. Thus, to 1.95 g. 2,3-dihydro-1,4-benzothiazepine-5(4H)-thione is added 3 ml. hot 30% aqueous KOH to yield the K salt, m. >280°. A mixture of 2.34 g. K salt, 1.42 g. MeI, and 20 ml. EtOH is refluxed 4 hrs. to yield 1.25 g. 5-methylthio-2,3-dihydro-1,4-benzothiazepine, m. 37°. Similarly are prepared the following 5-substituted-2,3-dihydro-1,4-benzothiazepines (substituent and m.p. given): Et, 36.5°; PhCH2S (I), 77°. A mixture of 1.95 g. 7-bromo-2,3-dihydro-1,4-benzothiazepin-5(4H)-one, 1.72 g. P2S5, and 14.5 ml. anhydrous C5H5N is refluxed 2 hrs. to yield 7-bromo-2,3-dihydro-1,4-benzothiazepine-5(4H)-thione (II), m. 260.5° (AcOH). To a mixture of 0.68 g. II, 0.15 g. KOH, and 5 ml. EtOH is added 0.62 g. PhCH2Cl and the mixture refluxed 2 hrs. to yield 0.67 g. 7-bromo-5-benzylthio-2,3-dihydro-1,4-benzothiazepine, m. 84° (EtOH). Similarly are prepared the following substituted 2,3-dihydro-1,4-benzothia zepine 1,1-dioxides (substituent and m.p. given): 5-PhCH2S, 127° (EtOH); 7,5-Br(PhCH2S), 134° (EtOH). A mixture of 0.95 g. I and 3 ml. phenylhydrazine is refluxed 5 hrs. to yield 90. g. 5-phenylhydrazino-2,3-dihydro-1,4-benzothiazepine (III), m. 181° (C6H6). To 0.9 g. 2,3-dihydro-1,4-benzothiazepin-5(4H)-one (IV) is added a boiling solution of 1 g. PCl5 in 10 ml. xylene to yield (exothermic reaction) 5-chloro-2,3-dihydro-1,4-benzothiazepine, which is refluxed 20 min. with a solution of 0.45 g. PhNH2 in 2 ml. xylene to yield 0.9 g. 5-anilino-2,3-dihydro-1,4-benzothiazepine, m. 148-9° (Me2CO); picrate m. 225° (BuOH). Similarly are prepared 5-(p-methoxyphenylamino)-2,3-dihydro-1,4-benzothiazepine, m. 98-101° (Me2CO) (picrate m. 237° (BuOH)), and III. To a solution of 4.75 g. triethyloxonium tetrafluoroborate in 25 ml. anhydrous CH2Cl2 is added 1.79 g. IV and the mixture is stirred 3-4 days at room temperature to yield a precipitate, which is decomposed with saturated aqueous K2CO3 solution under cooling with ice-NaCl mixture to yield 1.7 g. crude 5-ethoxy-2,3-dihydro-1,4-benzothiazepine, which is refluxed 3.5 hrs. with 3 ml. phenylhydrazine to yield 0.45 g. III.
IT **23483-13-8P 23483-14-9P 23483-15-0P**
23483-16-1P 23483-17-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 23483-13-8 CAPLUS
CN 1,4-Benzothiazepine, 5-anilino-2,3-dihydro- (8CI) (CA INDEX NAME)

L60 ANSWER 167 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

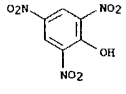
RN 23483-14-9 CAPLUS
CN 1,4-Benzothiazepine, 5-anilino-2,3-dihydro-, monopicrate (8CI) (CA INDEX NAME)

CM 1

CRN 23483-13-8
CMF C15 H14 N2 S

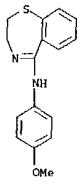
CM 2

CRN 88-89-1
CMF C6 H3 N3 O7



RN 23483-15-0 CAPLUS
CN 1,4-Benzothiazepine, 5-p-anisidino-2,3-dihydro- (8CI) (CA INDEX NAME)

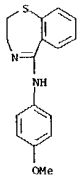
L60 ANSWER 167 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 23483-16-1 CAPLUS
CN 1,4-Benzothiazepine, 5-p-anisidino-2,3-dihydro-, monopicrate (8CI) (CA INDEX NAME)

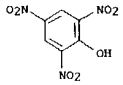
CM 1

CRN 23483-15-0
CMF C16 H16 N2 O S



CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

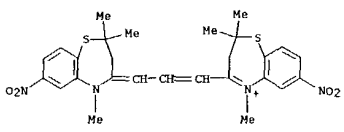


L60 ANSWER 167 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 23483-17-2 CAPLUS
CN 1,4-Benzothiazepin-5(2H)-one, 3,4-dihydro-, phenylhydrazone (9CI) (CA INDEX NAME)

L60 ANSWER 168 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

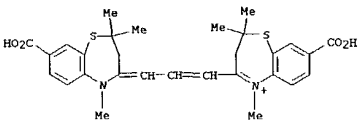
ACCESSION NUMBER: 1969:471902 CAPLUS
DOCUMENT NUMBER: 71:71902
TITLE: Synthesis of 1,5-benzothiazepines with negative substituents in the benzene ring, and cyanine dyes based on them
AUTHOR(S): Mushkalo, L. K.; Chuiguk, V. A.
CORPORATE SOURCE: Kiev. Gos. Univ. im. Shevchenko, Kiev, USSR
SOURCE: *Ukrainskii Khimicheskii Zhurnal (Russian Edition)* (1969), 35(6), 623-8
CODEN: UKZHAU; ISSN: 0041-6045
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB AcCH2CBrMe2 and 4,2-O2N(H2N)C6H3SH in boiling MeOH formed I.HBr (X = 7-O2N) (II) from which the free base, m. 109-10° (MeOH), was liberated using NH3; picrate m. 169-70° (EtOH); II.Me2SO4 m. 169-70°. The following I were similarly prepared (X, m.p., m.p. HBr salt, and m.p. picrate given): 8-MeO2C, -, 189-90° (MeOH), 134-5° (MeOH); 8-HO2C, 162° (MeOH), 194-5° (MeOH), -; 7-MeO2C, -, 184-5° (MeOH), 161-2°. Salts of II and 3,3-dimethyl-2-(formylmethylene)indolenine in Ac2O gave III, m. 178-9° (EtOH), λmaximum 534 nm. (EtOH), 544 nm. (C6H6). The simple and quaternary salts of I were converted to the styryl compds. (IV and V) shown in the 1st table and to the cyanine dyes of structure VI (2nd table) by standard methods. The free bases (VII) of the cyanine dyes (3rd table), prepared from the simple salts, were liberated by either NH3 or NaOAc.
IT **23856-77-1**
RL: USES (Uses)
(bromide, spectrum of, visible)
RN 23856-77-1 CAPLUS
CN 1,5-Benzothiazepinium, 4-[3-(2,5-dihydro-2,2,5-trimethyl-7-nitro-1,5-benzothiazepin-4(3H)-ylidene)propenyl]-2,3-dihydro-2,2,5-trimethyl-7-nitro-, bromide (8CI) (CA INDEX NAME)



● Br⁻

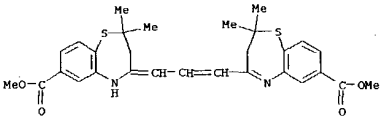
IT **23856-62-4**
RL: USES (Uses)
(iodide, spectrum of, visible)
RN 23856-62-4 CAPLUS
CN 1,5-Benzothiazepinium, 8-carboxy-4-[3-(8-carboxy-2,5-dihydro-2,2,5-trimethyl-1,5-benzothiazepin-4(3H)-ylidene)propenyl]-2,3-dihydro-2,2,5-trimethyl-, iodide (8CI) (CA INDEX NAME)

L60 ANSWER 168 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



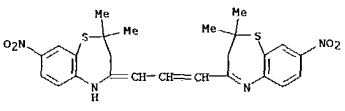
● I⁻

IT **23856-63-5P 23856-72-6P 23856-73-7P**
23856-74-8P 23856-76-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 23856-63-5 CAPLUS
CN 1,5-Benzothiazepine-7-carboxylic acid, 4-[3-(7-carboxy-2,3-dihydro-2,2-dimethyl-1,5-benzothiazepin-4-yl)allylidene]-2,3,4,5-tetrahydro-2,2-dimethyl-, dimethyl ester, monohydrobromide (8CI) (CA INDEX NAME)



● HBr

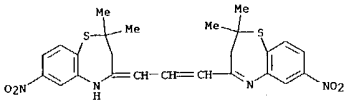
RN 23856-72-6 CAPLUS
CN 1,5-Benzothiazepine, 4-[3-(2,3-dihydro-2,2-dimethyl-8-nitro-1,5-benzothiazepin-4-yl)allylidene]-2,3,4,5-tetrahydro-2,2-dimethyl-8-nitro-, monohydrobromide (8CI) (CA INDEX NAME)



● HBr

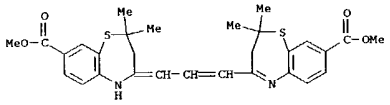
L60 ANSWER 168 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 23856-73-7 CAPLUS
CN 1,5-Benzothiazepine, 4-[3-(2,3-dihydro-2,2-dimethyl-7-nitro-1,5-benzothiazepin-4-yl)allylidene]-2,3,4,5-tetrahydro-2,2-dimethyl-7-nitro-, monohydrobromide (8CI) (CA INDEX NAME)



● HBr

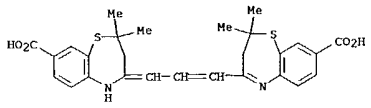
RN 23856-74-8 CAPLUS
CN 1,5-Benzothiazepine-8-carboxylic acid, 4-[3-(8-carboxy-2,3-dihydro-2,2-dimethyl-1,5-benzothiazepin-4-yl)allylidene]-2,3,4,5-tetrahydro-2,2-dimethyl-, dimethyl ester, monohydrobromide (8CI) (CA INDEX NAME)



● HBr

RN 23856-76-0 CAPLUS
CN 1,5-Benzothiazepine-8-carboxylic acid, 4-[3-(8-carboxy-2,3-dihydro-2,2-dimethyl-1,5-benzothiazepin-4-yl)allylidene]-2,3,4,5-tetrahydro-2,2-dimethyl-, monohydrobromide (8CI) (CA INDEX NAME)

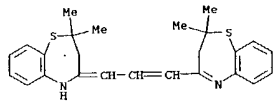
L60 ANSWER 168 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



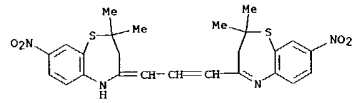
● HBr

IT **23856-90-8 23856-91-9 23856-92-0**
23856-93-1 23856-94-2 23875-65-2
RL: PRP (Properties)
(spectrum of, visible)

RN 23856-90-8 CAPLUS
CN 1,5-Benzothiazepine, 4-[3-(2,3-dihydro-2,2-dimethyl-1,5-benzothiazepin-4-yl)allylidene]-2,3,4,5-tetrahydro-2,2-dimethyl- (8CI) (CA INDEX NAME)

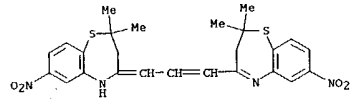


RN 23856-91-9 CAPLUS
CN 1,5-Benzothiazepine, 4-[3-(2,3-dihydro-2,2-dimethyl-8-nitro-1,5-benzothiazepin-4-yl)allylidene]2,3,4,5-tetrahydro-2,2-dimethyl-8-nitro- (8CI) (CA INDEX NAME)

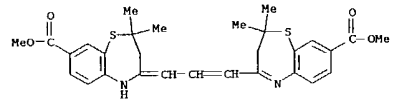


RN 23856-92-0 CAPLUS
CN 1,5-Benzothiazepine, 4-[3-(2,3-dihydro-2,2-dimethyl-7-nitro-1,5-benzothiazepin-4-yl)allylidene]-2,3,4,5-tetrahydro-2,2-dimethyl-7-nitro- (8CI) (CA INDEX NAME)

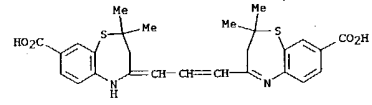
L60 ANSWER 168 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



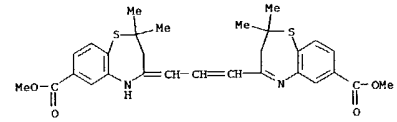
RN 23856-93-1 CAPLUS
CN 1,5-Benzothiazepine-8-carboxylic acid, 4-[3-(8-carboxy-2,3-dihydro-2,2-dimethyl-1,5-benzothiazepin-4-yl)allylidene]-2,3,4,5-tetrahydro-2,2-dimethyl-, dimethyl ester (8CI) (CA INDEX NAME)



RN 23856-94-2 CAPLUS
CN 1,5-Benzothiazepine-8-carboxylic acid, 4-[3-(8-carboxy-2,3-dihydro-2,2-dimethyl-1,5-benzothiazepin-4-yl)allylidene]-2,3,4,5-tetrahydro-2,2-dimethyl- (8CI) (CA INDEX NAME)



RN 23875-65-2 CAPLUS
CN 1,5-Benzothiazepine-7-carboxylic acid, 4-[3-(7-carboxy-2,3-dihydro-2,2-dimethyl-1,5-benzothiazepin-4-yl)allylidene]-2,3,4,5-tetrahydro-2,2-dimethyl-, dimethyl ester (8CI) (CA INDEX NAME)



L60 ANSWER 169 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1969:430464 CAPLUS
DOCUMENT NUMBER: 71:30464
TITLE: Benzocondensed 7-ring heterocycles. II. 5-Substituted 2,3-dihydro-1,4-benzothiazepines
AUTHOR(S): Wuensch, Karl H.; Ehlers, Annerose
CORPORATE SOURCE: Univ. Greifswald, Greifswald, Fed. Rep. Ger.
SOURCE: Chemische Berichte (1969), 102(6), 1869-75
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 71:30464

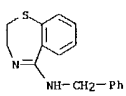
AB 4-(R-Substituted)-7-(R1-substituted)-2,3,4,5-tetrahydro-1,4-benzothiazepin-5-ones (I) were converted with P2S5 to the corresponding thiones, which with R2X gave 5-(R2S-substituted)-7-(R1-substituted)-2,3-dihydro-1,4-benzothiazepines (II) (where R1 = H or Br; R2 = Me, Et, or PhCH2). 7-(R1-Substituted)-5-benzylthio-2,3-dihydro-1,4-benzothiazepine 1,1-dioxides (III) were similarly prepared from 7-(R1-substituted)-2,3,4,5-tetrahydro-1,4-benzothiazepin-5-one 1,1-dioxides, obtained by H2O2 oxidation of I. The PhCH2S-group of II and III could be replaced by hydrazino. Treatment of I with PCl5 or Et3OBF4 gave 5-(R3-substituted)-2,3-dihydro-1,4-benzothiazepines (R3 = Cl or EtO).

IT **23483-12-7P 23483-13-8P 23483-14-9P**
23483-15-0P 23483-16-1P 23483-17-2P
23483-18-3P 23483-19-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 23483-12-7 CAPLUS
CN 1,4-Benzothiazepine, 5-(benzylamino)-2,3-dihydro-, monopicrate (8CI) (CA INDEX NAME)

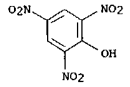
CM 1

CRN 46972-50-3
CMF C16 H16 N2 S



CM 2

CRN 88-89-1
CMF C6 H3 N3 O7



L60 ANSWER 169 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 23483-13-8 CAPLUS
CN 1,4-Benzothiazepine, 5-anilino-2,3-dihydro- (8CI) (CA INDEX NAME)

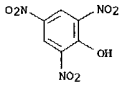
RN 23483-14-9 CAPLUS
CN 1,4-Benzothiazepine, 5-anilino-2,3-dihydro-, monopicrate (8CI) (CA INDEX NAME)

CM 1

CRN 23483-13-8
CMF C15 H14 N2 S

CM 2

CRN 88-89-1
CMF C6 H3 N3 O7



RN 23483-15-0 CAPLUS
CN 1,4-Benzothiazepine, 5-p-anisidino-2,3-dihydro- (8CI) (CA INDEX NAME)

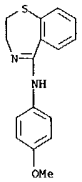
L60 ANSWER 169 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 23483-17-2 CAPLUS
CN 1,4-Benzothiazepin-5(2H)-one, 3,4-dihydro-, phenylhydrazone (9CI) (CA INDEX NAME)

RN 23483-18-3 CAPLUS
CN 1,4-Benzothiazepine, 5-hydrazino-2,3-dihydro- (8CI) (CA INDEX NAME)

RN 23483-19-4 CAPLUS
CN Benzaldehyde, p-nitro-, (2,3-dihydro-1,4-benzothiazepin-5-yl)hydrazone (8CI) (CA INDEX NAME)

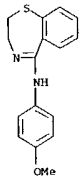
L60 ANSWER 169 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 23483-16-1 CAPLUS
CN 1,4-Benzothiazepine, 5-p-anisidino-2,3-dihydro-, monopicrate (8CI) (CA INDEX NAME)

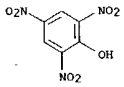
CM 1

CRN 23483-15-0
CMF C16 H16 N2 O S

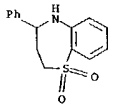


CM 2

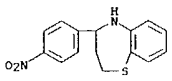
CRN 88-89-1
CMF C6 H3 N3 O7



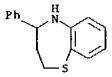
L60 ANSWER 170 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1968:486981 CAPLUS
DOCUMENT NUMBER: 69:86981
TITLE: Benzazepines. II. Preparation and reactions of 2,3-dihydro-6,7-benzo- 1,5-thiazepines
AUTHOR(S): Hideg, Kalman; Hankovszky, H. Olga
CORPORATE SOURCE: Inst. Pharmacol., Univ. Med. Sch., Pecs, Hung.
SOURCE: Acta Chimica Academiae Scientiarum Hungaricae (1968), 56(4), 405-11
CODEN: ACASA2; ISSN: 0001-5407
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB 1,5-Benzothiazepines are prepared via cyclization of o-aminothiophenol with an open chain or alicyclic amino ketone, which can be obtained in good yield by the Mannich reaction. The dihydro derivs. are reducible to the 2,3,4,5-tetrahydro derivs., which in turn can be acylated with acid chlorides to the 5-acyl derivs. Typically, 37.5 g. o-aminothiophenol and 76.1 g. β-piperidinopropiophenone-HCl was refluxed 2 hrs. with 500 ml. xylene, during which 5.4 ml. water was collected, and the mixture worked up to give 35% 2,3-dihydro-4-phenyl-6,7-benzo-1,5-thiazepine (I), b2.5 224-30°; HCl salt m. 107-9°; monomethiodide m. 176-8° was obtained. To 12.0 g. I in 200 ml. cooled anhydrous EtOH, a suspension of 2.5 g. NaBH4 in anhydrous EtOH was added (exotherm) and the mixture refluxed 1 hr. and worked up to give 90% 2,3,4,5-tetrahydro-4-phenyl-6,7-benzo-1,5-thiazepine (II), b0.4 186-90°. II (24.1 g.) was dissolved in 300 ml. hot benzene, cooled, 10 g. K2CO3 added, a solution of 13.6 g. ClCH2COCl in 50 ml. C6H6 added dropwise, and the mixture refluxed 2 hrs. and worked up to give 24.8 g. 2,3,4,5-tetrahydro-4-phenyl-5-chloroacetyl-6,7-benzo-1,5-thiazepine, m. 106-7°. II in AcOH treated with H2O2 gave II 1,1-dioxide, m. 165-6°. Also prepared were following III (R, R1, m.p., and b.p./mm. given): p-C6H4NO2, H, 170-2°, -; p-C6H4Me, Me, 63-6°, 220-6°/0.4; 2,3-(MeO)2C6H3CH2, H, 30-2°, -; (RR1=) (CH2)4, -, -, 220°/0.4; and (RR1=)(CH2)5,-, -, 180-6°/0.05. Also prepared were the following IV (R, R1, R2, X, m.p., and b.p./mm. given): p-C6H4NO2, H, H, S, 138-40°, -; p-C6H4Me, Me, H, S, 60-2°, 240-50°/0.5; 2,3-(MeO)2C6H4CH2, H, H, S, 48-51°, -; (RR1=)(CH2)4, -, H, S, -, 170-4°/0.1; Ph, H, COC6H4NO2-p, S, 146-7°, -; Ph, H, H, SO2, 165-6°, -; and (RR1=)(CH2)4, -, H, SO2, 150-2°, -.
IT **20044-85-3P 20044-89-7P 20044-90-0P 20448-42-4P 20448-43-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 20044-85-3 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-phenyl-, 1,1-dioxide (8CI) (CA INDEX NAME)



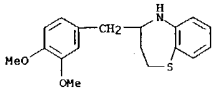
L60 ANSWER 170 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 20044-89-7 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-(p-nitrophenyl)- (8CI) (CA INDEX NAME)



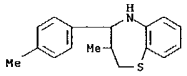
RN 20044-90-0 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-phenyl- (8CI) (CA INDEX NAME)



RN 20448-42-4 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-4-veratryl- (8CI) (CA INDEX NAME)



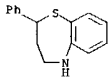
RN 20448-43-5 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-3-methyl-4-p-tolyl- (8CI) (CA INDEX NAME)



L60 ANSWER 171 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1968:436196 CAPLUS
DOCUMENT NUMBER: 69:36196
TITLE: Benzothiazepines
INVENTOR(S): Krapcho, John
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc.
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3361760	A	19680102	US 1963-266803	19630321

PRIORITY APPLN. INFO.: US 1963-266803 19630321
GI For diagram(s), see printed CA Issue.
AB I and its salts are prepared from II by the methods described earlier (cf. CA 69: 36194e) and R, X, and COANB have the same meaning as used therein. Reduction of 138 g. 2,3-dihydro-2-phenyl-1,5-benzothiazepin-4-one (II, R = X = H) by 22 g. LiAlH4 gave 114 g. 2,3,4,5-tetrahydro-2-phenyl-1,5-benzothiazepine (III), b0.3 180-3°. Acylation of 56.5 g. III by 29 g. ClCH2COCl in 1100 ml. C6H6 gave 55.6 g. 5-(chloroacetyl)-2,3,4,5-tetrahydro-2-phenyl-1,5-benzothiazepine (IV), m. 154-6° (C6H6-C6H14). IV (27 g.), 19.4 g. Et2NH, and 0.5 g. KI in 225 ml. PhMe, refluxed 5 hrs., gave 29.1 g. 5-(diethylaminoacetyl)-2,3,4,5-tetrahydro-2-phenyl-1,5-benzothiazepine (I, R = X = H, COANB = Et2NCH2CO), m. 105-6° (C6H14); HCl salt m. 212-13° (iso-PrOH). The products are central nervous system stimulants.
IT **6012-71-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 6012-71-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-phenyl- (7CI, 8CI, 9CI) (CA INDEX NAME)

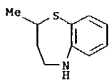


L60 ANSWER 172 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1968:436194 CAPLUS
DOCUMENT NUMBER: 69:36194
TITLE: Benzothiazepines
INVENTOR(S): Krapcho, John
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc.
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3361750	A	19680102	US 1963-266824	19630321

PRIORITY APPLN. INFO.: US 1963-266824 19630321
GI For diagram(s), see printed CA Issue.
AB The title compds. (I), in which NB is a N-containing group of <12 C atoms, are prepared from benzothiepinones (II), in which R is H or alkyl, R' is H, alkyl, or aralkyl, and X is H, alkyl, alkoxy, NO2, halogen, or CF3, by reduction with LiAlH4, acylation by ClACOCl, in which A is CH2 or CH2-CH2, and amination by BNH. Reduction of 96.5 g. 2,3-dihydro-2-methyl-1,5-benzothiepin-4-one (II, R' = Me, R = X = H) by 19 g. LiAlH4 in 2500 ml. Et2O gave 77.6 g. 2,3,4,5-tetrahydro-2-methyl-1,5-benzothiepine (III), b0.3 110-11°, m. 45-7°. III (138 g.), 78 g. Et3N, and 87 g. ClCH2COCl in 1300 ml. C6H6 gave 103 g. 5-chloroacetyl-2,3,4,5-tetrahydro-2-methyl-1,5-benzothiazepine (IV). Piperidine (43 g.) and 42 g.) IV in 200 ml. xylene were refluxed 8 hrs. to give 45 g. 2,3,4,5-tetrahydro-2-methyl-5-piperidinoacetyl-1,5-benzothiazepine (I, R' = Me, R = X = H, COANB = piperidinoacetyl), b0.1, 165-7°; HCl salt m. 187-9° (MeCN-Et2O). IV (51 g.) and 50 g. N-methylpiperazine in 300 ml. xylene gave 56 g. 2,3,4,5-tetrahydro-2-methyl-5-[(4-methyl-1-piperazinyl)]-1,5-benzothiazepine, b0.1 175-6°, m. 118-20°; HCl salt m. 163-5° (MeCN-Et2O). Similarly prepared were I.HCl in which R, R', COANB, and X were, resp.: H, Me, Me2NCH2CO, and H; H, Me, pyrrolidinoacetyl, and H; Me, PhCH2CH2NMeCH2CO, and H; H, Me, morpholinoacetyl, and H; H, Me, Et2NCH2CO, and H; H, Me, 3-(piperidino)propionyl, and H; H, H, pieridinoacetyl, and H; H, Et, piperidinoacetyl, and H; Me, H, piperidinoacetyl, and H; Me, piperidinoacetyl, and H; H, PhCH2, piperidinoacetyl, and H; H, p-ClC6H4CH2, piperidinoacetyl, and H; H, Me, piperidinoacetyl, and 7-Cl; H, Me, piperidinoacetyl, and 7-MeO; and H, Me, piperidinoacetyl, and 7-Me. Treatment of 200 g. Zn salt of 2-amino-4-trifluoromethylthiophenol in 400 ml. H2O with 200 ml. concentrated HCl gave 2-amino-4-trifluoromethylthiophenol-HCl (V), m. 198-9°. Addition of 25 g. NaOH in 100 ml. H2O to 140 g. V in 200 ml. H2O gave 2-amino-4-trifluoromethylthiophenol (IV), b0.2 55-62°. A mixture of 89.8 g. VI and 43 g. MeCH:CHCO2H, heated at 175-9° 30 min. gave 2,3-dihydro-2-methyl-7-trifluoromethyl-1,5-benzothiazepin-4-one (II, R = H, R' = Me, X = 7-CF3), m. 203-4°, from which was prepared 5-piperidinoacetyl-2,3,4,5-tetrahydro-2-methyl-7-trifluoromethyl-1,5-benzothiazepine-HCl. I and their salts are antispasmodics.
IT **19197-44-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L60 ANSWER 172 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 19197-44-5 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-methyl- (7CI, 8CI, 9CI) (CA INDEX NAME)



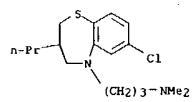
L60 ANSWER 173 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1968:69061 CAPLUS
DOCUMENT NUMBER: 68:69061
TITLE: Novel benzothiazepinones
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co., A.-G.
SOURCE: Brit., 23 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1077272		19670726		
PRIORITY APPLN. INFO.:			US	19620402

GI For diagram(s), see printed CA Issue.
AB The title compds. (I) exert an antidepressant action on the central nervous system. A suspension of 10.8 g. I (X = R = R1 = R2 = R3 = R5 = H, R4 = Cl) and 2.44 g. NaH in 100 ml. absolute dioxane was refluxed 20 hrs. with stirring, cooled to 60°, treated during 0.5 hr. with 8.1 g. ClCH2CH2NEt2 in 20 ml. dioxane, and refluxed 4 hrs. with stirring to give I (X = CH2CH2NEt2, R = R1 = R2 = R3 = R5 = H, R4 = Cl) (Ia) which was treated with iso-PrOH-HCl to give Ia.HCl, m. 214-15° (MeOH-Et2O). A mixture of 20 ml. MeNH2 and 28.6 g. BzH in 100 ml. EtOH was kept 4 days at 60° and 3 atmospheric H, cooled, treated with 11.8 g. NaBH4, stirred 4 hrs. at room temperature, the crude oil, dissolved in 100 ml. H2O, treated with 11.1 g. ethylene oxide at room temperature, and the mixture kept 5 hrs. at 3° and 24 hrs. at room temperature to give 2-(N-methylbenzylamino)ethanol (II), b0.08 73°. An ice-cold solution of 7.15 g. II in 20 ml. C6H6 was treated dropwise with 3.5 ml. SOCl2 and stirred 4 hrs. at room temperature to give 2-(N-methylbenzylamino)ethyl chloride. A mixture of 10 g. Ib (see below), 4.45 g. NaI, and 13.85 g. 1-methylpiperazine in 100 ml. dioxane was refluxed 20 hrs. to give I.2HCl [X = 3-(4-methylpiperazino)propyl, R = R1 = R2 = R3 = R5 = H, R4 = Cl], m. 274-80°. A mixture of Ib and 20 ml. MeNH2 in 100 ml. dioxane was shaken under 5 atmospheric H at 30° for 2 days to give an oil which was dissolved in iso-PrOH-HCl to give I.HCl [X = (CH2)3NHMe, R = R1 = R2 = R3 = R5 = H, R4 = Cl], m. 230-3°. A mixture 35 g. 5-methoxy-2-nitrotoluene, 37.1 g. N-bromosuccinimide, and 3.5 g. Bz2O2 in 350 ml. CCl4 was refluxed 6 hrs. under a 250-w. ir lamp, the crude product dissolved in 16.9 g. NaOH and 24.3 g. 80% mercaptoacetic acid in 200 ml. H2O at 0°, and stirred 4 hrs. at room temperature to give 2-(5-methoxy-2-nitrobenzylthio)acetic acid (III), m. 107-9° (Et2O). A solution of 52.3 g. III in 1200 ml. EtOH was hydrogenated at 30° and 6 atmospheres in the presence of 5 g. 10% Pd/C to give I (X = R = R1 = R2 = R5 = H, R3 = MeO), m. 202-3°. 2-(4-Methoxy-2-nitrobenzylthio)acetic acid, m. 79-80.5°, and 2-(3-chloro-2-nitrobenzylthio)acetic acid, m. 135-7°, were similarly prepared A stirred solution of 4-chloro-2-nitrobenzyl bromide in 200 ml. Me2CO at 0° was treated with a solution of 53 g. thiolactic acid and 40 g. NaOH in 300 ml. H2O and stirred 24 hrs. at room temperature to give 2-(4-chloro-2-nitrobenzylthio)propionic acid, which was hydrogenated over Pd/C to 2-(2-amino-4-chlorobenzylthio)propionic acid, m. 150-5.5°.

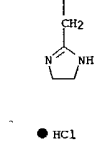
L60 ANSWER 173 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
A soln. of the latter in 600 ml. dry xylene was refluxed 5 hrs. with removal of the H2O formed to give I (R = Me, X = R1 = R2 = R3 = R5 = H, R4 = Cl), m. 229-30°. A soln. of 7 g. Ic.HCl (see below) in 280 ml. MeOH contg. 1.12 g. NaOMe was evapd. to dryness in vacuo, the residue suspended in CH2Cl2, filtered, and the filtrate evapd. in vacuo to give free Ic. A soln. of the Ic in 300 ml. tetrahydrofuran (THF) was carefully added during 1 hr. to an ice-cold suspension of 3.5 g. LiAlH4 in 350 ml. THF and worked up to give (IV) [X = (CH2)3NMe2, R = H]; oxalate m. 142-5°. Id.HCl (see below) was similarly converted by the above procedure to the free base Id. A soln. of 10 g. Ia.HCl in 64 ml. MeOH contg. 1.548 g. Na was evapd. to dryness in vacuo, the oily residue dissolved in 200 ml. MeOH, cooled, treated dropwise with 60 ml. 0.5N sodium periodate and stirred 3 days at room temp. to give 8-chloro-1-(2-dimethylaminoethyl)-3,5-dihydro-4,1-benzothiazepinone (2)4-oxide hydrochloride, m. 219-20°. A soln. of 25 g. 2-amino-5-chlorobenzhydrol, 2.5 ml. Et3N, and 20 ml. CS2 in 250 ml. EtOH was refluxed 18 hrs. to give 6-chloro-4-phenyl-1,4-dihydro-2H-3,1-benzoxazine-2-thione (V), m. 197-200°. V was distd. in a bulb tube at 0.1 mm. and 200° (bath temp.) to give 6-chloro-4-phenyl-1,4-dihydro-2H-3,1-benzothiazin-2-one (VI). A soln. of 67 g. VI and 5 g. Na2S2O4 in 500 ml. 20% aq. KOH was refluxed 4 hrs. to give α-phenyl-2-amino-5-chlorobenzyl mercaptan (VII). A soln. of 44 g. crude VII in 1050 ml. Et2O was shaken with 19.9 ml. ClCH2COCl and 225 ml. 2N NaOH to give I (X = R = R2 = R4 = R5 = H, R1 = Ph, R3 = Cl), m. 221-3°. NaBH4 (2.5 g.) was added to a soln. of 12 g. 2-amino-4-chlorobenzophenone in 40 ml. THF and 30 ml. EtOH and stirred 16 hrs. at room temp. to give 2-amino-4-chlorobenzhydrol, m. 94-6°, which was converted as above to 7-chloro-4-phenyl-1,4-dihydro-2H-3,1-benzothiazine-2-thione (VIII), m. 173-7°. An aq. soln. of 9.1 g. KOH was added to 102 ml. 30% aq. H2O2, cooled in an ice bath, treated with 11.7 g. VIII and 40 ml. EtOH, stirred 18 hrs. at room temp. and acidified with HCl and the resulting ppt. treated again as above to give 7-chloro-4-phenyl-1,4-dihydro-2H-3,1-benzothiazin-2-one (IX), m. 185-7° (CH2Cl2-Et2O). IX was heated as above with Na2S2O4 to give α-phenyl-2-amino-4-chlorobenzyl mercaptan, which was treated with ClCH2COCl to give I (X = R = R2 = R3 = R5 = H, R1 = Ph, R4 = Cl), m. 234-6°. A mixt. of 6.24 g. Ie (see below), 1 l. PhMe, and 63 ml. PhMe contg. 0.054 mole diisobutylaluminum hydride was refluxed overnight under N to give IV [X = (CH2)3NEt2, R = Me]; oxalate m. 138-9° (MeOH-Et2O). A soln. of 10 g. If (see below) and 4.45 g. NaI in 300 ml. EtOH was satd. with Me2NH at 75° for 5 hrs. and worked up to give I.HCl [X = (CH2)3NMe2, R = Me, R1 = R2 = R3 = R5 = H, R4 = Cl], m. 190-2° (Ih.HCl). The following IV derivs. were prepd. as above from the corresponding keto derivs. (X and R given): (CH2)3NMe2, Me, oxalate salt m. 158.5-60°; (CH2)3NMe2, Et, oxalate salt m. 151-3°; (CH2)3NMe2, Pr, oxalate salt m. 161-2°; H, Et, m. 207.5-10°, HCl salt m. 162-6°; H, Me, m. 73-4°; H, Pr, HCl salt m. 143-5°; H, iso-Pr, HCl salt m. 113-15°. Similarly prepd. were 9-chloro-1,3,4,6-tetrahydro-5,1-benzothiazocin-2-one, m. 215-16°, and 9-chloro-2,3,4,6-tetrahydro-1H-5,1-benzothiazocine hydrochloride, m. 216-21°. The following I derivs. were prepd. by essentially similar procedures to those described above (X, R, R1, R2, R3, R4, R5, m.p. and m.p. of the indicated salt given): (CH2)3NMe2, H, H, H, H, Cl, H (Ic), -, 201-2° (HCl); 2-piperidinoethyl, H, H, H, H, Cl, H (Id), -, 245-6° (HCl); PhCH2NMeCH2CH2, H, H, H, H, Cl, H, -, 236° (HCl); (CH2)3Cl, H, H, H, Cl, H, 141-4°; 3-(4-methylpiperazino)propyl, H, H, H, H, Cl, H, -, 283-4° (di-HCl); 3-[4-(2-hydroxyethyl)piperazino], H, H, H, H, Cl, H, -, 216-18° (di-HCl); 3-morpholinopropyl, H, H, H, H, Cl, H, -, 246-8° (HCl); (CH2)3NMe2, H, H, H, H, H, H, H, 61-4°, 186-7° (oxalate); (CH2)3NMe2, H, H, H, MeO, H, H, -, 200-2° (HCl); H, H, H, Cl, H, H, H, 205-6°, -; (CH2)3NMe2, H, H, Cl, H, H, H, -, 206-8° (HCl); H, H, H, H, H, MeO, H, 202-4°, -; (CH2)3, H, H, H, H, MeO, H, -, 205-6°; H, H, H, H, H, H, Cl, 192-3°, -; (CH2)3NMe2, H, H, H, H, H, Cl, -, 209-11°; (CH2)3NMe2, H, Ph, H, Cl, H, H, -, 249-51° (HCl); (CH2)3NMe2, H, Ph, H, H, Cl, H, -, 253-6° (HCl); (CH2)3Cl, Me, H, H, H, Cl, H (If), 118-19°, -; (CH2)3NHMe, Me, H, H, H, Cl, H, -, 218-20° (HCl); (CH2)3NEt2, Me, H, H, H, Cl, H (Ie), -, 150-2° (oxalate); (CH2)3NMe2, Me, H, H, H, Cl, H, -, 187-9° (HCl); 3-(4-methylpiperazino)propyl, Me, H, H, H, Cl, H, -, 244-6° (di-HCl); 3-[4-(2-hydroxyethyl)piperazino]propyl, Me, H, H, H, Cl, H, -, 171-4° (di-HCl); (CH2)3NMe2, iso-Pr, H, H, H, Cl, H, -, 167.5-69° (oxalate); (CH2)3NMe2, Et, H, H, H, Cl, H, -, 192-4° (HCl); (CH2)3NMe2, Pr, H, H, H, Cl, H, -, 162.0-3.5° (oxalate); (CH2)3NMe2, Ph, H, H, H, Cl, H, -, 159-62° (maleate); H, iso-Pr, H, H, H, Cl, H, 239.5-40.5°, -; H, Et, H, H, H, Cl, H, 210-11°, -; H, Pr, H, H, H, Cl, H, 165-6°, -; H, Ph, H, H, H, Cl, H, 267-9°, -.

IT **17564-05-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 17564-05-5 CAPLUS
CN 4,1-Benzothiazepine, 8-chloro-1-[3-(dimethylamino)propyl]-1,2,3,5-tetrahydro-3-propyl- (8CI) (CA INDEX NAME)



L60 ANSWER 174 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1967:500067 CAPLUS
DOCUMENT NUMBER: 67:100067
TITLE: Imidazoline derivatives with antiarrhythmic activity
AUTHOR(S): Werner, Lincoln H.; Ricca, S.; Rossi, Alberto; De Stevens, George
CORPORATE SOURCE: CIBA Pharm. Co., CIBA Corp., Summit, NJ, USA
SOURCE: Journal of Medicinal Chemistry (1967), 10(4), 575-82
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Imidazolinylmethyl derivs. of a number of bicyclic and tricyclic ring systems were prepared and studied for their effect on exptl. cardiac arrhythmias. One of the bicyclic compds., 3-phenyl-2,3,4,5-tetrahydro-1H-1-benzazepine (I), obtained by means of a Schmidt reaction on 2-phenyl-3,4-dihydro-1-naphthalenone followed by reduction with LiAlH4, yielded imidazoline derivs. of particular interest and was studied in greater detail. Numerous analogs were prepared 22 references.

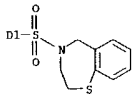
IT **15966-35-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 15966-35-5 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-(2-imidazolin-2-ylmethyl)-2-phenyl-, monohydrochloride (8CI) (CA INDEX NAME)



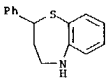
● HCl

L60 ANSWER 175 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1967:454111 CAPLUS
DOCUMENT NUMBER: 67:54111
TITLE: 2,3-Dihydro-1,4- benzothiazepin-5(4H)-ones
AUTHOR(S): Wuensch, Karl H.; Ehlers, Annerose; Beyer, Hans
CORPORATE SOURCE: Ernst-Moritz-Arndt-Univ., Greifswald, Fed. Rep. Ger.
SOURCE: Zeitschrift fuer Chemie (1967), 7(5), 185-6
CODEN: ZECEAL; ISSN: 0044-2402
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB 2-HSC6H4CO2H reacted with xCH2CH2NH2 (x halogen) in alkaline medium to give 64% 2-(H2NCH2CH2S)C6H4CO2H, hydrochloride m. 247°, Et ester (I) m. 147°. Also prepared were 5,2-Cl(H2NCH2CH2S)C6H3CO2H m. 256° (Et ester m. 204°), 5,2-Br(H2NCH2CH2S)C6H3CO2H m. 263° (Et ester m. 205-6°), 3,5,2-Br2(H2NCH2CH2S)C6H2CO2H m. 257-8° (Et ester m. 139-40°), and 2-(PhNHCH2CH2S)C6H4CO2H m. 137-8° (Et ester m. 76°) in 58, 51, 45, and 90% yields, resp. I treated with EtONa gave 2,3,4,5-tetrahydro-1,4-benzothiazepin-5-one (IIa) (R = R1 = R2 = H) (II) m. 191-1.5°, in 75% yield. Similarly prepared were 2,3,4,5-tetrahydro-1,4-benzothiazepin-5-ones (R, R1, R2, m.p., and % yield given): H, Cl, H, 231-2°, 31; H, Br, H, 241-5°, 55; H, Br, 252°, 57; Me, H, H, 67-9°, 49. The oxidation of II with KMnO4 in AcOH yielded 57% 2,3,4,5-tetrahydro-1,4-benzothiazepin-5-one 1,1-dioxide m. 241-1.5°. Reduction of II with LiAlH4 yielded 50% 2,3,4,5-tetrahydro-1,4-benzothiazepine, hydrochloride m. 237-8°, tosylate m. 87.5°. II heated with P4S10 yielded 2,3,4,5-tetrahydro-1,4-benzothiazepine-5-thione m. 198°.
IT **28852-85-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 28852-85-9 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-4-(tolylsulfonyl)- (8CI) (CA INDEX NAME)

D1—Me



L60 ANSWER 176 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

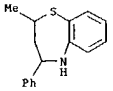


L60 ANSWER 176 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1967:55534 CAPLUS
DOCUMENT NUMBER: 66:55534
TITLE: Tranquilizers
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc.
SOURCE: Neth. Appl., 13 pp.
CODEN: NAXXAN
DOCUMENT TYPE: Patent
LANGUAGE: Dutch
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6601631	A	19660829	NL 1966-1631	19660209
US 3395150	A	19680730	US 1965-435677	19650226
BE 676936	A	19660823	BE 1966-676936	19660223
PRIORITY APPLN. INFO.:			US 1965-435677	19650226

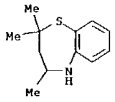
GI For diagram(s), see printed CA Issue.
AB The title compds. of the general formula I were prepared by condensing II with COCl2 and treating the formed intermediate III with the corresponding NHRAB. Thus, a mixture of a suspension of 24 g. LiAlH4 in 950 cc. anhydrous tetrahydrofuran and 140 g. 2,3-dihydro-2-phenyl-1,5-benzothiazepin-4-one was stirred 2 hrs. at room temperature, refluxed 3 hrs., cooled, treated dropwise with 40 cc. water and then with a solution of 16 g NaOH in 100 cc. water, and filtered, and the filtrate washed with Et2O, dried, evaporated, and fractionated at 179-81°/0.2 mm. to give II, m. 65-7° (iso-Pr2O). A solution of 25.5 g. COCl2 in 300 cc. toluene was added to 44 g. II in 250 cc. CHCl3 at 15°, the mixture kept overnight, slowly heated in 3 hrs. to refluxing temperature, and refluxed 1 hr., the solvent (.apprx.250 cc.) distilled, and the residue (400 cc.) kept several days at room temperature to give III, m. 137-9°. A solution of III (205 cc.) was diluted with 200 cc. CHCl3, and cooled to 15-17°, 9.3 g. N,N,N'-trimethylethylenediamine added dropwise, the solution stirred 1 hr. at room temperature, refluxed 1 hr., cooled, treated with 200 cc. water containing 5 cc. concentrated HCl, and diluted with 300 cc. Et2O, the aqueous phase cooled, treated with 10 g. NaOH in 50 cc. water, and extracted with Et2O, and the Et2O phase worked up to give 15.7 g. I (R = Me, A = ethylene, B = NMe2) (IV), m. 66-8° (hexane). A solution of 15.4 g. IV in 700 cc. Et2O was treated with a small excess ether-HCl to yield .apprx.16.3 g. of the hydrochloride (V) of IV, m. 240-50° (absolute EtOH). The latter was dissolved in 200 cc. hot CHCl3, cooled to .apprx.40°, and diluted with 400 cc. Et2O to yield .apprx.15.5 g. V, m. 251-3°. Similarly prepared were the following I (R, A, and B given): H, ethylene, NMe2, HCl salt, m. 151-3°; Me, (CH2)3, NMe2, m. 63-6° (HCl salt m. 221-3°).
IT **6012-71-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 6012-71-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-phenyl- (7CI, 8CI, 9CI) (CA INDEX NAME)

L60 ANSWER 177 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1967:28751 CAPLUS
DOCUMENT NUMBER: 66:28751
TITLE: Thiazepines. I. 2,4-Disubstituted-2,3,4,5-tetrahydro-1,5-benzothiazepines and the stabilities of their corresponding 4,5-dehydro derivatives
AUTHOR(S): Hsing, Ch'i-I; Chin, Sheng; Li, Ching-Po
CORPORATE SOURCE: Univ. Peking, Peking, Peop. Rep. China
SOURCE: Huaxue Xuebao (1966), 32(3), 247-51
CODEN: HHHPA4; ISSN: 0567-7351
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
AB I were prepared by condensing equimolar quantities of o-aminothiophenol-HCl (II) and methyl or phenyl 1-propenyl ketone, and I were reduced to III. Thus, a heated solution of 1.6 g. II in 15 ml. 95% EtOH was added to equimolar crotonophenone and the mixture refluxed 2 hrs. and kept overnight to give 1.8 g. 2,3-dihydro-2-methyl-4-phenyl-1,5-benzothiazepine-HCl hydrate (IV) (I.H2O, R1 = H, R2 = Me, R3 = Ph), m. 156-7° (EtOH). Treatment of 1 g. IV with 5 ml. 10% aqueous NaOH solution and extraction with ether gave 0.8 g. 2-(O-aminophenylthio)propyl phenyl ketone (V) as a yellow oil; 2,4-dinitrophenyl-hydrazone m. 122-4°. V cyclized to give IV upon treatment with HCl gas in anhydrous ether. IV (1.5 g.) in 30 ml. MeOH was reduced with 1.5 g. NaBH4 at ice-bath temperature 2-Methyl-4-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepine (1 g.) (III, R1 = R4 = H, R2 = Me, R3 = Ph) separated upon standing, m. 82° (decomposition) (MeOH), which became a white powder upon drying over P2O5 in vacuo, m. 56-8°; hydrochloride m. 186° (decomposition); acetylated derivative m. 115-16°. Unlike IV, 2,3-dihydro-2,2,4-trimethyl-1,5-benzothiazepine-HCl (VI) (I, R1 = R2 = R3 = Me) did not undergo ring-opening by hydrolysis under alkaline conditions. Reduction of 1.1 g. VI with NaBH4 yielded 1.08 g. 2,3,4,5-tetrahydro-2,2,4-trimethyl-1,5-benzothiazepine (III, R1 = R2 = R3 = Me, R4 = H), m. 86-6.5° (EtOH); picrate m. 170-2°; acetylated derivative m. 99-100°.
IT **13338-10-0P 13338-13-1P 13338-14-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 13338-10-8 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-methyl-4-phenyl- (8CI, 9CI) (CA INDEX NAME)



RN 13338-13-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2,2,4-trimethyl- (8CI, 9CI) (CA INDEX NAME)

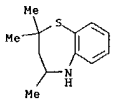
L60 ANSWER 177 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 13338-14-2 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2,2,4-trimethyl-, monopicrate (8CI) (CA INDEX NAME)

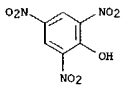
CM 1

CRN 13338-13-1
CMF C12 H17 N S



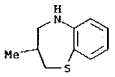
CM 2

CRN 88-89-1
CMF C6 H3 N3 O7



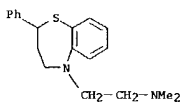
L60 ANSWER 178 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1966:403913 CAPLUS
DOCUMENT NUMBER: 65:3913
ORIGINAL REFERENCE NO.: 65:683c-g
TITLE: Pyran, its analogs, and related compounds. XIII. Further study of the anomalous reduction of ketone oximes by lithium aluminum hydride
AUTHOR(S): Dudykina, N. V.; Zagorevskii, V. A.
CORPORATE SOURCE: Inst. Pharm. and Chemotherapy, Moscow
SOURCE: Sintez Prirodn. Soedin., ikh Analogov i Fragmentov, Akad. Nauk SSSR, Otd. Obshch. i Tekhn. Khim. (1965) 134-8
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB cf. CA 64, 6610a. When flavanone oxime was reduced by adding a suspension of 2.39 g. of it in 30 ml. Et2O to 1.14 g. LiAlH4 in 30 ml. Et2O, boiling the mixture 3 hrs., decomposing it with 20 ml. moist Et2O and 20 ml. 10% NaOH, extracting it with Et2O, shaking the extract with 20 ml. 18% HCl, filtering off the precipitate, and recrystg. it from H2O, 4-amino-flavane hydrochloride was obtained in 50% yield; in addition, 2-phenyl-2,3,4,5-tetrahydro-1,5-benzoxazepine, m. 42.5-3.5° (pert. ether), Rf 0.90 (C6H6, Al2O3), as the hydrochloride, m. 171.1-2.5° (MeOH-Et2O), was isolated in 9% yield from the acid filtrate and the recrystn. filtrates. Similarly, 3-methyl-4-aminochromane hydrochloride, m. 185-7° (EtOH-Et2O), and 3-methyl-2,3,4,5-tetrahydro-1,5-benzoxazepine (I), m. 22°, Rf 0.58 (C6H6, Al2O3), as the hydrochloride, m. 134-6°, were obtained in 23 and 54% yields from the reduction of 3-methyl-4-chromanone oxime (II), and 3-methyl-4-aminothiochromane, Rf 0.43 (C6H6, Al2O3) as the hydrochloride semihydrate, m. 203-4° (MeOH), and 3-methyl-2,3,4,5-tetrahydro-1,5-benzothiazepine (III), Rf 0.87 (C6H6, Al2O3), as the hydrochloride, m. 178-9° (MeOH-Et2O), were obtained in 32 and 31% yields from the reduction of 3-methyl-4-thiochromanone oxime (IV). By contrast, only 5-aminohomothiochromane, b0.1 115-17°, n2D0 1.6135, as the hydrochloride, m. 289° (decomposition) (EtOH), was obtained in 77% yield by reducing 5-homothiochromanone oxime with LiAlH4. 1-Phenyl-2,3,4,5-tetrahydro-1,5-benzodiazepine, m. 63-4° (MeOH), Rf 0.56 (C6H6, Al2O3), as the monohydrochloride, m. 205-7° (MeOH), and 2,3,4,5-tetrahydro-1,5-benzodiazepine, m. 101-1.5° (C6H6-petr. ether), as the monohydrochloride in yields of 72 and 78% were the sole products obtained by reducing 1-phenyl-2,3-dihydro-4-quinolone oxime and 2,3-dihydro-4-quinolone oxime, resp., with LiAlH4. Under these conditions, xanthone oxime and thioxanthone oxime were reduced with deamination to yield 91-5% xanthene and thioxanthene, resp. I and III were prepared independently by heating II and IV for 1 hr. at 140-60° with polyphosphoric acid and reducing with LiAlH4 the resulting lactams, 3-methyl-2,3,4,5-tetrahydro-1,5-benzoxazepin-4-one, m. 147-8° (MeOH), and 3-methyl-2,3,4,5-tetrahydro-1,5-benzothiazepin-4-one, m. 177.5-8.5° (EtOH), which were separated in 60 and 57% yields, resp., when H2O was added to the reaction mixts. and CHCl3 exts. of the resulting aqueous mixts. were washed with a NaHCO3 solution and evaporated
IT **6516-85-4**, 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-3-methyl-, hydrochloride
(preparation of)

L60 ANSWER 178 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 6516-85-4 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-3-methyl-, hydrochloride (7CI, 8CI) (CA INDEX NAME)



● HCl

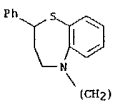
L60 ANSWER 179 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1966:59795 CAPLUS
DOCUMENT NUMBER: 64:59795
ORIGINAL REFERENCE NO.: 64:11174b-d
TITLE: Substituted 2,3-dihydro-1,5-benzothiazepin-4(5H)-one and related compounds. II. A new class of antidepressants
AUTHOR(S): Krapcho, John; Turk, Chester F.
CORPORATE SOURCE: Squibb Inst. for Med. Res., New Brunswick, NJ
SOURCE: Journal of Medicinal Chemistry (1966), 9(2), 191-5
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 64:59795
AB cf. CA 59, 12816e. The syntheses of 2-aryl-2,3-dihydro-1,5-benzothiazepin-4(5H)-ones, 2,3,4,5-tetrahydro-2-phenyl-1,5-benzothiazepine, 2-phenyl-1,5-benzothiazepin-4(5H)-one, 2,3-dihydro-2-phenyl-1,5-benzoxazepin-4(5H)-one, 1,3,4,5-tetrahydro-4-phenyl-2H-1-benzazepin-2-one, and 4-phenyl-1H-1,4-benzodiazepine-2,5(3H,4H)-dione and their alkylation with basically substituted alkyl halides are described. Of the 33 basically substituted derivs. reported, 4 were effective in calming rats with lesions in the septal area of the brain.
IT **5871-44-3**, 1,5-Benzothiazepine, 5-[2-(dimethylamino)ethyl]-2,3,4,5-tetrahydro-2-phenyl-, hydrochloride **5871-45-4**, 1,5-Benzothiazepine, 5-[3-(dimethylamino)propyl]-2,3,4,5-tetrahydro-2-phenyl-, hydrochloride **5969-77-7**, 1,5-Benzothiazepine, 5-[3-(dimethylamino)propyl]-2,3,4,5-tetrahydro-2-phenyl- **6012-71-1**, 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-phenyl-
(preparation of)
RN 5871-44-3 CAPLUS
CN 1,5-Benzothiazepine, 5-[2-(dimethylamino)ethyl]-2,3,4,5-tetrahydro-2-phenyl-, hydrochloride (7CI, 8CI) (CA INDEX NAME)



● HCl

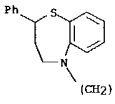
RN 5871-45-4 CAPLUS
CN 1,5-Benzothiazepine, 5-[3-(dimethylamino)propyl]-2,3,4,5-tetrahydro-2-phenyl-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

L60 ANSWER 179 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

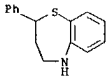


● HCl

RN 5969-77-7 CAPLUS
CN 1,5-Benzothiazepine, 5-[3-(dimethylamino)propyl]-2,3,4,5-tetrahydro-2-phenyl- (7CI, 8CI) (CA INDEX NAME)



RN 6012-71-1 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-2-phenyl- (7CI, 8CI, 9CI) (CA INDEX NAME)



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ACCESSION NUMBER: 1966:27643 CAPLUS
DOCUMENT NUMBER: 64:27643
ORIGINAL REFERENCE NO.: 64:5122g-h,5123a-h,5124a-f
TITLE: Benzothiazepines
PATENT ASSIGNEE(S): F. Hoffmann-La Roche & Co. A.-G.
SOURCE: 43 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6500817		19650726	NL	
			US	19640124

PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

AB I (X = S, SO, SO2, R-R7 various groups) were prepared using II or III as intermediates. II can be obtained by reaction of IV with ZCR3R4CHR5R6. I were useful as muscle-relaxants and (just as the sulfoxide of III) anti-convulsants; III can be used for the lowering of the appetite and as intermediates for preparation of II. Thus, with stirring 76 g. NaNO2 was slowly added at 10° to 450 ml. concentrated H2SO4, the mixture heated to 80°, the clear solution cooled to 30° and 232 g. 2-amino-5-chlorobenzophenone portionwise added at 30-40°. The whole was stirred 1 hr., poured into 3 l. ice-water, filtered, treated with 200 g. NaBF4 in 800 ml. H2O and the precipitate filtered off and washed (H2O), to give 2-benzoyl-4-chlorobenzenediazonium fluoroborate (V), which was added within 5 min. to a vigorously stirred solution of 240 g. K methylxanthate in 1.5 l. H2O at 75°. The mixture was stirred 15 min., cooled, extracted (Et2O), the extract dried (Na2SO4), filtered, and concentrated in vacuo to give the 2-benzoyl-4-chlorophenyl ester of ethylxanthic acid; the 2-acetyl-4,5-dimethoxyphenyl ester, m. 94-5° (dilute EtOH), was prepared similarly. The 2-benzoyl compound was added to a stirred solution of 240 g. KOH in 600 ml. H2O and 600 ml. EtOH, the whole refluxed 15 min., and 35 g. Zn powder carefully added. Then 1 l. H2O was added, the mixture filtered, washed (500 ml. H2O), the filtrate (containing 5-chloro-2-mercaptobenzophenone) cooled to room temperature and treated with a solution of 204 g. BrCH2CH2NH2.HBr in 350 ml. H2O. The whole was stirred 15 min., extracted (CH2Cl2), dried, acidified (HCl-MeOH), and concentrated in vacuo to leave 7-chloro-2,3-dihydro-5-phenyl-1,4-benzothiazepine (VI) and 5-chloro-2-(2-aminoethylthiobenzophenone. C5H5N (11.) was added, the solution refluxed 1 hr., concentrated in vacuo, the residue dissolved in CH2Cl2-H2O. The organic layer dried, acidified (HCl-EtOH), diluted (300 ml. EtOH), and the solution concentrated in vacuo to give yellow VI.-HCl, m. 233-4° (decomposition) (EtOH-Et2O). Similarly prepared were 2,3-dihydro-5-phenyl-1,4-benzothiazepine (VII), m. 64-5° (Et2O-petroleum ether), yellow HCl salt m. 201-2° (CH2Cl2-Et2O) and yellow 2,3-dihydro-5-phenyl-7-trifluoromethyl-1,4-benzothiazepine-HCl, m. 231-2° (iso-PrOH), base m. 90-1° (petr. ether); LiAlH4 reduction of this compound [which can also

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be prepd. by treatment of 5-trifluoromethyl-2-(2-(aminoethylthio)-benzophenone-HCl, m. 178-9°/iso-PrOH) (obtained by heating 2.2 g. HSCH2CH2NH2.HCl, 1 g. 53% NaH, and 5.6 g. 2,5-Cl-(F3C)C6H3Br in 125 ml. C5H5N for 3 hrs. at 100°) with C5H5N], gave 2,3,4,5-tetrahydro-7-trifluoromethyl-5-phenyl-1,4-benzothiazepine-HCl, m. 255-7° (iso-PrOH). A mixt. of 50 g. Na thiocyanate and V (prepd. from 0.5 mole aminobenzophenone) was added to a suspension of Cu thiocyanate (from 125 g. CuSO4 and 95 g. Na thiocyanate) in 500 ml. H2O, the mixt. stirred 24 hrs. at room temp., the ppt. washed (cold H2O), extd. (1 l. boiling EtOH), the hot soln. filtered, and cooled to give creamy 5-chloro-2-thiocyanatobenzophenone, m. 98-9° (EtOH); in analogous way were prepd. slightly yellow 2-thiocyanatobenzophenone, m. 82-2.5° (Et2O-petroleum ether, then crystd. from dil. EtOH) and 3,4-dimethoxy-6-thiocyanatophenyl phenethyl ketone, m. 145-6° (MeCN-H2O). A mixt. of 78 g. 5-chloro-2-thiocyanatobenzophenone, 800 ml. EtOH, 200 ml. 40% NaOH, and 60 g. NaHSO3 was refluxed 0.5 hr. to give 2-mercapto-5-chlorobenzophenone which was treated as above to give VI.HCl, base m. 79-80° (Et2O). Also via 3,4-dimethoxy-6-thiocyanatoacetophenone [m. 144-6° (MeCN-H2O)] yellow 2,3-dihydro-7,8-dimethoxy-5-methyl-1,4-benzothiazepine-HCl (VIII), m. 201-3° (decompn.) (EtOH-Et2O) [base m. 101-3° (hexane)], was prepd. VIII can also be obtained by boiling 2-acetyl-4,5-dimethoxyphenyl ester of ethylxanthic acid with 30% alc. KOH 1 hr. under N, followed by treatment with, successively, BrCH2CH2NH2.HBr and C5H5N. A soln. of 34 g. VI.HCl in 200 ml. dry tetrahydrofuran was carefully added at room temp. to a stirred suspension of 10 g. LiAlH4 in 600 ml. tetrahydrofuran, the mixt. boiled 2 hrs., worked up, and acidified (HCl-MeOH), to give slightly yellow 2,3,4,5-tetrahydro compd., m. 267-8° (EtOH-Et2O). A soln. of 4.5 g. Na metaperiodate in 42 ml. H2O was added at 0° to a stirred soln. of 5.4 g. VI.HCl in 200 ml. MeOH, the mixt. stirred 6 hrs. at 0°, 14 hrs. at room temp., worked up, and acidified (HCl-MeOH) to give slightly yellow 1-oxide VI.HCl, m. 206-7° (MeOH-Et2O); 1-oxide of VII, m. 158-60° (Et2O); 1-oxide of the 2,3,4,5-tetrahydro deriv. of VI.HCl m. 230-1° (MeOH-Et2O) [base m. 116-17° (Et2O)]. VIII refluxed 18 hrs. with 20 ml. 3N HCl gave 6'-(2-aminoethylmercapto)-3',4'-dimethoxyacetophenone-HCl, m. 177-8° (EtOH). VIII with NaBH4 gave 7,8-dimethoxy-5-methyl-2,3,4,5-tetrahydro-1,4-benzothiazepine (IX).HCl, m. 261-3° (EtOH-Et2O); base m. 57-9° (MeOH-H2O). IX and Et2NCH2CH2Cl gave the 4-(2-dimethylaminoethyl) deriv., m. 172-4° (EtOH-Et2O). A soln. of 43.2 g. VI.HCl in 400 ml. AcOH and 70 ml. 30% H2O2 was exposed to daylight for 14 days to ppt. 7-chloro-4,5-expoxy-2,3,4,5-tetrahydro-5-phenyl-1,4-benzothiazepine 1,1-dioxide, m. (brought in at 200°) at 205° (CH2Cl2), after solidifying m. again at 265-6° (unhalogenated compd. m. 169-70° (CH2Cl2-Et2O)]. The 7-chloro compd. (5 g.) refluxed 10 min. in 100 ml. xylene and cooled, gave VI 1,1,9-trioxide, m. 265-6° (CH2Cl2); VII 1,1,4-trioxide m. 238-9° (CH2Cl2-Et2O). IX.HCl and H2O2 gave the 1,1-dioxide, m. 252-4° (decompn.) (MeOH-Et2O); base m. 151-3° (C6H6). PCl3 (9 ml.) was added to a stirred suspension of 7.3 g. VI 1,1,4-trioxide in 300 ml. CHCl3, the mixt. refluxed 1 hr., cooled, treated with 50% KOH soln., and worked up to give VI 1,1-dioxide, m. 164-5° (Me2CO). A soln. of 21.3 g. dioxide in 200 ml. AcOH and 20 ml. H2O was hydrogenated 21 hrs. (1.2 l. H absorbed) at room temp. (1 at.) over 1.8 g. PtO2 to give 7-chloro-2,3,4,5-tetrahydro-5-phenyl-1,4-benzothiazepine 1,1-dioxide, m. 159-60.5° (Et2O). A stirred suspension of 19.2 g. VI 1,1,4-trioxide in 200 ml. Ac2O was refluxed 5 hrs. to give yellow 7-chloro-5-phenyl-1,4-benzothiazepine 1,1-dioxide, m. 207-8° (CH2Cl2-Et2O). The dioxide (1.5 g.) added to 0.8 g. LiAlH4 in 90 ml. dry tetrahydrofuran and stirred 30 min. at room

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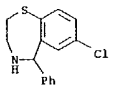
temp. gave VI 1,1-dioxide. Similarly VII gave 2,3,4,5-tetrahydro-5-phenyl-1,4-benzothiazepine (X), m. 89-90° (Et2O); 1-oxide m. 147-8° (CH2Cl2-Et2O). A soln. of 5.8 g. 1-oxide of VI.HCl in 60 ml. 3N HCl was heated 4 hrs. on a steam-bath, and the mixt. concd. in vacuo till dry, to give yellow 5-chloro-2-(2-aminoethyl-sulfinyl)benzophenone, m. 152-3° (MeOH-Et2O). The 1-oxide of VI.HCl (18.5 g.) was carefully added to 65 ml. SOCl2 and, when the reaction had subsided, worked up to give the 2,7-dichloro deriv. of VII, m. 133-4° (Et2O). Similarly, using SO2Cl2, VII was converted to the 2-chloro deriv., m. 93-4° (Et2O-petr. ether). NaOMe (6.6 g.) was added to a stirred soln. of 6.8 g. HSCH2CH2NH2.HCl in 150 ml. HCONMe2, the mixt. heated 15 min. at 40-50° 15.6 g. 2-chloro-5-nitrobenzophenone added, the whole stirred 3 hrs. at room temp. heated with dil. NaOH, and extd. (CH2Cl2) to give yellow 5-nitro-2-(aminoethylthio)benzophenone, m. 189-90° (CH2Cl2). A mixt. of 10.4 g. 2-mercapto-5-nitrobenzophenone, 800 ml. MeOH, 2.2 g. MeONa, and 10 g. N-β-bromoethylphthalimide was refluxed 17 hrs. to give yellow N-[2-(2-benzoyl-4-nitrophenylthio)ethyl]phthalimide, m. 126-7° (CH2Cl2-petroleum ether). In 45 min. 7.5 g. Fe filings was added to a heated (steam-bath), stirred suspension of 10 g. imide in 100 ml. AcOH and 100 ml. H2O, and the whole heated an addnl. 30 min. to yield light-yellow N-[2-(4-amino-2-benzoylphenylthio)ethyl]phthalimide, m. 115-16° (EtOH). This (4 g.) was diazotized, treated at room temp. with 2 g. CaCl in 40 ml. concd. HCl, and stirred 2 hrs. at room temp. to give N-[2-(2-benzoyl-4-chlorophenylthio)ethyl]phthalimide, m. 106-7° (Et2O). This (0.8 g.) in a mixt. of 35 ml. AcOH and concd. HCl was refluxed 17 hrs. to yield yellow 5-chloro-2-(2-aminoethylthio)benzophenone-HCl, m. 168-9° (EtOH-Et2O) [also prepd. by heating 1.9 g. VI.HCl in 20 ml. 3N HCl for 1 hr. at 100°]. VII (5.5 g.) in 60 ml. 3N HCl was refluxed 21 hrs. to give 2-(2-aminoethylthio)benzophenone-HCl, m. 152-3° (iso-PrOH-Et2O). A soln. of 12.2 g. Et2NCH2CH2Cl in 75 ml. PhCl was added to a stirred soln. of 9.5 g. X in 50 ml. PhCl, the mixt. refluxed 18 hrs. and cooled to give the 4-(2-diethylaminoethyl) deriv. of X.HCl, m. 193-4° (CH2Cl2-Et2O) [N.M.R. data of base (oil) shown]. Similarly the 7-chloro-4-(2-diethylaminoethyl) deriv. of X.HCl, m. 195-6° (Me2CO), was obtained. Also, 3-bromo-6-thiocyanatophenyl 2-pyridyl ketone, m. 159-61° (EtOH), [obtained from 6-amino-3-bromophenyl-2-pyridyl ketone] gave yellow 7-bromo-2,3-dihydro-5-(2-pyridyl)-1,4-benzothiazepine-HCl, m. 205-10° (decompn.) (EtOH-Et2O); base m. 166-8° (iso-PrOH). Prepn. of ampuls, tablets, and capsules contg. VI.HCl, were given.

IT **3358-17-6**, 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-phenyl- **3358-18-7**, 1,4-Benzothiazepine, 7-chloro-2,3,4,5-tetrahydro-5-phenyl-, hydrochloride **3358-19-8**, 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-phenyl-7-(trifluorometh-yl)-, hydrochloride **3358-20-1**, 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-methyl- **3358-21-2**, 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-methyl-, hydrochloride **3362-02-5**, 1,4-Benzothiazepine, 7-chloro-2,3,4,5-tetrahydro-5-phenyl-, 1-oxide, hydrochloride **3362-03-6**, 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-methyl-, 1,1-dioxide **3362-04-7**, 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-methyl-, 1,1-dioxide, hydrochloride **3362-27-4**, 1,4-Benzothiazepine, 7-chloro-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide **3510-81-4**, 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-phenyl-, 1-oxide **4700-14-5**, 1,4-Benzothiazepine, 7-chloro-2,3,4,5-tetrahydro-5-phenyl-, 1-oxide **98579-12-5**, 1,4-Benzothiazepine, 4-[2-(diethylamino)ethyl]-2,3,4,5-tetrahydro-7,8-dimethoxy-5-methyl-, hydrochloride **100146-58-5**, 1,4-Benzothiazepine,

L60 ANSWER 180 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
7-chloro-4-[2-(diethylamino)ethyl]-2,3,4,5-tetrahydro-5-phenyl-, hydrochloride **107178-14-3**, 1,4-Benzothiazepine, 4-[2-(diethylamino)ethyl]2,3,4,5-tetrahy-dro-5-phenyl- (prepn. of)

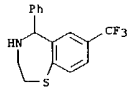
RN 3358-17-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-phenyl- (7CI, 8CI, 9CI) (CA INDEX NAME)

RN 3358-18-7 CAPLUS
CN 1,4-Benzothiazepine, 7-chloro-2,3,4,5-tetrahydro-5-phenyl-, hydrochloride (7CI, 8CI) (CA INDEX NAME)



● HCl

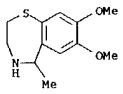
RN 3358-19-8 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-phenyl-7-(trifluoromethyl)-, hydrochloride (7CI, 8CI) (CA INDEX NAME)



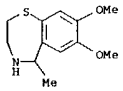
● HCl

RN 3358-20-1 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-methyl- (8CI) (CA INDEX NAME)

L60 ANSWER 180 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

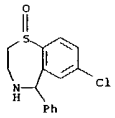


RN 3358-21-2 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-methyl-, hydrochloride (8CI) (CA INDEX NAME)



● HCl

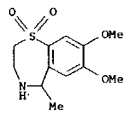
RN 3362-02-5 CAPLUS
CN 1,4-Benzothiazepine, 7-chloro-2,3,4,5-tetrahydro-5-phenyl-, 1-oxide, hydrochloride (7CI, 8CI) (CA INDEX NAME)



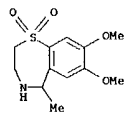
● HCl

RN 3362-03-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-methyl-, 1,1-dioxide (7CI, 8CI) (CA INDEX NAME)

L60 ANSWER 180 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

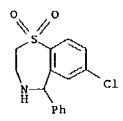


RN 3362-04-7 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-methyl-, 1,1-dioxide, hydrochloride (7CI, 8CI) (CA INDEX NAME)

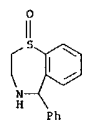


● HCl

RN 3362-27-4 CAPLUS
CN 1,4-Benzothiazepine, 7-chloro-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (7CI, 8CI) (CA INDEX NAME)

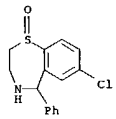


RN 3510-81-4 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-phenyl-, 1-oxide (7CI, 8CI) (CA INDEX NAME)

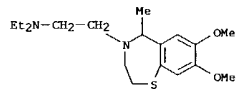


L60 ANSWER 180 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 4700-14-5 CAPLUS
CN 1,4-Benzothiazepine, 7-chloro-2,3,4,5-tetrahydro-5-phenyl-, 1-oxide (7CI, 8CI) (CA INDEX NAME)

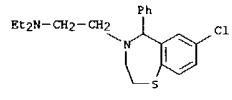


RN 98579-12-5 CAPLUS
CN 1,4-Benzothiazepine, 4-[2-(diethylamino)ethyl]-2,3,4,5-tetrahydro-7,8-dimethoxy-5-methyl-, hydrochloride (7CI) (CA INDEX NAME)



●x HCl

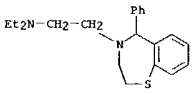
RN 100146-58-5 CAPLUS
CN 1,4-Benzothiazepine, 7-chloro-4-[2-(diethylamino)ethyl]-2,3,4,5-tetrahydro-5-phenyl-, hydrochloride (7CI) (CA INDEX NAME)



●x HCl

RN 107178-14-3 CAPLUS
CN 1,4-Benzothiazepine, 4-[2-(diethylamino)ethyl]-2,3,4,5-tetrahydro-5-phenyl- (7CI) (CA INDEX NAME)

L60 ANSWER 180 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



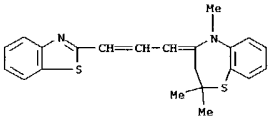
L60 ANSWER 181 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1965:481139 CAPLUS
DOCUMENT NUMBER: 63:81139
ORIGINAL REFERENCE NO.: 63:15016c-h
TITLE: Cyanine dye bases. I. Cleavage of alkyl halides from unsymmetrical carbocyanines
AUTHOR(S): Kiprianov, A. I.; Slominskii, Yu. L.
CORPORATE SOURCE: Inst. Org. Chem., Kiev
SOURCE: Zhurnal Obshchei Khimii (1965), 1(7), 1314-21
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB Unsym. carbocyanines heated with PhNEt2 lost alkyl halides with the alkyl group being detached from the more basic heterocyclic ring; in compds. with nearly equal basicities of the 2 heterocyclic rings, the reaction gave mixts. of isomeric bases. The following unsym. dyes were used: [3-methyl-2-benzothiazole]-[1,3,3-trimethyl-2-indolenine] trimethinecyanine iodide, m. 231-2° (from 2-methylbenzothiazole-MeI (I) and 2-formylmethylene-1,3,3-trimethylindoline in pyridine-Ac2O); [3-methyl-2-benzoxazole]-[1,3,3-trimethyl-2-indolenine]trimethinecyanine iodide, m. 230-1° (from similar reaction with 2-methylbenzoxazole-MeI); [3-methyl-2-benzothiazole]-[3-methyl-2-benzoxazole]trimethinecyanine iodide, m. 248-9° (from I and 2-(β-anilinovinyl)benzoxazole-MeI in Ac2O); [2,2,5-trimethyl-1,5-dihydrobenzothiazepine-4]-[3-methyl-2-benzothiazole]trimethinecyanine iodide, m. 236-7° (from 2,2,4-trimethyldihydro-1,5-benzothiazepine-MeI and 2-formylmethylene-3-methylbenzothiazoline in Ac2O). The following bases were prepared from appropriate heterocycles and their quaternary salts (base and m.p. given): 2-[1-carbethoxy-3-(1,3,3-trimethyl-1(2)-indolenylidene)propenyl]benzothiazole, 164-5.5°; 2-[1-carbethoxy-3-(1,3,3-trimethyl-1(2)-indolenylidene)propenyl]benz oxazole, 162-3°; 2-[1-carbethoxy-3-(3-methyl-2(3)-benzothiazolylidene)propenyl]benzoxazole, 139-40°; 2-[1-carbethoxy-3-(3-methyl-6-nitro-2(3)-benzothiazolylidene)propenyl]benzothiazole 272-4°; 2-[1-carbethoxy-3-(3-methyl-2(3)-benzoxazolylidene)propenyl]benzothiazole, 186-7°; 2-[1-carbethoxy-3-(1-methyl-1(2)-quinolylidene)propenyl]benzoxazole, 106-8°; 2-[1-carbethoxy-3-(1-methyl-1(2)-quinolylidene)propenyl]benzothiazole, 105-6°; 2-[1-cyano-3-(1,3,3-trimethyl-1(2)-indolenylidene)propenyl]quinoline, 162-3°; 2-[1-cyano-3-(3-methyl-2(3)-benzoxazolylidene)propenyl]quinoline, 241-2°; 2-[1-cyano-3-(3-methyl-2(3)-benzothiazolylidene)propenyl]quinoline, 210-11°; 2-[3-(1,3,3-trimethyl-1(2)-indolenylidene)propenyl] benzothiazole, 166-7°; 2-[3-(1,3,3-trimethyl-1(2)-indolenylidene)propenyl]benzoxazole, 169-70°; 2-[3-(3-methyl-2(3)-benzoxazolylidene)propenyl]benzothiazole, 181-2°; 2-[3-(3-methyl-2(3)-benzothiazolylidene)propenyl]benzoxazole, 162-3°; 2-[3-(1,3,3-trimethyl-1(2)-indolenylidene)propenyl]quinoline perchlorate, decomposed 190-1°; 2-[3-(1-methyl-1 (2)-quinolylidene)propenyl]benzoxazole, decomposed 158-9°; 2-[3-(1-methyl-1(2)-quinolylidene)propenyl]benzothiazole, 174-5°; 2-[3-(3-methyl-2(3)-benzothiazolylidene)propenyl]quinoline, 162-3° 2-[3-(3-methyl-2(3)-benzoxazolylidene)propenyl]quinoline,-(very low yield); 2-[3-(3-methyl-6-nitro-2(3)-benzothiazolylidene)propenyl] benzothiazole, 242-4°; 3-[3-(3-methyl-2(3)-benzothiazolylidene)propenyl]-2-methylbenzo-1,4-thiazine perchlorate, decomposed 232-3°; 4-[3-(3-methyl-2(3)-

L60 ANSWER 181 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
benzothiazolylidene)propenyl] -2,2-dimethyldihydrobenzo-1,5-thiazepine, 175-6°; 2-[3-(2,4-dimethyldihydrobenzo-1,4-thiazinylidene)propenyl]benzothiazole, 139-41°; 2-[3-(2,2,4-trimethyltetrahydrobenzo-1,5-thiazepinylidene)propenyl]benzothiazole, 155-6°.

IT **3595-72-0**, 1,5-Benzothiazepine, 4-[3-(2-benzothiazolyl)allylidene]-2,3,4,5-tetrahydro-2,2,5-trimethyl-
(preparation of)

RN 3595-72-0 CAPLUS
CN 1,5-Benzothiazepine, 4-[3-(2-benzothiazolyl)allylidene]-2,3,4,5-tetrahydro-2,2,5-trimethyl- (7CI, 8CI) (CA INDEX NAME)



(prepn., ionization const. and spectrum of

L60 ANSWER 182 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1965:463110 CAPLUS
DOCUMENT NUMBER: 63:63110
ORIGINAL REFERENCE NO.: 63:11566a-c
TITLE: A new type of 1,4-benzothiazepine derivatives
AUTHOR(S): Sternbach, L. H.; Lehr, H.; Reeder, E.; Hayes, T.; Steiger, N.
CORPORATE SOURCE: Hoffmann La Roche Inc., Nutley, NJ
SOURCE: Journal of Organic Chemistry (1965), 30(8), 2812-18
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 63:63110

GI For diagram(s), see printed CA Issue.

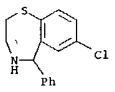
AB The synthesis of 5-substituted 2,3-dihydro-1,4-benzothiazepines (I), a hitherto unknown class of heterocyclic compds., was investigated. Representative compds. were prepared from the appropriate amino ketones II via the corresponding mercapto ketones III. A number of transformations, characteristic for these compds., are described.

IT **3358-17-6**, 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-phenyl- **3358-18-7**, 1,4-Benzothiazepine, 7-chloro-2,3,4,5-tetrahydro-5-phenyl-, hydrochloride **3358-19-8**, 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-phenyl-7-(trifluorometh-yl)-, hydrochloride **3358-20-1**, 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-methyl- **3358-21-2**, 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-methyl-, hydrochloride **3362-01-4**, 1,4-Benzothiazepine, 7,9-dibromo-2,3,4,5-tetrahydro-5-(2-pyridyl)- **3362-02-5**, 1,4-Benzothiazepine, 7-chloro-2,3,4,5-tetrahydro-5-phenyl-, 1-oxide, hydrochloride **3362-03-6**, 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-methyl-, 1,1-dioxide **3362-04-7**, 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-methyl-, 1,1-dioxide, hydrochloride **3362-27-4**, 1,4-Benzothiazepine, 7-chloro-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide **3510-81-4**, 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-phenyl-, 1-oxide **98579-12-5**, 1,4-Benzothiazepine, 4-[2-(diethylamino)ethyl]-2,3,4,5-tetrahydro-7,8-dimethoxy-5-methyl-, hydrochloride **100146-58-5**, 1,4-Benzothiazepine, 7-chloro-4-[2-(diethylamino)ethyl]-2,3,4,5-tetrahydro-5-phenyl-, hydrochloride **100658-54-6**, 1,4-Benzothiazepine, 4-[2-(diethylamino)ethyl]2,3,4,5-tetrahy-dro-5-phenyl-, hydrochloride
(preparation of)

RN 3358-17-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-phenyl- (7CI, 8CI, 9CI) (CA INDEX NAME)

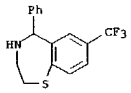
RN 3358-18-7 CAPLUS
CN 1,4-Benzothiazepine, 7-chloro-2,3,4,5-tetrahydro-5-phenyl-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

L60 ANSWER 182 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



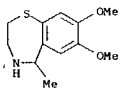
● HCl

RN 3358-19-8 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-phenyl-7-(trifluoromethyl)-, hydrochloride (7CI, 8CI) (CA INDEX NAME)



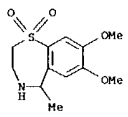
● HCl

RN 3358-20-1 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-methyl- (8CI) (CA INDEX NAME)

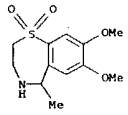


RN 3358-21-2 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-methyl-, hydrochloride (8CI) (CA INDEX NAME)

L60 ANSWER 182 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

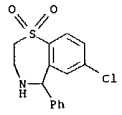


RN 3362-04-7 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-methyl-, 1,1-dioxide, hydrochloride (7CI, 8CI) (CA INDEX NAME)

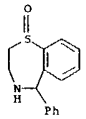


● HCl

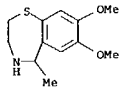
RN 3362-27-4 CAPLUS
CN 1,4-Benzothiazepine, 7-chloro-2,3,4,5-tetrahydro-5-phenyl-, 1,1-dioxide (7CI, 8CI) (CA INDEX NAME)



RN 3510-81-4 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-5-phenyl-, 1-oxide (7CI, 8CI) (CA INDEX NAME)

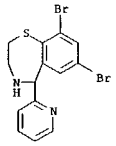


L60 ANSWER 182 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

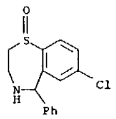


● HCl

RN 3362-01-4 CAPLUS
CN 1,4-Benzothiazepine, 7,9-dibromo-2,3,4,5-tetrahydro-5-(2-pyridyl)- (7CI, 8CI) (CA INDEX NAME)



RN 3362-02-5 CAPLUS
CN 1,4-Benzothiazepine, 7-chloro-2,3,4,5-tetrahydro-5-phenyl-, 1-oxide, hydrochloride (7CI, 8CI) (CA INDEX NAME)

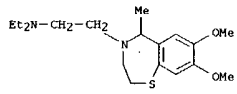


● HCl

RN 3362-03-6 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-7,8-dimethoxy-5-methyl-, 1,1-dioxide (7CI, 8CI) (CA INDEX NAME)

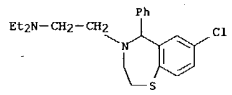
L60 ANSWER 182 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 98579-12-5 CAPLUS
CN 1,4-Benzothiazepine, 4-[2-(diethylamino)ethyl]-2,3,4,5-tetrahydro-7,8-dimethoxy-5-methyl-, hydrochloride (7CI) (CA INDEX NAME)



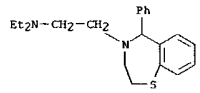
●x HCl

RN 100146-58-5 CAPLUS
CN 1,4-Benzothiazepine, 7-chloro-4-[2-(diethylamino)ethyl]-2,3,4,5-tetrahydro-5-phenyl-, hydrochloride (7CI) (CA INDEX NAME)



●x HCl

RN 100658-54-6 CAPLUS
CN 1,4-Benzothiazepine, 4-[2-(diethylamino)ethyl]-2,3,4,5-tetrahydro-5-phenyl-, hydrochloride (7CI) (CA INDEX NAME)



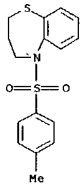
●x HCl

L60 ANSWER 183 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1964:469039 CAPLUS
DOCUMENT NUMBER: 61:69039
ORIGINAL REFERENCE NO.: 61:11960d-g
TITLE: Pyran series; its analogs and related compounds. VII. Peculiarities of reduction of 4-chromanone oxime and oximes of related ketones with lithium aluminum hydride
AUTHOR(S): Zagorevskii, V. A.; Dudykina, N. V.
SOURCE: Zhurnal Obshchei Khimii (1964), 34(7), 2282-6
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 61:69039
GI For diagram(s), see printed CA Issue.
AB cf. ibid. 33(3), 322(1963); CA 61, 8264b. Thiochroman-4-one oxime (I) and LiAlH4 in Et2O gave 27% 4-aminothiochroman (Ia) (isolated as the N-tosyl derivative, m. 139-40°) and 43% 2,3,4,5-tetrahydro-1,5-benzothiazepine (II), isolated as the N-tosyl derivative, m. 138-9°. I in a Beckmann rearrangement in polyphosphoric acid gave 94% 2,3,4,5-tetrahydro-1,5-benzothiazepin-4 one, m. 217-18°, which with LiAlH4 gave II (HCl salt, m. 205.57°). Hydrogenation of I over Raney Ni at 60° and 4 atmospheric gave 20% 4-aminothiochroman (HCl salt m. 228-9°). 4-Chromanone oxime and LiAlH4 gave 23% 4-aminochroman (N-tosyl derivative m. 147.5-8°) and 61% I. Tetrahydronaphthalen-1-one oxime and LiAlH4 gave 1-aminotetrahydronaphthalene (N-tosyl derivative m. 139-40°) and 34% 2,3,4,5-tetrahydro-1-benzazepine (N-tosyl derivative m. 87-8.5°). 1-Indanone oxime similarly furnished to 42% 1-aminoindan (N-tosyl derivative m. 140.5-2°) and 24% 1,2,3,4-tetrahydroquinoline (tosyl derivative m. 93-4°). 1-Thiachroman-4-one oxime S,S-dioxide and LiAlH4 in Et2O-tetrahydrofuran gave in 3 hrs. refluxing 4-aminothiochroman S,S-dioxide, isolated as the N-tosyl derivative, m. 192-4, and an unidentified oil. 1-Tosyl-1,2,3,4-tetrahydroquinolin-4-one oxime yielded similarly 70% 1-tosyl-4-amino-1,2,3,4-tetrahydroquinoline, m. 81-3°; HCl salt m. 211-12°. o-MeOC6H4CMe: NOH yielded similarly 46% o-MeOC6H4CHMeNH2, isolated as the tosyl derivative, m. 111-12.5°; the p-isomer similarly gave 18% p-MeOC4H4CHMeNH2, whose tosyl derivative m. 95-5.5°, and 42% p-MeOC6H4NEtSO2C6H4Me-p, m. 94-5°.
IT **58121-91-8**, 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-, hydrochloride **93009-01-9**, 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-(p-tolylsulfonyl)- (preparation of)
RN 58121-91-8 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-, hydrochloride (7CI, 9CI) (CA INDEX NAME)

L60 ANSWER 183 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

● HCl

RN 93009-01-9 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

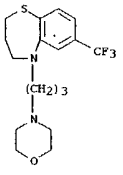


L60 ANSWER 184 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1964:45791 CAPLUS
DOCUMENT NUMBER: 60:45791
ORIGINAL REFERENCE NO.: 60:8049a-e
TITLE: Benzothiazole, benzothiazine, and benzothiazepine compounds
INVENTOR(S): Krapcho, John; Yale, Harry L.
PATENT ASSIGNEE(S): Olin Mathieson Chemical Corp.
SOURCE: 5 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

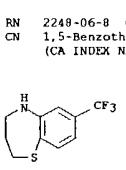
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3117124		19640107	US	19570320

AB The title compds. have therapeutic activity as central nervous system depressants, ataractic agents, and antispasmodics. 2,3,4,5-Tetrahydro-1,5-benzothiazepine (I) was prepared from the known HBr salt and distilled, b0.9 117-20°. To 10.2 g. NaNH2 in 300 ml. PhMe was added dropwise 36.8 g. I in 200 ml. PhMe, the mixture refluxed 5 hrs., 285 ml. 0.833M Me2N(CH2)3Cl in PhMe added during 20 min., and the mixture refluxed 6 hrs. to afford 21.6 g. II [R = Me2N(CH2)3], b0.14 117-22°; hydrochloride m. 135-7° (acetone). Similarly were prepared the following II (R and b.p. given): 2-dimethylamino- propyl, b0.06 108-14° [hydrochloride m. 178-9° (acetone)]; 2-piperidinoethyl, - (oxalate); 3-pyrrolidinopropyl, -; 2morpholinopropyl, -. A mixture of 293 g. Br(CH2)3Br, 350 ml. HOAc, and 199 g. Zn salt of 4-chloro-2-aminothiophenol was refuxed 1 hr. to yield 128 g. 7-chloro-2,3,4,5-tetrahydro-1,5-benzothiazepine (III) as the ZnBr2 salt, m. 198-202° (EtOH). The salt was neutralized in alkaline solution and extracted with ether to give 47.5 g. III, b0.3 129-31°. From III and Et2N(CH2)3Cl were prepared the 5-(3-diethylaminopropyl) derivative (IV) of III and IV.HBr. By similar procedures were prepared 7-trifluoromethyl derivative (V) of I, 5-(3-morpholinopropyl) derivative of V and its hydro- chloride, and the 8-methoxy, 7-methyl, and 7-tert-butyl derivs. of II (R = 3-diethylaminopropyl). From 3,4-dihydro-2H-1,4benzothiazine (VI) were prepared VIa (R = 2-dimethylamino-1methylethyl), b0.2-0.25 111-22°, [hydrochloride m. 195-7° (Me2CO, MeCN], and VIa (R = 3-dimethylaminopropyl) (VII), b0.13-0.15 122.5-24°; VIII.HCl m. 157-8° (MeCN-Me2 CO). A solution of 4-chloro-2-aminothiophenol (VIII) [from 206 g. VIII.HCl treated under N with 10% (NH4)2CO3] and 270 g. Br(CH2)2Br in 250 ml. HOAc was refluxed 6 hrs. to afford 46 g. 6-chloro derivative (IX) of VI, b0.45 91-9°. From IX was prepared 6-chloro derivative of VII.HCl. LiAlH4 reduction of 21.2 g. 2-phenyl-2/H-1,4-benzothiazin-3(4H)-one in Et2O gave 15.2 g. 2-phenyl derivative of VI, m. 133-4° (EtOH), from which was prepared 2phenyl derivative of VII(X), b0.5 195-205°; X.HCl, m. 171-2° (iso-PrOH, EtOH). Similarly, 2-ethyl derivative of VI, b0.4 125°, was prepared and converted into 2-ethyl derivative of VII, b0.3 141-3°; hydrochloride m. 198-9° (EtOH). A mixture of 155 g. 2-amino- 5-methoxybenzenethiol, 293 g. CH2I2, and 300 ml. HOAc was refluxed 2 hrs. under N to give 37 g. 6-methoxybenzothiazoline, from which was prepared the 3-diethylaminoethyl derivative (XI); oxalate.
IT **2023-73-6**, 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-(3-morpholinopropyl)-7-(trifluoromethyl)- **2248-06-8**, 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-7-(trifluoromethyl)-

L60 ANSWER 184 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
2600-03-5, 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-(3-morpholinopropyl)-7-(trifluoromethyl)-, hydrochloride **90346-87-5**, 1,5-Benzothiazepine, 7-chloro-2,3,4,5-tetrahydro- **92494-01-4**, 1,5-Benzothiazepine, 5-[2-(dimethylamino)propyl]-2,3,4,5-tetrahydro- **93144-70-8**, 1,5-Benzothiazepine, 7-chloro-5-[3-(diethylamino)propyl]2,3,4,5-tetrahydro- **93155-82-9**, 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-(2-piperidinoethyl)- **93808-63-0**, 1,5-Benzothiazepine, 5-[3-(dimethylamino)propyl]-2,3,4,5-tetrahydro- **97215-26-2**, 1,5-Benzothiazepine, 5-[3-(dimethylamino)propyl]-2,3,4,5-tetrahydro-, hydrochloride **97236-88-9**, 1,5-Benzothiazepine, 5-[2-(dimethylamino)propyl]-2,3,4,5-tetrahydro-, hydrochloride **97646-47-4**, 1,5-Benzothiazepine, 7-chloro-5-[3-(diethylamino)propyl]2,3,4,5-tetrahydro-, hydrobromide (prepn. of)
RN 2023-73-6 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-(3-morpholinopropyl)-7-(trifluoromethyl)- (7CI, 8CI) (CA INDEX NAME)

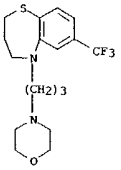


RN 2248-06-8 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-7-(trifluoromethyl)- (7CI, 8CI) (CA INDEX NAME)



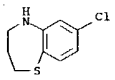
RN 2600-03-5 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-(3-morpholinopropyl)-7-(trifluoromethyl)-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

L60 ANSWER 184 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

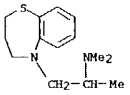


● HCl

RN 90346-87-5 CAPLUS
CN 1,5-Benzothiazepine, 7-chloro-2,3,4,5-tetrahydro- (7CI) (CA INDEX NAME)

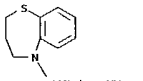


RN 92494-01-4 CAPLUS
CN 1,5-Benzothiazepine, 5-[2-(dimethylamino)propyl]-2,3,4,5-tetrahydro- (7CI) (CA INDEX NAME)



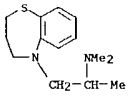
RN 93144-70-8 CAPLUS
CN 1,5-Benzothiazepine, 7-chloro-5-[3-(diethylamino)propyl]-2,3,4,5-tetrahydro- (7CI) (CA INDEX NAME)

L60 ANSWER 184 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



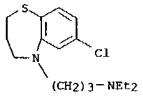
●x HCl

RN 97236-88-9 CAPLUS
CN 1,5-Benzothiazepine, 5-[2-(dimethylamino)propyl]-2,3,4,5-tetrahydro-, hydrochloride (7CI) (CA INDEX NAME)



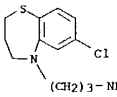
●x HCl

RN 97646-47-4 CAPLUS
CN 1,5-Benzothiazepine, 7-chloro-5-[3-(diethylamino)propyl]-2,3,4,5-tetrahydro-, hydrobromide (7CI) (CA INDEX NAME)

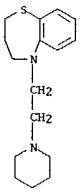


● HBr

L60 ANSWER 184 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 93155-82-9 CAPLUS
CN 1,5-Benzothiazepine, 2,3,4,5-tetrahydro-5-(2-piperidinoethyl)- (7CI) (CA INDEX NAME)



RN 93808-63-0 CAPLUS
CN 1,5-Benzothiazepine, 5-[3-(dimethylamino)propyl]-2,3,4,5-tetrahydro- (7CI) (CA INDEX NAME)

RN 97215-24-2 CAPLUS
CN 1,5-Benzothiazepine, 5-[3-(dimethylamino)propyl]-2,3,4,5-tetrahydro-, hydrochloride (7CI) (CA INDEX NAME)

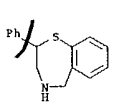
L60 ANSWER 185 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1962:442897 CAPLUS
DOCUMENT NUMBER: 57:42897
ORIGINAL REFERENCE NO.: 57:8592h-i,8593a-b,8594a
TITLE: Benzothiazepine derivatives
INVENTOR(S): Fancher, Otis E.; Nichols, Gust
PATENT ASSIGNEE(S): Miles Laboratories, Inc.
SOURCE: 2 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3029251		19620410	US	19591207

AB Compds.: The preparation of 4-oxo-2,3,4,5-tetrahydro-1,5-benzothiazepine (I) was carried out according to the procedure of Mayer and Horst, CA 17, 3344, and Mills and Whitworth (CA 22, 785). I (38.5 g.) was added to a suspension of 8.2 g. LiAlH4 in 600 ml. dry tetrahydrofuran, while trader gentle reflux. The mixture was refluxed 2.5 hrs. after addition was complete and the cooled mixture treated with 10 ml. H2O, 7.5 ml. 20% NaOH, and then with an addnl. 35 ml. H2O. The separated salts were filtered off and the filtrate concentrated on a hot H2O bath to remove solvent. The oily yellow residue was dissolved in ether, dried over K2CO3, and distilled to give 30.0 g. 2,3,4,5-tetrahydro-1,5-benzothiazepine (II) b0.2 113-15°. II (30 g.) in 75 ml. iso-PrOH was added to a cold solution of 10 g. HCl in 75 ml. iso-PrOH. Crystals separated on cooling and were filtered off and dried to give 36 g. II.HCl, m. 214-15°. Also prepared were 2-methyl-2,3,4,5-tetrahydro-1,5-benzothiazepine (III) b0.25 115-20°, III.HCl, m. 197-9°, 2-phenyl-2,3,4,5-tetrahydro-1,5-benzothiazepine (IV), b0.1 190-200°, IV.HCl, m. 230-2°, 2-methyl-5-carbamoyl-2,3,4,5-tetrahydro-1,5-benzothiazepine, m. 159-60°, and 2-phenyl-5-carbamoyl-2,3,4,5-tetrahydro-1,5-benzothiazepine.

IT **26643-04-9**, 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-2-phenyl-, hydrochloride
(preparation of)

RN 26643-04-9 CAPLUS
CN 1,4-Benzothiazepine, 2,3,4,5-tetrahydro-2-phenyl-, hydrochloride (8CI) (CA INDEX NAME)



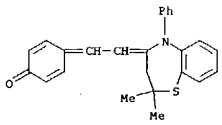
● HCl

L60 ANSWER 186 OF 186 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1960:7283 CAPLUS
DOCUMENT NUMBER: 54:7283
ORIGINAL REFERENCE NO.: 54:1538f-i,1539a
TITLE: Cyanine dyes from seven-membered heterocyclic systems. I. Styrils in the dihydrobenzo-1,5-thiazepine series
AUTHOR(S): Mushkalo, L. K.
CORPORATE SOURCE: State Univ., Kiev
SOURCE: Zhurnal Obshchei Khimii (1959), 29, 1030-4
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Heating 0.15 g. 4-methyldihydrobenzo-1,5-thiazepine ethyl perchlorate with 0.1 g. p-Me2NC6H4CHO and 1.5 ml. Ac2O 20 min. gave 68% 4-(p-dimethylaminostyryl)dihydrobenzo-1,5-thiazepine ethyl perchlorate, m. 211°, λ 520 mμ; similarly was prepared its phenyl bromide, 41%, m. 220-1°, λ 546 mμ, and iodide, m. 232°. 2,4-Dimethyldihydrobenzo-1,5-thiazepine methyl methosulfate similarly gave 51% styril, which was conventionally converted to 2-methyl-4-(p-dimethylaminostyryl)dihydrobenzo-1,5-thiazepine methiodide, m. 230°, λ 522 mμ, Similarly was prepared 72% green 2-methyl-4-(p-dimethylaminostyryl)dihydrobenzo-1,5-thiazepine ethyl perchlorate, m. 230°, λ 520 mμ. 2,4-Dimethyldihydrobenzo-1,5-thiazepine phenyl bromide similarly gave 46% styril derivative, which was converted to 2-methyl-4-(p-dimethylaminostyryl)dihydrobenzo-1,5-thiazepine phenyl iodide m. 232°, λ 545 mμ. Similarly, 2,2,4-trimethyldihydrobenzo-1,5-thiazepine methobromide gave 56% 2,2-dimethyl-4-(p-dimethylaminostyryl)dihydrobenzo-1,5-thiazepine methobromide, m. 230°, λ 522 mμ; with bases this formed a yellow base with λ 400 mμ, which regenerated the styril salt after acidification. Similarly was prepared 51% 2,2-dimethyl-4-(p-dimethylaminostyryl)dihydrobenzo-1,5-thiazepineetho-bromide, m. 247°, λ 523 mμ; free base, λ 403 mμ. Similarly, 2,2,4-trimethyldihydrobenzo-1,5-thiazepinephenyl bromide gave 93% 2,2-dimethyl-4-(p-dimethylamino-styryl)dihydrobenzo-1,5-thiazepine phenyl bromide, m. 210°, λ 547 mμ. If the dimethylaminobenzaldehyde in this reaction was replaced by p-HOC6H4CHO, the reaction gave 35% 2,2-dimethyl-4-(p-hydroxystyryl)dihydrobenzo-1,5-thiazepine phenyl bromide, m. 188°, λ 454 mμ. This with NH4OH in aqueous EtOH gave 89% anhydro base, C25H23ONS, λ 534 mμ (EtOH), 531 mμ (CHCl3). 2,2,4-Trimethyldihydrobenzo-1,5-thiazepine phenyl bromide with 4-hydroxynaphthaldehyde in Ac2O as above gave 80% styril phenyl bromide, m. 182°, which gave on solution in EtOH the anhydro base of 2,2-dimethyl-5-phenyl-4-(p-hydroxybenzostyryl)dihydrobenzo-1,5-thiazepine, m. 158°, λ 538 mμ (EtOH), 526 (CHCl3), 496 mμ (C6H6).

IT **119210-56-9**, 2,5-Cyclohexadien-1-one, 4-[2-(2,5-dihydro-2,2-dimethyl-5-phenyl-1,5-benzothiazepin-4-(3H)-ylidene)ethylidene]- **125643-89-2**, 1(4H)-Naphthalenone, 4-[2-(2,5-dihydro-2,2-dimethyl-5-phenyl-1,5-benzothiazepin-4(3H)-ylidene)ethylidene]- (preparation of)

RN 119210-56-9 CAPLUS
CN 2,5-Cyclohexadien-1-one, 4-[2-(2,5-dihydro-2,2-dimethyl-5-phenyl-1,5-benzothiazepin-4(3H)-ylidene)ethylidene]- (6CI) (CA INDEX NAME)



RN 125643-89-2 CAPLUS
CN 1(4H)-Naphthalenone, 4-[2-(2,5-dihydro-2,2-dimethyl-5-phenyl-1,5-benzothiazepin-4(3H)-ylidene)ethylidene]- (6CI) (CA INDEX NAME)